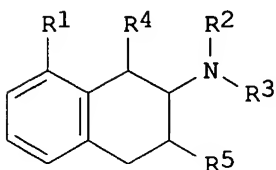


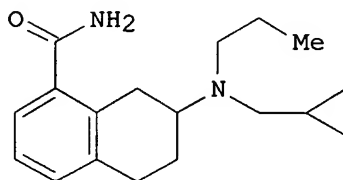
10/009,008

L4 ANSWER 17 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 2001:916424 CAPLUS
DN 136:37413
TI Synthesis and use of 2-aminotetralin derivatives as 5-HT1A receptor agonists
IN Romero, Arthur G.; Darlington, William H.
PA The Upjohn Co., USA
SO U.S., 35 pp., Cont.-in-part of PCT 9206967.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6331636	B1	20011218	US 1992-850136	19920312
	WO 9015047	A1	19901213	WO 1990-US2726	19900522
	W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	WO 9206967	A1	19920430	WO 1991-US6863	19910926
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MN, MW, NO, PL, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	US 5545755	A	19960813	US 1995-374500	19950118
PRAI	US 1989-360190	B2	19890531		
	WO 1990-US2726	B2	19900522		
	US 1990-596923	B1	19901015		
	US 1991-768915	B2	19910917		
	WO 1991-US6863	A2	19910926		
	US 1990-596916	A	19901012		
	US 1992-850136	B3	19920312		
	US 1994-196688	B1	19940215		
OS	MARPAT 136:37413				
GI					



I



II

AB Title compds. I [R1 = (CO)het; het = a five atom heterocyclic arom. ring contg. nitrogen, carbon, or optionally contg. an addnl. heteroatom oxygen;
R2-3 = H, alkyl, alkenyl, alkynyl, aryl, trimethylsilylmethyl, allyl;
R4-5 = H, alk(en/yn)yl] were prepd. Examples include data for over 100 compds., evaluation of 5-HT1A binding and models for hypothermia and sympathetic nerve discharge (SND). E.g.; (1,2,3,4-tetrahydro-2-oxo-

10/009,008

naphthalen-8-yl)carboxamide (prepd. in 7 steps from 8-methoxy-2-tetralone) was alkylated with n-propylamine (MeOH, HOAc, NaCNBH₄, 25.degree.C, 2 h) and subsequently alkylated with a cyclopropyl halide to give II. II had IC₅₀ = 13 nM for the 5-HT_{1A} receptor and showed efficacy in a hypothermia model (mice) at 0.31 mg/kg. I are useful for treating central nervous system disorders, hypertension, diabetes, sexual impotency and to control appetite.

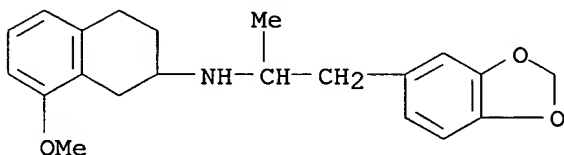
IT **134466-47-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis and use of 2-aminotetralin derivs. as 5-HT_{1A} receptor agonists)

RN 134466-47-0 CAPLUS

CN 1,3-Benzodioxole-5-ethanamine, .alpha.-methyl-N-(1,2,3,4-tetrahydro-8-methoxy-2-naphthalenyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

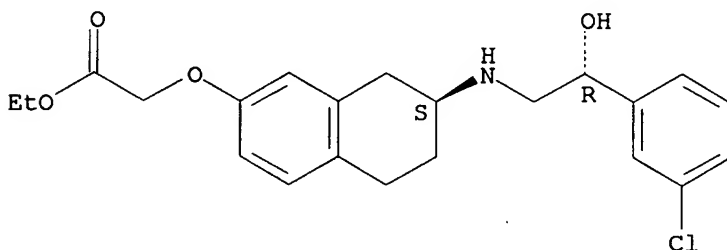
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 23 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 2001:521902 CAPLUS
DN 135:103720
TI Method of reducing nicotine and tobacco craving in mammals
IN Coffin, Vicki L.; Glue, Paul W.
PA Schering Corp., USA
SO U.S., 20 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6262049	B1	20010717	US 1998-178447	19981023
	US 2001025038	A1	20010927	US 2001-846170	20010501
PRAI	US 1997-64563P	P	19971028		
	US 1998-178447	A3	19981023		
AB	A method of reducing cravings in a mammal to nicotine or tobacco is disclosed. The method comprises administering to the mammal an effective amt. of a D1/D5 antagonist or a D1/D5 partial agonist alone or in combination with other specified CNS compds.				
IT	121524-09-2, SR 58611a				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (method of reducing nicotine and tobacco craving in mammals)				
RN	121524-09-2 CAPLUS				
CN	Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



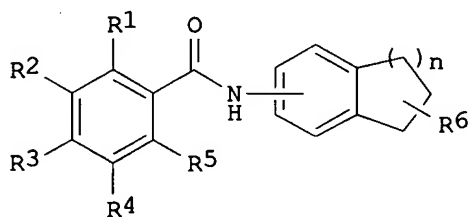
● HCl

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

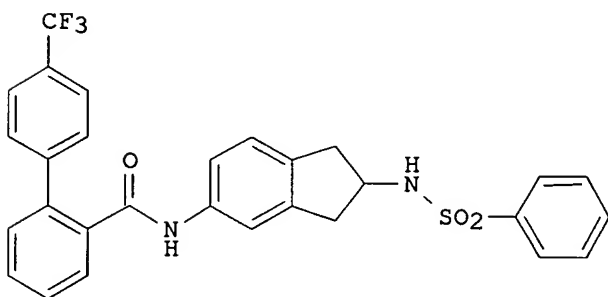
10/009,008

L4 ANSWER 26 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 2001:459301 CAPLUS
DN 135:33375
TI Preparation of N-benzocycloalkyl-amides as inhibitors of microsomal
triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion
IN Fink, Cynthia A.; Ksander, Gary M.; Kukkola, Paivi J.; Wallace, Eli M.;
Prashad, Mahavir
PA Novartis A.-G., Switz.
SO U.S., 101 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6197798	B1	20010306	US 1999-357041	19990720
PRAI	US 1998-120017	A	19980721		
	US 1998-155243P	P	19980721		
OS	MARPAT 135:33375				
GI					



I



II

AB The title compds. (I) [wherein R2C, R3C,, R4C, R5C may be replaced by N;
n
= 1-3; R1 = aryl, cycloalkyl, heterocyclyl; R2-R5 = H, alkyl, halo, etc.;
any two of R2-R5 at adjacent positions may be alkylenedioxy; R6 =
(un)substituted NH2, acylamino, etc.] were prepd. as inhibitors of
microsomal triglyceride transfer protein (MTP) and apolipoprotein B
(ApoB)
secretion. For example, II was formed in a multi-step synthesis
involving
the coupling of (5-amidoindan-2-yl)carbamic acid tert Bu ester (3-step

10/009,008

prepn. given) with 4'-trifluoromethyl-2-biphenylcarboxylic acid chloride (1-step prepn. given), deprotection of the amine, and addn. of benzenesulfonyl chloride. Selected invention compds. were tested for the inhibition of cellular secretion of Apo B and the lipid transfer activity of MTP and gave IC50 values in the ranges of 0.7-1.8 nM and 60-70 nM, resp. I are useful for the prevention and treatment of MTP and Apo B dependent conditions such as atherosclerosis, hypertriglyceridemia, and hypercholesteremia.

IT 256396-99-3P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

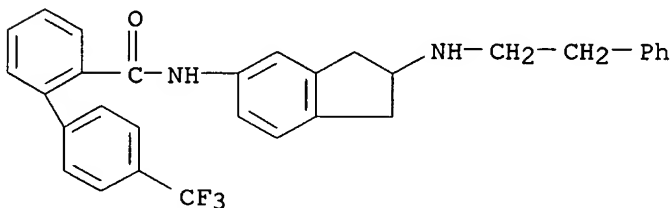
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-benzocycloalkyl-amides as inhibitors or microsomal triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion)

RN 256396-99-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide,

N-[2,3-dihydro-2-[(2-phenylethyl)amino]-1H-inden-5-yl]-4'-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



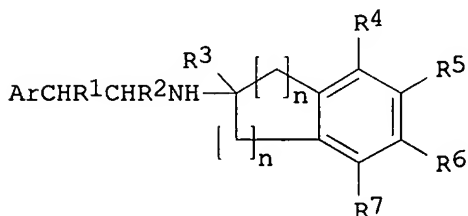
● HCl

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

App's

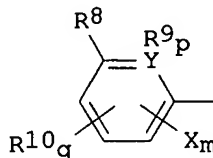
L4 ANSWER 36 OF 323 CAPLUS COPYRIGHT 2003 ACS
 AN 2000:881125 CAPLUS
 DN 134:42074
 TI Preparation of indanyl-substituted quinolinone derivatives as
 .beta.2-adrenoceptor agonists
 IN Cuenoud, Bernard; Bruce, Ian; Fairhurst, Robin Alec; Beattie, David
 PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft
 m.b.H.
 SO PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075114	A1	20001214	WO 2000-EP5058	20000602
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,				
	CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,				
	ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,				
	LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,				
	SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,				
	ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
	CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000011324	A	20020305	BR 2000-11324	20000602
	EP 1183240	A1	20020306	EP 2000-935163	20000602
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO				
	JP 2003501417	T2	20030114	JP 2001-501595	20000602
	NO 2001005912	A	20020121	NO 2001-5912	20011203
PRAI	GB 1999-13083	A	19990604		
	WO 2000-EP5058	W	20000602		
OS	MARPAT 134:42074				
GI					



I

Q=



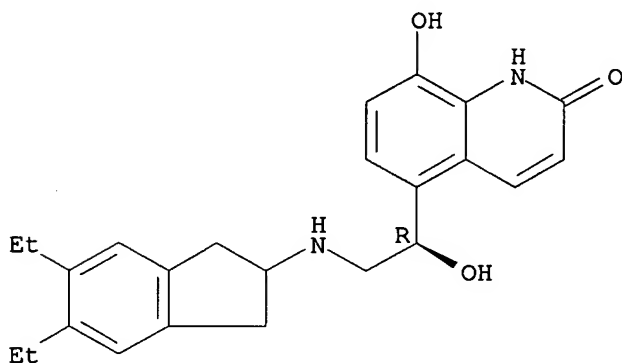
AB The title compds. I [Ar = Q; R1 = H, OH, alkoxy; R2, R3 = H, alkyl; R4-R7 = H, halo, cyano, aryl, etc.; R8 = halo, OR13, etc.; R9 = H or part of a heterocycle; R10 = OR19, NHR19, etc.; X = halo, halomethyl, alkyl; Y = C, N; n = 1, 2; p = 0, 1; q, m = 0, 1], .beta.2-adrenoceptor agonists, were prepd. E.g., 5-[2-(5,6-dimethoxyindan-2-ylamino)-1-hydroxyethyl]-8-hydroxy-1H-quinolin-2-one was prepd.

IT 312753-06-3P 312753-27-8P

10/009,008

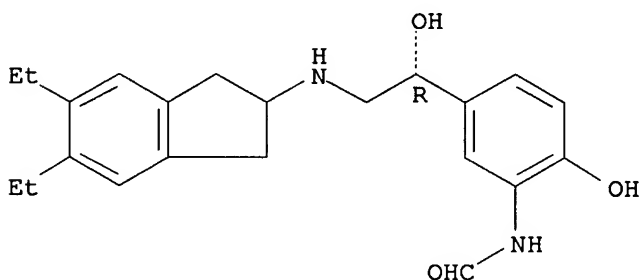
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(prepn. of indanyl-substituted quinolinone derivs. and related compds.
as .beta.2-adrenoceptor agonists)
RN 312753-06-3 CAPLUS
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312753-27-8 CAPLUS
CN Formamide, N-[5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 312753-05-2P 312753-07-4P 312753-08-5P
312753-09-6P 312753-10-9P 312753-11-0P
312753-12-1P 312753-13-2P 312753-14-3P
312753-15-4P 312753-16-5P 312753-17-6P
312753-18-7P 312753-19-8P 312753-20-1P
312753-21-2P 312753-22-3P 312753-23-4P
312753-24-5P 312753-26-7P 312753-28-9P
312753-29-0P 312753-30-3P 312753-31-4P
312753-32-5P 312753-33-6P 312753-35-8P
312753-36-9P 312753-37-0P 312753-38-1P
312753-39-2P 312753-40-5P 312753-41-6P

10/009,008

312753-42-7P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

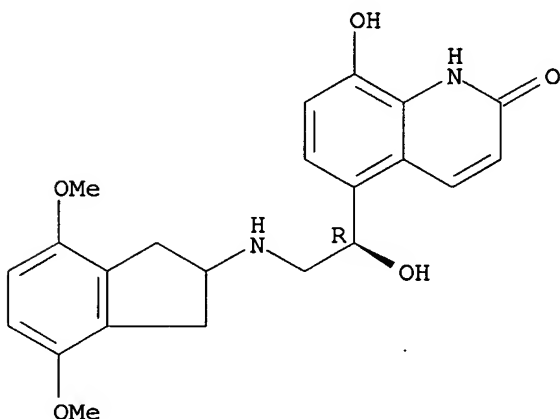
(prepn. of indanyl-substituted quinolinone derivs. and related compds.

as .beta.2-adrenoceptor agonists)

RN 312753-05-2 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3-dihydro-4,7-dimethoxy-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

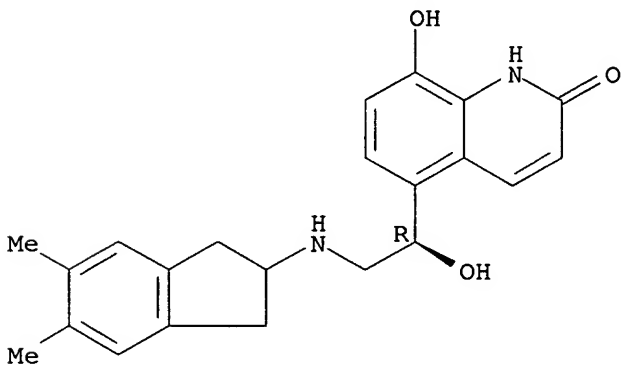


● HCl

RN 312753-07-4 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3-dihydro-5,6-dimethyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

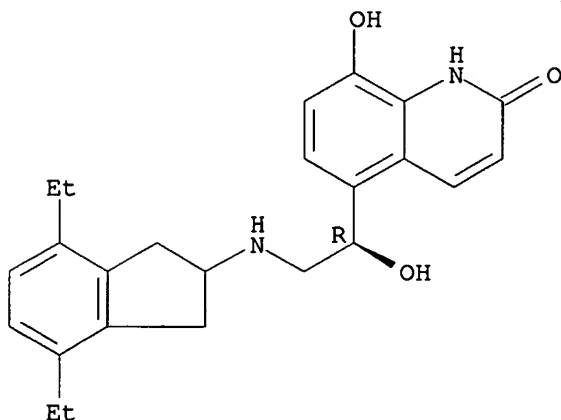


RN 312753-08-5 CAPLUS

10/009,008

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(4,7-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

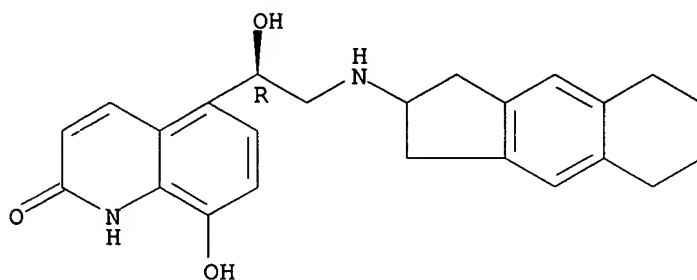
Absolute stereochemistry.



RN 312753-09-6 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3,5,6,7,8-hexahydro-1H-benz[f]inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

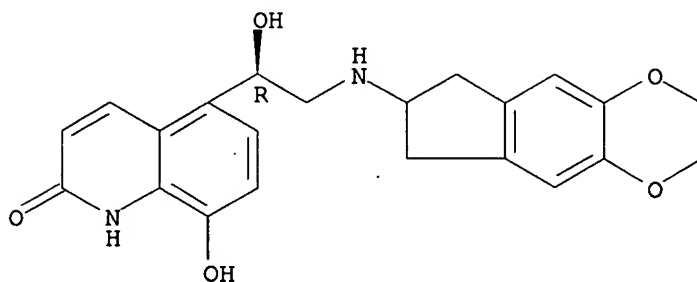
Absolute stereochemistry.



RN 312753-10-9 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[(1R)-1-hydroxy-2-[(2,3,7,8-tetrahydro-6H-indeno[5,6-b]-1,4-dioxin-7-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

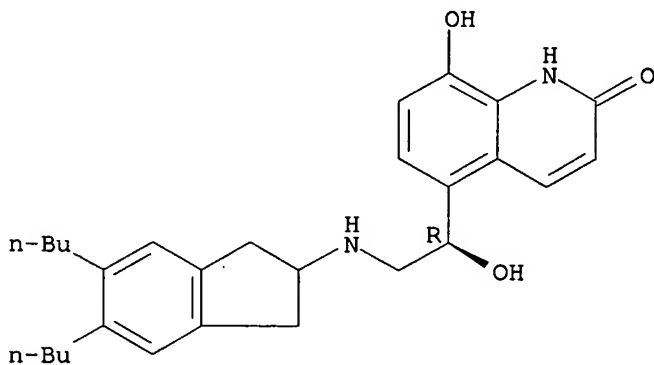


10/009,008

RN 312753-11-0 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-dibutyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

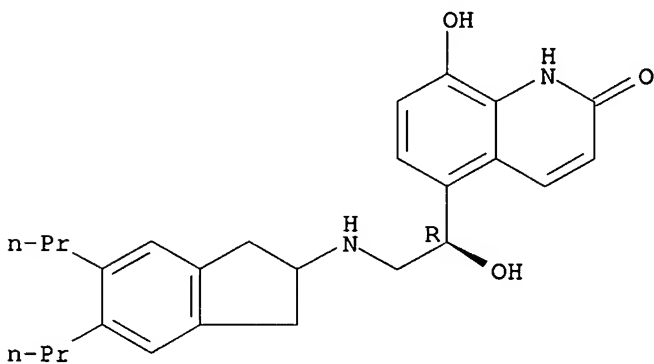
Absolute stereochemistry.



RN 312753-12-1 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3-dihydro-5,6-dipropyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

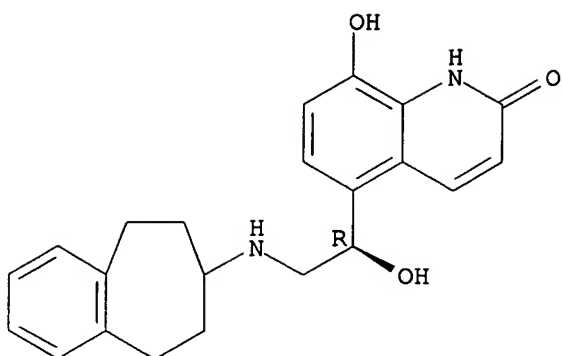


RN 312753-13-2 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[(1R)-1-hydroxy-2-[(6,7,8,9-tetrahydro-5H-benzocyclohepten-7-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

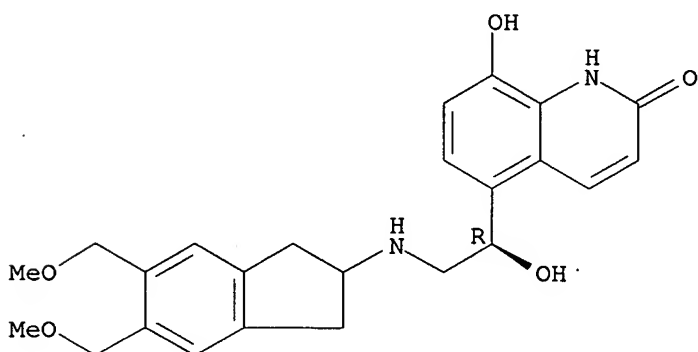
Absolute stereochemistry.

10/009,008



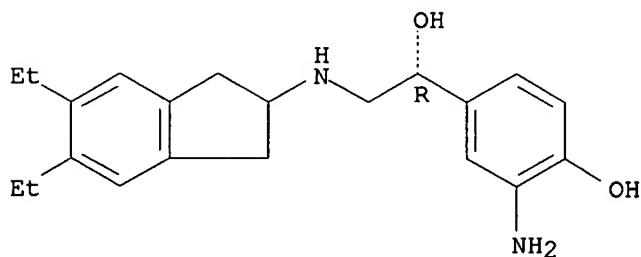
RN 312753-14-3 CAPLUS
CN 2(1H)-Quinolinone,
5-[(1R)-2-[[2,3-dihydro-5,6-bis(methoxymethyl)-1H-inden-
2-yl]amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



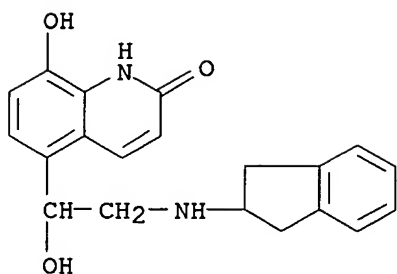
RN 312753-15-4 CAPLUS
CN Benzenemethanol, 3-amino-.alpha.-[[[(5,6-diethyl-2,3-dihydro-1H-inden-2-
yl)amino]methyl]-4-hydroxy-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312753-16-5 CAPLUS
CN 2(1H)-Quinolinone,
5-[2-[(2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-
8-hydroxy- (9CI) (CA INDEX NAME)

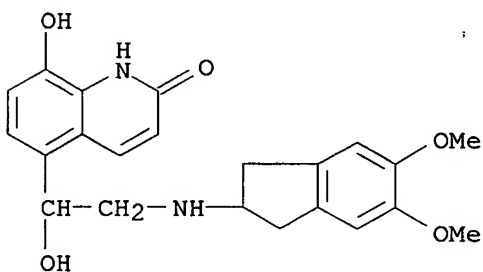
10/009,008



RN 312753-17-6 CAPLUS

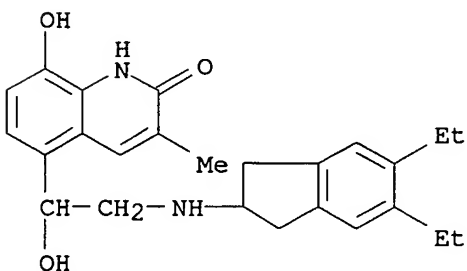
CN 2(1H)-Quinolinone,

5-[2-[(2,3-dihydro-5,6-dimethoxy-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)



RN 312753-18-7 CAPLUS

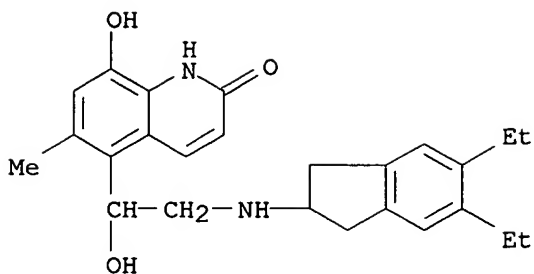
CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-3-methyl- (9CI) (CA INDEX NAME)



RN 312753-19-8 CAPLUS

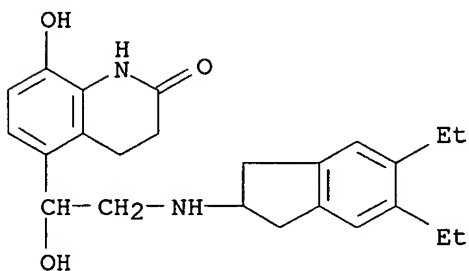
CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-6-methyl- (9CI) (CA INDEX NAME)

10/009,008



RN 312753-20-1 CAPLUS

CN 2 (1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-3,4-dihydro-8-hydroxy- (9CI) (CA INDEX NAME)

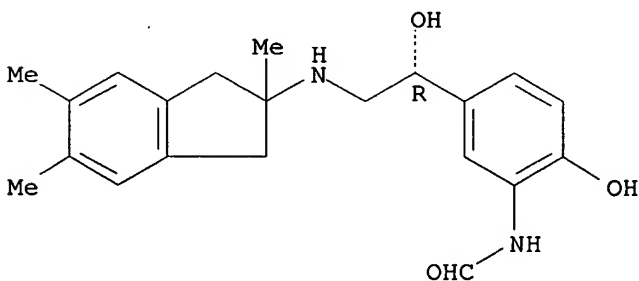


RN 312753-21-2 CAPLUS

CN Formamide,

N-[5-[(1R)-2-[(2,3-dihydro-2,5,6-trimethyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



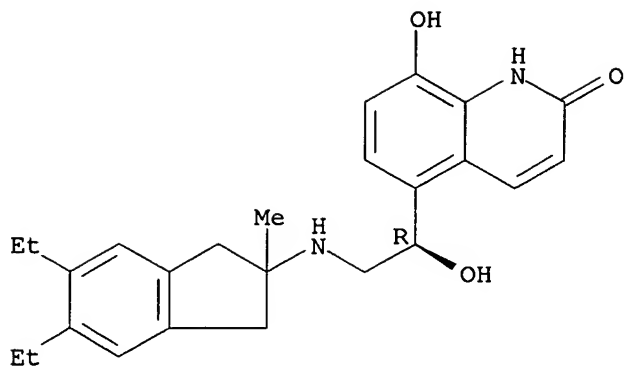
RN 312753-22-3 CAPLUS

CN 2 (1H)-Quinolinone,

5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-2-methyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

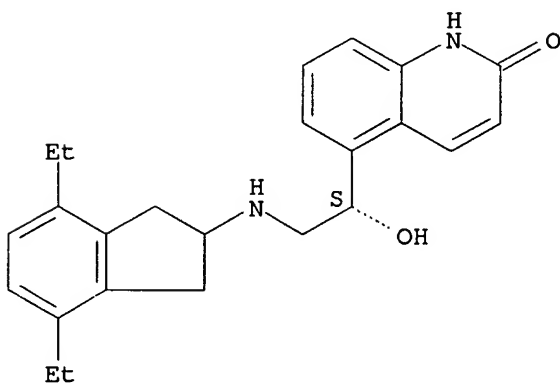
10/009,008



RN 312753-23-4 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1S)-2-[(4,7-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



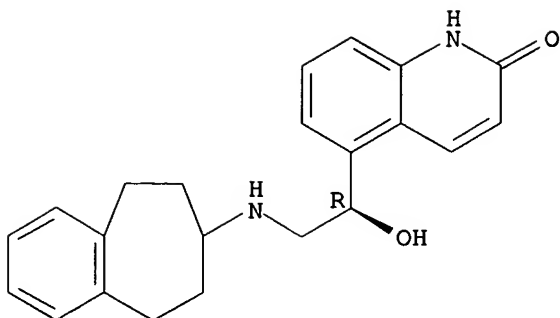
● HCl

RN 312753-24-5 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-1-hydroxy-2-[(6,7,8,9-tetrahydro-5H-benzocyclohepten-7-yl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



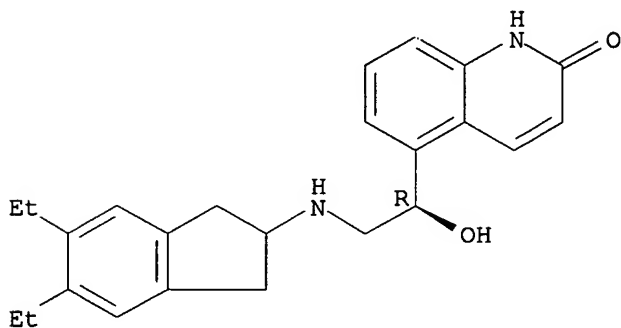
● HCl

RN 312753-26-7 CAPLUS
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-, (2Z)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 312753-25-6
CMF C24 H28 N2 O2

Absolute stereochemistry.

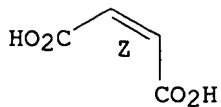


CM 2

CRN 110-16-7
CMF C4 H4 O4

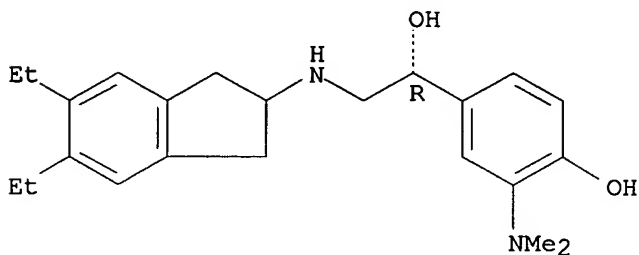
Double bond geometry as shown.

10/009,008



RN 312753-28-9 CAPLUS
CN Benzenemethanol, .alpha.-[[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]methyl]-3-(dimethylamino)-4-hydroxy-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

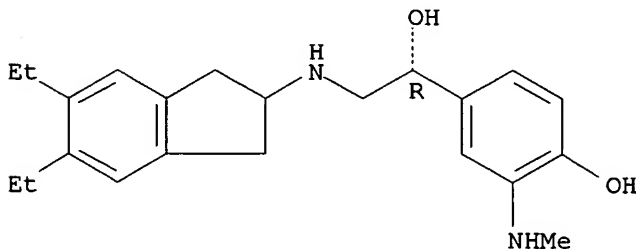
Absolute stereochemistry.



● HCl

RN 312753-29-0 CAPLUS
CN Benzenemethanol, .alpha.-[[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]methyl]-4-hydroxy-3-(methylamino)-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

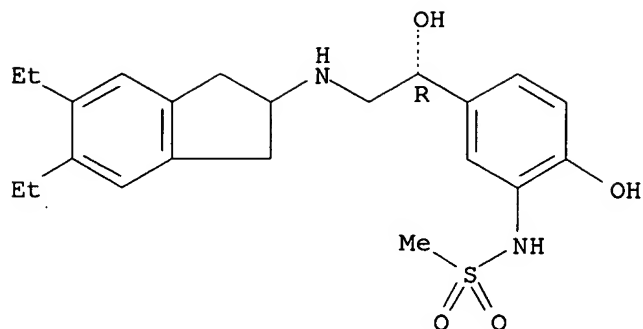


● HCl

RN 312753-30-3 CAPLUS
CN Methanesulfonamide, N-[5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

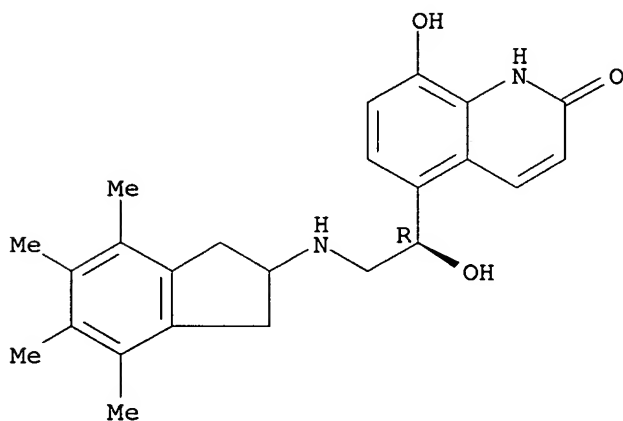
10/009,008



● HCl

RN 312753-31-4 CAPLUS
CN 2(1H)-Quinolinone,
5-[(1R)-2-[(2,3-dihydro-4,5,6,7-tetramethyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

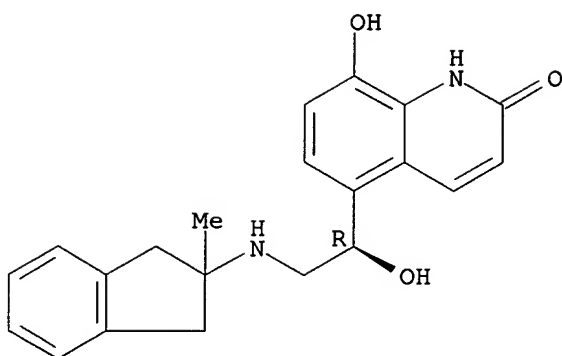
Absolute stereochemistry.



RN 312753-32-5 CAPLUS
CN 2(1H)-Quinolinone,
5-[(1R)-2-[(2,3-dihydro-2-methyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

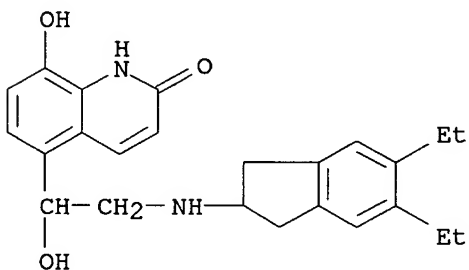
Absolute stereochemistry.

10/009,008



RN 312753-33-6 CAPLUS

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)



RN 312753-35-8 CAPLUS

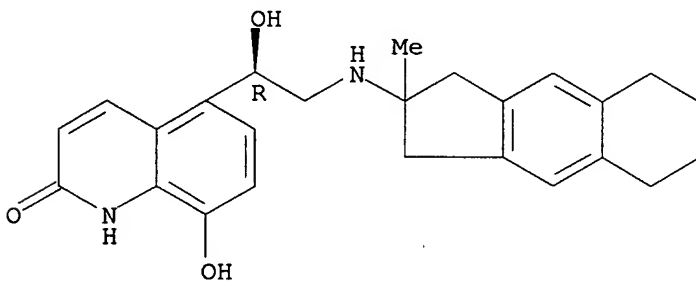
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3,5,6,7,8-hexahydro-2-methyl-1H-benz[f]inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 312753-34-7

CMF C25 H28 N2 O3

Absolute stereochemistry.

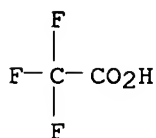


10/009,008

CM 2

CRN 76-05-1

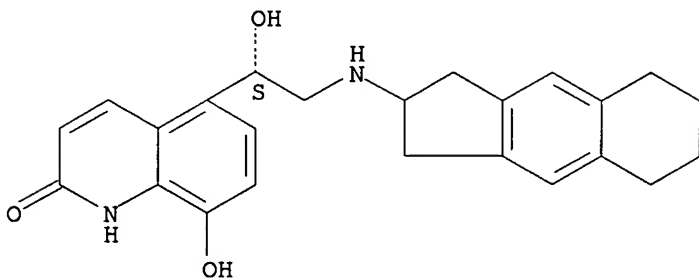
CMF C2 H F3 O2



RN 312753-36-9 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1S)-2-[(2,3,5,6,7,8-hexahydro-1H-benz[f]inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

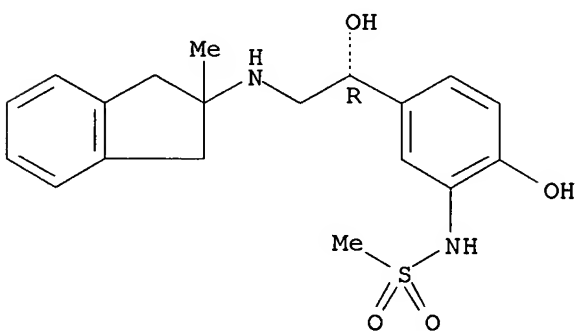
Absolute stereochemistry.



RN 312753-37-0 CAPLUS

CN Methanesulfonamide, N-[5-[(1R)-2-[(2,3-dihydro-2-methyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

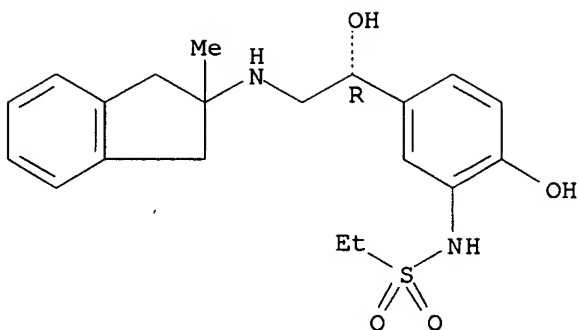


RN 312753-38-1 CAPLUS

CN Ethanesulfonamide, N-[5-[(1R)-2-[(2,3-dihydro-2-methyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

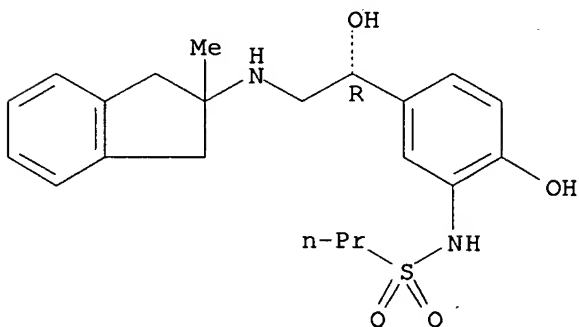
10/009,008



RN 312753-39-2 CAPLUS

CN 1-Propanesulfonamide, N-[5-[(1R)-2-[(2,3-dihydro-2-methyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

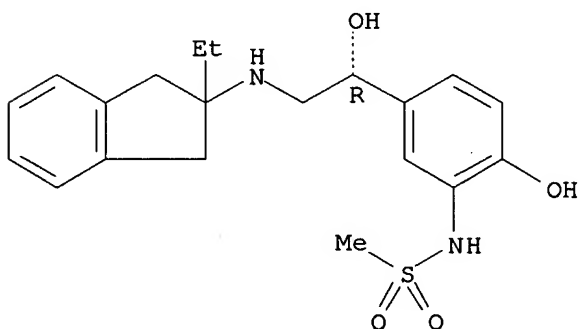
Absolute stereochemistry.



RN 312753-40-5 CAPLUS

CN Methanesulfonamide, N-[5-[(1R)-2-[(2-ethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



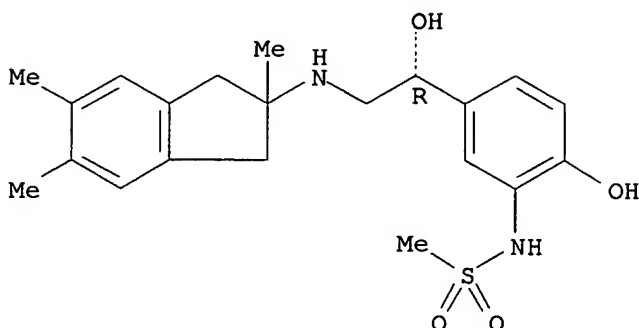
RN 312753-41-6 CAPLUS

CN Methanesulfonamide,
N-[5-[(1R)-2-[(2,3-dihydro-2,5,6-trimethyl-1H-inden-2-

10/009,008

yl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

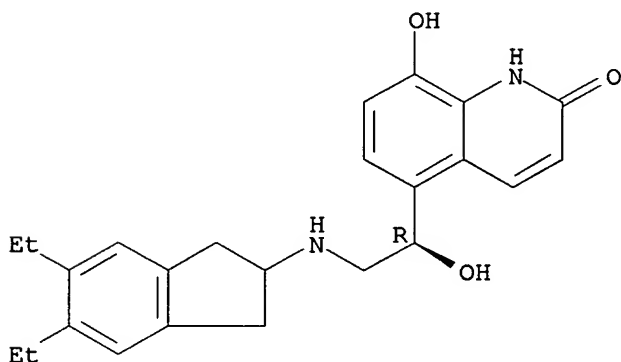
Absolute stereochemistry.



RN 312753-42-7 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 312753-69-8P 312754-12-4P 312754-14-6P

312754-19-1P 312754-22-6P 312754-37-3P

312754-38-4P 312754-47-5P 312759-81-2P

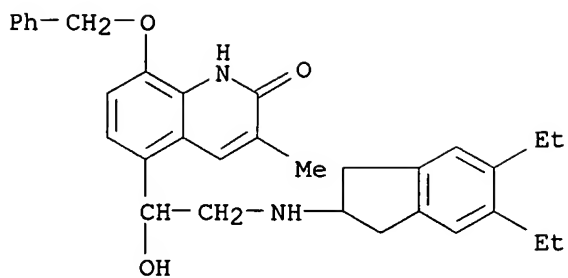
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of indanyl-substituted quinolinone derivs. and related compds. as .beta.2-adrenoceptor agonists)

RN 312753-69-8 CAPLUS

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-3-methyl-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

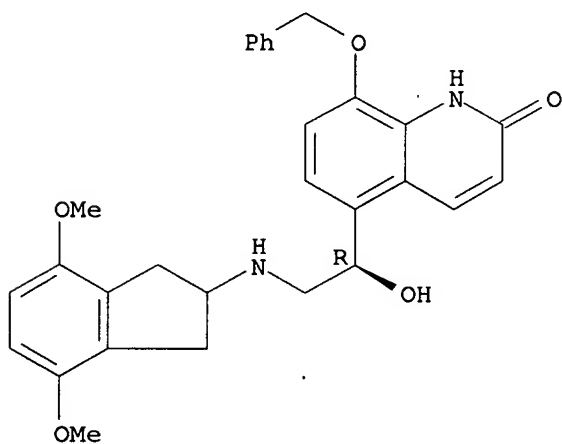
10/009,008



RN 312754-12-4 CAPLUS

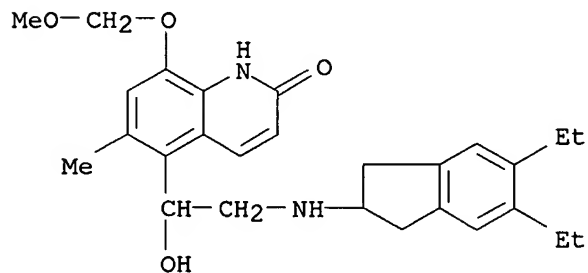
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3-dihydro-4,7-dimethoxy-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312754-14-6 CAPLUS

CN 2(1H)-Quinolinone, 5-[2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-(methoxymethoxy)-6-methyl- (9CI) (CA INDEX NAME)



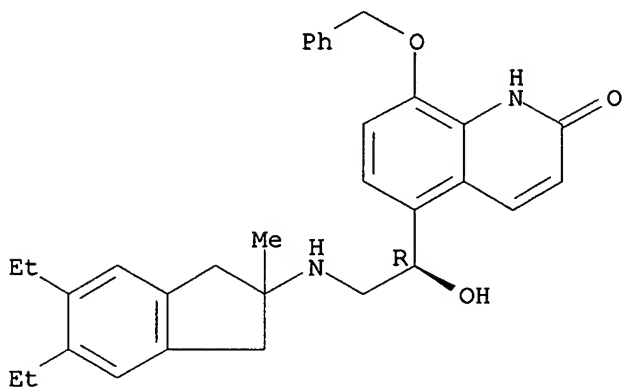
RN 312754-19-1 CAPLUS

CN 2(1H)-Quinolinone,
5-[(1R)-2-[(5,6-diethyl-2,3-dihydro-2-methyl-1H-inden-2-

10/009,008

yl)amino]-1-hydroxyethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

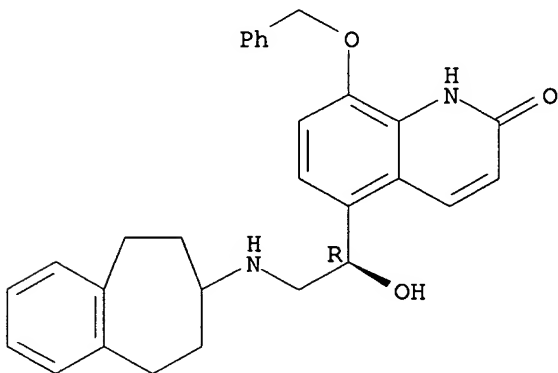
Absolute stereochemistry.



RN 312754-22-6 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-1-hydroxy-2-[(6,7,8,9-tetrahydro-5H-benzocyclohepten-7-yl)amino]ethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

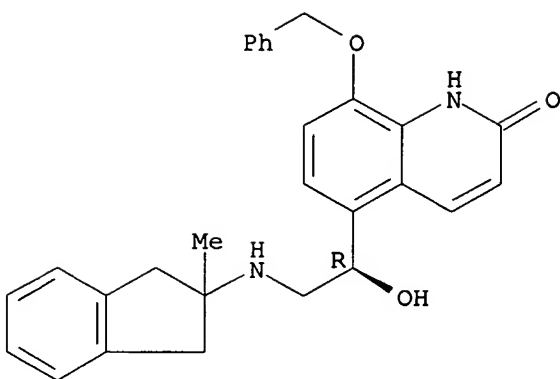


RN 312754-37-3 CAPLUS

CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3-dihydro-2-methyl-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

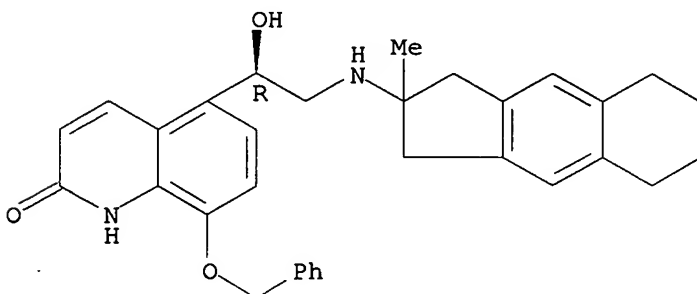
Absolute stereochemistry.

10/009,008

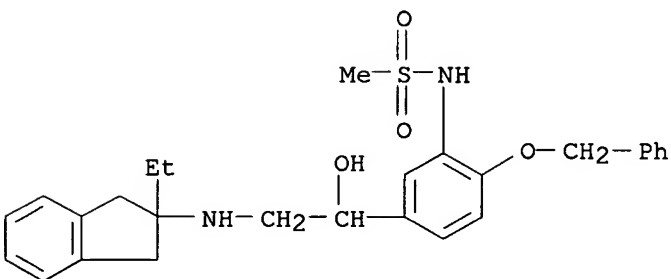


RN 312754-38-4 CAPLUS
CN 2(1H)-Quinolinone, 5-[(1R)-2-[(2,3,5,6,7,8-hexahydro-2-methyl-1H-benz[f]inden-2-yl)amino]-1-hydroxyethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



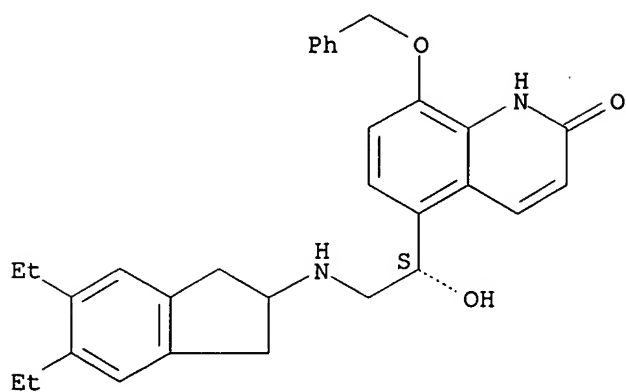
RN 312754-47-5 CAPLUS
CN Methanesulfonamide, N-[5-[2-[(2-ethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-2-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 312759-81-2 CAPLUS
CN 2(1H)-Quinolinone, 5-[(1S)-2-[(5,6-diethyl-2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxyethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/009,008

Absolute stereochemistry..



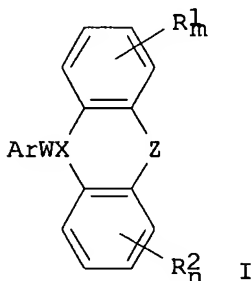
RE.CNT 6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 38 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 2000:725613 CAPLUS
DN 133:296425
TI Preparation of compounds as inhibitors of dihydrofolatereductase
IN Rosowsky, Andre
PA Dana-Farber Cancer Institute, Inc., USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059884	A1	20001012	WO 2000-US1968	20000125
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1154997	A1	20011121	EP 2000-907039	20000125
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002541144	T2	20021203	JP 2000-609396	20000125
PRAI	US 1999-117321P	P	19990126		
	WO 2000-US1968	W	20000125		
OS	MARPAT 133:296425				
GI					



AB Compds. I [Ar = aryl, heteroaryl; W = bond, amino, alkylene, aminoalkylene; X = N, C; Z = bond, methylene, ethylene, etc.; R_1 , R_2 = halo, amino, OH, NO_2 , etc.; m, n = 0, 4], inhibitors of dihydrofolatereductase and useful for the treatment or prophylaxis of diseases assocd. with parasitic infection such as toxoplasmosis, cryptosporidiosis, leishmaniasis, and malaria, were prepd. E.g., addn. of

NaH to a soln. of Ph_2NH and 2,4-diamino-6-bromomethylpteridine hydrobromide gave 54% N-(2,4-diaminopteridin-6-yl)methyl-N,N-diphenylamine.

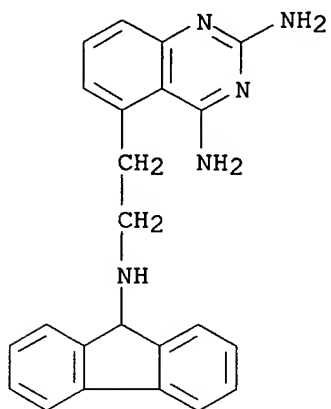
IT 300807-53-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of compds. as inhibitors of dihydrofolate reductase)

RN 300807-53-8 CAPLUS

10/009,008

CN 2,4-Quinazolinediamine, 5-[2-(9H-fluoren-9-ylamino)ethyl]- (9CI) (CA
INDEX NAME)

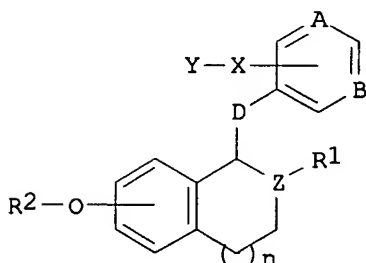


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

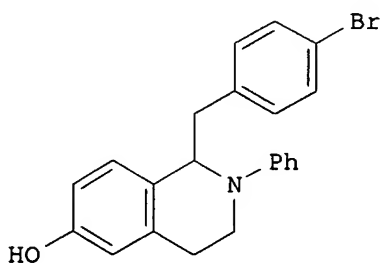
10/009,008

L4 ANSWER 39 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 2000:666711 CAPLUS
DN 133:252323
TI Preparation of substituted isoindolines, hydroisoquinolines, benzazepines and hydronaphthalenes as estrogen receptor modulators and methods for modulation
IN Bhagwat, Shripad S.; Gayo, Leah-Fung M.; Stein, Bernd; Chao, Qi; Gangloff, Anthony; McKie, Jeffrey; Rice, Ken
PA Signal Pharmaceuticals, Inc., USA; Axys Pharmaceuticals, Inc.
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000055137	A1	20000921	WO 2000-US7109	20000317
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1163225	A1	20011219	EP 2000-921397	20000317
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	US 6436923	B1	20020820	US 2000-527750	20000317
PRAI	US 1999-270977	A	19990317		
	US 1999-240909P	P	19990317		
	WO 2000-US7109	W	20000317		
OS	MARPAT 133:252323				
GI					



I



II

AB The title compds. I [A, B, Z = CH, C-alkyl, N; D = -(CH2)1-5-,
-(CH2)0-3CO(CH2)0-3-; X = bond, divalent bridge; Y = halo,
(un)substituted
amine, N-heterocycle, bridged-heterocycle; R1 = alkyl, aryl, arylalkyl,
heterocycle, bicyclic ring system etc.; R2 = H, R3, -(CH2)0-4COR3 (R3 =
H,

10/009,008

alkyl, aryl, arylalkyl, heterocycle), etc.; a = 0, 1, 2] that modulate the estrogen receptor (ER) are disclosed, as well as pharmaceutical compns. contg. the same. In a specific embodiment, the compds., e.g. tetrahydroisoquinoline II [IC50 = 107 (nM)], are selective modulators for ER-.beta. over ER-.alpha.. The representative compds. of this invention are effective in Tamofixen-resistant breast cancer cells. Methods are disclosed for modulating ER-.beta. in cell and/or tissues expressing the same, including cells and/or tissue that preferentially express ER-.beta..

More generally, methods for treating estrogen-related conditions are also disclosed.

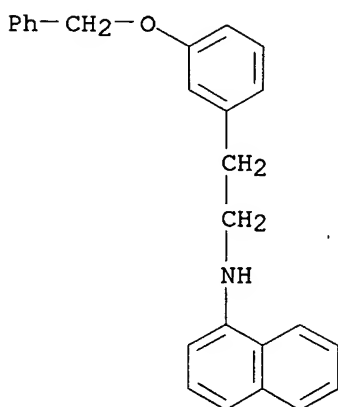
IT 295319-69-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn of substituted isoindolines, hydroisoquinolines, benzazepines and hydronaphthalenes as estrogen receptor modulators)

RN 295319-69-6 CAPLUS

CN 1-Naphthalenamine, N-[2-[3-(phenylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 45 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 2000:259977 CAPLUS

DN 132:274338

TI Use of beta-3-agonist compounds for inhibition of uterine contractions

IN Advenier, Charles; Manara, Luciano

PA Sanofi-Synthelabo, Fr.

SO PCT Int. Appl., 13 pp.

CODEN: PIXXD2

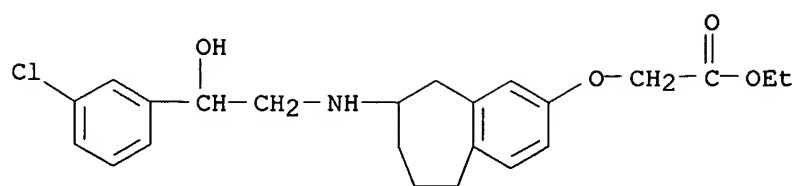
DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000021508	A2	20000420	WO 1999-FR2308	19990929
	WO 2000021508	A3	20001026		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	FR 2784582	A1	20000421	FR 1998-12877	19981014
	FR 2784582	B3	20001124		
	AU 9958686	A1	20000501	AU 1999-58686	19990929
	EP 1121108	A2	20010808	EP 1999-946255	19990929
	EP 1121108	B1	20030402		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002527377	T2	20020827	JP 2000-575484	19990929
	US 6310050	B1	20011030	US 2001-807342	20010524
PRAI	FR 1998-12877	A	19981014		
	WO 1999-FR2308	W	19990929		
OS	MARPAT 132:274338				
AB	The invention concerns the use of a compd. with .beta.3-agonist activity for prepg. a medicine designed to inhibit uterine contractions, to be used				
	as tocolytic or for treating and/or preventing dysmenorrhea (Markush structure given). The contraction inhibitory effect of 10-8-3x10-5 M concn. of a tetrahydronaphtalyl chlorophenyl ethanamine was equal with salbutamol on the human myometrium.				
IT	264134-43-2				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);				
USES	(Uses)				
	(use of beta-3-agonist compds. for inhibition of uterine contractions)				
RN	264134-43-2	CAPLUS			
CN	Acetic acid, [[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)				

10/009,008



L4 ANSWER 46 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 2000:241159 CAPLUS

DN 132:278996

TI Preparation of N-aralkyl-2-tetralinamines as neuropeptide Y Y5 receptor ligands

IN Dax, Scott L.; Lovenberg, Timothy W.; Baxter, Ellen W.; Carson, John R.; Ludovici, Donald W.; Youngman, Mark A.

PA Ortho-Mcneil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 68 pp.

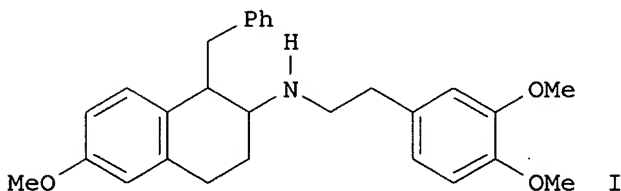
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000020376	A1	20000413	WO 1999-US23259	19991006
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2346363	AA	20000413	CA 1999-2346363	19991006
	AU 9962923	A1	20000426	AU 1999-62923	19991006
	US 6201025	B1	20010313	US 1999-413292	19991006
	EP 1119543	A1	20010801	EP 1999-950218	19991006
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9914360	A	20011120	BR 1999-14360	19991006
	JP 2002526521	T2	20020820	JP 2000-574494	19991006
	NO 2001001721	A	20010605	NO 2001-1721	20010405
PRAI	US 1998-103446P	P	19981007		
	WO 1999-US23259	W	19991006		
OS	MARPAT 132:278996				
GI					



AB R2CH2ZNHZ3R3 [I; R2 = H, halo, alkyl, (hetero)aryl, etc.; R3 = alkyl, alkoxyalkoxy, (hetero)aryl, etc.; Z = (un)substituted 1,2,3,4-tetrahydro-1,2-naphthylene; Z3 = alk(en)ylene, alkynylene, alkylencycloalkylene] were prepd. Thus, the pyrrolidine enamine of 6-methoxy-2-tetralone (prepn. given) was alkylated by PhCH2Br and the product reductively aminated by H2NCH2CH2C6H3(OMe)2-3,4 to give title compd. cis-II. Data for

10/009,008

biol. activity of I were given.

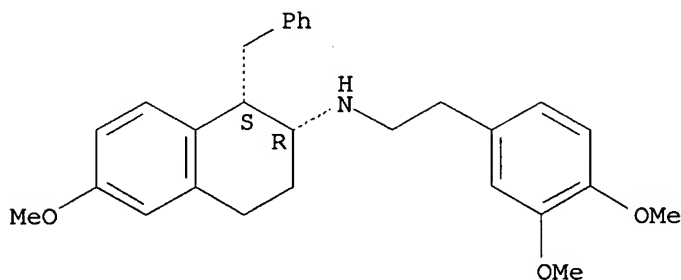
IT 263713-86-6P 263713-97-9P 263713-98-0P
263714-17-6P 263714-18-7P 263714-19-8P
263714-23-4P 263714-26-7P 263714-34-7P
263714-35-8P 263714-36-9P 263714-37-0P
263714-38-1P 263714-39-2P 263714-40-5P
263714-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-alkyl-2-tetralinamines as neuropeptide Y Y5 receptor
ligands)

RN 263713-86-6 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-
methoxy-1-(phenylmethyl)-, hydrochloride, (1R,2S)-rel- (9CI) (CA INDEX
NAME)

Relative stereochemistry.

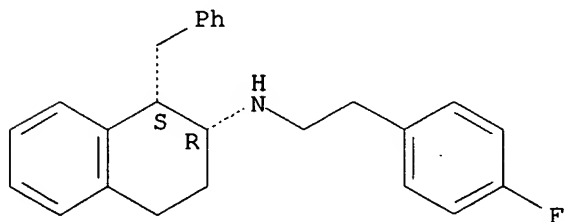


● HCl

RN 263713-97-9 CAPLUS

CN 2-Naphthalenamine, N-[2-(4-fluorophenyl)ethyl]-1,2,3,4-tetrahydro-1-
(phenylmethyl)-, hydrobromide, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



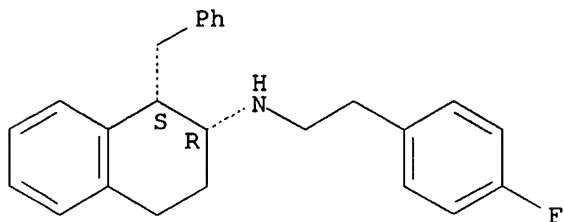
● HBr

RN 263713-98-0 CAPLUS

10/009,008

CN 2-Naphthalenamine, N-[2-(4-fluorophenyl)ethyl]-1,2,3,4-tetrahydro-1-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

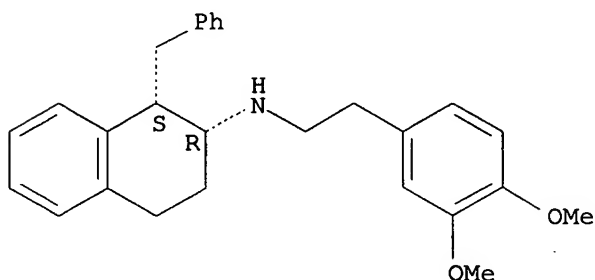
Relative stereochemistry.



RN 263714-17-6 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-1-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

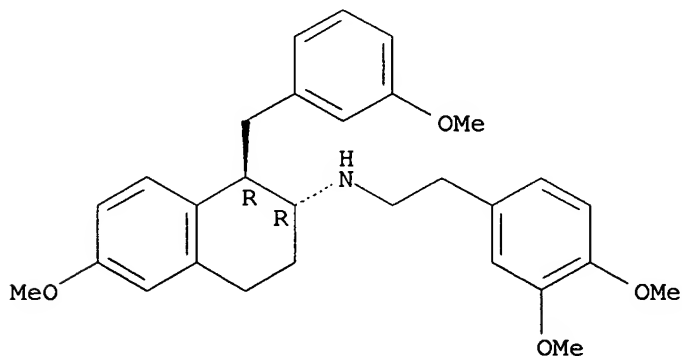
Relative stereochemistry.



RN 263714-18-7 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-1-[(3-methoxyphenyl)methyl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

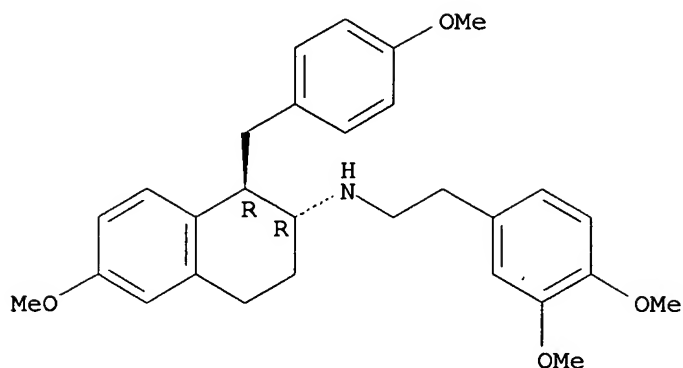


RN 263714-19-8 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-1-[(4-methoxyphenyl)methyl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

10/009,008

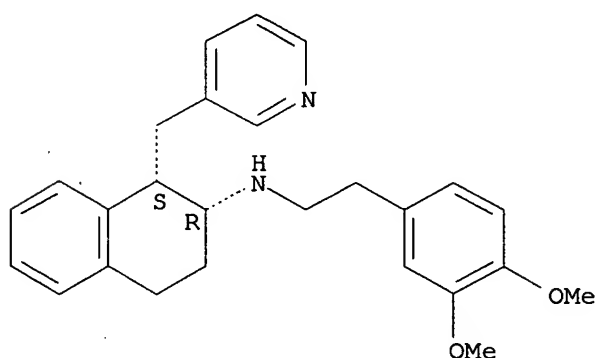
Relative stereochemistry.



RN 263714-23-4 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-1-(3-pyridinylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

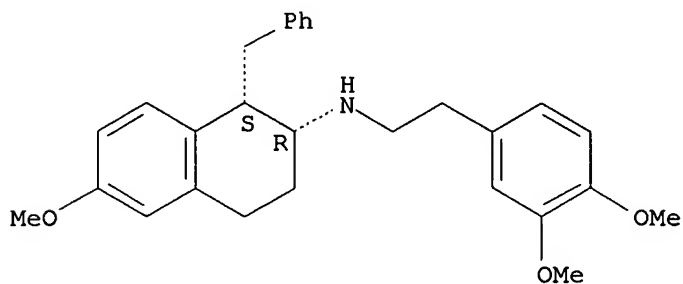
Relative stereochemistry.



RN 263714-26-7 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-1-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

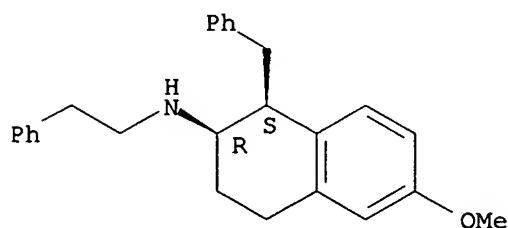


10/009,008

RN 263714-34-7 CAPLUS

CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-6-methoxy-N-(2-phenylethyl)-1-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

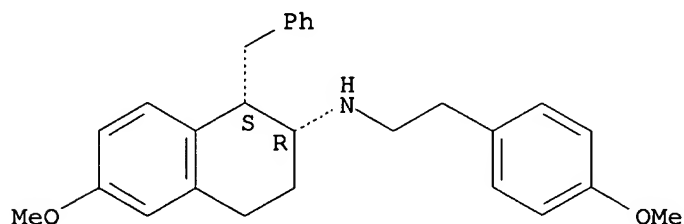
Relative stereochemistry.



RN 263714-35-8 CAPLUS

CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-6-methoxy-N-[2-(4-methoxyphenyl)ethyl]-1-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

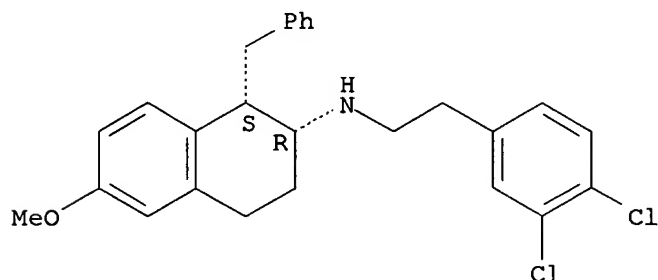
Relative stereochemistry.



RN 263714-36-9 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dichlorophenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-1-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

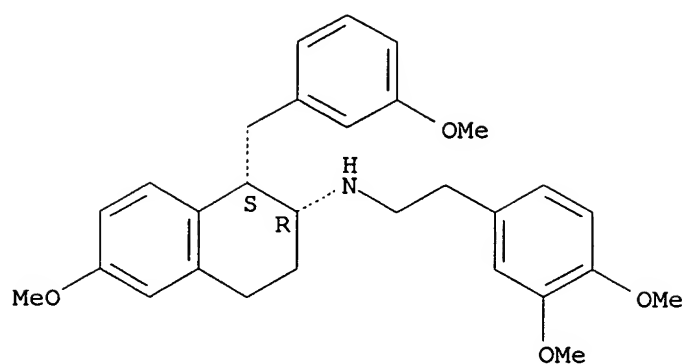


RN 263714-37-0 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-1-[(3-methoxyphenyl)methyl]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

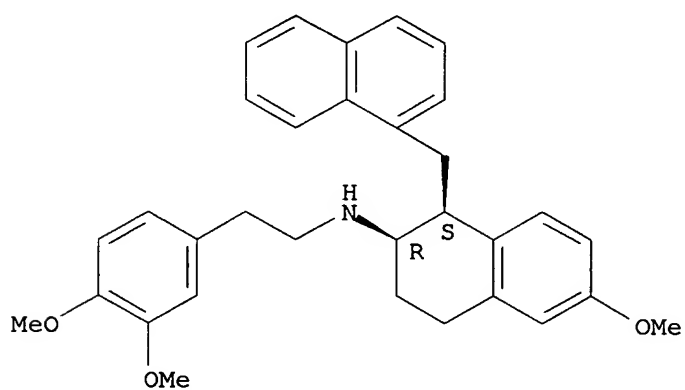
10/009,008



RN 263714-38-1 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-1-(1-naphthalenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

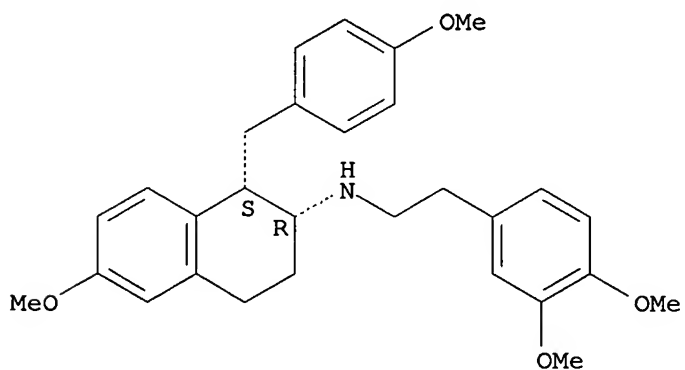
Relative stereochemistry.



RN 263714-39-2 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-1-[(4-methoxyphenyl)methyl]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

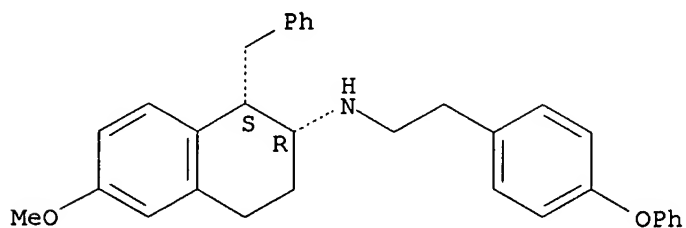


10/009,008

RN 263714-40-5 CAPLUS

CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-6-methoxy-N-[2-(4-phenoxyphenyl)ethyl]-1-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

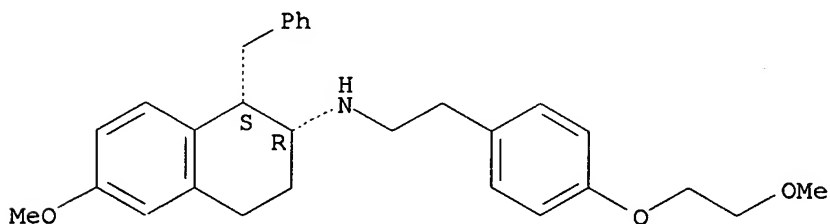
Relative stereochemistry.



RN 263714-41-6 CAPLUS

CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-6-methoxy-N-[2-[4-(2-methoxyethoxy)phenyl]ethyl]-1-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 47 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 2000:227367 CAPLUS

DN 132:245570

TI Synthesis and properties of luminophor-ionophore compounds as luminescence

indicators for determination of calcium ions in solution

IN He, Huarui; Mortellaro, Mark Alan

PA AVL Medical Instruments, Switz.

SO Eur. Pat. Appl., 34 pp.

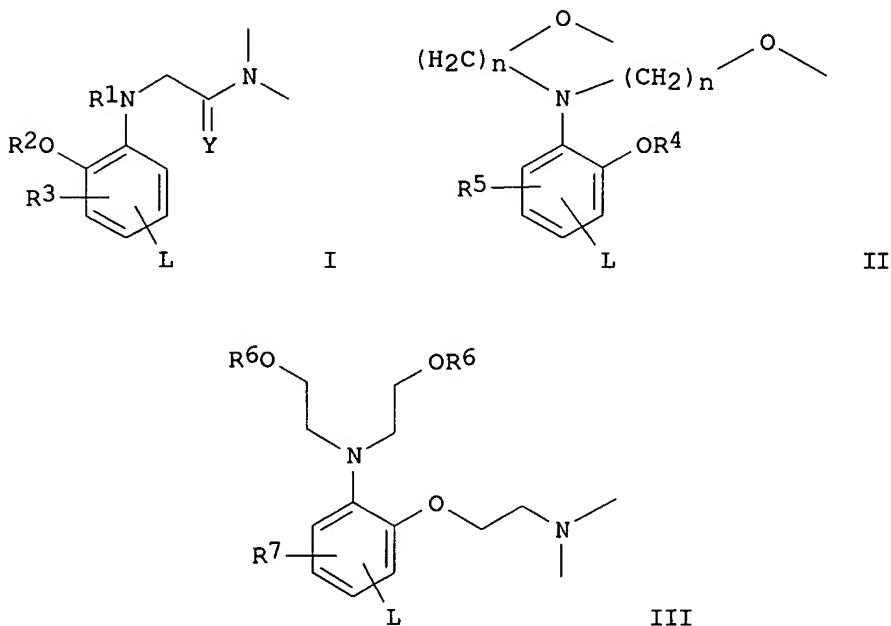
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 990643	A1	20000405	EP 1999-890307	19990927
	EP 990643	B1	20010725		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6171866	B1	20010109	US 1998-164516	19980930
	AT 203512	E	20010815	AT 1999-890307	19990927
	JP 2000109452	A2	20000418	JP 1999-276568	19990929
PRAI	US 1998-164516	A	19980930		
OS	MARPAT 132:245570				
GI					



AB Luminescence indicators for detn. of ionized calcium (Ca²⁺) in soln. have a general formula HOC(:O)-CH₂-Z-CH₂-C(:O)OH, in which Z has the structures

I, II, or III, in which R1 = C1-4-alkyl, C2-5-alkoxyalkyl or (aryloxy)-C1-4-alkyl; R2 = C1-4-alkyl or C2-5-alkoxyalkyl; R3 = H, C1-4-alkoxy, halogen, NO, or NO2; R4 = C1-3-alkyl or phenyl; Y = H2 or O; n = 2-3; and L is a luminophor group at the para- or meta-position to the nitrogen atom. Three general synthesis strategies were assumed for the synthesis of the luminescence indicator: (1) based on monoalkylation of o-anisidine derivs., (2) dialkylation of o-alkoxyanilines, and (3) independent syntheses targeted toward other compds. The compns. are synthesized with luminophor and ionophore components and are preferably immobilized on a matrix (i.e., aminocellulose). Those compns. with diethoxyacetate ligand structures bound on the nitrogen atom of the o-anisidine moiety do not have a significant pH dependence in the physiol. pH region and thus are esp. suitable for detn. of Ca²⁺ in physiol. systems

(e.g., at .apprx.250 nm).

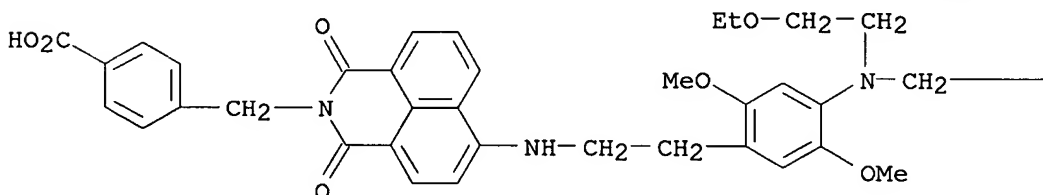
IT 261788-77-6P 261788-78-7P

RL: ARG (Analytical reagent use); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses) (aminocellulose-bound, indicator; synthesis and properties of luminophor-ionophore compds. as luminescence indicators for detn. of calcium ions in soln.)

RN 261788-77-6 CAPLUS

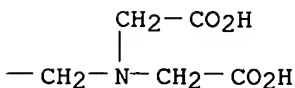
CN Benzoic acid, 4-[[6-[[2-[4-[[2-[bis(carboxymethyl)amino]ethyl](2-ethoxyethyl)amino]-2,5-dimethoxyphenyl]ethyl]amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)methyl]-, dipotassium salt (9CI) (CA INDEX NAME)

PAGE 1-A



● 2 K

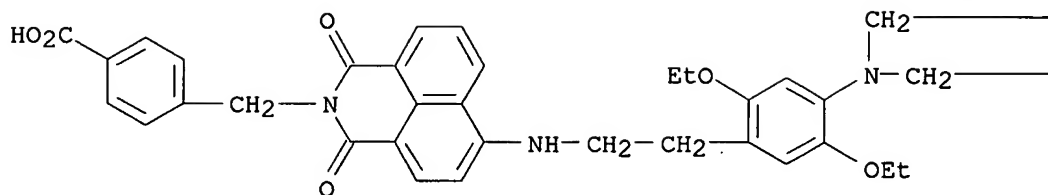
PAGE 1-B



RN 261788-78-7 CAPLUS

CN Benzoic acid, 4-[[6-[[2-[4-[bis[2-(carboxymethoxy)ethyl]amino]-2,5-diethoxyphenyl]ethyl]amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—CH₂—O—CH₂—CO₂H———CH₂—O—CH₂—CO₂H

IT 261789-06-4P 261789-13-3P

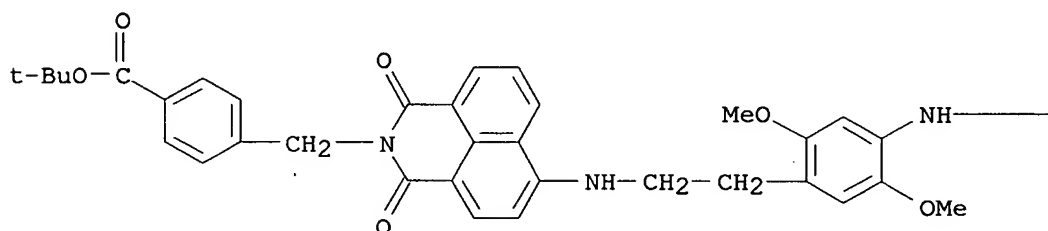
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and alkylation of; in synthesis of luminophor-ionophore compds. as luminescence indicators for detn. of calcium ions in soln.)

RN 261789-06-4 CAPLUS

CN Benzoic acid, 4-[[6-[[2-[4-[(2-ethoxyethyl)amino]-2,5-dimethoxyphenyl]ethyl]amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



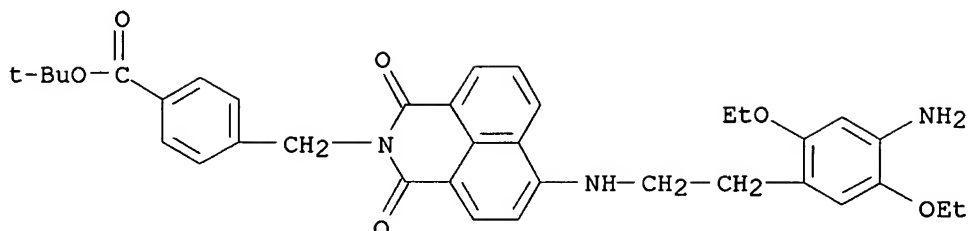
PAGE 1-B

—CH₂—CH₂—OEt

RN 261789-13-3 CAPLUS

CN Benzoic acid,
4-[[6-[[2-(4-amino-2,5-diethoxyphenyl)ethyl]amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl]methyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

10/009,008



IT 261789-08-6P

RL: ARG (Analytical reagent use); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation);

RACT

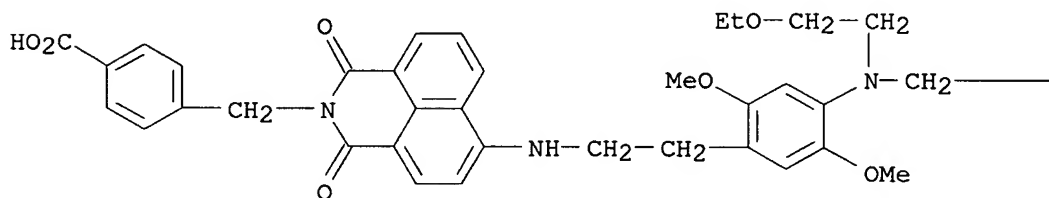
(Reactant or reagent); USES (Uses)

(synthesis and aminocellulose immobilization of; in synthesis of luminophor-ionophore compds. as luminescence indicators for detn. of calcium ions in soln.)

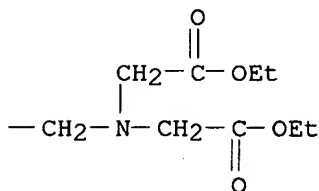
RN 261789-08-6 CAPLUS

CN Benzoic acid, 4-[[[6-[[2-[4-[[2-[bis(2-ethoxy-2-oxoethyl)amino]ethyl](2-ethoxyethyl)amino]-2,5-dimethoxyphenyl]ethyl]amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 261789-15-5P

RL: ARG (Analytical reagent use); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation);

RACT

(Reactant or reagent); USES (Uses)

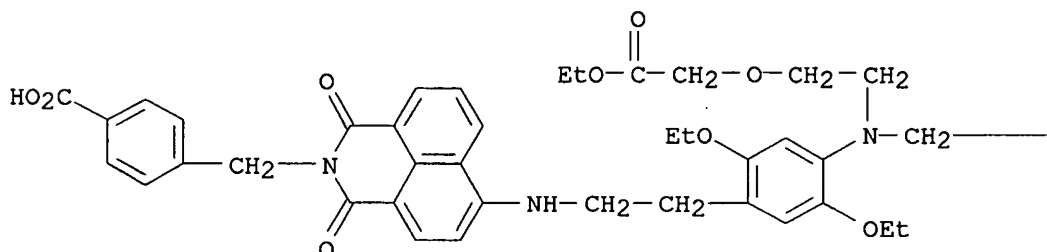
(synthesis and aminocellulose immobilization of; synthesis and properties of luminophor-ionophore compds. as luminescence indicators for detn. of calcium ions in soln.)

RN 261789-15-5 CAPLUS

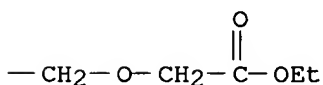
10/009,008

CN 3,6,12-Trioxa-9-azatetradecan-14-oic acid, 9-[4-[2-[[2-[(4-carboxyphenyl)methyl]-2,3-dihydro-1,3-dioxo-1H-benz[de]isoquinolin-6-yl]amino]ethyl]-2,5-diethoxyphenyl]-4-oxo-, 14-ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 261789-07-5P 261789-14-4P

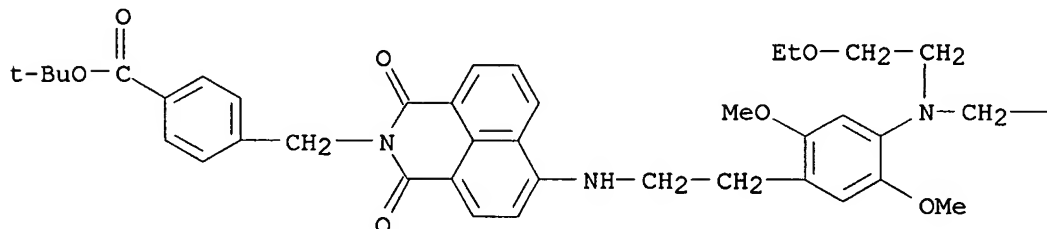
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

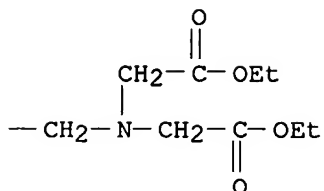
(synthesis and deprotection of; in synthesis of luminophor-ionophore compds. as luminescence indicators for detn. of calcium ions in soln.)

RN 261789-07-5 CAPLUS

CN Benzoic acid, 4-[[[6-[[2-[4-[[2-[bis(2-ethoxy-2-oxoethyl)amino]ethyl](2-ethoxyethyl)amino]-2,5-dimethoxyphenyl]ethyl]amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

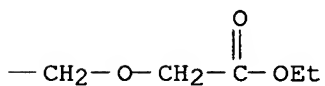
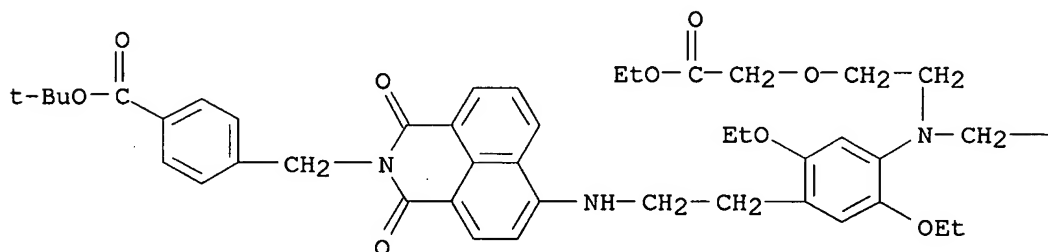
PAGE 1-A





RN 261789-14-4 CAPLUS

CN 3,6,12-Trioxa-9-azatetradecan-14-oic acid, 9-[4-[2-[[2-[[4-[(1,1-dimethylethoxy) carbonyl]phenyl]methyl]-2,3-dihydro-1,3-dioxo-1H-benz[de]isoquinolin-6-yl]amino]ethyl]-2,5-diethoxyphenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 48 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 2000:145225 CAPLUS

DN 132:293311

TI Enantioselective Addition of Diethylzinc to Aldehydes Catalyzed by
N-(9-Phenylfluoren-9-yl) .beta.-Amino Alcohols

AU Paleo, M. Rita; Cabeza, Isabel; Sardina, F. Javier

CS Departamento de Quimica Organica, Facultad de Quimica., Universidad de
Santiago de Compostela, Santiago de Compostela, 15706, Spain

SO Journal of Organic Chemistry (2000), 65(7), 2108-2113

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 132:293311

AB A set of secondary N-phenylfluorenyl .beta.-amino alcs. have been prepd.
and evaluated as catalysts for the enantioselective addn. of diethylzinc
to benzaldehyde. The influence of the substituents on the stereogenic
centers of the ligand has been studied, and enantioselectivities up to

97%

have been obtained. Those ligands with bulky groups in the carbinol
stereocenter and small groups .alpha. to the nitrogen atom displayed the
best catalytic activity and enantioselectivity. The most

enantioselective

ligand was found to possess general applicability for the

enantioselective

addn. of diethylzinc to a variety of arom. and aliph. aldehydes.

IT 178238-01-2 178238-03-4

RL: CAT (Catalyst use); USES (Uses)

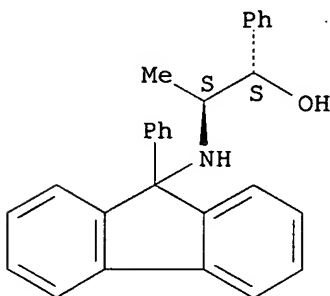
(enantioselective addn. of diethylzinc to aldehydes catalyzed by
N-phenylfluorenyl) .beta.-amino alcs.)

RN 178238-01-2 CAPLUS

CN Benzenemethanol,

.alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-,
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



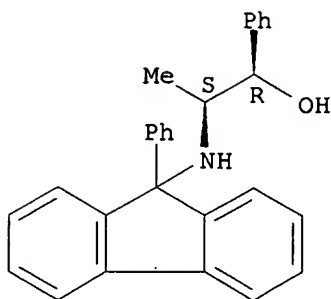
RN 178238-03-4 CAPLUS

CN Benzenemethanol,

.alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10/009,008



IT 264271-94-5P 264271-95-6P 264271-98-9P
264271-99-0P 264272-01-7P 264272-02-8P
264272-05-1P 264272-06-2P

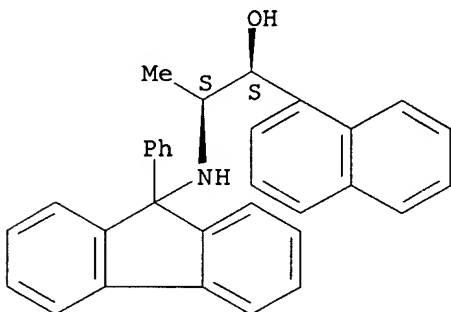
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation);
USES (Uses)

(enantioselective addn. of diethylzinc to aldehydes catalyzed by
N-phenylfluorenyl) .beta.-amino alcs.)

RN 264271-94-5 CAPLUS

CN 1-Naphthalenemethanol, .alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

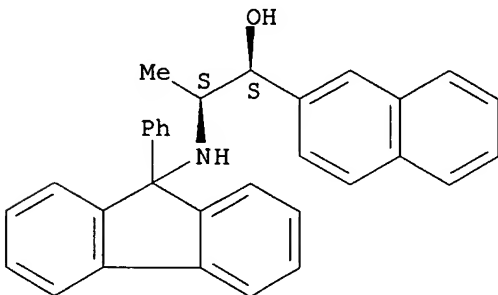
Absolute stereochemistry. Rotation (+).



RN 264271-95-6 CAPLUS

CN 2-Naphthalenemethanol, .alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

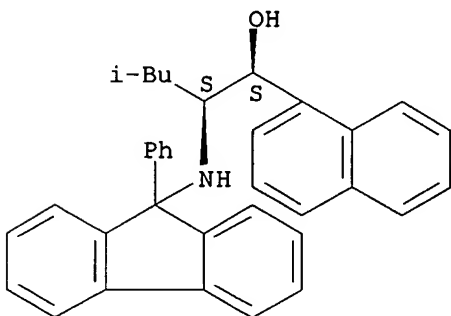


10/009,008

RN 264271-98-9 CAPLUS

CN 1-Naphthalenemethanol, .alpha.-[(1S)-3-methyl-1-[(9-phenyl-9H-fluoren-9-yl)amino]butyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

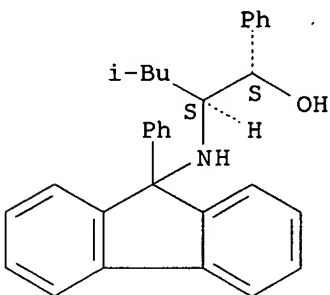
Absolute stereochemistry. Rotation (+).



RN 264271-99-0 CAPLUS

CN Benzenemethanol, .alpha.-[(1S)-3-methyl-1-[(9-phenyl-9H-fluoren-9-yl)amino]butyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

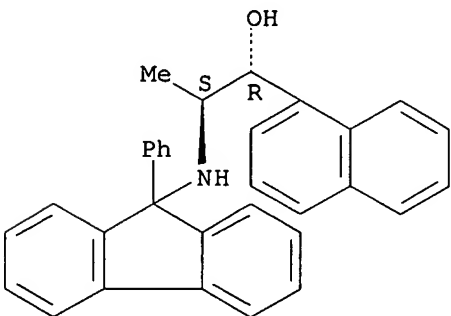
Absolute stereochemistry. Rotation (+).



RN 264272-01-7 CAPLUS

CN 1-Naphthalenemethanol, .alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

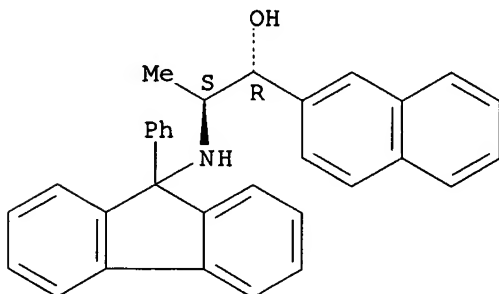


RN 264272-02-8 CAPLUS

10/009,008

CN 2-Naphthalenemethanol, .alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

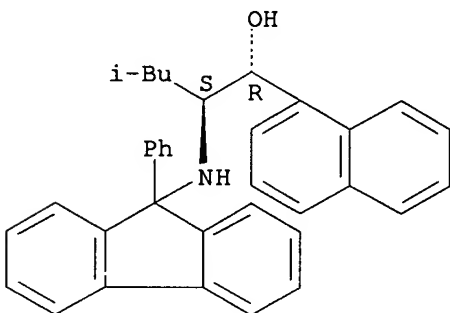
Absolute stereochemistry. Rotation (+).



RN 264272-05-1 CAPLUS

CN 1-Naphthalenemethanol, .alpha.-[(1S)-3-methyl-1-[(9-phenyl-9H-fluoren-9-yl)amino]butyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

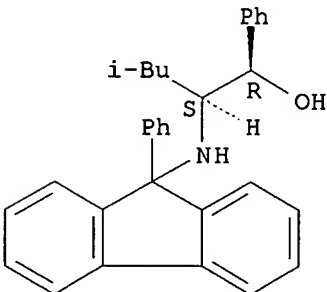
Absolute stereochemistry. Rotation (+).



RN 264272-06-2 CAPLUS

CN Benzenemethanol, .alpha.-[(1S)-3-methyl-1-[(9-phenyl-9H-fluoren-9-yl)amino]butyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



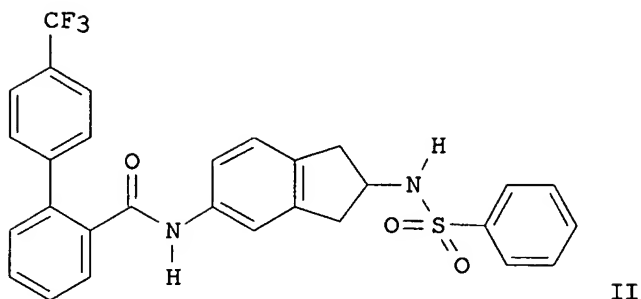
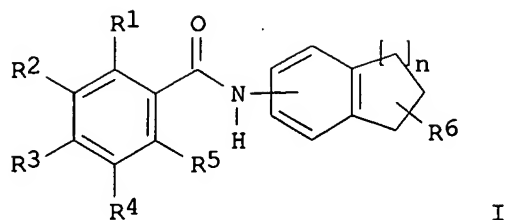
RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

10/009,008

L4 ANSWER 49 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 2000:84757 CAPLUS
DN 132:122391
TI Preparation of N-benzocycloalkyl-amides as inhibitors or microsomal
triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion
IN Fink, Cynthia Anne; Ksander, Gary Michael; Kukkola, Paivi Jaana; Wallace,
Eli Melville
PA Novartis Ag, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft Mbh
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000005201	A1	20000203	WO 1999-EP5131	19990719
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2338198	AA	20000203	CA 1999-2338198	19990719
	AU 9951613	A1	20000214	AU 1999-51613	19990719
	EP 1097129	A1	20010509	EP 1999-936567	19990719
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002521360	T2	20020716	JP 2000-561158	19990719
PRAI	US 1998-120017	A	19980721		
	WO 1999-EP5131	W	19990719		
OS	MARPAT 132:122391				
GI					



AB The title compds. [I; R2C, R3C,, R4C, R5C may be replaced by N; n = 1-3; R1 = aryl, cycloalkyl, heterocyclyl; R2-R5 = H, alkyl, halo, etc.; any two

of R2-R5 at adjacent positions are alkylenedioxy; R6 = (un)substituted NH2, acylamino, etc.], useful as inhibitors or microsomal triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion and accordingly for the prevention and treatment of MTP and Apo B dependent conditions such as atherosclerosis, hypertriglyceridemia or hypercholesteremia, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Biol. data for compds. I were presented.

IT 256396-99-3P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-benzocycloalkyl-amides as inhibitors or microsomal triglyceride transfer protein (MTP) and apolipoprotein B (ApoB) secretion)

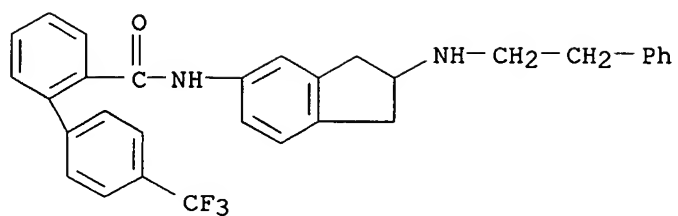
RN 256396-99-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide,

N-[2,3-dihydro-2-[(2-phenylethyl)amino]-1H-

inden-5-yl]-4'-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008



● HCl

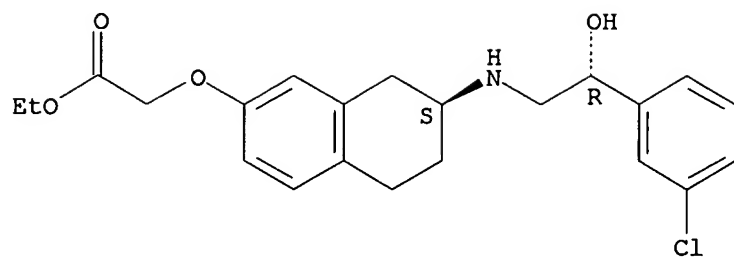
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 50 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:810153 CAPLUS
DN 132:117396
TI Sustained improvement in glucose homeostasis in lean and obese mice following chronic administration of the .beta.3 agonist SR 58611A
AU Williams, Celia A.; Shih, Mei-Fen; Taberner, Peter V.
CS Department of Pharmacology, School of Medical Sciences, University of Bristol, Bristol, BS8 1TD, UK
SO British Journal of Pharmacology (1999), 128(7), 1586-1592
CODEN: BJPCBM; ISSN: 0007-1188
PB Stockton Press
DT Journal
LA English
AB 1 Acute SR 58611A (0.25 mg kg⁻¹), was effective in reducing the blood glucose response to a glucose tolerance test (GTT) in normal lean (control) and spontaneously obese/diabetic CBA/Ca mice and to be equipotent to 1.25 mg kg⁻¹ glibenclamide in lean mice. 2 Neither brown (BAT) nor white (WAT) adipose tissue lipogenesis was altered by acute SR 58611A (2-8 mg kg⁻¹) in lean mice, but both increased significantly at the higher doses in the obese mice. 3 Acute SR 58611A produced a hypoglycemia 40 min after dosing in lean and obese animals, the duration and potency of which was less than that of glibenclamide. Plasma insulin levels increased 20 min after acute SR 58611A and glibenclamide in lean and obese mice. 4 Chronic treatment (0.25 mg kg⁻¹, 15 days) with SR 58611A increased its effectiveness in improving glucose tolerance, but did not affect the body wt. (BW) or food intake of either lean or obese mice. 5 Acute and chronic SR 58611A prolonged the hypoglycemic effect of exogenous insulin in lean but not obese mice. 6 In fed and fasted lean mice and in fasted obese mice, chronic SR 58611A produced an acute hypoglycemia 30 min post administration which was greater than after a single dose. 7 SR 58611A maintained its effectiveness in improving glucose tolerance in lean and obese mice over a dosing period of 15 days. The improvement in glucose tolerance was achieved at a dose less than that required to stimulate adipose tissue lipogenesis and which did not affect food intake or body wt.
IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES (Uses)
(sustained improvement in glucose homeostasis in lean and obese diabetic mice following chronic administration of .beta.3 agonist SR 58611A in relation to effect on lipogenesis and insulin)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



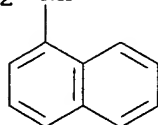
● HCl

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 51 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:768763 CAPLUS
DN 132:107540
TI Intermolecular hydroamination of alkynes catalyzed by dimethyltitanocene
AU Haak, Edgar; Bytschkov, Igor; Doye, Sven
CS Institut fur Organische Chemie der Universitat Hannover, Hannover,
D-30167, Germany
SO Angewandte Chemie, International Edition (1999), 38(22), 3389-3391
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
OS CASREACT 132:107540
AB Dimethyltitanocene catalyzed the hydroamination of alkynes by primary
amines to give imines, which were isolated as hydrolysis products
(ketones) or redn. products (amines). In the case of unsym. substituted
alkynes, the reaction occurred with high regioselectivity, forming
anti-Markovnikov products exclusively.
IT **65021-64-9P**, N-Phenethyl-1-naphthylamine
RL: SPN (Synthetic preparation); PREP (Preparation)
(hydroamination of alkynes catalyzed by dimethyltitanocene)
RN 65021-64-9 CAPLUS
CN 1-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

Ph-CH₂-CH₂-NH



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 52 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1999:767212 CAPLUS

DN 132:233690

TI Radiosynthesis and in vitro evaluation of

2-(N-alkyl-N-1'-11C-propyl)amino-

5-hydroxytetralin analogs as high affinity agonists for dopamine D2 receptors

AU Shi, Bingzhi; Narayanan, Tanjore K.; Yang, Zhi-Ying; Christian, Bradley T.; Mukherjee, Jogeshwar

CS Department of Internal Medicine/Nuclear Medicine, Kettering Medical Center, Wright State University, Dayton, OH, USA

SO Nuclear Medicine and Biology (1999), 26(7), 725-735

CODEN: NMBIEO; ISSN: 0969-8051

PB Elsevier Science Inc.

DT Journal

LA English

AB We have developed radiotracers based on agonists that may potentially allow the in vivo assessment of the high affinity (HA) state of the dopamine D-2 receptors. The population of HA state, which is likely the functional state of the receptor, may be altered in certain diseases. We carried out radiosyntheses and evaluated the binding affinities, lipophilicity, and in vitro autoradiog. binding characteristics of three dopamine D-2 receptor agonists: (.+-.)-2-(N,N-dipropyl)amino-5-hydroxytetralin (5-OH-DPAT), (.+-.)-2-(N-phenethyl-N-propyl)amino-5-hydroxytetralin (PPHT), and (.+-.)-2-(N-cyclohexylethyl-N-propyl)amino-5-hydroxytetralin (ZYY-339). In 3H-spiperone assays using rat striata, ZYY-339 exhibited subnanomolar affinity for D-2 receptor sites (IC50 = 0.010 nM), PPHT was somewhat weaker (IC50 = 0.65 nM), and 5-OH-DPAT exhibited the weakest affinity (IC50 = 2.5 nM) of the three compds. Radiosynthesis of these derivs., 2-(N-propyl-N-1'-11C-propyl)amino-5-hydroxytetralin (11C-5-OH-DPAT), 2-(N-phenethyl-N-1'-11C-propyl)amino-5-hydroxytetralin (11C-PPHT), and

2-(N-cyclohexylethyl-N-1'-11C-propyl)amino-

5-hydroxytetralin (11C-ZYY-339) was achieved by first synthesizing 11C-1-propionyl chloride and subsequent coupling with the appropriate secondary amine precursor to form the resp. amide, which was then reduced to provide the desired tertiary amine products. The final products were obtained by reverse-phase high performance liq. chromatog. (HPLC) purifn. in radiochem. yields of 5-10% after 60-75 min from the end of 11CO2 trapping and with specific activities in the range of 250-1,000 Ci/mmol. In vitro autoradiographs in rat brain slices with 11C-5-OH-DPAT,

11C-PPHT,

and 11C-ZYY-339 revealed selective binding of the three radiotracers to the dopamine D-2 receptors in the striata.

IT 261910-87-6P 261910-89-8P

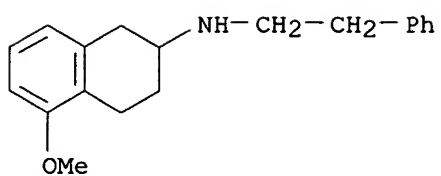
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(radiosynthesis and in vitro evaluation of 2-(N-alkyl-N-1'-11C-propyl)amino-5-hydroxytetralin analogs as high affinity agonists for dopamine D2 receptors)

RN 261910-87-6 CAPLUS

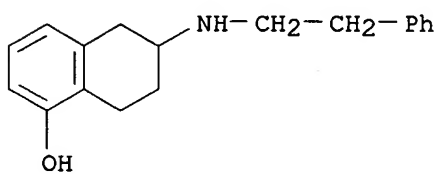
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-5-methoxy-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

10/009,008



RN 261910-89-8 CAPLUS

CN 1-Naphthalenol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]- (9CI) (CA
INDEX NAME)

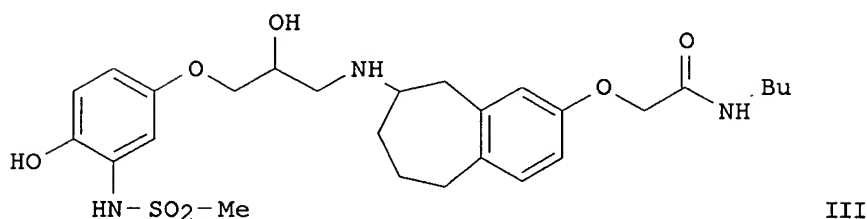
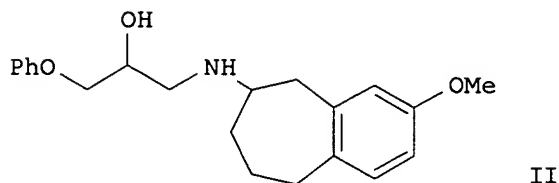
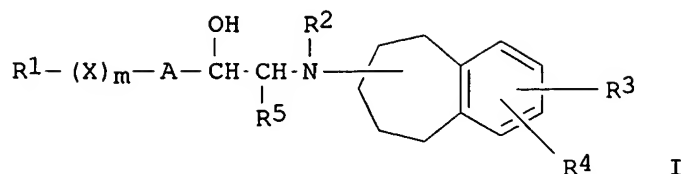


RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 53 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:659350 CAPLUS
DN 131:286274
TI Preparation of propanolamine tetrahydro-5H-benzocycloheptene derivatives
as .beta.3 adrenergic receptor agonists
IN Taniguchi, Kiyoshi; Sakurai, Minoru; Fujii, Naoaki; Hosoi, Kumi;
Tomishima, Yasuyo; Takasugi, Hisashi; Sogabe, Hajime; Ishikawa, Hirofumi;
Hanioka, Naomi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 9951564	A1	19991014	WO 1999-JP1500	19990325
	W: BR, CA, CN, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1070046	A1	20010124	EP 1999-909333	19990325
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
FI	JP 2002512639	T2	20020423	JP 1999-544560	19990325
	US 6495546	B1	20021217	US 2000-646878	20001122
	US 2002120148	A1	20020829	US 2002-74020	20020214
PRAI	AU 1998-2826	A	19980406		
	AU 1998-5058	A	19980804		
	WO 1999-JP1500	W	19990325		
	US 2000-646878	A1	20001122		
OS	MARPAT 131:286274				
GI					



AB Propanolamine tetrahydro-5H-benzocycloheptenes (I) [where R1 = (un)substituted aryl; R2 = H or amino protective group; R3 and R4 = independently H, halogen, OH, NO₂, (un)substituted NH₂, carboxy, aryl, or alkyl, etc.; R5 = H, alkyl, or aryl; A = (un)substituted lower alkylene;

X = O, S, SO, SO₂, or NH; m = 0 or 1], and their salts, were prepd. as .beta.3 adrenergic receptor agonists. For example, (2S)-3-phenoxy-1,2-epoxyp propane was couple with N-benzyl-(3-methoxy-6,7,8,9-tetrahydro-5H-benzocyclohepten-6-yl)amine (prepn. given) and treated with Yb(III) trifluoromethanesulfonate to afford (S)-(II). Title compd. (S)-(III).HCl reversed carbachol induced increase in intravesical pressure in anesthetized dogs with an ED₅₀ (.mu.g/kg) of 10.8. Three comparison compds. gave similar results. In a test measuring the effect of a comparison compd. on cystometrogram, male rats showed an increase in bladder capacity with administration of a 0.01 mg/kg dose. In a third test, a comparison compd. decreased the rhythmic contraction of the bladder to 66% of control at a dose of 0.1 mg/kg in rats. Invention compds. are useful for the treatment of pollakiuria or urinary incontinence due to their gut selective sympathomimetic, anti-ulcerous, anti-pancreatitis, lipolytic, anti-urinary incontinence and anti-pollakiuria activities.

IT **121524-09-2**

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES

(Uses)

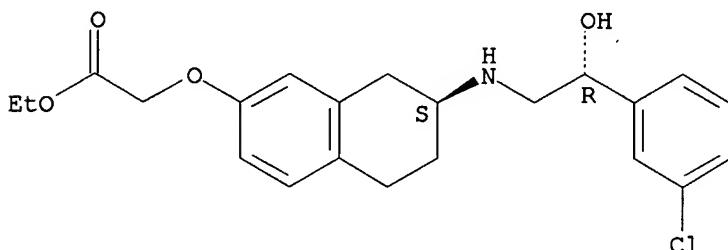
(comparison compd.; prepn. of propanolamine tetrahydro-5H-benzocycloheptene derivs. as .beta.3 adrenergic receptor agonists for treatment of pollakiuria or urinary incontinence)

10/009,008

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 246261-46-1P 246261-47-2P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compd.; prepn. of propanolamine

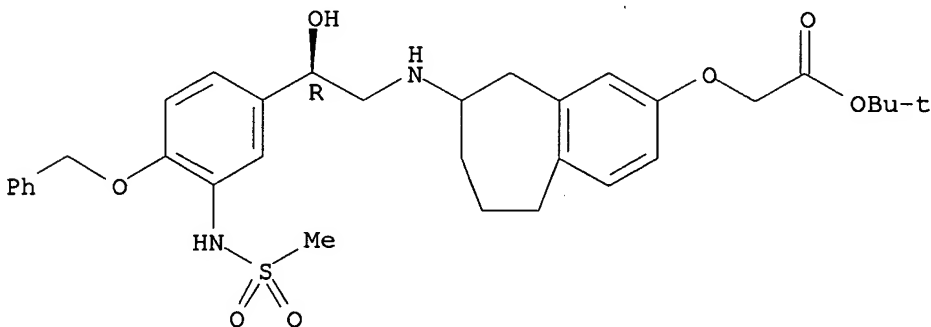
tetrahydro-5H-benzocycloheptene

derivs. as .beta.3 adrenergic receptor agonists for treatment of pollakiuria or urinary incontinence)

RN 246261-46-1 CAPLUS

CN Acetic acid, [[6,7,8,9-tetrahydro-8-[[[(2R)-2-hydroxy-2-[3-[(methylsulfonyl)amino]-4-(phenylmethoxy)phenyl]ethyl]amino]-5H-benzocyclohepten-2-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



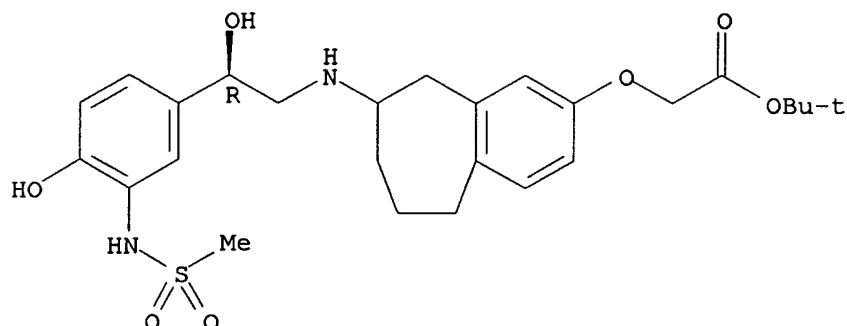
RN 246261-47-2 CAPLUS

CN Acetic acid, [[6,7,8,9-tetrahydro-8-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-5H-benzocyclohepten-2-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/009,008

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 246261-41-6P 246261-42-7P 246261-43-8P
246261-44-9P 246261-45-0P 246261-48-3P
246261-49-4P 246261-50-7P 246261-54-1P
246261-56-3P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of propanolamine

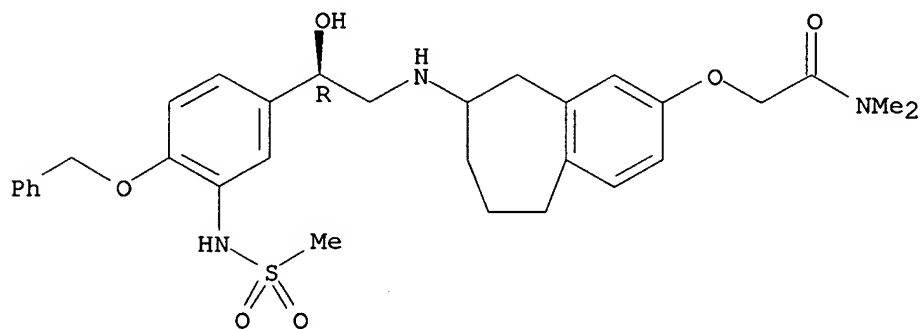
tetrahydro-5H-benzocycloheptene

derivs. as .beta.3 adrenergic receptor agonists for treatment of
pollakiuria or urinary incontinence)

RN 246261-41-6 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[6,7,8,9-tetrahydro-8-[[(2R)-2-hydroxy-2-[3-
[(methylsulfonyl)amino]-4-(phenylmethoxy)phenyl]ethyl]amino]-5H-
benzocyclohepten-2-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

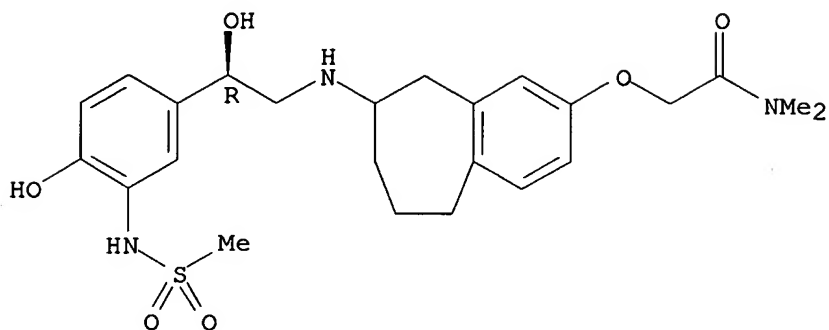


RN 246261-42-7 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[6,7,8,9-tetrahydro-8-[[(2R)-2-hydroxy-2-[4-
hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]-5H-benzocyclohepten-2-
yl]oxy]-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008

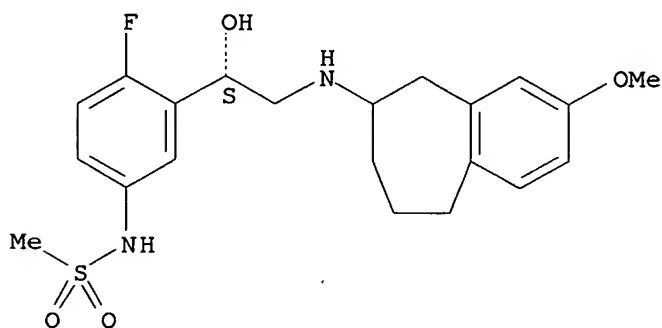
Absolute stereochemistry.



● HCl

RN 246261-43-8 CAPLUS
CN Methanesulfonamide,
N-[4-fluoro-3-[(1S)-1-hydroxy-2-[(6,7,8,9-tetrahydro-3-methoxy-5H-benzocyclohepten-6-yl)amino]ethyl]phenyl]-, monohydrochloride
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

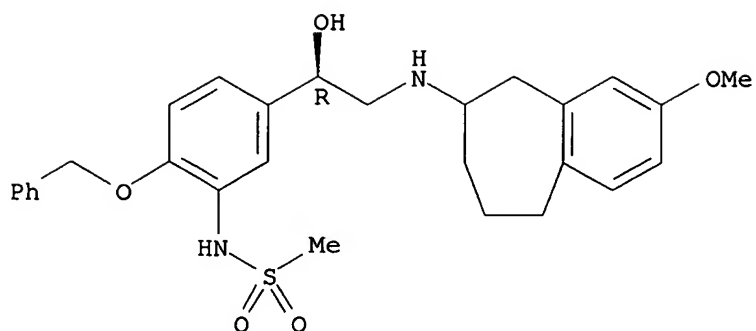


● HCl

RN 246261-44-9 CAPLUS
CN Methanesulfonamide,
N-[5-[(1R)-1-hydroxy-2-[(6,7,8,9-tetrahydro-3-methoxy-5H-benzocyclohepten-6-yl)amino]ethyl]-2-(phenylmethoxy)phenyl]- (9CI)
(CA INDEX NAME)

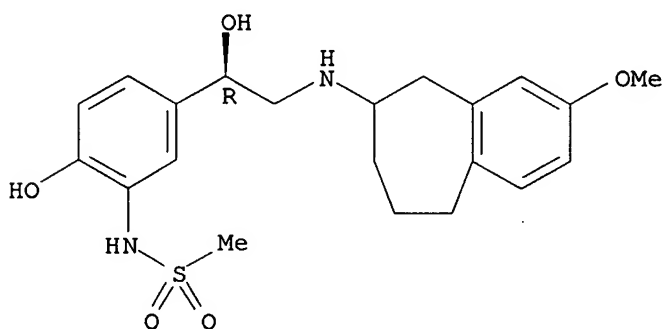
Absolute stereochemistry.

10/009,008



RN 246261-45-0 CAPLUS
CN Methanesulfonamide,
N-[2-hydroxy-5-[(1R)-1-hydroxy-2-[(6,7,8,9-tetrahydro-
3-methoxy-5H-benzocyclohepten-6-yl)amino]ethyl]phenyl]-,
monohydrochloride
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

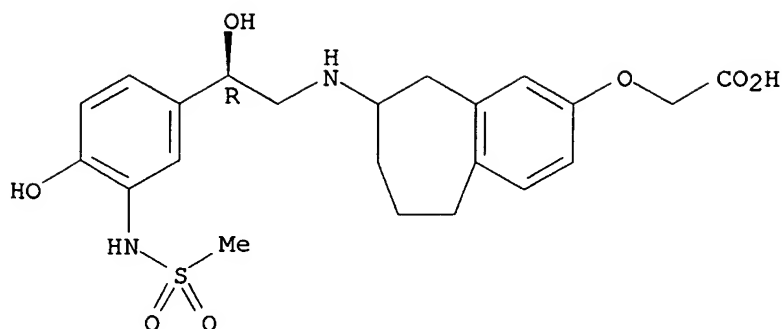


● HCl

RN 246261-48-3 CAPLUS
CN Acetic acid, [[6,7,8,9-tetrahydro-8-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-
[(methanesulfonyl)amino]phenyl]ethyl]amino]-5H-benzocyclohepten-2-yl]oxy]-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

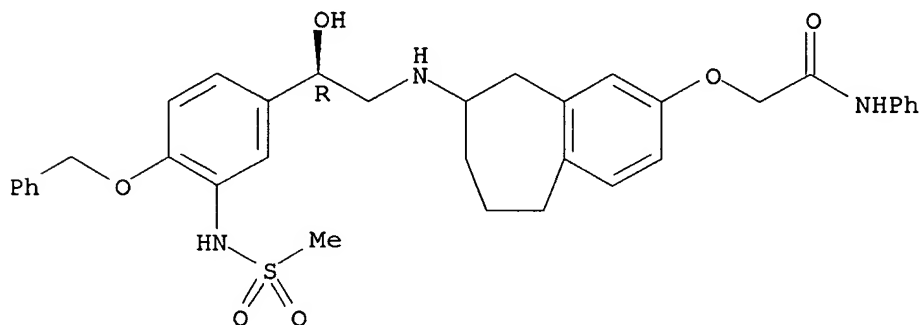


● HCl

RN 246261-49-4 CAPLUS

CN Acetamide, N-phenyl-2-[[6,7,8,9-tetrahydro-8-[[(2R)-2-hydroxy-2-[3-[(methanesulfonyl)amino]-4-(phenylmethoxy)phenyl]ethyl]amino]-5H-benzocyclohepten-2-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

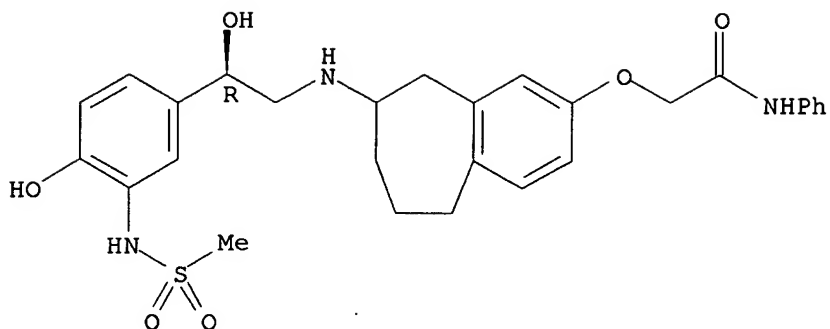


RN 246261-50-7 CAPLUS

CN Acetamide, N-phenyl-2-[[6,7,8,9-tetrahydro-8-[[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methanesulfonyl)amino]phenyl]ethyl]amino]-5H-benzocyclohepten-2-yl]oxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

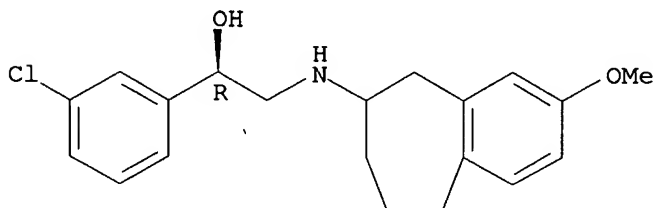


● HCl

RN 246261-54-1 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[(6,7,8,9-tetrahydro-3-methoxy-5H-benzocyclohepten-6-yl)amino]methyl]-, hydrochloride, (.alpha.R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

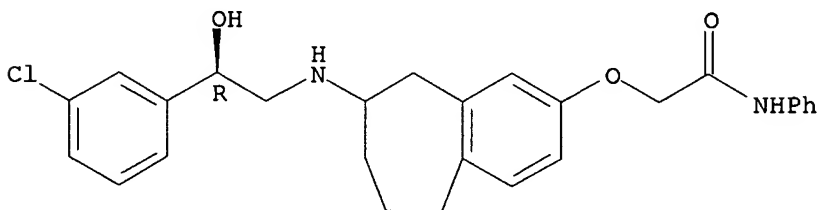


● HCl

RN 246261-56-3 CAPLUS

CN Acetamide, 2-[[8-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

10/009,008

L4 ANSWER 54 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1999:629080 CAPLUS

DN 132:193960

TI Development of new chiral catalysts and their applications for natural product synthesis

AU Nakada, Masahisa

CS Department of Chemistry, Faculty of Science and Engineering, Waseda University, Japan

SO Asahi Garasu Zaidan Josei Kenkyu Seika Hokoku [Electronic Publication] (1998) No pp. Given

CODEN: AGSHEN; ISSN: 0919-9179

URL: <http://www.af-info.or.jp/JPN/subsidy/report2/1999/body/98A-C02-P022.TXT>

PB Asahi Garasu Zaidan

DT Journal; (online computer file)

LA Japanese

AB The object of this research is to develop a new asym. catalysis. Some new

asym. catalysts were prepd. by combining the synthesized chiral amine ligands and the metallic salts possessing catalytic activity. Then the utilities of the new asym. catalysts were examd. in Diels-Alder reaction, aldol reaction, and intramol. cyclopropanation. In this research a new type of asym. catalyst was found in Diels-Alder reaction and aldol reaction, and up to 80% ee was obsd. in some cases. Though the selectivity was up to 50% ee, also found in the intramol.

cyclopropanation

was the possibility of a conceptually novel asym. catalysis. The results obtained in this research contain some unprecedented information, thus, would facilitate the further research on the catalytic asym. reactions mentioned before not only in this group but also in other research

groups.

IT 228399-05-1P

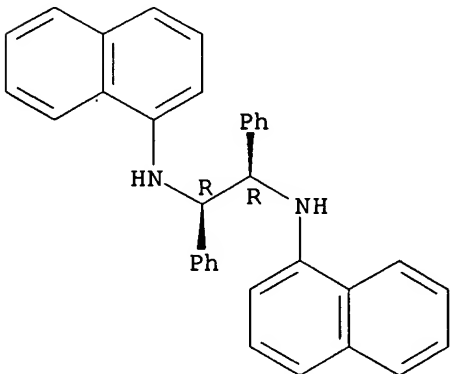
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(catalyst ligand; development of new chiral amine catalysts and applications for natural product synthesis)

RN 228399-05-1 CAPLUS

CN 1,2-Ethanediamine, N,N'-di-1-naphthalenyl-1,2-diphenyl-, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

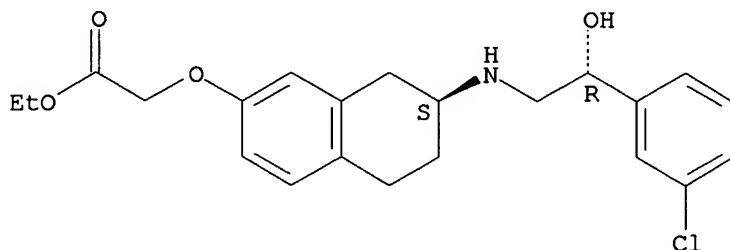


10/009,008

10/009,008

L4 ANSWER 55 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:525893 CAPLUS
DN 131:266492
TI Suitability of the old fowl rectal cecum preparation for investigating
the selectivity of .beta.-adrenergic drugs
AU Carcano, Roberta; Belloli, Chiara; Arioli, Francesco; Beretta, Carlo
CS Institute of Veterinary Pharmacology and Toxicology, Faculty of
Veterinary Medicine, University of Milan, Milan, 20133, Italy
SO Journal of Pharmacological and Toxicological Methods (1999), Volume Date
1998, 40(4), 221-225
CODEN: JPTMEZ; ISSN: 1056-8719
PB Elsevier Science Inc.
DT Journal
LA English
AB We tested .alpha.- and .beta.-adrenergic drugs on isolated strips of fowl
rectal cecum from 14- to 16-wk-old Warren hens. Basal tone and
spontaneous motility were dose-dependently reduced by isoprenaline and
all the selective .beta.-agonists tested (except xamoterol) with the
following order of potency: isoprenaline = fenoterol = procaterol = clenbuterol >
dobutamine > SR58611A. The results indicate that this tissue prepn.
consists almost entirely of .beta.2-adrenoceptors. This prepn. may,
therefore, be considered a suitable assay for discriminating .beta.1-
from .beta.2-agonists according to their selectivity.
IT 121524-09-2, SR58611A
RL: ANT (Analyte); ANST (Analytical study)
(suitability of the old fowl rectal cecum prepn. for investigating the
selectivity of .beta.-adrenergic drugs)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



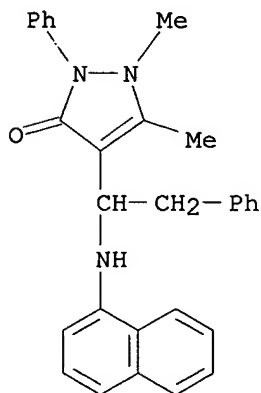
● HCl

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

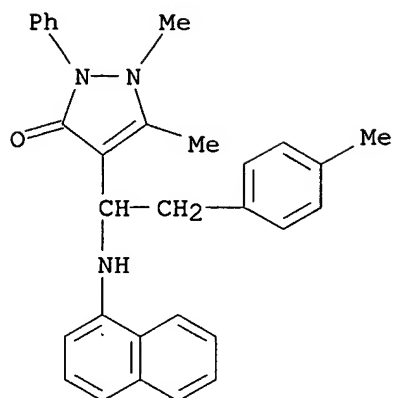
10/009,008

L4 ANSWER 56 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:522334 CAPLUS
DN 132:87742
TI Effects of electron space structure of pyrazolone derivatives on their
anti-inflammatory activity
AU Zimenkovsky, B. S.; Klenina, O. V.; Koval'chyk, E. P.
CS L'viv. Derzhavnii Med. univ. im. Danila Galits'kogo, Lvov, Ukraine
SO Farmatsevtichnii Zhurnal (Kiev) (1999), (1), 59-63
CODEN: FRZKAP; ISSN: 0367-3057
PB Zdorov'ya
DT Journal
LA Ukrainian
AB Electron d. distribution, atom coordinates, boundary orbital energies and
heat of formation for 12 pyrazolone derivs. have been calcd.
semiempirically using a MNDO approxn. Correlations between interat.
distance C=O, valence angle .angle. C4-C-N, charges on O, N1, N2 and C4
atoms, boundary orbital energies, dipole moment and pyrazolones
anti-inflammatory activity have been detd.
IT 254757-23-8 254757-25-0 254757-26-1
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES
(Uses)
(structure-anti-inflammatory activity relationships of pyrazolone
derivs.)
RN 254757-23-8 CAPLUS
CN 3H-Pyrazol-3-one, 1,2-dihydro-1,5-dimethyl-4-[1-(1-naphthalenylamino)-2-
phenylethyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 254757-25-0 CAPLUS
CN 3H-Pyrazol-3-one, 1,2-dihydro-1,5-dimethyl-4-[2-(4-methylphenyl)-1-(1-
naphthalenylamino)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

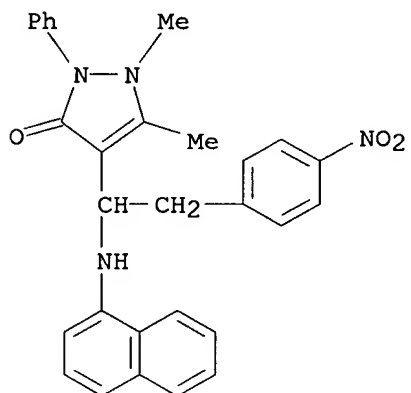
10/009,008



RN 254757-26-1 CAPLUS

CN 3H-Pyrazol-3-one,

1,2-dihydro-1,5-dimethyl-4-[1-(1-naphthalenylamino)-2-(4-nitrophenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 57 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1999:511156 CAPLUS

DN 131:157758

TI Thiazolylthiophene amidines, methylamidines and guanidines as protease inhibitors, in particular as urokinase inhibitors

IN Illig, Carl R.; Subasinghe, Nalin L.; Hoffman, James B.; Wilson, Kenneth J.; Rudolph, M. Jonathan

PA 3-Dimensional Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 255 pp.

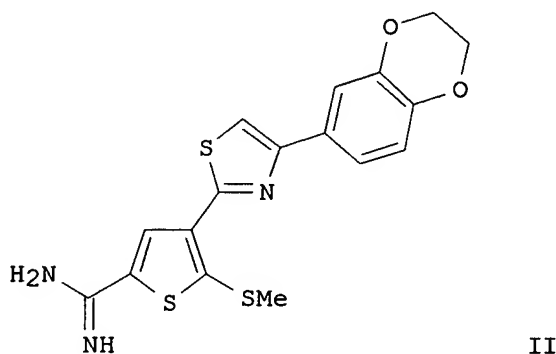
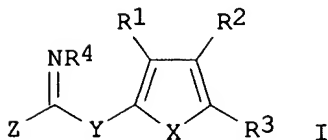
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9940088	A1	19990812	WO 1999-US2784	19990209
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,			
TM		RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	CA 2321025	AA	19990812	CA 1999-2321025	19990209
	AU 9926665	A1	19990823	AU 1999-26665	19990209
	EP 1054886	A1	20001129	EP 1999-906845	19990209
	EP 1054886	B1	20020904		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	JP 2002502852	T2	20020129	JP 2000-530517	19990209
	AT 223408	E	20020915	AT 1999-906845	19990209
PRAI	US 1998-74110P	P	19980209		
	WO 1999-US2784	W	19990209		
OS	MARPAT 131:157758				
GI					



AB Title compds. (I) [X = O, S or NR₇; R₁ = H, NH₂, OH, halogen, CN, Cl-4

alkyl, or hydroxyamino- or C1-3 alkoxy-substituted methyl; R2 and R3 = H, halogen, OH, NO2, CN, (un)substituted amino, carbonyl, oxy, sulfonyl, thio, etc.; R7= H, (un)substituted (aryl)alkyl; Y = covalent bond, CH2,

or

NH; Z = H, alkyl, or (un)substituted amino (provided Y = NH when Z = H or alkyl)], as well as hydrates, solvates, or pharmaceutically acceptable salts thereof, were prepd. for use as protease inhibitors. Thus, 1-(2H,3H-benzo[e]-1,4-dioxin-6-yl)-2-bromoethan-1-one reacted with Me 4-(aminothioxomethyl)-5-(methylthio)thiophene-2-carboxylate in acetone

and

the mixt. was refluxed to form Me

4-[4-(3,4-ethylenedioxyphenyl)thiazol-2-

yl]-5-(methylthio)thiophene-2-carboxylate in 90% yield.

Trimethylaluminum

in toluene was added to a suspension of ammonium chloride in toluene followed by addn. of the intermediate and refluxing to give

4-[4-(3,4-ethylenedioxyphenyl)thiazol-2-yl]-5-(methylthio)thiophene-2-carboxamide HCl (II) in 75% yield. Compds. of the invention were

tested

in vitro for inhibition of chymotrypsin, elastase, factor X, plasmin, thrombin, trypsin, and urokinase, and were shown to be esp. potent inhibitors of the trypsin-like serine proteases chymotrypsin, trypsin, plasmin, and urokinase. Protease activity for specific proteases and selected example compds. was reported with Ki values in the range of

0.474

to 9.49 .mu.M. Certain compds. exhibited direct, selective inhibition of urokinase, or are intermediates useful for forming compds. having such activity.

IT **237382-73-9P**

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiazolylthiophene amidines, methylamidines, and guanidines as protease inhibitors, in particular as urokinase inhibitors)

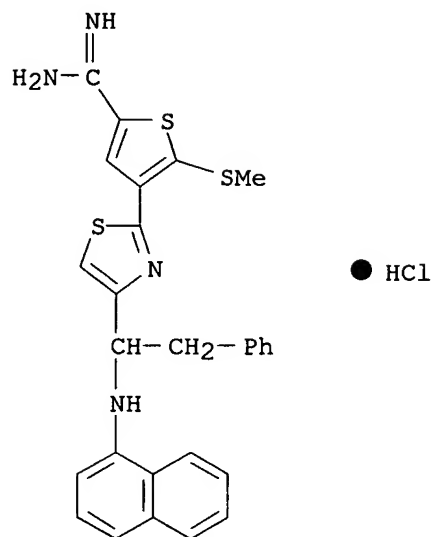
RN 237382-73-9 CAPLUS

CN 2-Thiophenecarboximidamide,

5-(methylthio)-4-[4-[1-(1-naphthalenylamino)-2-

phenylethyl]-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008

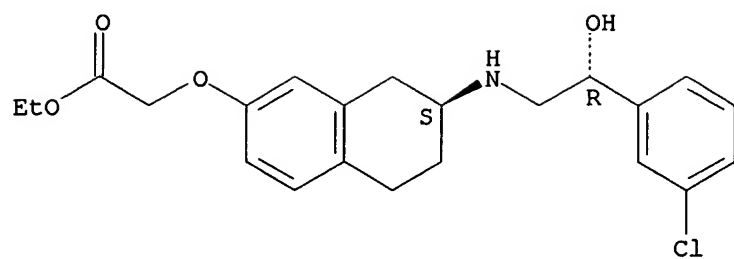


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 58 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:486414 CAPLUS
DN 131:237736
TI Interspecies differences in the cardiac negative inotropic effects of .beta.3-adrenoceptor agonists
AU Gauthier, Chantal; Tavernier, Genevieve; Trochu, Jean-Noel; Leblais, Veronique; Laurent, Karine; Langin, Dominique; Escande, Denis; Le Marec, Herve
CS Laboratoire de Physiopathologie et Pharmacologie Cellulaires et Moleculaires, Institut National de la Sante et de la Recherche Medicale, Nantes, Fr.
SO Journal of Pharmacology and Experimental Therapeutics (1999), 290(2), 687-693
CODEN: JPETAB; ISSN: 0022-3565
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB The aim of the present study was to compare the effects of three preferential (BRL 37344, SR 58611, CL 316 243) and a partial (CGP 12177) .beta.-adrenoceptor (.beta.3-AR) agonists on the contractility of ventricular strips sampled from various mammalian species including humans. In the human heart, all .beta.3-AR agonists tested decreased contractility by 40 to 60% below control with an order of potency: BRL 37344 > CL 316 243 = SR 58611 >> CGP 12177. In the dog, the neg. inotropic effects produced by .beta.3-AR stimulation were less pronounced than in humans, .apprxeq.30% below control. The order of potency of .beta.3-AR agonists was CGP 12177 > BRL 37344 = SR 58611 >> CL 316 243; i.e., very different from that obsd. in humans. In rat, only BRL 37344 was efficient to decrease contractility. In guinea pig, only CL 316 243 significantly reduced peak tension. In both species, the redn. in peak tension did not exceed 20 to 30%. Finally, in the ferret, none of the agonists tested induced a neg. inotropic effect. In dog, the neg. inotropic effects of CGP 12177 were not modified by nadolol, but were abolished by bupranolol, a .beta.1-3-AR antagonist. .beta.3-AR transcripts were detected in the dog but not in the rat ventricle by using a reverse transcription-polymerase chain reaction assay. The authors conclude that cardiac neg. inotropic effects related to .beta.3-AR agonist stimulation vary markedly depending on the species. A comparable interspecies variation previously has been reported concerning the lipolytic effects of .beta.3-AR agonist stimulation. The authors' study demonstrates that the pharmacol. profile of a .beta.3-AR agonist on the human myocardium cannot be extrapolated from usual animal models.
IT 121524-09-2, SR 58611
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (interspecies differences in cardiac neg. inotropic effects of .beta.3-adrenoceptor agonists)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



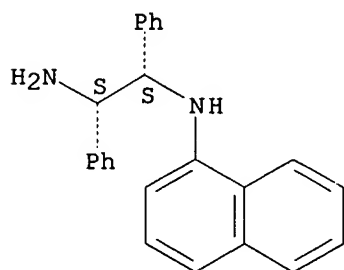
● HCl

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 59 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:474969 CAPLUS
DN 131:228513
TI Palladium catalyzed mono-N-arylation of enantiopure diamines
AU Frost, Christopher G.; Mendonca, Paul
CS Department of Chemistry, University of Bath, Bath, BA2 7AY, UK
SO Tetrahedron: Asymmetry (1999), 10(10), 1831-1834
CODEN: TASYE3; ISSN: 0957-4166
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 131:228513
AB The palladium catalyzed arylation of amines is employed to prep.
selectively a range of new mono-N-arylated, enantiopure diamine ligands.
The ligands were tested in the catalytic asym. transfer hydrogenation of
acetophenone.
IT **243982-33-4P**
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation);
USES (Uses)
(palladium catalyzed mono-N-arylation of enantiopure diamines)
RN 243982-33-4 CAPLUS
CN 1,2-Ethanediamine, N-1-naphthalenyl-1,2-diphenyl-, (1S,2S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

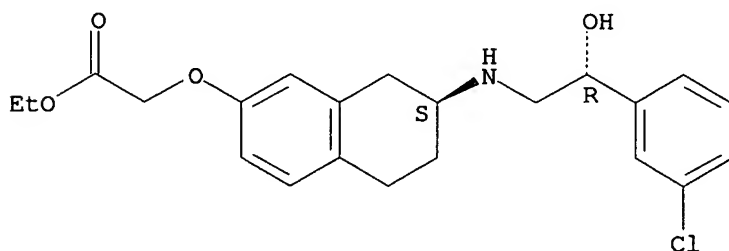


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 60 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:363571 CAPLUS
DN 131:102078
TI Alternative synthesis of the chiral atypical .beta.-adrenergic
phenylethanolaminotetraline agonist SR58611A using enantioselective
hydrogenation
AU Devocelle, Marc; Mortreux, Andre; Agbossou, Francine; Dormoy, Jean-Robert
CS Laboratoire de Catalyse associe au CNRS, Groupe de Chimie Organique
Appliquee, Ecole Nationale Supérieure de Chimie de Lille, Villeneuve
d'Ascq, 59652, Fr.
SO Tetrahedron Letters (1999), 40(24), 4551-4554
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 131:102078
AB We have developed an alternative synthesis of the atypical
.beta.-adrenergic phenylethanolaminotetraline agonist SR 58611A. Two key
intermediates have been synthesized involving enantioselective
hydrogenation of an aminoketone and an enamide providing the
corresponding
amino alc. and amide in >96 and >98% ee resp.
IT 121524-09-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of in the alternative synthesis of the chiral
atypical .beta.-adrenergic phenylethanolaminotetraline agonist SR
58611A using enantioselective hydrogenation)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

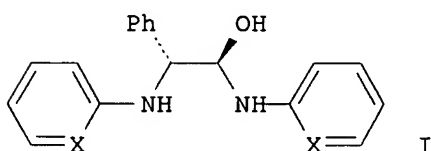


● HCl

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

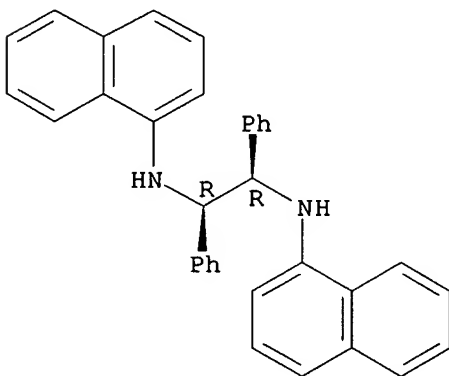
10/009,008

L4 ANSWER 61 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:320352 CAPLUS
DN 131:73384
TI Preparation of N,N'-diaryl-1,2-diphenyl-1,2-diaminoethanes using
palladium-catalyzed aromatic amino coupling
AU Cabanal-Duvillard, Isabelle; Mangeney, Pierre
CS Laboratoire de Chimie des Organo-Elements, URA 473 CNRS, Paris, 75252,
Fr.
SO Tetrahedron Letters (1999), 40(20), 3877-3880
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
GI



AB A convenient and efficient prepn. of the title compds., e.g., I (X = CH,
N), is described using palladium-catalyzed amino coupling of aryl
bromides.
IT **228399-05-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N,N'-diaryl-1,2-diphenyl-1,2-diaminoethanes via
palladium-catalyzed arom. amino coupling)
RN 228399-05-1 CAPLUS
CN 1,2-Ethanediamine, N,N'-di-1-naphthalenyl-1,2-diphenyl-, (1R,2R)- (9CI)
(CA INDEX NAME)

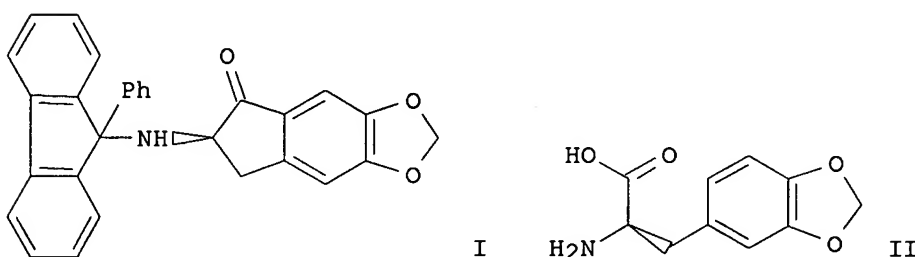
Absolute stereochemistry. Rotation (-).



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 62 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:256018 CAPLUS
DN 131:44988
TI Enantiospecific synthesis of (+)-ribasine
AU Ollero, Lourdes; Castedo, Luis; Dominguez, Domingo
CS Departamento de Quimica Organica, Facultad de Quimica, Universidad de
Santiago y Unidad Asociada al CSIC, Santiago de Compostela, 15706, Spain
SO Tetrahedron (1999), 55(14), 4445-4456
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 131:44988
GI

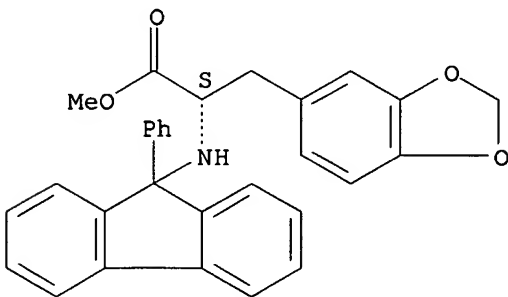


AB The alkaloid (+)-ribasine was synthesized by stereocontrolled addn. of substituted .alpha.-lithium-o-toluate to enantiomerically pure R-N-(9-phenylfluoren-9-yl)-2-amino-5,6-(methylenedioxy)indan-1-one [(+)-I]. Aminoindanone (+)-I was prepd. from amino acid (-)-II obtained by diastereoselective alkylation of a chiral glycine enolate synthon.

IT 227286-89-7P 227286-90-0P 227286-96-6P
227286-97-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantiospecific synthesis of (+)-ribasine)

RN 227286-89-7 CAPLUS
CN 1,3-Benzodioxole-5-propanoic acid, .alpha.-[(9-phenyl-9H-fluoren-9-yl)amino]-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

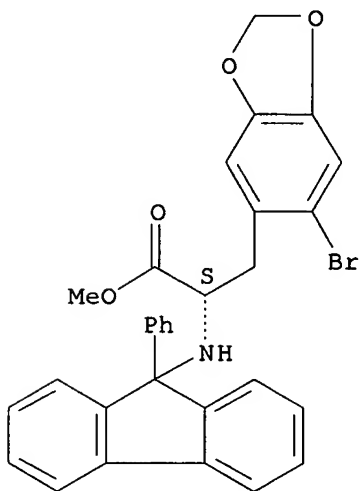


RN 227286-90-0 CAPLUS

10/009,008

CN 1,3-Benzodioxole-5-propanoic acid,
6-bromo-.alpha.-[(9-phenyl-9H-fluoren-9-
yl)amino]-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

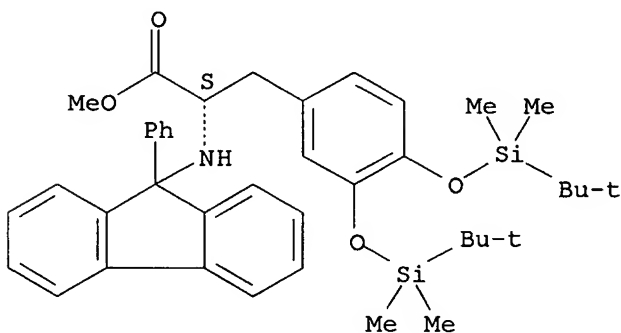
Absolute stereochemistry. Rotation (-).



RN 227286-96-6 CAPLUS

CN L-Tyrosine, O-[(1,1-dimethylethyl)dimethylsilyl]-3-[[[(1,1-
dimethylethyl)dimethylsilyl]oxy]-N-(9-phenyl-9H-fluoren-9-yl)-, methyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

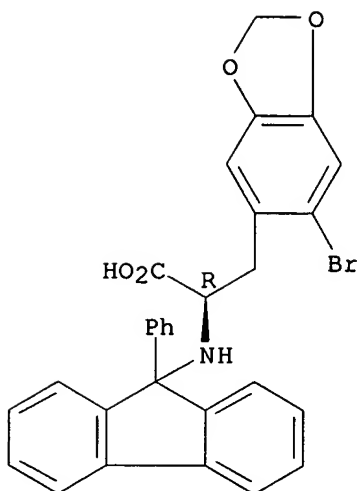


RN 227286-97-7 CAPLUS

CN 1,3-Benzodioxole-5-propanoic acid,
6-bromo-.alpha.-[(9-phenyl-9H-fluoren-9-
yl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10/009,008

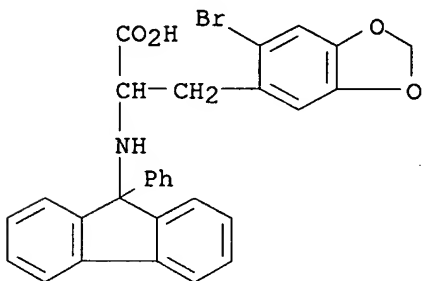


IT 147912-69-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(enantiospecific synthesis of (+)-ribasine)

RN 147912-69-4 CAPLUS

CN 1,3-Benzodioxole-5-propanoic acid,
6-bromo-.alpha.-[(9-phenyl-9H-fluoren-9-yl)amino]- (9CI) (CA INDEX NAME)



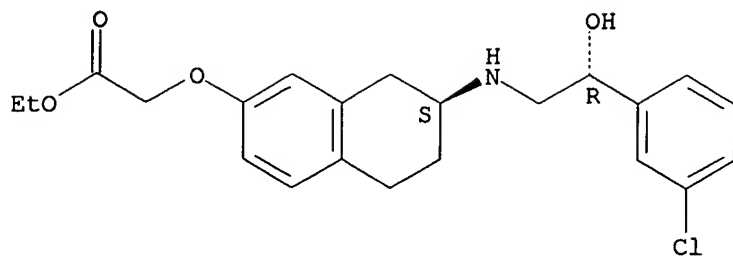
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 63 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:235605 CAPLUS
DN 131:39452
TI Peripheral cardiovascular actions of SR 58611 A, a beta 3-adrenoceptor agonist, in the dog: lack of central effect
AU Montastruc, Jean-Louis; Verwaerde, Patrick; Pelat, Michel; Galitzky, Jean;
Langin, Dominique; Lafontan, Max; Berlan, Michel
CS Laboratoire de Pharmacologie Medicale et Clinique, INSERM U-317, Faculte de Medecine, Toulouse, 31073, Fr.
SO Fundamental & Clinical Pharmacology (1999), 13(2), 180-186
CODEN: FCPHEZ; ISSN: 0767-3981
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
AB To investigate the putative role of .beta.3-adrenoceptors in central and peripheral cardiovascular regulations, the effects of intracisternal (i.c.) and i.v. injections of SR 58611 A (10, 50, 100 and 200 nmol kg⁻¹), a selective .beta.3-adrenoceptor agonist, were investigated in chloralose anesthetized dogs. In normal dogs, i.v. SR 58611 A (100 and 200 nmol kg⁻¹) induced a dose-dependent increase in heart rate with no change in blood pressure. After i.c. injection, SR 58611 A failed to modify blood pressure and heart rate (except at the highest dose 200 nmol kg⁻¹ which induced a pos. chronotropic effect). The pos. chronotropic effect of SR 58611 A (200 nmol kg⁻¹) appeared earlier and was significantly more pronounced after i.v. than i.c. administration. The pos. chronotropic effect of i.v. SR 58611 A (200 nmol kg⁻¹) was reduced by pretreatment with beta-adrenoceptor antagonists [propranolol, nadolol, bupranolol or the .beta.3-adrenoceptor selective antagonist, SR 59230 A (2 mg kg⁻¹ i.v.)] and suppressed after sinoaortic denervation (i.e. after removal of vagal tone to the heart). These expts. do not show evidence for a primary central cardiovascular effect of SR 58611 A. The pos. chronotropic effect of i.v. SR 58611 A is mainly of peripheral origin and can be attributed to a baroreceptor-mediated reflex due to the .beta.3-adrenoceptor mediated vasodilation with an increase in sympathetic tone and a redn. in vagal tone to the heart.
IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (peripheral cardiovascular action mechanism of .beta.3-adrenoceptor agonist SR 58611 A in dogs)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

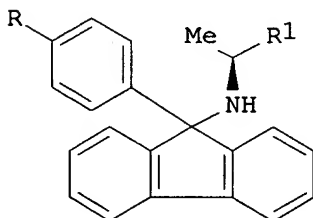


● HCl

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 64 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:176272 CAPLUS
DN 130:352449
TI A Novel Linking-Protecting Group Strategy for Solid-Phase Organic
Chemistry with Configurationally Stable
.alpha.-[N-(Phenylfluorenyl)]amino
Carbonyl Compounds: Synthesis of Enantiopure Norephedrine on Solid
Support
AU Gosselin, Francis; Van Betsbrugge, Jo; Hatam, Mostafa; Lubell, William D.
CS Departement de chimie, Universite de Montreal, Montreal, QC, H3C 3J7,
Can.
SO Journal of Organic Chemistry (1999), 64(7), 2486-2493
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 130:352449
GI



I

AB A novel linking strategy has been developed for synthesizing
configurationally stable .alpha.-amino aldehyde on polymeric supports.
Alkylation of L-alanine Me ester with 9-bromo-9-p-bromophenylfluorene,
followed by ester hydrolysis and coupling to isoxazolidine, provided
N-(9-p-bromophenylfluorene-9-yl)alanine isoxazolidide I (R = Br, R1 =
2-isoxazolidinylcarbonyl), which was transformed into its corresponding
boronate I (R = 4,4,5,5-tetramethyl-1,3,2-dioxaborolan-1-yl, R1 =
2-isoxazolidinylcarbonyl) by a palladium-catalyzed cross-coupling
reaction
with diboron pinacol ester. The boronate was anchored to four different
polymeric aryl halides derived from MeO-PEG-5000, Merrifield resin, Wang
resin, and non-cross-linked-polystyrene (NCPS). Treatment of the polymer
bound alaninal I (R = NCPS with 3-phenyloxy linker, R1 = CHO), which
resulted from LiAlH4 redn. of polymer bound I (R = NCPS with 3-phenyloxy
linker, R1 = 2-isoxazolidinylcarbonyl), with phenylmagnesium bromide,
cleavage of the resulting amino alc. I (R = NCPS with 3-phenyloxy linker,
R1 = CH(OH)Ph) and subsequent N-protection with di-tert-Bu dicarbonate,
furnished (1R,2S)-N-(tert-butyloxycarbonyl)norephedrine as the major
diastereomer. Thus, a process was demonstrated by which the
9-phenylfluorene-9-yl protecting group was converted into a new linker for
the solid-phase synthesis and manipulation of .alpha.-amino carbonyl
comps.
IT **178238-03-4DP**, polymer bound **225098-35-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(enantioselective synthesis of norephedrine on solid support via a

10/009,008

novel linking-protecting group strategy for the solid phase chem.
which

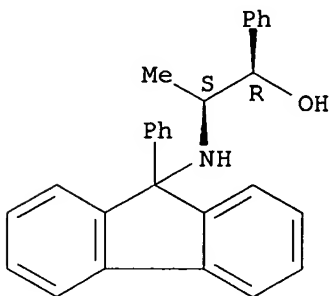
uses configurationally stable
.alpha.-[N-(phenylfluorenyl)]aminocarbonyl
1 compds.)

RN 178238-03-4 CAPLUS

CN Benzenemethanol,

.alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-,
(.alpha.R)- (9CI) (CA INDEX NAME)

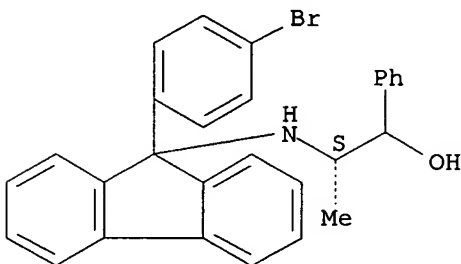
Absolute stereochemistry. Rotation (+).



RN 225098-35-1 CAPLUS

CN Benzenemethanol, .alpha.-[(1S)-1-[[9-(4-bromophenyl)-9H-fluoren-9-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

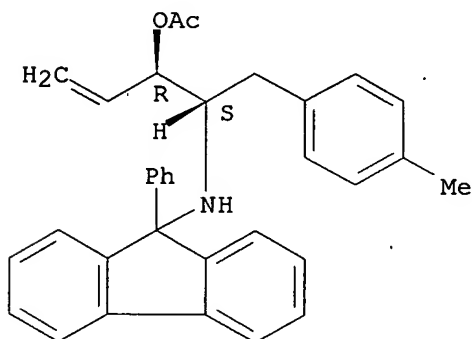


RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 65 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:164004 CAPLUS
DN 130:237424
TI Diastereoselective iodoamidation of 3-acetyloxybut-1-enylamines: simple synthesis of a precursor of aza sugars involving a pyrrolidine ring
AU Lee, Woo Song; Jang, Ki Chang; Kim, Jin Hyo; Park, Ki Hun
CS Department of Agricultural Chemistry, Gyeongsang National University, Jinju, 660-701, S. Korea
SO Chemical Communications (Cambridge) (1999), (3), 251-252
CODEN: CHCOFS; ISSN: 1359-7345
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 130:237424
AB 3-Acetyloxybut-1-enylamines were easily transformed using iodine to pyrrolidine derivs., precursors for aza sugars, via a diastereoselective iodo-amidation.
IT 221341-46-4 221341-47-5 221341-48-6
221341-49-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of in the synthesis of a precursor of aza sugars involving a pyrrolidine ring)
RN 221341-46-4 CAPLUS
CN Benzenepropanol, .alpha.-ethenyl-4-methyl-.beta.-[(9-phenyl-9H-fluoren-9-yl)amino]-, acetate (ester), (.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)

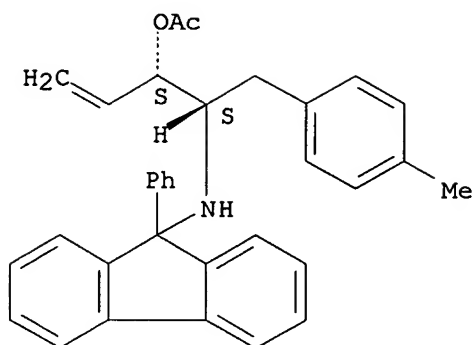
Absolute stereochemistry.



RN 221341-47-5 CAPLUS
CN Benzenepropanol, .alpha.-ethenyl-4-methyl-.beta.-[(9-phenyl-9H-fluoren-9-yl)amino]-, acetate (ester), (.alpha.S,.beta.S)- (9CI) (CA INDEX NAME)

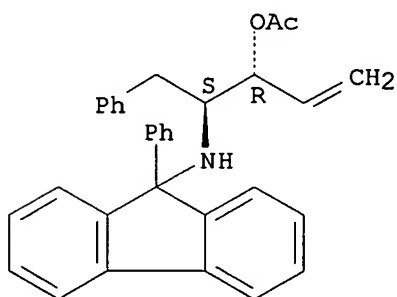
Absolute stereochemistry.

10/009,008



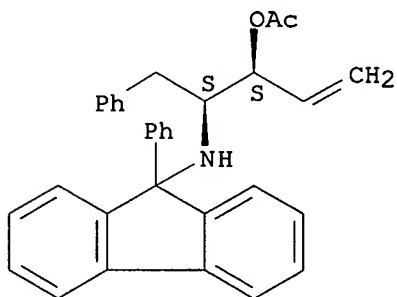
RN 221341-48-6 CAPLUS
CN Benzenepropanol,
.alpha.-ethenyl-.beta.-[(9-phenyl-9H-fluoren-9-yl)amino]-
, acetate (ester), (.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221341-49-7 CAPLUS
CN Benzenepropanol,
.alpha.-ethenyl-.beta.-[(9-phenyl-9H-fluoren-9-yl)amino]-
, acetate (ester), (.alpha.S,.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

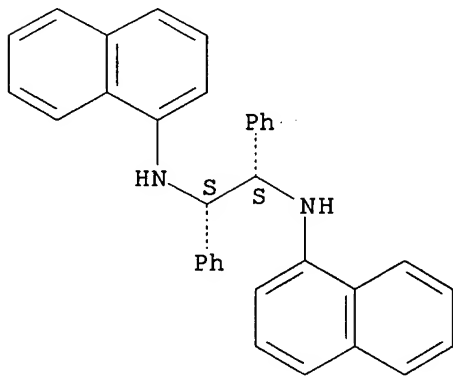


RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 66 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:137714 CAPLUS
DN 130:196712
TI Synthesis of phosphoramides for the Lewis base-catalyzed allylation and aldol addition reactions
AU Denmark, Scott E.; Su, Xiping; Nishigaichi, Yutaka; Coe, Diane M.; Wong, Ken-Tsung; Winter, Stephen B. D.; Choi, Jun Young
CS Roger Adams Laboratory Department of Chemistry, University of Illinois, Urbana, IL, 61801, USA
SO Journal of Organic Chemistry (1999), 64(6), 1958-1967
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
AB Both chiral and achiral phosphoramides of diverse structure were prepd. from diamines by the coupling to phosphorus(V) or phosphorus(III) reagents. Several enantiopure 1,2-diphenyl-1,2-ethanediamine analogs have been prepd. by the reductive coupling of the corresponding N-silylimine with NbCl₄(THF)₂ and subsequent resolu. by the formation of diastereomeric menthyl carbamates. (S,S)-N,N'-Di-(1-naphthyl)-1,2-diphenyl-1,2-ethanediamine was prepd. by the arylation of (S,S)-1,2-diphenyl-1,2-ethanediamine with naphthyl iodide.
IT 220665-70-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclizatin with phosphoric dichloride in prepn. of phosphoramides for Lewis-acid catalyzed alkylation and aldol addns.)
RN 220665-70-3 CAPLUS
CN 1,2-Ethanediamine, N,N'-di-1-naphthalenyl-1,2-diphenyl-, (1S,2S)- (9CI)
(CA INDEX NAME)

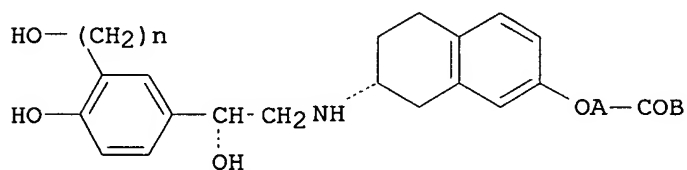
Absolute stereochemistry.



RE.CNT 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 67 OF 323 CAPLUS COPYRIGHT 2003 ACS
 AN 1999:136878 CAPLUS
 DN 130:196510
 TI Preparation of phenylethanolaminotetralin derivatives as bronchodilators
 IN Tamai, Tetsuro; Tanaka, Nobuyuki; Muranaka, Hideyuki; Kikuchi, Ken;
 Tsutsumi, Naoyuki; Akahane, Masuo
 PA Kissei Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9909001	A1	19990225	WO 1998-JP3545	19980810
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9885620	A1	19990308	AU 1998-85620	19980810
	ZA 9807425	A	19990222	ZA 1998-7425	19980818
PRAI	JP 1997-259233		19970819		
	WO 1998-JP3545		19980810		
OS	MARPAT 130:196510				
GI					



AB Phenylethanolaminotetralin derivs. represented by general formula (I) and pharmacol. acceptable salts thereof [wherein A represents lower alkylene; B represents amino, di(lower alkyl)amino or 3- to 7-membered alicyclic amino optionally contg. oxygen; n is an integer of 1 or 2] are prepd. They stimulate .beta.2-adrenaline receptors with very weak .beta.1-adrenaline receptor-stimulating activity (effect on heart), have potent and selective bronchodilating effects, and are highly useful as bronchodilators for the treatment and prevention of respiratory tract congestion and broncostenosis (bronchiostenosis). Thus, (-)-(R)-2-(2,2-dimethylbenzo[1,2-d]-1,3-dioxan-6-yl)-2-hydroxyacetic acid (prepn. given) was condensed with (R)-2-amino-7-hydroxytetralin hydrobromide using (benzotriazol-1-yloxy)tris(dimethylamino)phosphonium hexafluorophosphate and ET3N in DMF at room temp. for 14 h to give the hydroxyacetamide deriv. followed by redn. with boron-dimethylsulfide complex to the ethanolamine deriv. and N-alkylation with 2-bromo-N,N-dimethylacetamide to give the title compd. I (A-COB = CH₂CONMe₂, n = 1) (II). II in vitro showed EC₅₀ (50% relaxant activity

of

10/009,008

phosphocholine) of 2.5.times.10⁻¹⁰ M for relaxing the histamine-induced contraction of a strip-chain of rings prepd. from Hartley guinea pig air way.

IT 220639-93-0P 220639-94-1P 220639-95-2P
220639-96-3P 220639-97-4P 220639-98-5P
220639-99-6P 220640-00-6P 220640-01-7P
220640-02-8P

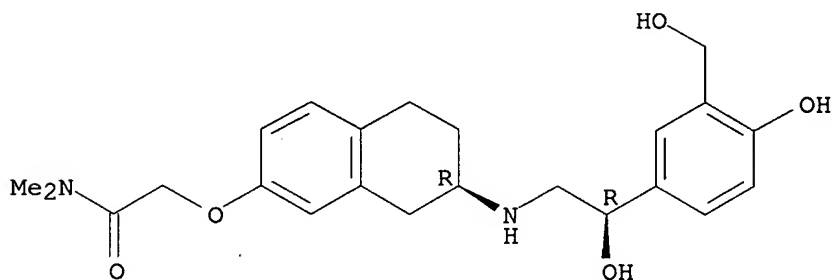
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylethanolaminotetralin derivs. as bronchodilators for treatment and prevention of respiratory tract congestion and bronchostenosis)

RN 220639-93-0 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-
(9CI)

(CA INDEX NAME)

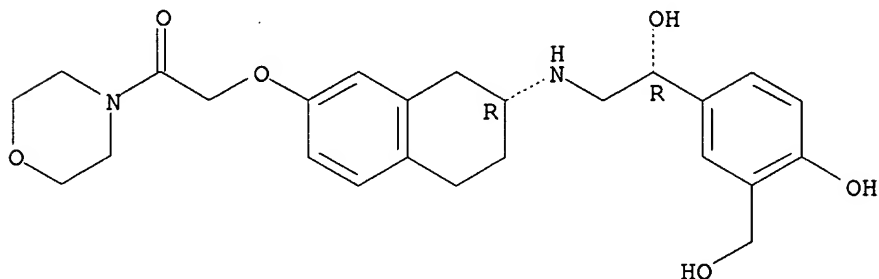
Absolute stereochemistry. Rotation (+).



RN 220639-94-1 CAPLUS

CN Morpholine,
4-[[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 220639-95-2 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-,

10/009,008

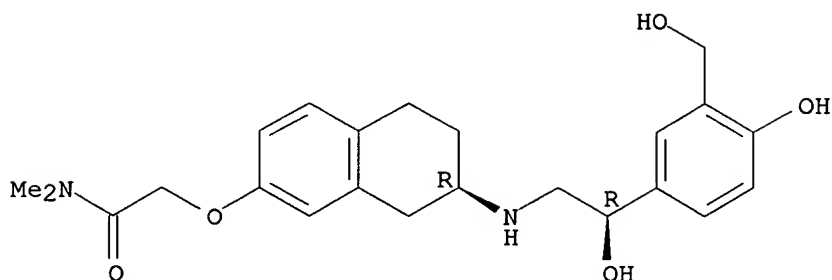
(2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220639-93-0

CMF C23 H30 N2 O5

Absolute stereochemistry. Rotation (+).

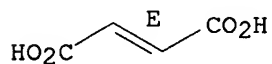


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 220639-96-3 CAPLUS

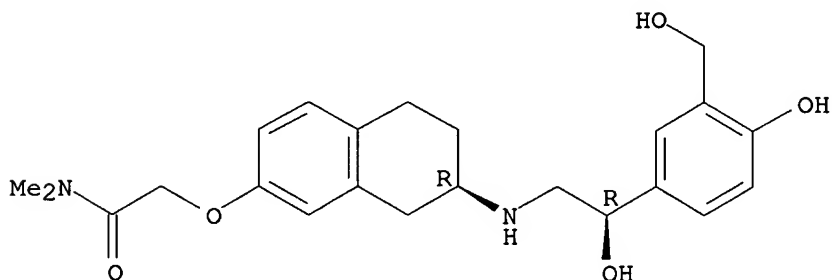
CN Acetamide, N,N-dimethyl-2-[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220639-93-0

CMF C23 H30 N2 O5

Absolute stereochemistry. Rotation (+).

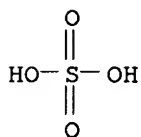


10/009,008

CM 2

CRN 7664-93-9

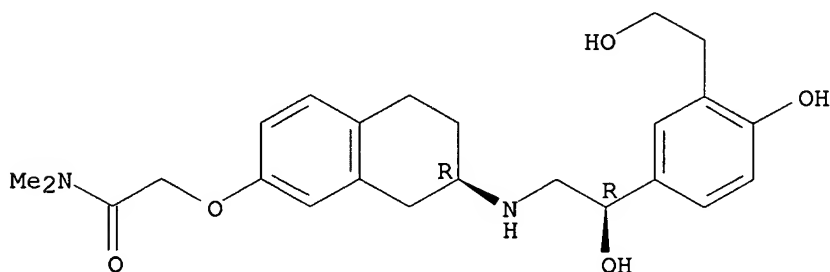
CMF H2 O4 S



RN 220639-97-4 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 220639-98-5 CAPLUS

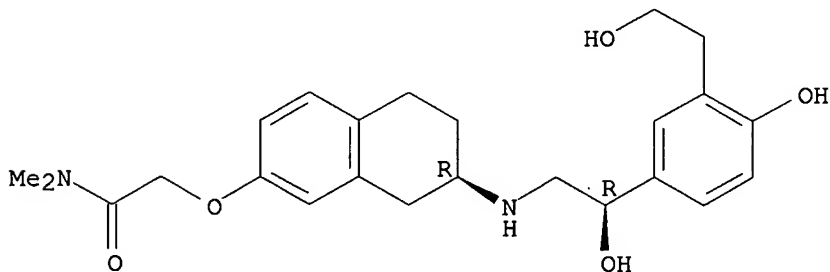
CN Acetamide, N,N-dimethyl-2-[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220639-97-4

CMF C24 H32 N2 O5

Absolute stereochemistry. Rotation (+).



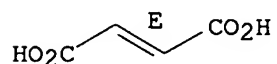
10/009,008

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 220639-99-6 CAPLUS

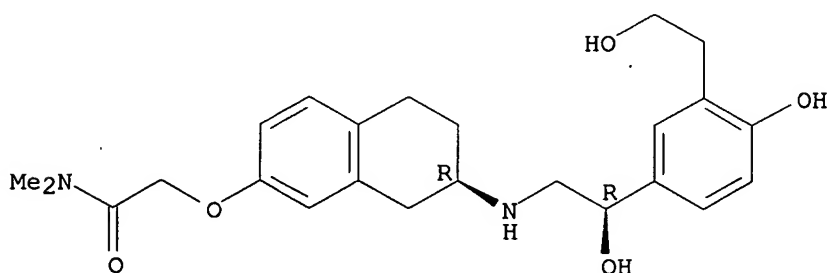
CN Acetamide, N,N-dimethyl-2-[[[(7R)-5,6,7,8-tetrahydro-7-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220639-97-4

CMF C24 H32 N2 O5

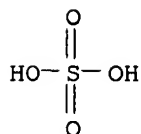
Absolute stereochemistry. Rotation (+).



CM 2

CRN 7664-93-9

CMF H2 O4 S

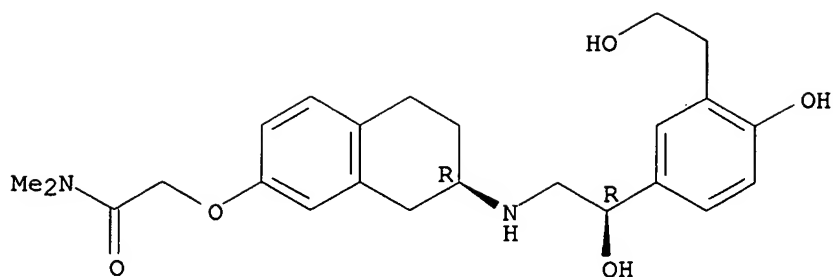


RN 220640-00-6 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[(7R)-5,6,7,8-tetrahydro-7-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10/009,008

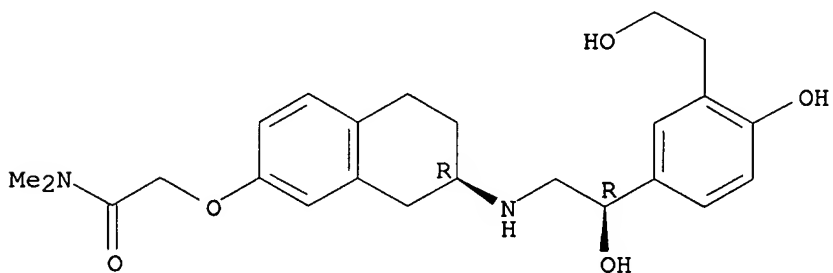


● HCl

RN 220640-01-7 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, monohydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HBr

RN 220640-02-8 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

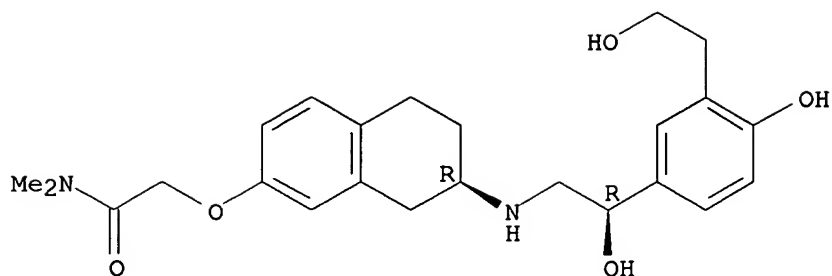
CM 1

CRN 220639-97-4

CMF C24 H32 N2 O5

Absolute stereochemistry. Rotation (+).

10/009,008

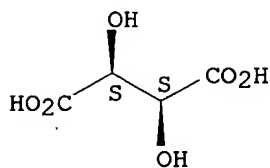


CM 2

CRN 147-71-7

CMF C4 H6 O6

Absolute stereochemistry.



IT 220640-04-0P 220640-05-1P 220640-09-5P

220708-38-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylethanolaminotetralin derivs. as bronchodilators for treatment and prevention of respiratory tract congestion and bronchostenosis)

RN 220640-04-0 CAPLUS

CN 4H-1,3-Benzodioxin-6-methanol,

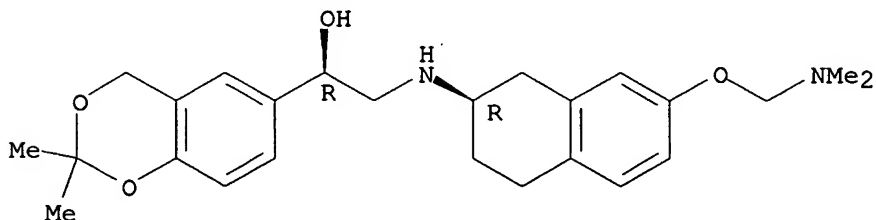
.alpha.-[[[(2R)-7-[(dimethylamino)methoxy]-

1,2,3,4-tetrahydro-2-naphthalenyl]amino]methyl]-2,2-dimethyl-,

(.alpha.R)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



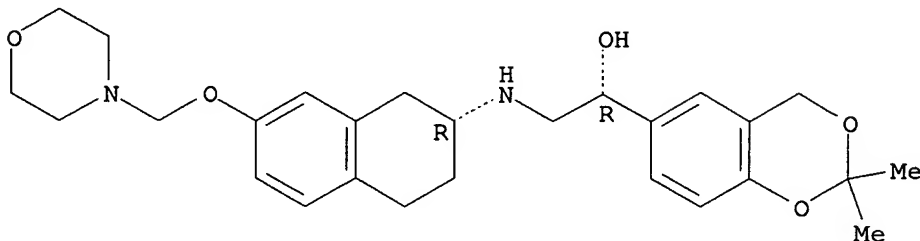
RN 220640-05-1 CAPLUS

CN 4H-1,3-Benzodioxin-6-methanol, 2,2-dimethyl-.alpha.-[[[(2R)-1,2,3,4-

10/009,008

tetrahydro-7-(4-morpholinylmethoxy)-2-naphthalenyl]amino]methyl]-,
(.alpha.R)- (9CI) (CA INDEX NAME)

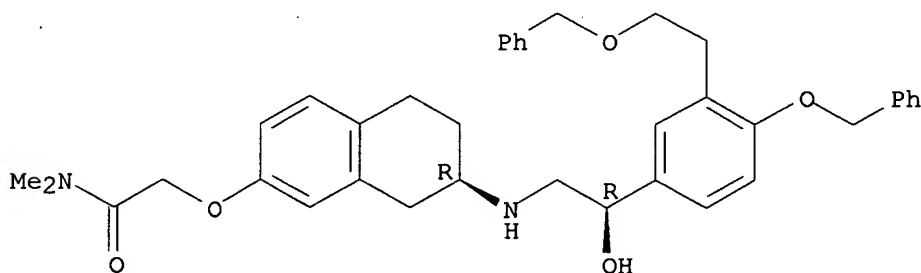
Absolute stereochemistry. Rotation (+).



RN 220640-09-5 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[(7R)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

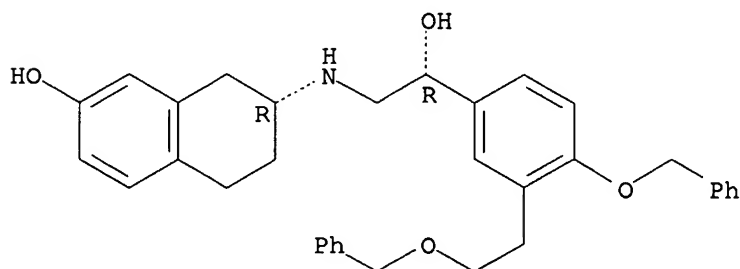
Absolute stereochemistry. Rotation (+).



RN 220708-38-3 CAPLUS

CN 2-Naphthalenol,
5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-(phenylmethoxy)-
3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-, (7R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (+).

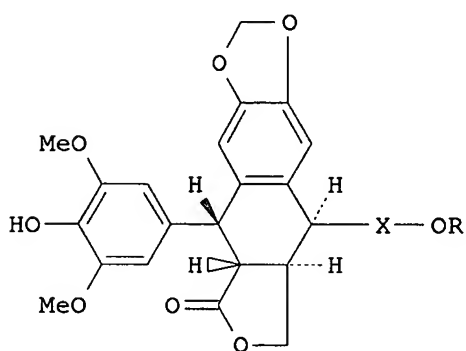


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

10/009,008

L4 ANSWER 68 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1999:98921 CAPLUS
DN 130:296539
TI Synthesis and anticancer activity of new derivatives of podophyllotoxin
AU Ying-Jie, Cui; Xuan, Tian
CS National Laboratory of Applied Organic Chemistry, Lanzhou University,
Lanzhou, 730 000, Peop. Rep. China
SO Current Science (1998), 75(12), 1383-1386
CODEN: CUSCAM; ISSN: 0011-3891
PB Current Science Association
DT Journal
LA English
GI



AB The podophyllotoxin amino acid derivs. I (X = Gly, Ala, Leu, Phe; R = CH₂Ph, H) were prepd. from 4.beta.-bromo-4-deoxy-4'-demethylepipodophyllotoxin and evaluated for their anticancer activity in vitro. All I showed inhibitory activity against L1210 and K562 cells. I are as potent or more potent than VP-16 in their inhibition of L1210 cells. The inhibitory activities I against K562 cells are less than that of VP-16.

IT **223428-28-2P**

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

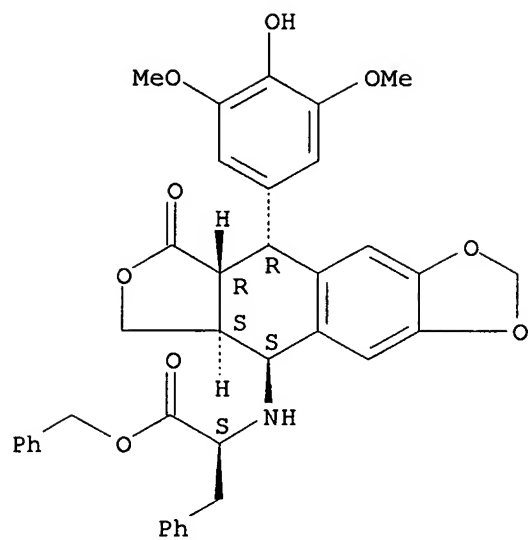
(prepn. and anticancer activity of podophyllotoxin amino acid derivs.)

RN 223428-28-2 CAPLUS

CN L-Phenylalanine, N-[(5S,5aS,8aR,9R)-5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10/009,008



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 69 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1999:66587 CAPLUS

DN 130:291906

TI Expression and possible functional role of the .beta.3-adrenoceptor in human and rat detrusor muscle

AU Fujimura, Takao; Tamura, Kouichi; Tsutsumi, Takeshi; Yamamoto, Takao; Nakamura, Keiko; Koibuchi, Yasushi; Kobayashi, Masakazu; Yamaguchi, Osamu

CS Fujisawa Research Institute of America, Inc., Evanston, IL, USA

SO Journal of Urology (Baltimore) (1999), 161(2), 680-685

CODEN: JOURAA; ISSN: 0022-5347

PB Lippincott Williams & Wilkins

DT Journal

LA English

AB Studies were carried out to investigate the presence of the .beta.3-adrenoceptor (.beta.3-AR) in human and rat detrusor muscle and the

usefulness of .beta.3-AR agonists as drugs for the treatment of urinary frequency. FK175, Et

[(S)-8-[(R)-2-(3-chlorophenyl)-2-hydroxyethylamino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yloxy]acetate monohydrochloride monohydrate, was used as a .beta.3-AR selective agonist. The expression of .beta.-AR subtypes (.beta.1-, .beta.2-, .beta.3-AR) mRNA was investigated in rat and human detrusor muscle by RT-PCR. .beta.3-AR agonist induced cAMP levels were measured in rat detrusor muscle strips. The relaxation response produced by a .beta.3-AR agonist was measured in

a KCl induced tonic contraction model in rat detrusor muscle strips. The effect of a .beta.3-AR agonist on urinary bladder function was investigated by cystometry using a conscious rat model of urinary frequency. .beta.3-AR mRNA was substantially expressed in both rat and human detrusor muscles. The .beta.3-AR agonist, FK175 (10⁻⁷ M),

increased

the cAMP level by 30% in rat detrusor muscle. In isolated rat detrusor muscle strips contracted with KCl, the .beta.3-AR agonist, FK175 (10⁻⁸ to 10⁻⁴ M), produced a concn.-dependent relaxation. Moreover, although the relaxation induced with FK175 was blocked by the non-selective .beta.-AR antagonist, bupranolol, it was unaffected by either the .beta.1-AR selective antagonist, CGP 20712A, or the .beta.2-AR selective antagonist, ICI 118551, suggesting that FK175 induced the relaxation via the .beta.3-AR. Furthermore, in the rat model, the orally administered .beta.3-AR agonist, FK175 (10 mg./kg.) significantly increased bladder capacity with no change of micturition pressure or threshold pressure. These results suggest that .beta.3-AR agonists may be effective in the treatment of urinary frequency.

IT 152357-12-5, FK 175

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES

(Uses)

(.beta.3-adrenoceptor agonist; .beta.3-adrenoceptor expression and functional role in human and rat detrusor muscle in relation to

urinary

frequency treatment)

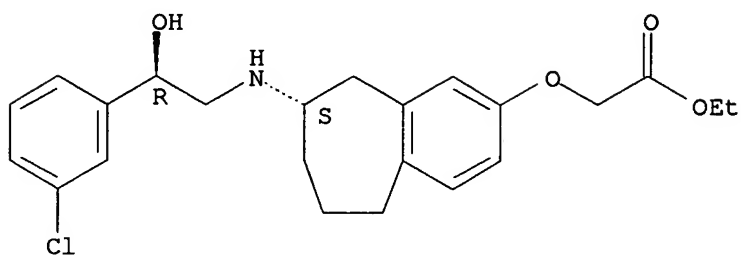
RN 152357-12-5 CAPLUS

CN Acetic acid, [[(8S)-8-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester,

10/009,008

hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



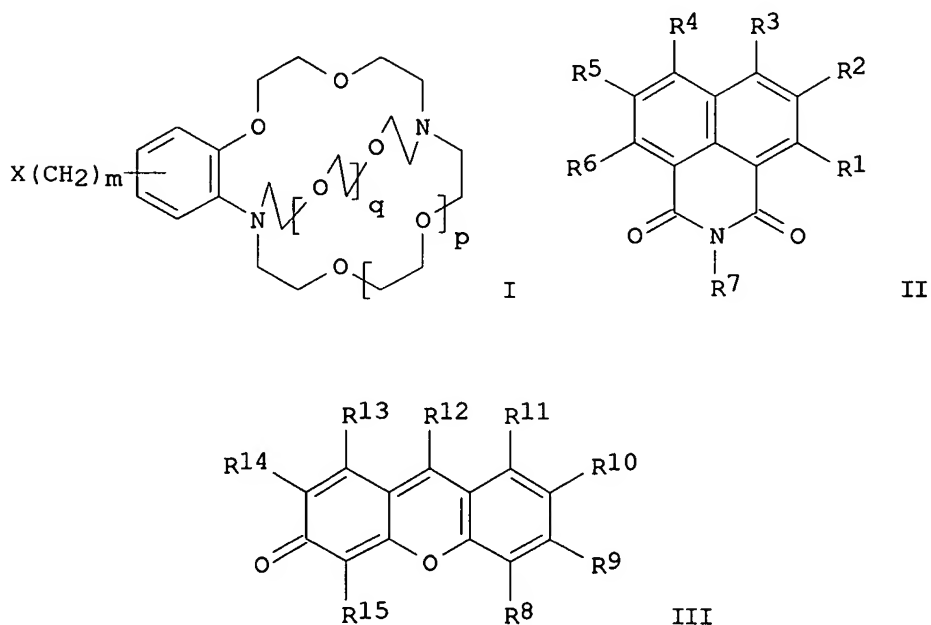
● HCl

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 70 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1998:779885 CAPLUS
DN 130:60373
TI Selective alkali metal ion determination with sensor incorporating
luminophor-ionophore interaction of diaza cryptands
IN Leiner, Marco Jean Pierre; He, Huarui; Boila-Gockel, Andrei
PA AVL Medical Instruments, Switz.
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 881488	A2	19981202	EP 1998-890165	19980528
	EP 881488	A3	19991229		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 9700930	A	19981215	AT 1997-930	19970530
	AT 405462	B	19990825		
	US 5952491	A	19990914	US 1998-85218	19980527
	US 6124135	A	20000926	US 1998-85807	19980527
	JP 10332591	A2	19981218	JP 1998-151737	19980601
	JP 11014545	A2	19990122	JP 1998-151738	19980601
PRAI	AT 1997-930	A	19970530		
OS	MARPAT 130:60373				
GI					



AB Alkali metal ions in a sample can be detd. in a sensor using the

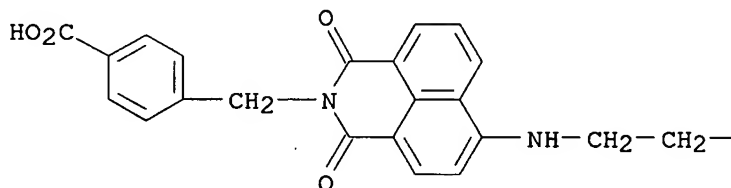
luminophor-ionophore interaction of a diaza cryptand of general formula I (X is the luminophor portion; $m = 0, 1$, or 2 ; p and q are independently $0, 1$, or 2). The luminophor portions are of general formulas II and III, in which one of R_1-6 is bound by an NH group to the rest of I, R_7 and the rest of R_1-6 are independently H, a lipophilic or hydrophilic group, or a reactive group coupled to a polymer matrix; one of R_8-15 is bound directly to I ($m = 0$) and the rest are chosen from OH, OR16 [R is a hydrophilic or a lipophilic group, or OR17-G (R17 is a hydrophilic or lipophilic group, and G is a reactive group for coupling to a polymer matrix, or $-(CH_2)_nCO_2H$ ($n = 0-17$))].

IT **216874-47-4P**
 RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
 (selective alkali metal ion detn. with sensor incorporating luminophor-ionophore interaction of diaza cryptands)

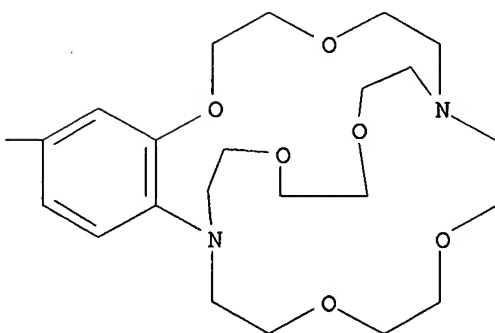
RN 216874-47-4 CAPLUS

CN Benzoic acid, 4-[[6-[[2-(2,3,5,6,8,9,11,12,14,15-decahydro-7,16-(ethanoxyethanoxyethano)-7H,16H-1,4,10,13,7,16-benzotetraoxadiazacyclooctadecin-19-yl)ethyl]amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



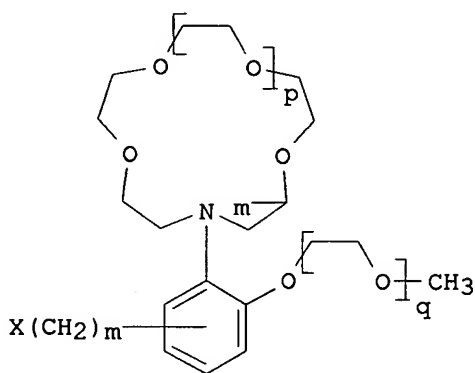
PAGE 1-B



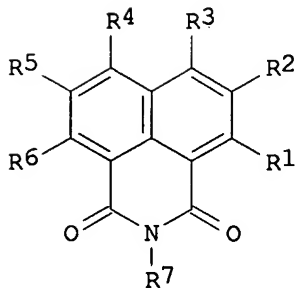
10/009,008

L4 ANSWER 71 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1998:779884 CAPLUS
DN 130:46837
TI selective alkali metal ion determination with sensor incorporating
luminophor-ionophor interaction of monoaza crown ethers
IN Leiner, Marco Jean Pierre; He, Huarui; Boila-Gockel, Andrei
PA AVL Medical Instruments, Switz.
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

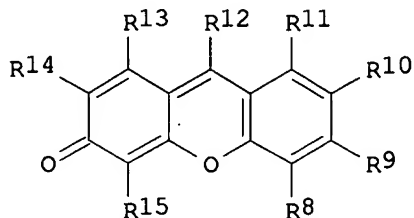
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 881487	A2	19981202	EP 1998-890164	19980428
	EP 881487	A3	19991229		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 9700930	A	19981215	AT 1997-930	19970530
	AT 405462	B	19990825		
	US 5952491	A	19990914	US 1998-85218	19980527
	US 6124135	A	20000926	US 1998-85807	19980527
	JP 10332591	A2	19981218	JP 1998-151737	19980601
	JP 11014545	A2	19990122	JP 1998-151738	19980601
PRAI	AT 1997-930	A	19970530		
OS	MARPAT 130:46837				
GI					



I



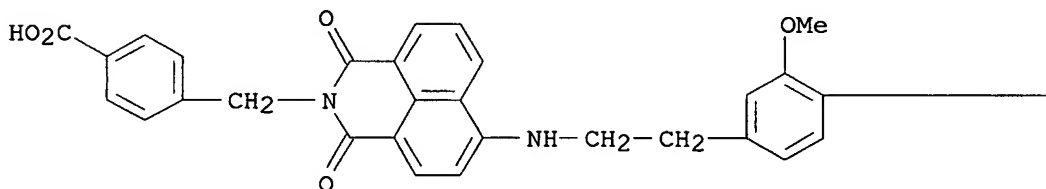
II



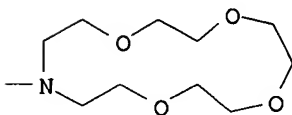
III

- AB Alkali metal ions in a sample can be detd. in a sensor using the luminophor-ionophor interaction of a monoaza crown ether of general formula I (X is the luminophor portion; $m = 0, 1$, or 2 ; p and q are independently $0, 1$, or 2). The luminophor portions are of general formulas II and III, in which one of R1-6 is bound by NH groups to the rest of I, R7 and the rest of R1-6 are independently H, a lipophilic or hydrophilic group or a reactive group coupled to a polymer substrate; one of R8-15 are bound directly to I ($m = 0$) and the rest are OH, OR16 [R is
- a hydrophilic or a lipophilic group, or O-R17-G (R17 is a hydrophilic or lipophilic group, and G is a reactive group for coupling to a polymer substrate, or $(CH_2)_nCO_2H$ ($n = 0-17$))]. Na^+ is detd. using a sensor incorporating I ($p = 1, q = 0$); K^+ is detd. using I ($p = 1, q = 2$).
- IT **216852-17-4P**
 RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
 (selective alkali metal ion detn. with sensor incorporating luminophor-ionophor interaction of monoaza crown ethers)
- RN 216852-17-4 CAPLUS
- CN Benzoic acid, 4-[[6-[[2-[3-methoxy-4-(1,4,7,10-tetraoxa-13-azacyclopentadec-13-yl)phenyl]ethyl]amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

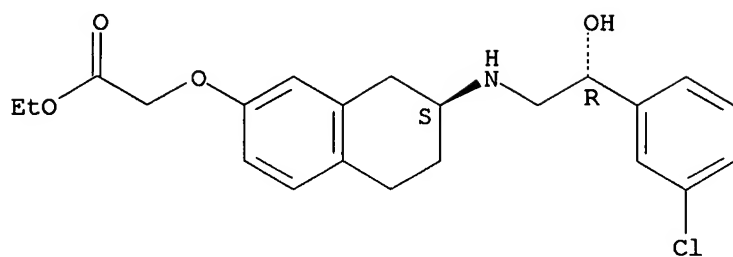


L4 ANSWER 72 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1998:743877 CAPLUS
DN 130:119495
TI Beta-3 adrenergic receptor agonists cause an increase in gastrointestinal transit time in wild-type mice, but not in mice lacking the beta-3 adrenergic receptor
AU Fletcher, Daniel S.; Candelore, Mari Rios; Grujic, Danica; Lowell, Bradford B.; Luell, Silvi; Susulic, Vedrana S.; Macintyre, D. Euan
CS Department of Pharmacology, Merck and Co., Rahway, NJ, USA
SO Journal of Pharmacology and Experimental Therapeutics (1998), 287(2), 720-724
CODEN: JPETAB; ISSN: 0022-3565
PB Lippencott Williams & Wilkins
DT Journal
LA English
AB The effects of beta-3 adrenergic receptor (.beta.3-AR) agonists on gastrointestinal (GI) motility, as reported by stomach retention and intestinal transit of radiolabeled charcoal, were compared in wild-type (WT) mice and in transgenic mice lacking .beta.3-AR (.beta.3-AR[KO]) or having .beta.3-AR in white and brown adipose tissue only (.beta.3-AR[WAT + BAT]). After s.c. administration of 3 mg/kg of the selective, rodent specific .beta.3-AR agonists BRL 35135, CL 316,243 or ICI 198,157, WT mice exhibited a significant decrease in the extent of movement of radiotracer through the stomach and intestines, indicative of decreased GI motility. These compds. also caused an increase in plasma glycerol levels in the WT mice, suggesting that increased lipolysis in adipose tissue had been evoked. None of these compds. had an effect on GI motility or evoked lipolysis in the .beta.3-AR[KO] mice. Treatment of WT mice with SR 58611A, a .beta.3-AR agonist that exhibited a relatively lower affinity for rodent .beta.3-AR in vitro, did not affect GI motility or plasma glycerol levels in WT or .beta.3-AR[KO] mice when administered s.c. at 3 mg/kg. Clonidine, an alpha-2 adrenergic receptor agonist, used as a pos. control in these GI studies, caused a decrease in GI motility in both WT and .beta.3-AR[KO] mice. These results are consistent with a postulated role for .beta.3-AR in regulation of GI motility in the mouse. However, treatment of .beta.3-AR[WAT + BAT] mice with 3 mg/kg BRL 35135 resulted in elevated plasma glycerol levels, as well as increased stomach retention and decreased intestinal transit of radiotracer. These results suggest that this .beta.3-AR agonist may exert its effects on the GI tract indirectly, through an unknown signaling mechanism activated by agonism of .beta.3-AR in adipose tissue.
IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(beta-3 adrenergic receptor agonists cause increase in gastrointestinal transit time in wild-type mice but not in mice lacking beta-3 adrenergic receptor in relation to effect of lipolysis by adipose tissue)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)

10/009,008

(CA INDEX NAME)

Absolute stereochemistry.



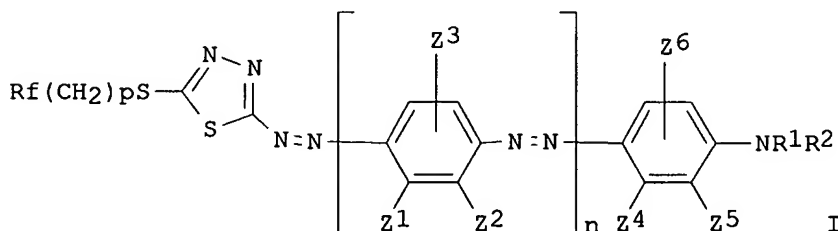
● HCl

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 73 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1998:576635 CAPLUS
DN 129:252573
TI Fluorine-containing azo dichroic dye, liquid-crystal composition
containing it, and liquid-crystal component using it
IN Kaneko, Masaharu; Ishio, Hisayo
PA Mitsubishi Chemical Industries Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10231436	A2	19980902	JP 1997-51113	19970220
PRAI	JP 1997-51113		19970220		
OS	MARPAT 129:252573				
GI					



AB The claimed F-contg. azo dichroic dye is shown as I [R_f = alkyl substituted with .gtoreq.3 F; R_1 , R_2 = H, alkyl, alkoxyalkyl, alkyl substituted with .gtoreq.3 F, (substituted) aralkyl, (substituted) cycloalkyl; R_1 and R_2 , R_1 and Z_6 , and/or R_2 and Z_6 may form N-contg. aliph. ring; Z_1-6 = H, halo, Me, MeO; Z_1 and Z_2 and/or Z_4 and Z_5 may form aliph., arom., or N-contg. arom. ring; $n = 0-2$; $p = 1, 2$]. The liq.-crystal compn. contains I. The liq.-crystal component contg. the above compn. is also claimed. The dye shows high dichroism and gives liq.-crystal components for red-blue images with improved durability in repeated use.

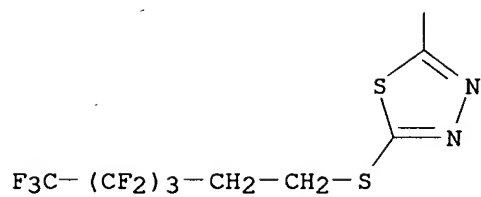
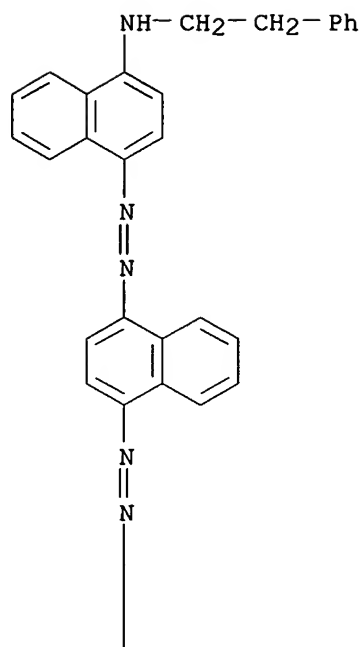
IT 212482-64-9

RL: DEV (Device component use); TEM (Technical or engineered material use); USES (Uses)

(F-contg. azo dichroic dye for liq.-crystal displays giving high-contrast red-blue image)

RN 212482-64-9 CAPLUS

CN 1-Naphthalenamine, 4-[[4-[[5-[(3,3,4,4,5,5,6,6,6-nonafluorohexyl)thio]-1,3,4-thiadiazol-2-yl]azo]-1-naphthalenyl]azo]-N-(2-phenylethyl)- (9CI)
(CA INDEX NAME)

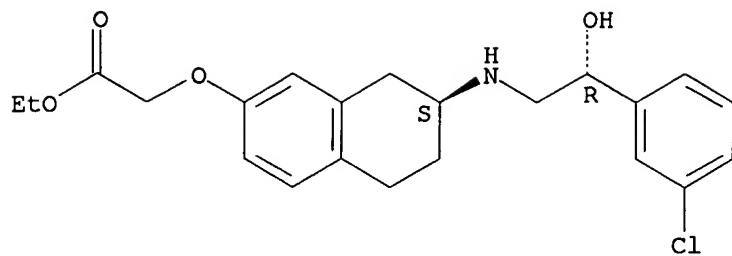


10/009,008

L4 ANSWER 74 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1998:557719 CAPLUS
DN 129:270414
TI Effects of .beta.3-adrenoceptor agonist SR 58611A on gastric acid
secretion and histamine release in the dog: comparison with ritodrine
AU Bertini, Simone; Coruzzi, Gabriella; Intorre, Luigi; Soldani, Giulio
CS Laboratory of Pharmacology, Faculty of Veterinary Medicine, University of
Pisa, Pisa, I-56124, Italy
SO General Pharmacology (1998), 31(4), 625-631
CODEN: GEPHDP; ISSN: 0306-3623
PB Elsevier Science Inc.
DT Journal
LA English
AB The involvement of .beta.3 adrenoceptors in the control of gastric acid
secretion and histamine release was investigated in the dog. In
conscious
dogs, SR 58611A (0.0625-1.0 mg/kg/h IV) dose dependently inhibited
gastric
acid secretion induced by pentagastrin. Maximal inhibition (40%) was
obtained with the dose of 1 mg/kg. Ritodrine (1 mg/kg/h IV) also induced
a marked inhibition (85%) of gastric acid secretion stimulated by
pentagastrin. On 2-deoxy-d-glucose-stimulated acid secretion, both SR
58611A and ritodrine at 1 mg/kg/h IV showed inhibitory effects. On these
expts., ritodrine, but not SR 58611A, significantly reduced plasma
gastrin
concns. In anesthetized dogs, histamine concns. from gastrosplenic vein
increased fivefold after the infusion of pentagastrin. SR 58611A (1
mg/kg/h IV) did not significantly modify the stimulant effect of
pentagastrin on histamine release. In contrast, ritodrine (1 mg/kg/h IV)
significantly inhibited histamine release induced by pentagastrin. These
data suggest that .beta.3 adrenoceptors may participate in the neg.
control of gastric acid secretion in the dog, probably through a
histamine-independent mechanism.
IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); BIOL (Biological study)
(.beta.3-adrenoceptor agonist SR 58611A effects on gastric acid
secretion and histamine release in the dog in comparison with
ritodrine)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/009,008

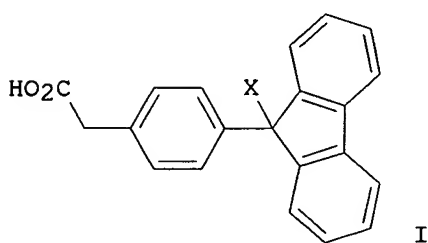


● HCl

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 75 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1998:396800 CAPLUS
DN 129:161834
TI PhFl acetic acid: a new linker for solid phase organic synthesis
AU Bleicher, Konrad H.; Wareing, James R.
CS Metabolic and Cardiovascular Diseases/Combinatorial Chemistry Novartis
Pharmaceuticals Corporation, East Hanover, NJ, 07936, USA
SO Tetrahedron Letters (1998), 39(26), 4591-4594
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
GI



AB A 9-phenylfluoren-9-yl based linker (I; X = OH) for the immobilization of nitrogen and oxygen nucleophiles is described. Improved acid stability compared to the common trityl linker is demonstrated by a quant. method for anal. of loading. This new linker is used for the synthesis of a peptide alc. in the "inverse" direction via redn. of the corresponding N-linked peptide Me ester. Several other nucleophiles are immobilized and

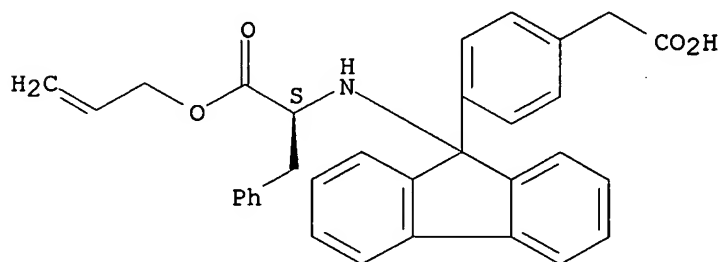
further modified. TFA treatment releases the corresponding products in high purity.

IT **211053-95-1DP**, amides with amino resins
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (fluorenylphenyl)acetic acid linker for solid-phase org. synthesis)

RN 211053-95-1 CAPLUS

CN L-Phenylalanine, N-[9-[4-(carboxymethyl)phenyl]-9H-fluoren-9-yl]-, .alpha.-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

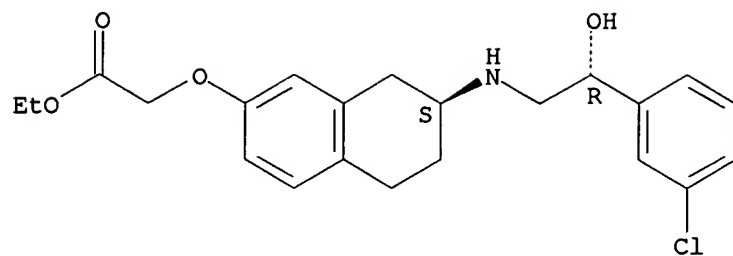
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/009,008

L4 ANSWER 76 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1998:306016 CAPLUS
DN 129:76216
TI Influence of .beta.-adrenoceptor agonists on the pulmonary circulation.
Effects of a .beta.3-adrenoceptor antagonist, SR 59230A
AU Dumas, Monique; Dumas, Jean-Paul; Bardou, Marc; Rochette, Luc; Advenier,
Charles; Giudicelli, Jean-Francois
CS Laboratoire de Physiopathologie et de Pharmacologie Cardiovasculaires
Experimentales, Faculte de Medecine, Dijon, 21000, Fr.
SO European Journal of Pharmacology (1998), 348(2/3), 223-228
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier Science B.V.
DT Journal
LA English
AB The aims of this study were (a) to compare in the rat isolated perfused
lung prepn., the effects of isoprenaline and of three .beta.3-
adrenoceptors agonists, SR 59104A, [N-[[6-hydroxy-1,2,3,4-
tetrahydronaphthalen-(2R)-2yl]methyl]-(2R)-2-hydroxy-2-(3-
chlorophenyl)ethanamine-HCl], SR 59119A [N-[[7-methoxy-1,2,3,4-
tetrahydronaphthalen-(2R)-2yl]methyl]-(2R)-2-hydroxy-2-(3-
chlorophenyl)ethanamine-HCl] and SR 58611A [ethyl [(7S)-7-[(2R)-2-(3-
chlorophenyl)-2-hydroxyethylamino]-5,6,7,8-tetrahydronaphthalen-2-
yloxy]acetate-HCl] on hypoxia-induced pulmonary vasoconstriction, and (b)
in to investigate the potential existence of atypical .beta.-adrenoceptors
these effects. Propranolol (0.1 .mu.M) was used to antagonize .beta.1-
and .beta.2-adrenoceptors whereas SR 59230A, 3-(2-ethylphenoxy)-1-[(1S)-
1,2,3,4-tetrahydronaphth-1-ylamino]-(2S)-2-propanol oxalate) (0.3 .mu.M)
was used to block .beta.3-adrenoceptors. Isoprenaline and the three
.beta.3-adrenoceptors agonists caused concn.-dependent relaxations during
the pulmonary pressure response. Propranolol and SR 59230A inhibited the
relaxant effects of isoprenaline. SR 59230A but not propranolol
inhibited those of SR 59104A. Finally, propranolol and SR 59230A failed to oppose
SR 59119A- and SR 58611A-induced relaxant effects. In concns. .gtoreq.1
.mu.M, SR 59230A caused per se a relaxation of the hypoxic
vasoconstricted lung. These results suggest the existence of atypical
.beta.-adrenoceptors in the rat pulmonary vessels.
IT 121524-09-2, SR58611A
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); BIOL (Biological study)
(.beta.-adrenoceptor agonists and .beta.3-adrenoceptor antagonist SR
59230A effect on pulmonary circulation)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 77 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1998:122129 CAPLUS

DN 128:239539

TI Validity of (-)-[3H]-CGP 12177A as a radioligand for the "putative .beta.4-adrenoceptor" in rat atrium

AU Sarsero, Doreen; Molenaar, Peter; Kaumann, Alberto J.

CS Department of Pharmacology, University of Melbourne, Parkville, 3052, Australia

SO British Journal of Pharmacology (1998), 123(3), 371-380

CODEN: BJPCBM; ISSN: 0007-1188

PB Stockton Press

DT Journal

LA English

AB We have recently suggested the existence in the heart of a "putative .beta.4-adrenoceptor" based on the cardiostimulant effects of non-conventional partial agonists, compds. that cause cardiostimulant effects at greater concns. than those required to block .beta.1- and .beta.2-adrenoceptors. We sought to obtain further evidence by establishing and validating a radioligand binding assay for this receptor with (-)-[3H]-CGP 12177A ((-)-4-(3-tertiarybutylamino-2-hydroxypropoxy) benzimidazol-2-one) in rat atrium. We investigated (-)-[3H]-CGP 12177A for this purpose for two reasons, because it is a non-conventional

partial

agonist and also because it is a hydrophilic radioligand. Increasing concns. of (-)-[3H]-CGP 12177A, in the absence or presence of 20 .mu.M (-)-CGP 12177A to define non-specific binding, resulted in a biphasic satn. isotherm. Low concns. bound to .beta.1- and .beta.2-adrenoceptors (pKD 9.4.+-.0.1, Bmax 26.9.+-.3.1 fmol mg-1 protein) and higher concns. bound to the "putative .beta.4-adrenoceptor" (pKD 7.5.+-.0.1, Bmax 47.7.+-.4.9 fmol mg-1 protein). In other expts. designed to exclude .beta.1- and .beta.2-adrenoceptors, (-)-[3H]-CGP 12177A (1-200 nM)

binding

in the presence of 500 nM (-)-propranolol was also saturable (pKD 7.6.+-.0.1, Bmax 50.8.+-.7.4 fmol mg-1 protein). The non-conventional partial agonists (-)-CGP 12177A (pKi 7.3.+-.0.2), (+-)-cyanopindolol (pKi 7.6.+-.0.2), (-)-pindolol (pKi 6.6.+-.0.1) and (+-)-carazolol (pKi 7.2.+-.0.2) and the antagonist (-)-bupranolol (pKi 6.6.+-.0.2), all competed for (-)-[3H]-CGP 12177A binding in the presence of 500 nM (-)-propranolol at the "putative .beta.4-adrenoceptor", with affinities closely similar to potencies and affinities detd. in organ bath studies. The catecholamines competed with (-)-[3H]-CGP 12177A at the "putative .beta.4-adrenoceptor" in a stereoselective manner, (-)-noradrenaline

(pKiH

6.3.+-.0.3, pKiL 3.5.+-.0.1), (-)-adrenaline (pKiH 6.5.+-.0.2, pKiL 2.9.+-.0.1), (-)-isoprenaline (pKiH 6.2.+-.0.5, pKiL 3.4.+-.0.1), (+)-isoprenaline (pKi<1.7), (-)-RO363 ((-)-(1-(3,4-dimethoxyphenethylamino)-3-(3,4-dihydroxyphenoxy)-2-propanol)oxalate,

pKi

5.5.+-.0.1). The inclusion of guanosine 5-triphosphate (GTP 0.1 mM) had no effect on binding of (-)-CGP 12177A or (-)-isoprenaline to the "putative .beta.4-adrenoceptor". In competition binding studies, (-)-CGP 12177A competed with (-)-[3H]-CGP 12177A for one receptor state in the absence (pKi 7.3.+-.0.2) or presence of GTP (pKi 7.3.+-.0.2). (-)-Isoprenaline competed with (-)-[3H]-CGP 12177A for two states in the absence (pKiH 6.6.+-.0.3, pKiL 3.5.+-.0.1; % H 25.+-.7) or presence of

GTP

(pKiH 6.2.+-.0.5, pKiL 3.4.+-.0.1; % H 37.+-.6). In contrast, at

.beta.1-adrenoceptors, GTP stabilized the low affinity state of the receptor for (-)-isoprenaline. The specificity of binding to the "putative .beta.4-adrenoceptor" was tested with compds. active at other receptors. High concns. of the .beta.3-adrenoceptor agonists, BRL 37344 ((RR +

SS) [4-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]phenoxy]acetic acid, 6 .mu.M), SR 58611A (ethyl{(7S)-7-[(2R)-2-(3-chlorophenyl)-2-hydroxyethylamino]-5,6,7,8-tetrahydronaphthyl-2-yloxy} acetate hydrochloride, 6 .mu.M), ZD 2079 ((.+-.)-1-phenyl-2-(2-4-carboxymethylphenoxy)-ethylamino)-ethan-1-ol, 60 .mu.M, CL 316243 (disodium

(R,R)-5-[2-[2-(3-chlorophenyl)-2-hydroxyethyl-amino]propyl]-1,3-benzodioxole-2,2-dicarboxylate, 60 .mu.M) and antagonist SR 59230A (3-(2-ethylphenoxy)-1-[(1S)-1,2,3,4-tetrahydronaphth-1-ylamino]-2S-2-propanol oxalate, 6 .mu.M) caused less than 22% inhibition of

(-)-[3H]-CGP 12177A binding in the presence of 500 nM (-)-propranolol. Histamine (1 mM), atropine (1 .mu.M), phentolamine (10 .mu.M), 5-HT (100 .mu.M) and the

5-HT4 receptor antagonist SB 207710

((1-butyl-4-piperidinyl)-Me-8-amino-7-iodo-1,4-benzodioxan-5-carboxylate, 10 nM) caused less than 26% inhibition

of binding. Non-conventional partial agonists, the antagonist (-)-bupranolol and catecholamines all competed for (-)-[3H]-CGP 12177A binding in the absence of (-)-propranolol at .beta.1-adrenoceptors, with affinities (pKi) ranging from 1.6-3.6 log orders greater than at the "putative .beta.4-adrenoceptor". We have established and validated a radioligand binding assay in rat atrium for the "putative .beta.4-adrenoceptor" which is distinct from .beta.1-, .beta.2- and .beta.3-adrenoceptors. The stereoselective interaction with the catecholamines provides further support for the classification of the receptor as "putative .beta.4-adrenoceptor".

IT 121524-09-2, SR 58611A

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

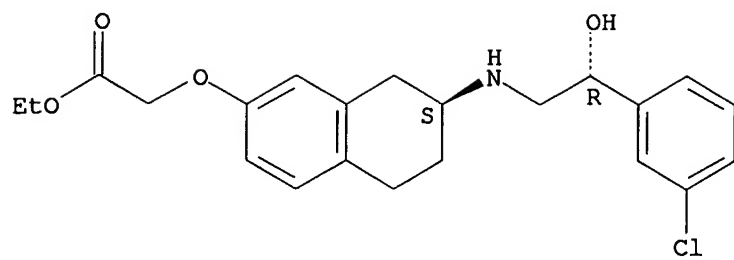
(validity of (-)-[3H]-CGP 12177A as a radioligand for putative .beta.4-adrenoceptor in rat atrium in relation to competitive binding assays with other agonists and antagonists)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

10/009,008

L4 ANSWER 78 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1998:119593 CAPLUS

DN 128:212673

TI Comparative Binding Energy Analysis of HIV-1 Protease Inhibitors: Incorporation of Solvent Effects and Validation as a Powerful Tool in Receptor-Based Drug Design

AU Perez, Carlos; Pastor, Manuel; Ortiz, Angel R.; Gago, Federico

CS Departamento de Farmacologia, Universidad de Alcala, E-28871, Spain

SO Journal of Medicinal Chemistry (1998), 41(6), 836-852

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A comparative binding energy (COMBINE) anal. was performed on a training set of 33 HIV-1 protease inhibitors, and the resulting regression models were validated using an addnl. external set of 16 inhibitors. This data set was originally reported by Holloway et al. (1995), who showed the usefulness of mol. mechanics interaction energies for predicting the activity of novel HIV-1 protease inhibitors within the framework of the MM2X force field and linear regression techniques. The authors first

used

the AMBER force field on the same set of 3-dimensional structures to

check

up on any possible force-field dependencies. In agreement with the previous findings, the calcd. raw ligand-receptor interaction energies were highly correlated with the inhibitory activities ($r^2 = 0.81$), and

the

linear regression model relating both magnitudes had an acceptable predictive ability both in internal validation tests ($q^2 = 0.79$, $SDEP_{cv} = 0.61$) and when applied to the external set of 16 different inhibitors ($SDEP_{ex} = 1.08$). When the interaction energies were further analyzed using the COMBINE formalism, the resulting PLS model showed improved fitting properties ($r^2 = 0.89$) and provided better estns. for the

activity

of the compds. in the external data set ($SDEP_{ex} = 0.83$). Computation of the electrostatic part of the ligand-receptor interactions by numerically solving the Poisson-Boltzmann equation did not improve the quality of the linear regression model. On the contrary, incorporation of the solvent-screened residue-based electrostatic interactions and 2 addnl. descriptors representing the electrostatic energy contributions to the partial desolvation of both the ligands and the receptor resulted in a COMBINE model that achieved a remarkable predictive ability, as assessed by both internal ($q^2 = 0.73$, $SDEP_{cv} = 0.69$) and external validation tests ($SDEP_{ex} = 0.59$). Finally, when all the inhibitors studied were merged into a single expanded set, a new model was obtained that explained 91%

of

the variance in biol. activity ($r^2 = 0.91$), with very high predictive ability ($q^2 = 0.81$, $SDEP_{cv} = 0.66$). In addn., the COMBINE anal. provided valuable information about the relative importance of the contributions

to

the activity of individual residues that can be fruitfully used to design better inhibitors. All in all, COMBINE anal. is validated as a powerful methodol. for predicting binding affinities and pharmacol. activities of congeneric ligands that bind to a common receptor.

IT 161458-51-1

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

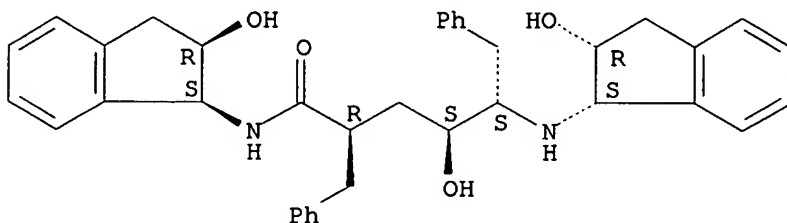
10/009,008

study, unclassified); PRP (Properties); BIOL (Biological study)
(solvent effects on comparative binding energy anal. of HIV protease
inhibitors in receptor-based drug design)

RN 161458-51-1 CAPLUS

CN Benzenehexanamide, N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-.delta.-[(2,3-
dihydro-2-hydroxy-1H-inden-1-yl)amino]-.gamma.-hydroxy-.alpha.-
(phenylmethyl)-,
[1S-[1.alpha.[N(1R*,2S*),.alpha.S*,.gamma.R*,.delta.R*],2
.alpha.]]- (9CI) (CA INDEX NAME)

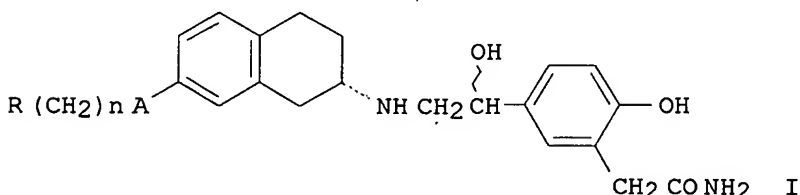
Absolute stereochemistry.



10/009,008

L4 ANSWER 79 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1998:8639 CAPLUS
DN 128:88683
TI Preparation of (carbamoylphenyl)ethanolaminotetralins as agonists of
.beta.2-adrenaline receptor
IN Kitasawa, Makio; Okazaki, Kousuke; Tamai, Tetsuo; Saito, Masaru; Tanaka,
Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Takeshi; Muranaka, Hideyuki
PA Kissei Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09328459	A2	19971222	JP 1996-183946	19960610
PRAI	JP 1996-183946		19960610		
OS	MARPAT 128:88683				
GI					



AB Title compds. S- and/or R-I [A = O, CH₂; n = 1-6; R = HOCH₂, CO₂H, (lower dialkyl)carbamoyl, lower alkoxycarbonyl] and their pharmaceutically acceptable salts are prepd. Et 2-[(2S)-2-[[2-(4-benzyloxy-3-

carbamoylmethylphenyl)-2-hydroxyethyl]amino]-1,2,3,4-tetrahydronaphthalen-7-yloxy]acetate acetic acid salt (180 mg) was treated with Pd/C in EtOH at

room temp. under H₂ for 3 h to give 90 mg (RS)-I (A = O, n = 1, R = CO₂Et) acetic acid salts (II). II in vitro showed EC₅₀ of 1.8.times.10⁻⁸ M for relaxation of contracted rat uterine smooth muscle.

IT 201048-11-5P 201048-12-6P 201048-13-7P

201048-14-8P 201048-15-9P 201048-16-0P

201048-17-1P 201048-18-2P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylethanolaminotetralins as agonists of adrenaline receptor)

RN 201048-11-5 CAPLUS

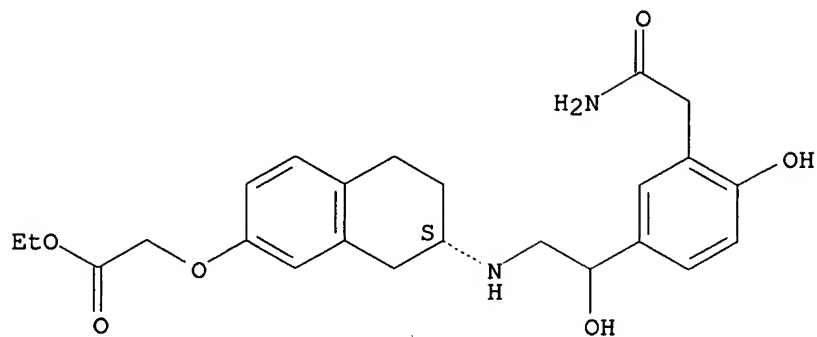
CN Acetic acid, [[7-[[2-[3-(2-amino-2-oxoethyl)-4-hydroxyphenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, (7S)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

10/009,008

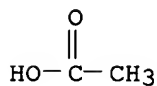
CRN 201048-10-4
CMF C24 H30 N2 O6

Absolute stereochemistry.



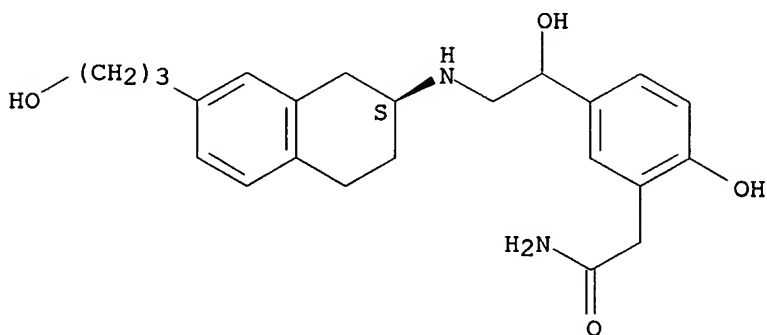
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 201048-12-6 CAPLUS
CN Benzeneacetamide, 2-hydroxy-5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-(3-hydroxypropyl)-2-naphthalenyl]amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

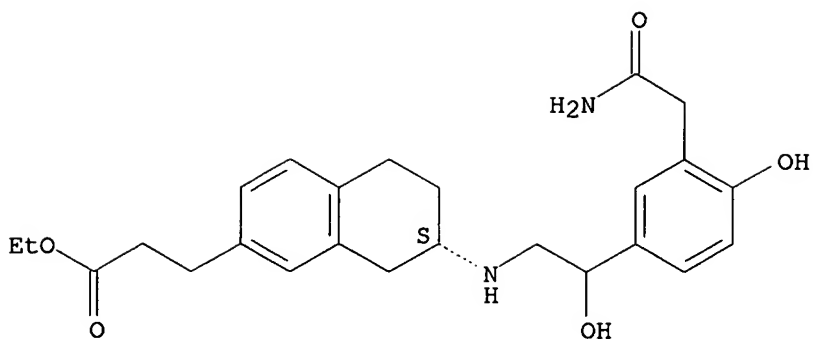
Absolute stereochemistry.



RN 201048-13-7 CAPLUS
CN 2-Naphthalenepropanoic acid, 7-[[2-[3-(2-amino-2-oxoethyl)-4-hydroxyphenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

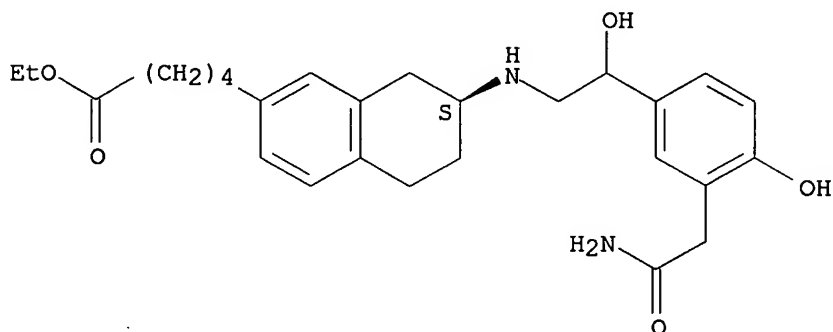
10/009,008



RN 201048-14-8 CAPLUS

CN 2-Naphthalenepentanoic acid, 7-[[2-[3-(2-amino-2-oxoethyl)-4-hydroxyphenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

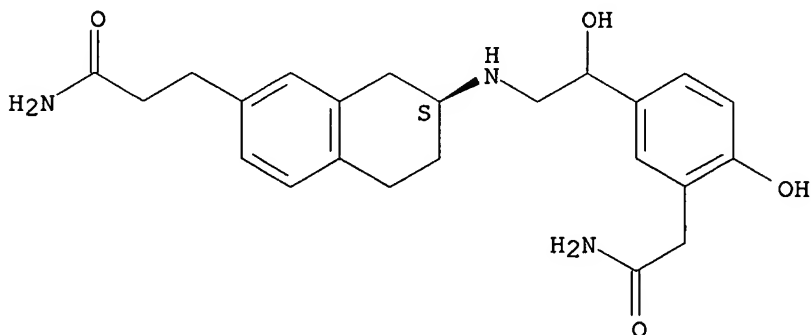
Absolute stereochemistry.



RN 201048-15-9 CAPLUS

CN 2-Naphthalenepropanamide, 7-[[2-[3-(2-amino-2-oxoethyl)-4-hydroxyphenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

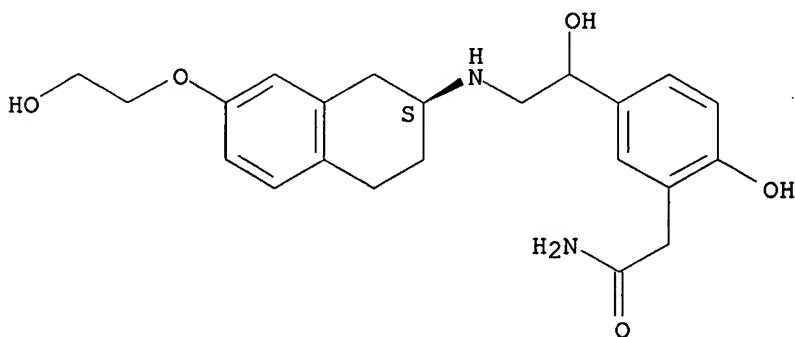


10/009,008

RN 201048-16-0 CAPLUS

CN Benzeneacetamide, 2-hydroxy-5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-(2-hydroxyethoxy)-2-naphthalenyl]amino]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

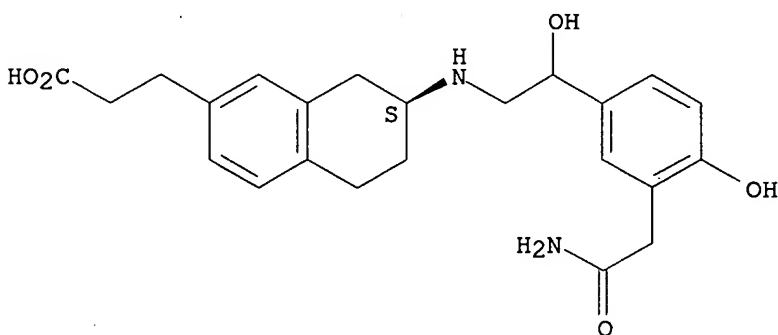
Absolute stereochemistry.



RN 201048-17-1 CAPLUS

CN 2-Naphthalenepropanoic acid, 7-[[2-[3-(2-amino-2-oxoethyl)-4-hydroxyphenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, disodium salt, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



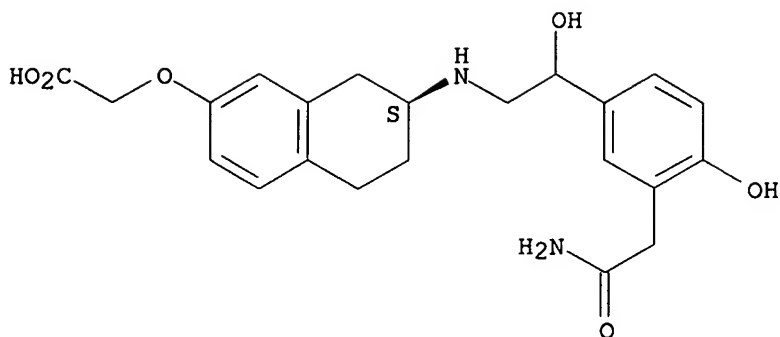
● 2 Na

RN 201048-18-2 CAPLUS

CN Acetic acid, [[7-[[2-[3-(2-amino-2-oxoethyl)-4-hydroxyphenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, disodium salt, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



●2 Na

IT 201048-04-6P 201048-05-7P 201048-06-8P

201048-07-9P 201048-08-0P 201048-09-1P

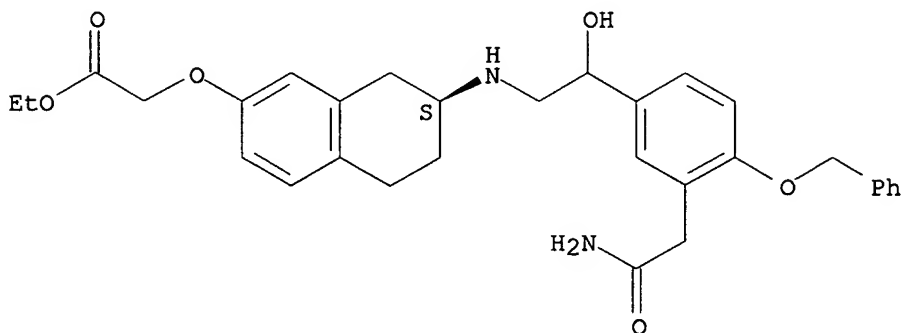
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylethanolaminotetralins as agonists of adrenaline receptor)

RN 201048-04-6 CAPLUS

CN Acetic acid, [[7-[[2-[3-(2-amino-2-oxoethyl)-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



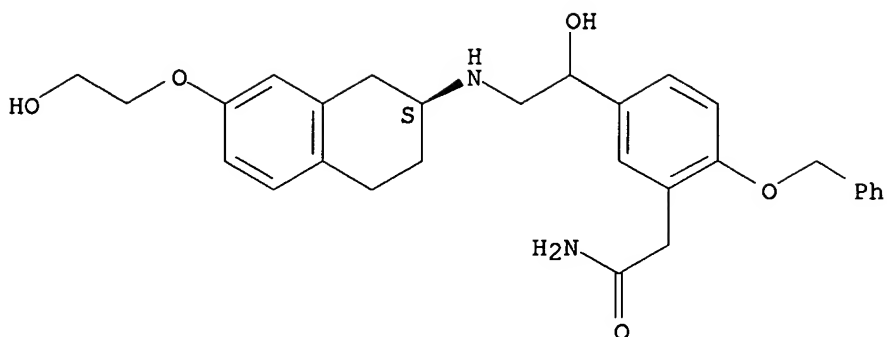
RN 201048-05-7 CAPLUS

CN Benzeneacetamide,

5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-(2-hydroxyethoxy)-2-naphthalenyl]amino]ethyl]-2-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

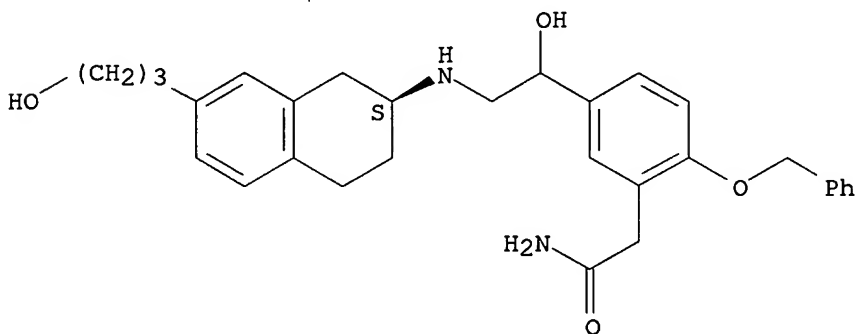


RN 201048-06-8 CAPLUS

CN Benzeneacetamide,

5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-(3-hydroxypropyl)-2-naphthalenyl]amino]ethyl]-2-(phenylmethoxy)-, (2S)-(9CI) (CA INDEX NAME)

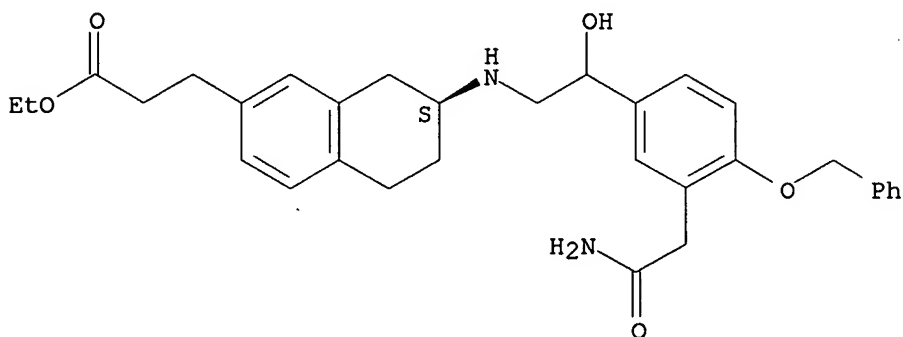
Absolute stereochemistry.



RN 201048-07-9 CAPLUS

CN 2-Naphthalenepropanoic acid, 7-[[2-[3-(2-amino-2-oxoethyl)-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, (7S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

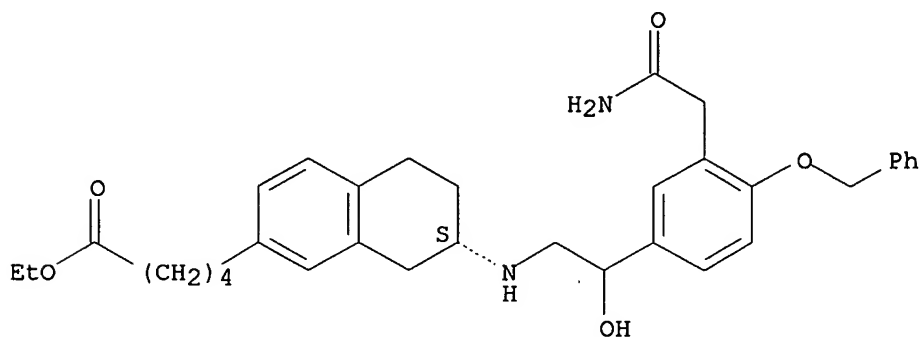


10/009,008

RN 201048-08-0 CAPLUS

CN 2-Naphthalenepentanoic acid, 7-[[2-[3-(2-amino-2-oxoethyl)-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

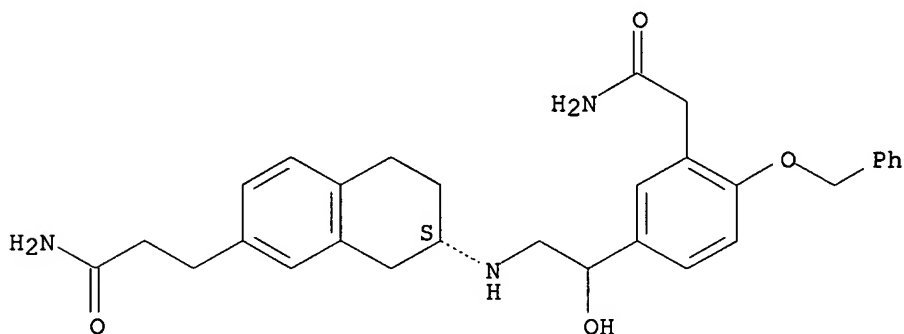
Absolute stereochemistry.



RN 201048-09-1 CAPLUS

CN 2-Naphthalenepropanamide, 7-[[2-[3-(2-amino-2-oxoethyl)-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

L4 ANSWER 80 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1997:806284 CAPLUS

DN 128:113275

TI Comparison between .beta.3 and .beta.2 adrenoceptor agonists as inhibitors

of gastric acid secretion

AU Coruzzi, G.; Spaggiari, S.; Bertaccini, G.

CS Institute Pharmacology, University Parma, Parma, 43100, Italy

SO Journal of Physiology (Paris) (1997), 91(3-5), 241-246

CODEN: JHYSEM; ISSN: 0928-4257

PB Editions Scientifiques et Medicales Elsevier

DT Journal

LA English

AB In order to investigate the role of .beta.3 adrenoceptors in the regulation of gastric acid secretion we studied the effects of compd. SR58611A (a selective agonist for atypical .beta. adrenoceptors), alone or

in combination with .beta.-adrenoceptor antagonists, in the gastric fistula of a conscious cat. The effects of SR58611A were compared with those of clenbuterol, a selective agonist for .beta.2 adrenoceptors.

I.v.

infusion of SR58611A (0.3-3 .mu.mol/kg/h) caused a dose-dependent, but partial, inhibition of the acid secretory response to 2-deoxy-D-glucose 100 mg/kg i.v., max. effect not exceeding 40%. Clenbuterol (0.03-0.1 .mu.mol/kg/h) caused a similar effect (max. inhibition about 50%) at

doses

approx. 30 times lower. The acid secretion induced by the histamine H2-receptor agonist dimaprit (1 .mu.mol/kg/h) was minimally affected by both .beta. adrenoceptor agonists. The inhibitory effect of SR58611A (3 .mu.mol/kg/h) on 2-deoxy-D-glucose-induced acid secretion was not

modified

by pretreatment with the non-selective .beta.1- and .beta.2-adrenoceptor blocker propranolol, administered at doses (1.5 .mu.mol/kg i.v.) that completely blocked the inhibitory effect of clenbuterol (0.1 .mu.mol/kg/h). In contrast, bupranolol (10 .mu.mol/kg i.v.) (a drug endowed with .beta.3 antagonistic properties) prevented the inhibitory effects of both SR58611A and clenbuterol. The present data provide functional evidence that, besides .beta.2-, also .beta.3-adrenoceptors

can

have neg. effects on gastric acid secretion, particularly when it is stimulated by indirect stimuli, like 2-deoxy-D-glucose. This gastric antisecretory activity may represent an addnl. mechanism for the physio-pharmacol. control of gastric acid secretion.

IT 121524-09-2, SR58611A

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); BIOL (Biological study)

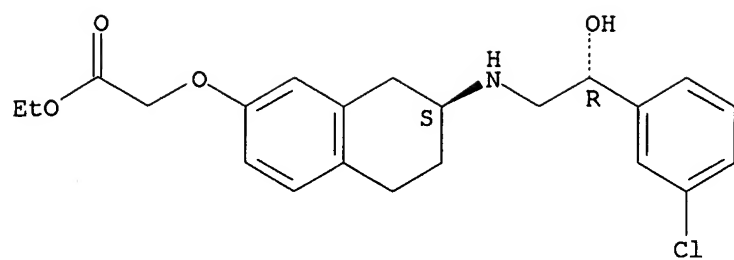
(comparison between .beta.3 and .beta.2 adrenoceptor agonists as inhibitors of gastric acid secretion)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

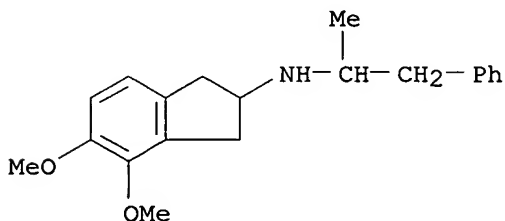
10/009,008



● HCl

10/009,008

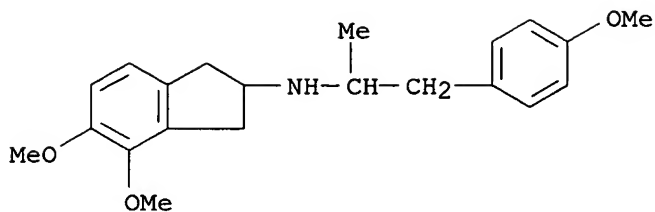
L4 ANSWER 81 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:759993 CAPLUS
DN 128:43429
TI N-aralkyl substitution of 2-aminoindans. Synthesis and their inotropic and
chronotropic activity in isolated guinea pig atria
AU Perez, Julia A.; Dominguez, Jose N.; Angel, Jorge E.; Duerto de Perez, Zurilma; Salazar-Bookaman, Maria M.; Acosta, Hildaaura; Charris, Jaime E.
CS Laboratorio Sintesis Organica, Departamento Farmacologia, Facultad Farmacia, Universidad Central Venezuela, Caracas, 1051, Venez.
SO Arzneimittel-Forschung (1997), 47(11), 1208-1210
CODEN: ARZNAD; ISSN: 0004-4172
PB Editio Cantor Verlag
DT Journal
LA English
AB Amino substitution of rigid forms of dopamine 4,5-dihydroxy-2-aminoindan and 5,6-dihydroxy-2-aminoindan with aralkyl functionalities were carried out to investigate the role of such structural modifications upon cardiac inotropic-chronotropic activity. Compds. synthesized demonstrated a modest inotropic selectivity, while 1 of them, 5,6-dihydroxy-N-[2-(4-hydroxyphenyl)-1-methylethyl]-2-aminoindan-HBr, showed a marked inotropic action on isolated heart tissue.
IT 199996-54-8 199996-55-9 199996-56-0
199996-57-1 199996-58-2 199996-59-3
199996-60-6 199996-61-7 199996-62-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (prepn. and chronotropic and inotropic activity of aralkyl aminoindans)
RN 199996-54-8 CAPLUS
CN 1H-Inden-2-amine, 2,3-dihydro-4,5-dimethoxy-N-(1-methyl-2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 199996-55-9 CAPLUS
CN 1H-Inden-2-amine, 2,3-dihydro-4,5-dimethoxy-N-[2-(4-methoxyphenyl)-1-methylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

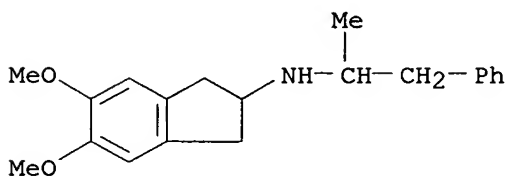
10/009,008



● HCl

RN 199996-56-0 CAPLUS

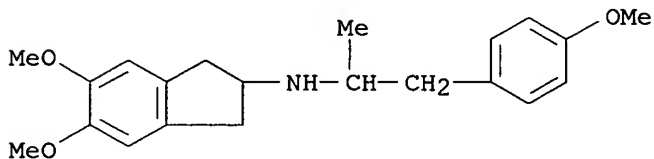
CN 1H-Inden-2-amine, 2,3-dihydro-5,6-dimethoxy-N-(1-methyl-2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 199996-57-1 CAPLUS

CN 1H-Inden-2-amine, 2,3-dihydro-5,6-dimethoxy-N-[2-(4-methoxyphenyl)-1-methylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

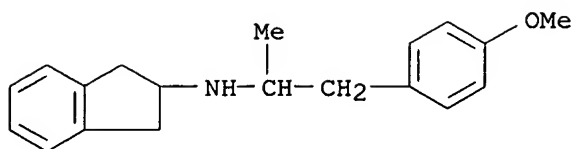


● HCl

RN 199996-58-2 CAPLUS

CN 1H-Inden-2-amine, 2,3-dihydro-N-[2-(4-methoxyphenyl)-1-methylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

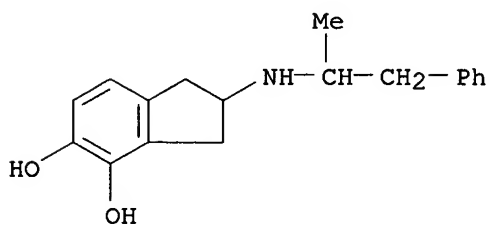
10/009,008



● HCl

RN 199996-59-3 CAPLUS

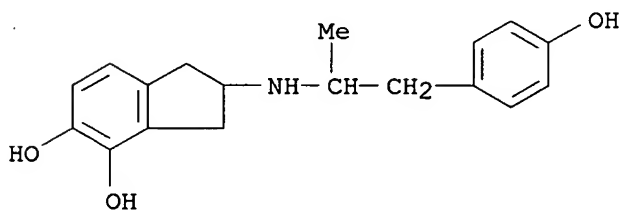
CN 1H-Indene-4,5-diol, 2,3-dihydro-2-[(1-methyl-2-phenylethyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 199996-60-6 CAPLUS

CN 1H-Indene-4,5-diol, 2,3-dihydro-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)

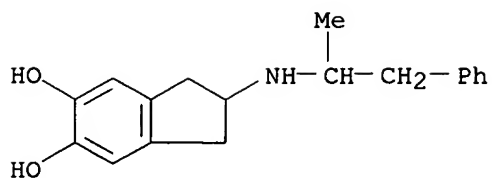


● HBr

RN 199996-61-7 CAPLUS

CN 1H-Indene-5,6-diol, 2,3-dihydro-2-[(1-methyl-2-phenylethyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)

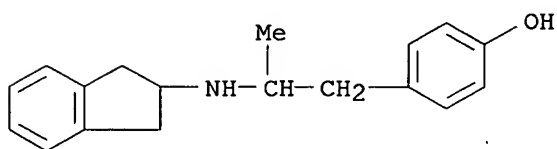
10/009,008



● HBr

RN 199996-62-8 CAPLUS

CN Phenol, 4-[2-[(2,3-dihydro-1H-inden-2-yl)amino]propyl]-, hydrobromide (9CI) (CA INDEX NAME)



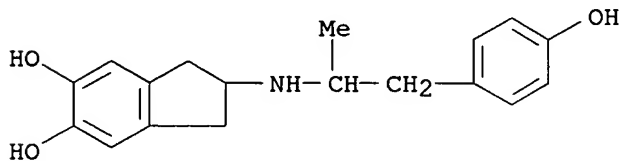
● HBr

IT 199996-53-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. and chronotropic and inotropic activity of aralkyl aminoindans)

RN 199996-53-7 CAPLUS

CN 1H-Indene-5,6-diol, 2,3-dihydro-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

L4 ANSWER 82 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1997:751676 CAPLUS

DN 128:84320

TI Lipolytic effects of conventional .beta.3-adrenoceptor agonists and of CGP

12,177 in rat and human fat cells: preliminary pharmacological evidence for a putative .beta.4-adrenoceptor

AU Galitzky, Jean; Langin, Dominique; Verwaerde, Patrick; Montastruc, Jean-Louis; Lafontan, Max; Berlan, Michel

CS Laboratoire de Pharmacologie Medicale et Clinique, Unite 317 Institut National de la Sante et de la Recherche Medicale, Faculte de Medecine, Universite Paul Sabatier, Toulouse, 31073, Fr.

SO British Journal of Pharmacology (1997), 122(6), 1244-1250
CODEN: BJPCBM; ISSN: 0007-1188

PB Stockton Press

DT Journal

LA English

AB The nature of rat and human fat cell .beta.3-adrenoceptors was investigated by studying the effects of the new .beta.3-adrenoceptor selective antagonist, SR 59,230A, on lipolysis induced by the conventional

.beta.3-adrenoceptor agonists, CL 316,243 and SR 58,611A, and by the non-conventional partial .beta.3-adrenoceptor agonist CGP 12,177 (a potent

.beta.1- and .beta.2-adrenoceptor antagonist with partial .beta.3-adrenoceptor agonist property). In rat fat cells, the rank order of potency of agonists was: CL 316,243 > isoprenaline > SR 58,611A > CGP 12,177. The three former agents were full agonists whereas CGP 12,177

was

a partial agonist (intrinsic activity of 0.70). In human fat cells, the lipolytic effect of CGP 12,177 reached 25 % of isoprenaline effect. CL 316,243 was a poor inducer of lipolysis and SR 58,611A was ineffective. In rat fat cells, lipolysis induced by CL 316,243 and SR 58,611A was competitively antagonized by SR 59,230A. Schild plots were linear with pA2 values of 6.89 and 6.37, resp. Conversely, 0.1, 0.5 and 1 .mu.M SR 59,230A did not modify the concn.-response curve of CGP 12,177. A rightward shift of the curve was however obsd. with 10 and 100 .mu.M of

SR

59,230A. The apparent pA2 value was 5.65. The non-selective .beta.-adrenergic antagonist, bupranolol, competitively displaced the concn.-response curve of CGP 12,177 and CL 316,243. Schild plots were linear with pA2 values of 6.70 and 7.59, resp. CL316,243-mediated lipolytic effect was not antagonized by CGP 20,712A. In human fat cells, CGP 12,177-mediated lipolytic effect was antagonized by bupranolol and

CGP

20,712A. SR 59,230A (0.1, 1 and 10 .mu.M) did not modify the concn.-response curve of CGP 12,177. A rightward shift was however obsd. at 100 .mu.M leading to an apparent pA2 value of 4.32. The results suggest that the non-conventional partial agonist CGP 12,177 can activate lipolysis in fat cells through the interaction with a .beta.-adrenoceptor pharmacol. distinct from the .beta.3-adrenoceptor, i.e. through a

putative

.beta.4-adrenoceptor. They suggest that the two subtypes coexist in rat fat cells whereas only the putative .beta.4-adrenoceptor mediates lipolytic effect of CGP 12,177 in human fat cells.

IT 121524-09-2, SR 58611A

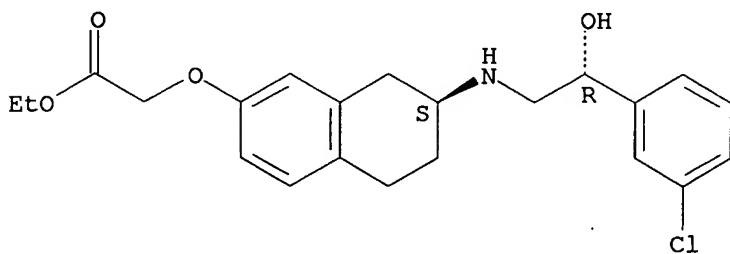
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

10/009,008

study, unclassified); BIOL (Biological study)
(lipolytic effects of conventional .beta.3-adrenoceptor agonists and
of CGP 12,177 in rat and human fat cells and evidence for a putative
.beta.4-adrenoceptor)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

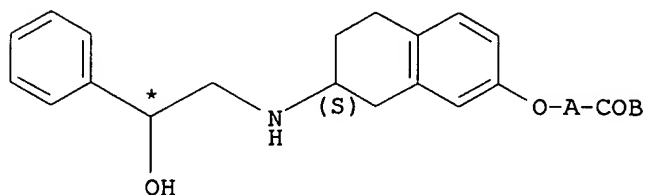


● HCl

10/009,008

L4 ANSWER 83 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:696737 CAPLUS
DN 127:307221
TI Preparation of phenylethanolaminotetralincarboxamide derivatives as
selective .beta.2-adrenergic agonists
IN Kitazawa, Makio; Okazaki, Kosuke; Tamai, Tetsuro; Saito, Masaru; Tanaka,
Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Ken; Muranaka, Hideyuki
PA Kissei Pharmaceutical Co., Ltd., Japan; Kitazawa, Makio; Okazaki, Kosuke;
Tamai, Tetsuro; Saito, Masaru; Tanaka, Nobuyuki; Kobayashi, Hiroaki;
Kikuchi, Ken; Muranaka, Hideyuki
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9738970	A1	19971023	WO 1997-JP1159	19970404
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2251090	AA	19971023	CA 1997-2251090	19970404
	AU 9721783	A1	19971107	AU 1997-21783	19970404
	AU 726686	B2	20001116		
	EP 893432	A1	19990127	EP 1997-914598	19970404
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	CN 1219926	A	19990616	CN 1997-194877	19970404
	CN 1100033	B	20030129		
	BR 9708642	A	19990803	BR 1997-8642	19970404
	TW 448143	B	20010801	TW 1997-86104755	19970410
	NO 9804699	A	19981211	NO 1998-4699	19981008
	KR 2000005391	A	20000125	KR 1998-8122	19981012
	KR 2000005391	A	20000125	KR 1998-708122	19981012
	US 6046192	A	20000404	US 1999-155478	19990308
PRAI	JP 1996-126225	A	19960412		
	WO 1997-JP1159	W	19970404		
OS	MARPAT 127:307221				
GI					



AB Phenylethanolaminotetralincarboxamide deriv. represented by general

formula [I; A = lower alkylene; B = amino, di(lower alkyl)amino or 3- to 7-membered alicyclic amino which may bear oxygen on the ring; the asterisked carbon atom represents a carbon atom with an R- or S-configuration or a mixt. of such atoms; and the carbon atom labeled with (S) represents a carbon atom with an S-configuration] and pharmacol. acceptable salts thereof are prepd. These compds. have selective .beta.2-adrenergic receptor stimulating effects while reducing the burden on the heart such as tachycardia (no data), and are useful as preventives for threatened abortion and premature birth, bronchodilator, and agents for remission and lithagogue for urinary calculus. Thus, a soln. of Et 2-[(2S)-2-[[[(2RS)-2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-1,2,3,4-tetrahydronaphthalene-7-yloxy]acetate in THF was heated with

dimethylamine in a shield tube at 60.degree. for 60 h to give 2-[(2S)-2-[[[(2RS)-2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-1,2,3,4-tetrahydronaphthalen-7-yloxy]-N,N-dimethylacetamide I (A-COB = CH₂CONMe₂).

IT 197436-84-3P 197436-85-4P 197436-86-5P
197436-87-6P 197436-88-7P 197436-89-8P
197436-91-2P 197436-93-4P 197436-95-6P
197436-96-7P 197436-97-8P 197436-98-9P
197436-99-0P 197437-00-6P 197437-01-7P
197437-03-9P 197437-05-1P 197437-07-3P
197437-09-5P

RL: BAC (Biological activity or effector, except adverse); BSU

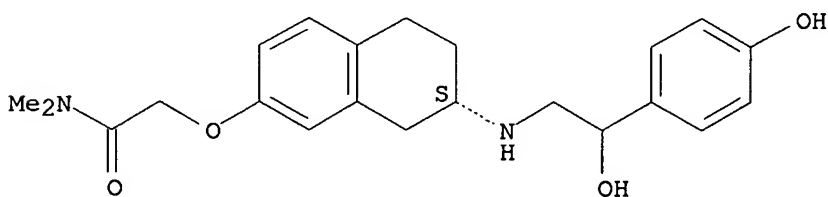
(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylethanolaminotetralincarboxamide derivs. as selective .beta.2-adrenergic agonists for drugs)

RN 197436-84-3 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

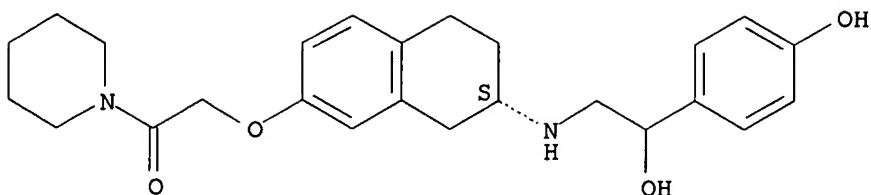


RN 197436-85-4 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

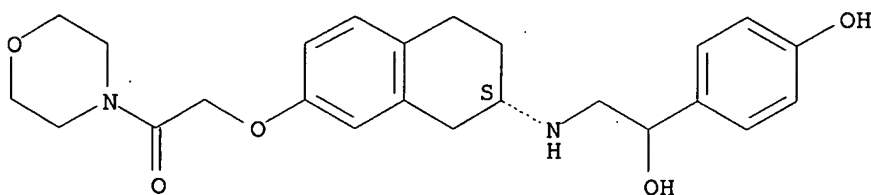
10/009,008



RN 197436-86-5 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (7S)- (9CI) (CA INDEX NAME)

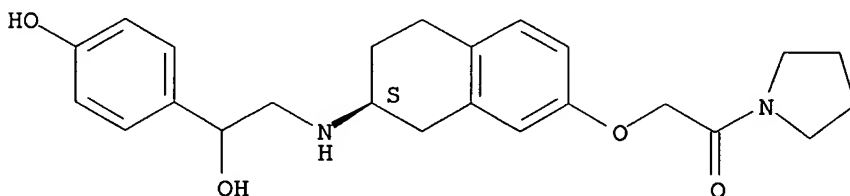
Absolute stereochemistry.



RN 197436-87-6 CAPLUS

CN Pyrrolidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (7S)- (9CI) (CA INDEX NAME)

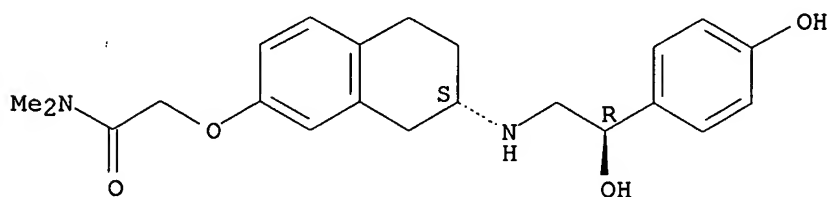
Absolute stereochemistry.



RN 197436-88-7 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



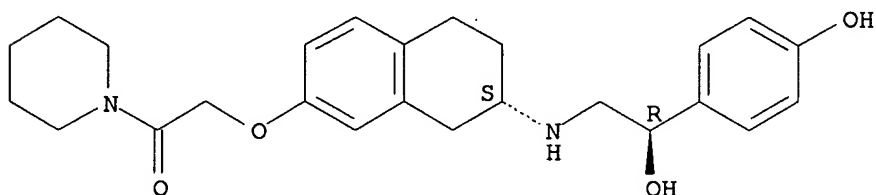
10/009,008

RN 197436-89-8 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]-(9CI)

(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

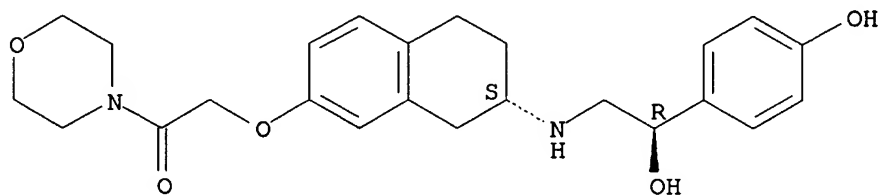


RN 197436-91-2 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]-(9CI)

(CA INDEX NAME)

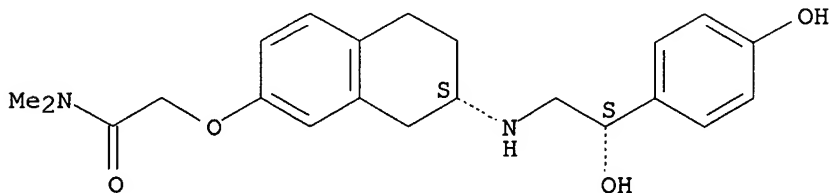
Absolute stereochemistry. Rotation (-).



RN 197436-93-4 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



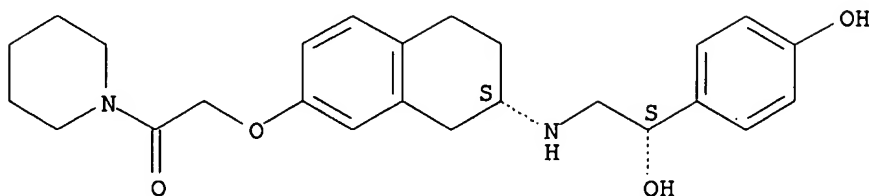
RN 197436-95-6 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [S-(R*,R*)]-(9CI)

(CA INDEX NAME)

10/009,008

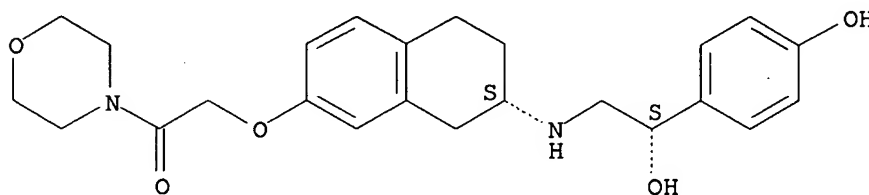
Absolute stereochemistry. Rotation (-).



RN 197436-96-7 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [S-(R*,R*)]-(9CI)
(CA INDEX NAME)

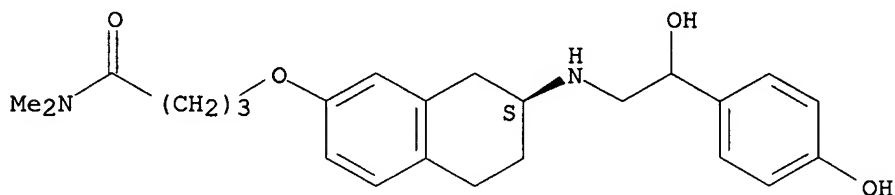
Absolute stereochemistry. Rotation (-).



RN 197436-97-8 CAPLUS

CN Butanamide, N,N-dimethyl-4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

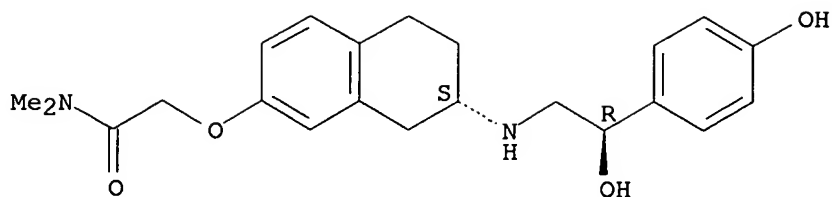


RN 197436-98-9 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, monohydrochloride, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10/009,008



● HCl

RN 197436-99-0 CAPLUS

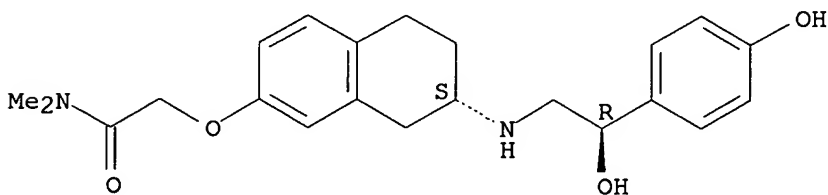
CN Acetamide, N,N-dimethyl-2-[[[(7S)-5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 197436-88-7

CMF C22 H28 N2 O4

Absolute stereochemistry. Rotation (-).

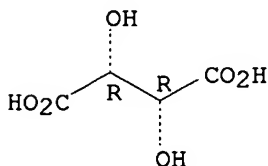


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 197437-00-6 CAPLUS

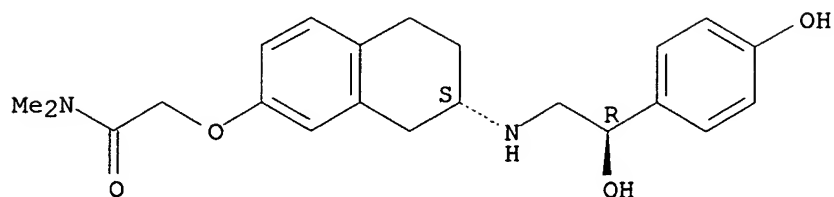
CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, [R-(R*,S*)]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

10/009,008

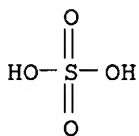
CRN 197436-88-7
CMF C22 H28 N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-93-9
CMF H2 O4 S

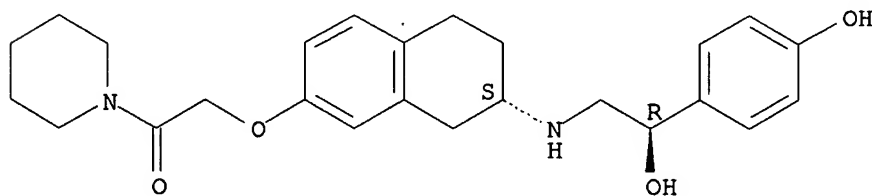


RN 197437-01-7 CAPLUS
CN Piperidine, 1-[[[(7S)-5,6,7,8-tetrahydro-7-[[[(2R)-2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 197436-89-8
CMF C25 H32 N2 O4

Absolute stereochemistry. Rotation (-).

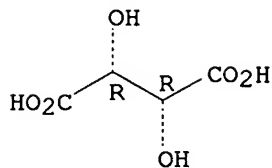


CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

10/009,008



RN 197437-03-9 CAPLUS

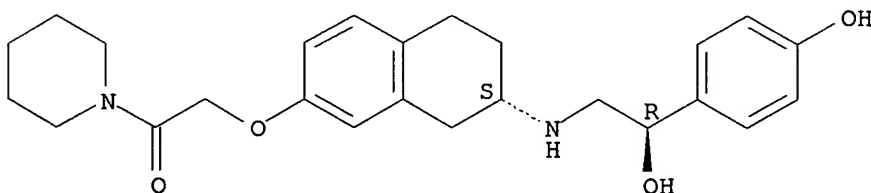
CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 197436-89-8

CMF C25 H32 N2 O4

Absolute stereochemistry. Rotation (-).

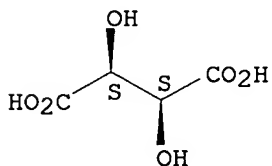


CM 2

CRN 147-71-7

CMF C4 H6 O6

Absolute stereochemistry.



RN 197437-05-1 CAPLUS

CN Morpholine, 4-[[[(7S)-5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

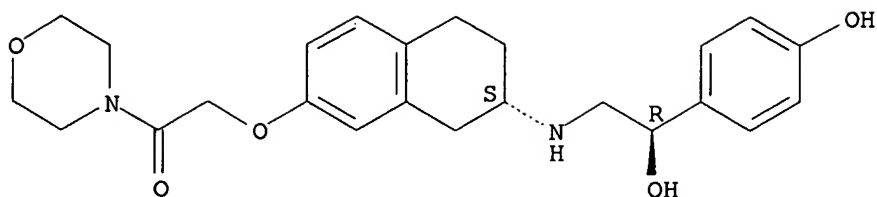
CM 1

CRN 197436-91-2

CMF C24 H30 N2 O5

Absolute stereochemistry. Rotation (-).

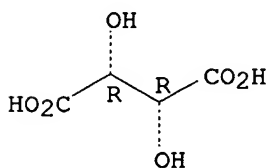
10/009,008



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

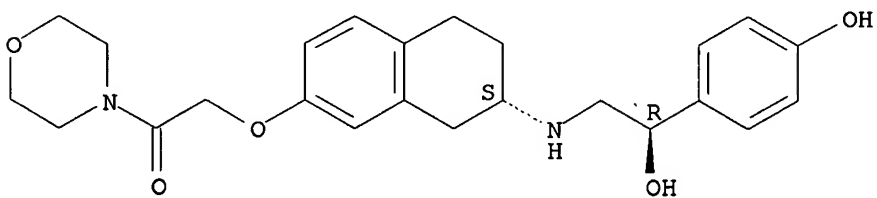


RN 197437-07-3 CAPLUS
CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 197436-91-2
CMF C24 H30 N2 O5

Absolute stereochemistry. Rotation (-).

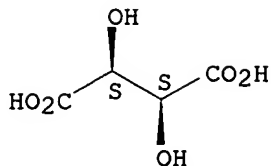


CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.

10/009,008



RN 197437-09-5 CAPLUS

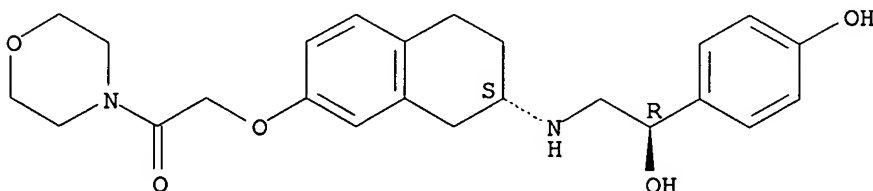
CN Morpholine, 4-[[[(7S)-5,6,7,8-tetrahydro-7-[[[(2R)-2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 197436-91-2

CMF C24 H30 N2 O5

Absolute stereochemistry. Rotation (-).

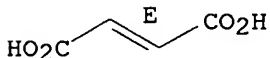


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



IT 197437-11-9P 197437-15-3P 197437-18-6P

197437-27-7P 197437-32-4P 197437-34-6P

197437-36-8P 197437-38-0P 197437-51-7P

197437-54-0P 197437-58-4P 197437-62-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

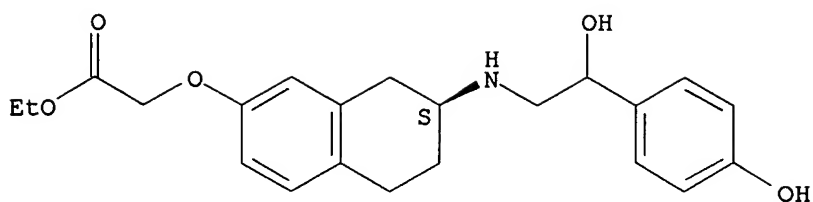
(prepn. of phenylethanolaminotetralincarboxamide derivs. as selective .beta.2-adrenergic agonists for drugs)

RN 197437-11-9 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

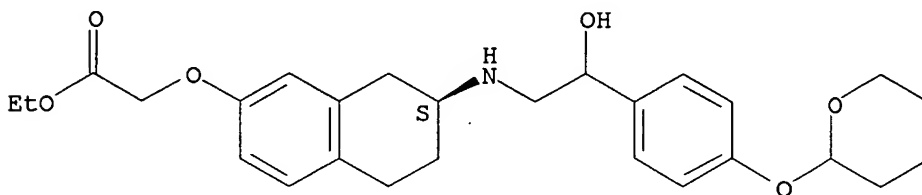


RN 197437-15-3 CAPLUS

CN Acetic acid,

[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-[(tetrahydro-2H-pyran-2-yl)oxy]phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, [2(S)]-[partial]- (9CI) (CA INDEX NAME)

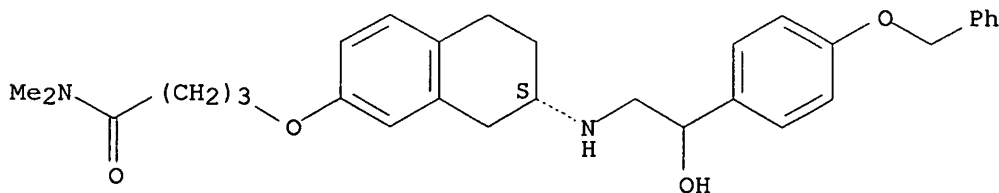
Absolute stereochemistry.



RN 197437-18-6 CAPLUS

CN Butanamide, N,N-dimethyl-4-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI) (CA INDEX NAME)

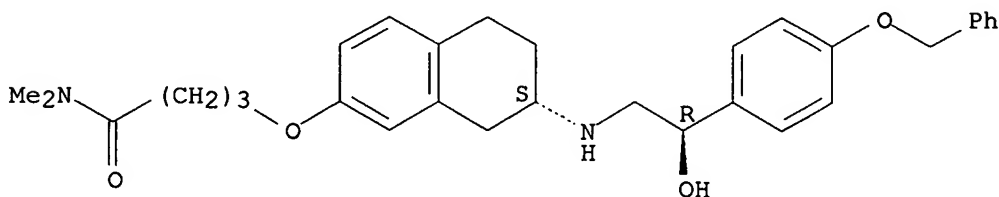
Absolute stereochemistry.



RN 197437-27-7 CAPLUS

CN Butanamide, N,N-dimethyl-4-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

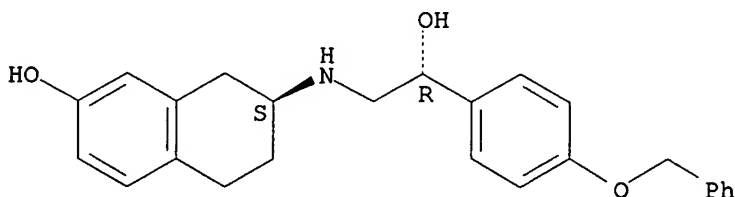


10/009,008

RN 197437-32-4 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

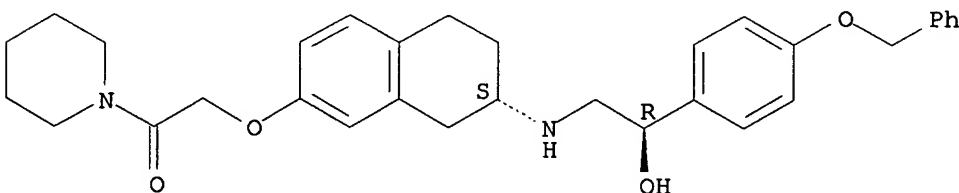
Absolute stereochemistry. Rotation (-).



RN 197437-34-6 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

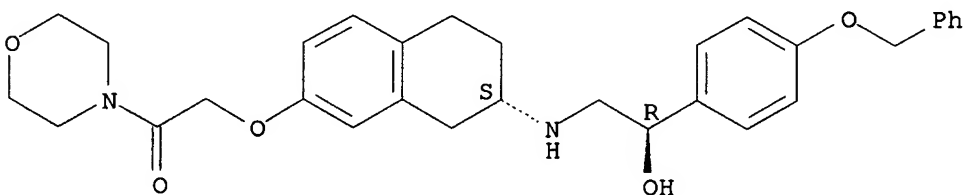
Absolute stereochemistry. Rotation (-).



RN 197437-36-8 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

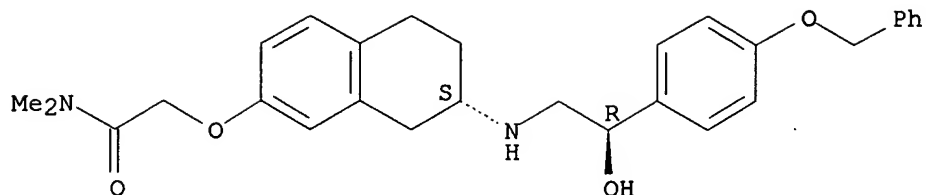


RN 197437-38-0 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

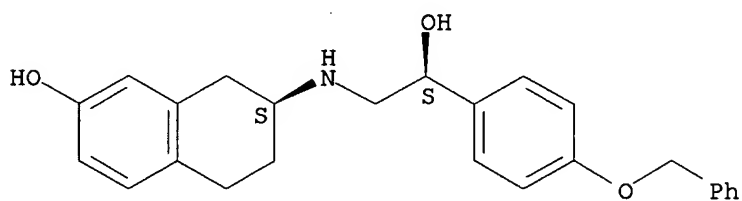
10/009,008



RN 197437-51-7 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

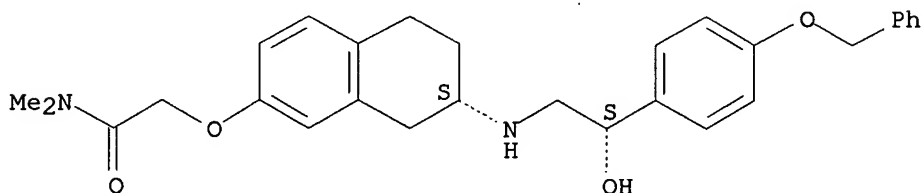
Absolute stereochemistry. Rotation (-).



RN 197437-54-0 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

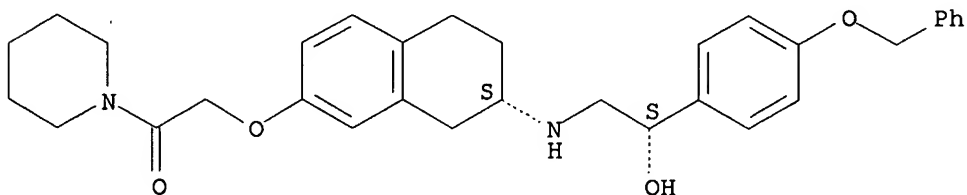
Absolute stereochemistry. Rotation (-).



RN 197437-58-4 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

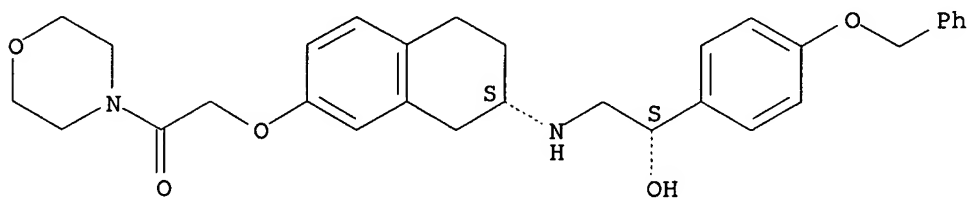


10/009,008

RN 197437-62-0 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

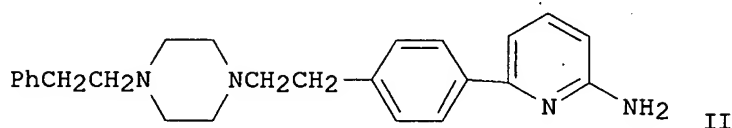
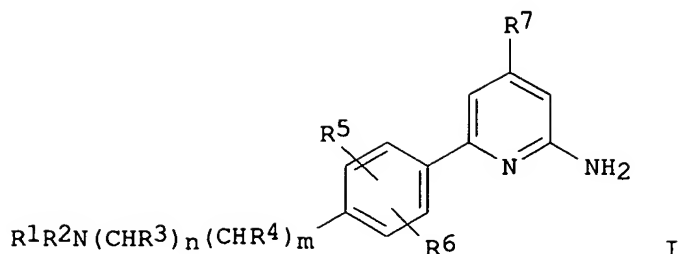
Absolute stereochemistry.



10/009,008

L4 ANSWER 84 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:679059 CAPLUS
DN 127:346302
TI 6-phenylpyridyl-2-amine derivatives as nitric oxide synthase inhibitors
IN Lowe, John Adams, III; Whittle, Peter John
PA Pfizer Inc., USA; Lowe, John Adams, III; Whittle, Peter John
SO PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9736871	A1	19971009	WO 1997-IB132	19970217
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	CA 2250372	AA	19971009	CA 1997-2250372	19970217
	AU 9715548	A1	19971022	AU 1997-15548	19970217
	AU 729129	B2	20010125		
	EP 891332	A1	19990120	EP 1997-901748	19970217
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI, RO			
	CN 1215391	A	19990428	CN 1997-193526	19970217
	BR 9708386	A	19990803	BR 1997-8386	19970217
	JP 11510513	T2	19990914	JP 1997-535075	19970217
	NZ 326874	A	20000128	NZ 1997-326874	19970217
	TW 438793	B	20010607	TW 1997-86101888	19970218
	US 6235747	B1	20010522	US 1997-816235	19970313
	ZA 9702689	A	19980928	ZA 1997-2689	19970327
	NO 9804516	A	19980928	NO 1998-4516	19980928
	KR 2000005127	A	20000125	KR 1998-707773	19980929
	US 2001034348	A1	20011025	US 2001-826132	20010404
	US 6465491	B2	20021015		
PRAI	US 1996-14343P	P	19960329		
	WO 1997-IB132	W	19970217		
	US 1997-816235	A3	19970313		
OS	MARPAT 127:346302				
GI					



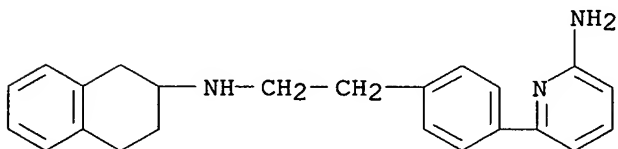
AB Title compds. I [NR1R2 = amino; R3, R4 = H, alkyl, aralkyl; R5, R6 = Me, OMe, OH, H; R7 = alkyl; m, n = 1-2] were prepd. and exhibit activity as nitric oxide synthase (NOS) inhibitors for use in the treatment and prevention of central nervous system disorders (no data). Thus, the amine II was prepd. from 2,6-dibromopyridine and 4-H2NC6H4CH2CH2OH via 2-(2,5-dimethylpyrrol-1-yl)-6-[4-(2-chloroethyl)phenyl]pyridine.

IT 198209-62-0P 198211-31-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoethylphenylpyridylamines as nitric oxide synthase inhibitors)

RN 198209-62-0 CAPLUS

CN 2-Pyridinamine, 6-[4-[2-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

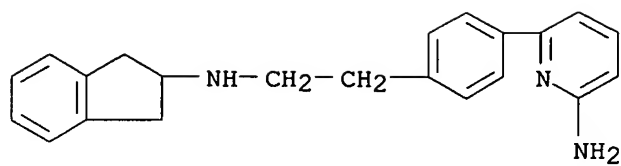


● 2 HCl

RN 198211-31-3 CAPLUS

CN 2-Pyridinamine, 6-[4-[2-[(2,3-dihydro-1H-inden-2-yl)amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

10/009,008

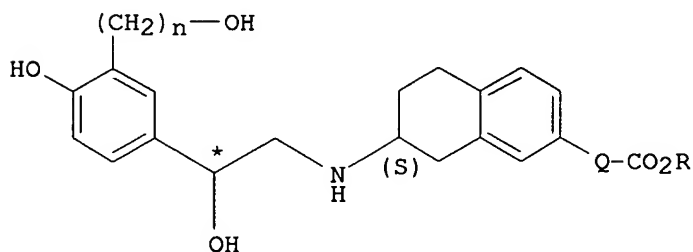


● 2 HCl

10/009,008

L4 ANSWER 85 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:650328 CAPLUS
DN 127:262537
TI Preparation of 3,4-disubstituted phenylethanolaminotetralincarboxylate derivatives as selective .beta.-adrenergic stimulants
IN Kitazawa, Makio; Okazaki, Kosuke; Tamai, Tetsuro; Saito, Masaru; Tanaka, Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Ken; Muranaka, Hideyuki
PA Kissei Pharmaceutical Co., Ltd., Japan; Kitazawa, Makio; Okazaki, Kosuke; Tamai, Tetsuro; Saito, Masaru; Tanaka, Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Ken; Muranaka, Hideyuki
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9735835	A1	19971002	WO 1997-JP1008	19970326
	W: AU, CA, CN, KR, NO, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE	JP 09255637	A2	19970930	JP 1996-111077	19960327
	AU 9721761	A1	19971017	AU 1997-21761	19970326
	EP 894787	A1	19990203	EP 1997-914541	19970326
	EP 894787	B1	20020612		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	AT 219046	E	20020615	AT 1997-914541	19970326
	ES 2180034	T3	20030201	ES 1997-914541	19970326
	US 6136852	A	20001024	US 1999-155345	19990308
PRAI	JP 1996-111077	A	19960327		
	WO 1997-JP1008	W	19970326		
OS	MARPAT 127:262537				
GI					



I

AB The title (.alpha.-hydroxyphenethylamino)tetralinylalkanoic acid derivs. represented by general formula [I; Q = vinylene A-(CH₂)_m (wherein A = oxygen or methylene; m = an integer of 1 to 6); R = hydrogen or lower alkyl; n = an integer of 1 or 2; the asterisked carbon atom represents a carbon atom with an R- or S-configuration or a mixt. of such atoms; and the carbon atom labeled with (S) represents a carbon atom with an S-configuration.] and pharmacol. acceptable salts thereof are prepd. These compds. have selective .beta.-adrenergic receptor stimulating effects while reducing the burden on the heart, such as tachycardia, and

are useful as preventives for threatened abortion and premature birth, bronchodilator, and agents for remission and removal for urinary calculus (no data). Thus, Et (S)-5-(2-amino-1,2,3,4-tetrahydronaphthalen-7-yloxy)valerate hydrochloride (prepn. given) was stirred with KOH in ethanol at room temp. for 1 h, concd. after filtration of the insol. matter, redissolved in DMF, stirred with 2-bromo-1-(2,2-dimethylbenzo[1,2-d]-1,3-dioxan-6-yl)ethanone (prepn. given) under ice-cooling, treated with NaBH₄ and ethanol, and allowed to react for 37 min. To the product was added a soln. of triethanolamine in THF and refluxed for 12 h to give Et (S)-5-[[[(2RS)-2-(2,2-dimethylbenzo[1,2-d]-1,3-dioxan-6-yl)-2-hydroxyethylamino]-1,2,3,4-tetrahydronaphthalen-7-yloxy]valerate, which was treated with a mixt. of 1 N aq. HCl and THF at room temp. for 1 h to give Et (S)-5-[(2S)-2-[[[(2RS)-2-hydroxy-2-(4-hydroxy-3-hydroxymethylphenyl)ethyl]amino]-1,2,3,4-tetrahydronaphthalen-7-yloxy]valerate.

IT 194785-75-6P 196195-14-9P 196195-15-0P
196195-16-1P 196195-17-2P 196195-18-3P
196195-19-4P 196195-20-7P 196195-21-8P
196195-22-9P 196195-23-0P 196195-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

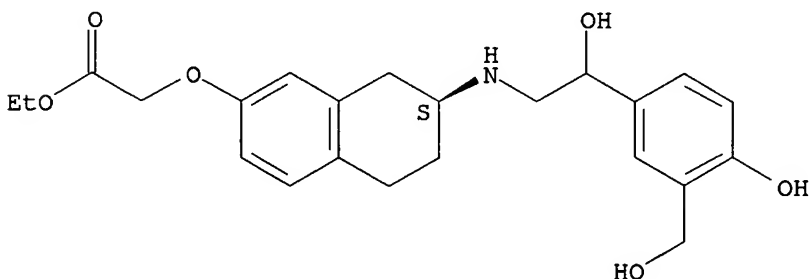
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of disubstituted phenylethanolaminotetralinalkanoic acid derivs. as selective .beta.-adrenergic stimulants for treatment of diseases)

RN 194785-75-6 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

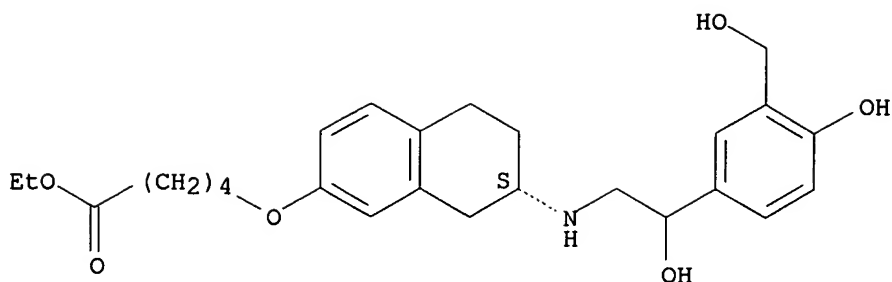


RN 196195-14-9 CAPLUS

CN Pentanoic acid, 5-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

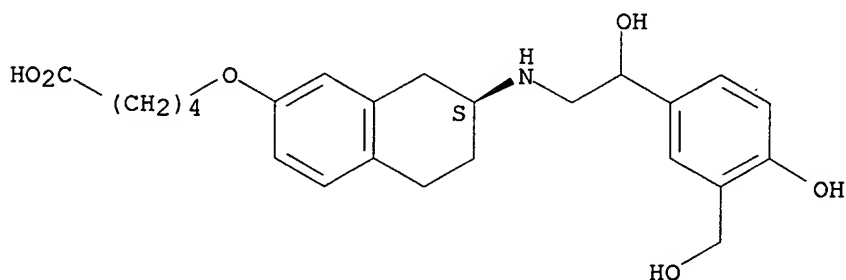
10/009,008



RN 196195-15-0 CAPLUS

CN Pentanoic acid, 5-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, disodium salt, (7S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

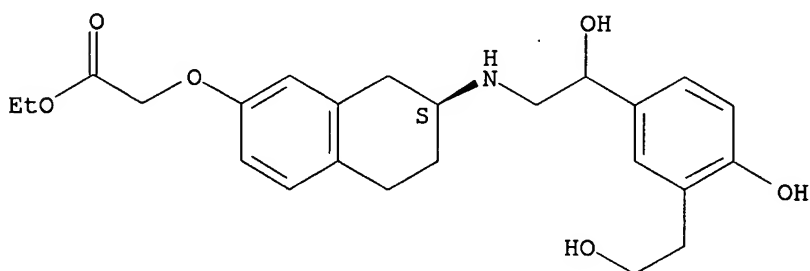


● 2 Na

RN 196195-16-1 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, (7S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



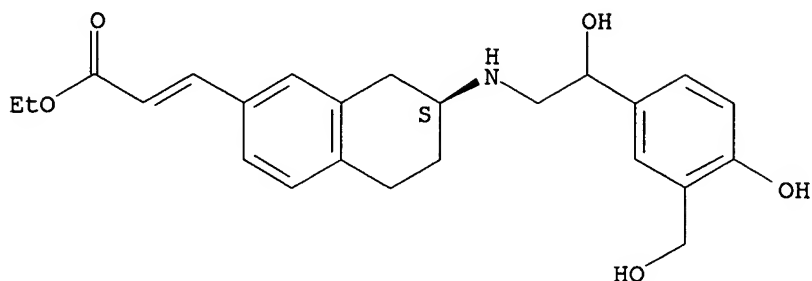
RN 196195-17-2 CAPLUS

CN 2-Propenoic acid, 3-[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-

10/009,008

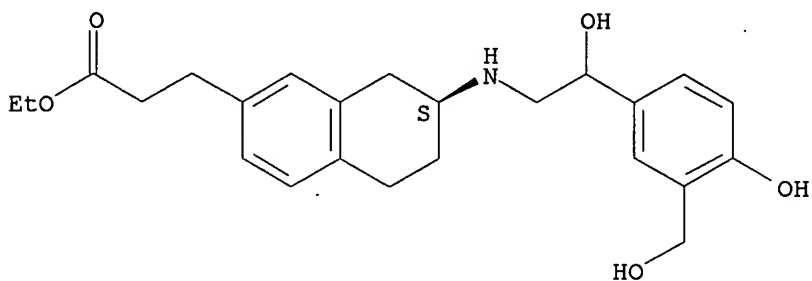
(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]-, ethyl ester, (7S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 196195-18-3 CAPLUS
CN 2-Naphthalenepropanoic acid,
5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-
3-(hydroxymethyl)phenyl]ethyl]amino]-, ethyl ester, (7S)- (9CI) (CA
INDEX
NAME)

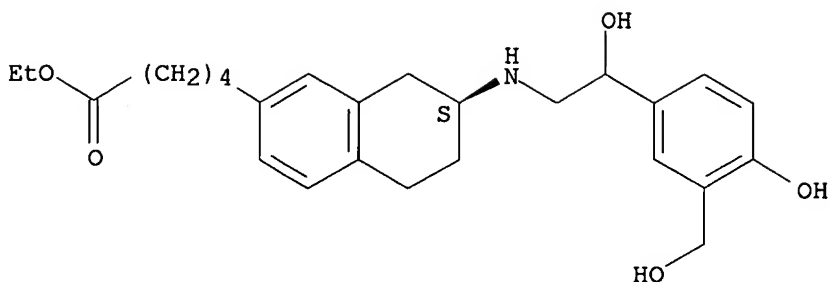
Absolute stereochemistry.



RN 196195-19-4 CAPLUS
CN 2-Naphthalenepentanoic acid,
5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-
3-(hydroxymethyl)phenyl]ethyl]amino]-, ethyl ester, (7S)- (9CI) (CA
INDEX
NAME)

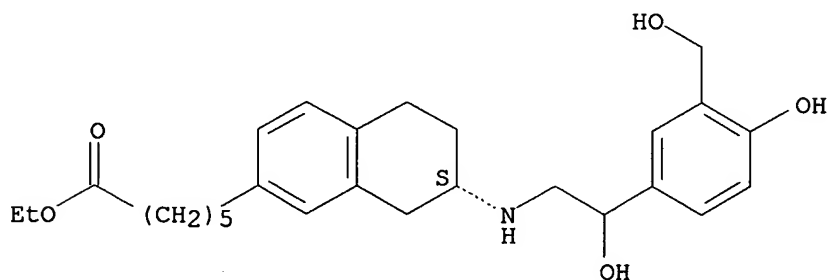
Absolute stereochemistry.

10/009,008



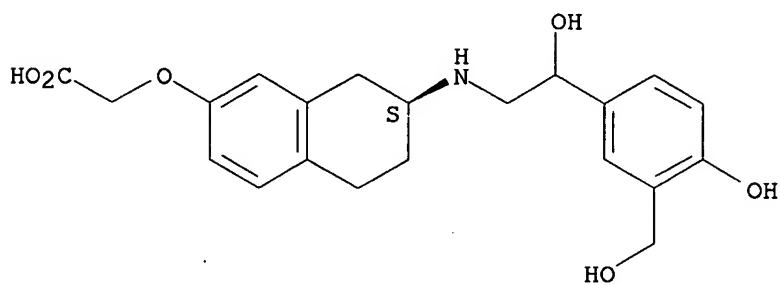
RN 196195-20-7 CAPLUS
CN 2-Naphthalenehexanoic acid,
5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-
3-(hydroxymethyl)phenyl]ethyl]amino]-, ethyl ester, (7S)- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry.



RN 196195-21-8 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-
(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, disodium salt,
(7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

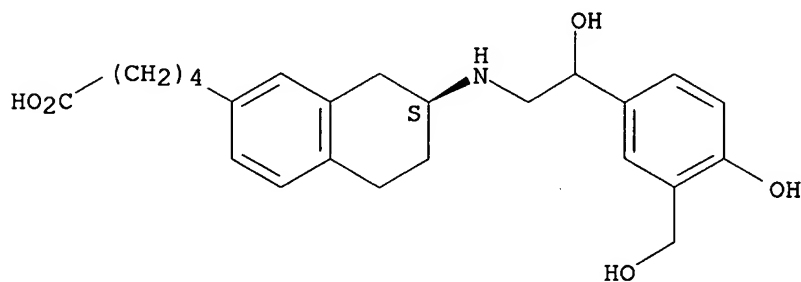
RN 196195-22-9 CAPLUS

CN 2-Naphthalenepentanoic acid,

5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-

3-(hydroxymethyl)phenyl]ethyl]amino]-, disodium salt, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 Na

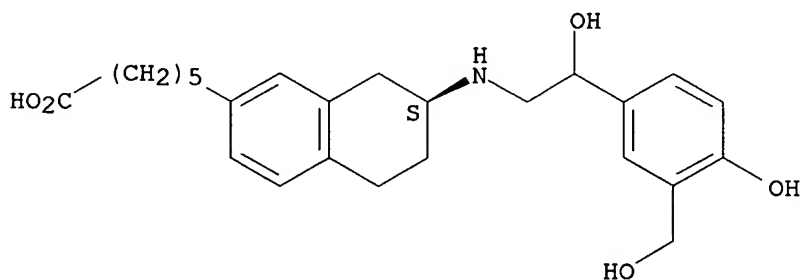
RN 196195-23-0 CAPLUS

CN 2-Naphthalenehexanoic acid,

5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-

3-(hydroxymethyl)phenyl]ethyl]amino]-, disodium salt, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 Na

RN 196195-24-1 CAPLUS

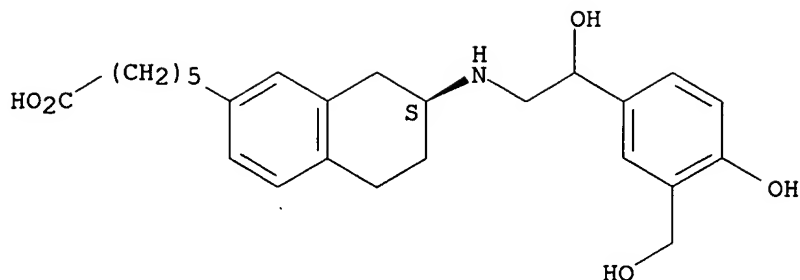
CN 2-Naphthalenehexanoic acid,

5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-

3-(hydroxymethyl)phenyl]ethyl]amino]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



IT 194785-63-2P 196195-32-1P 196195-33-2P
196195-34-3P 196195-35-4P 196195-36-5P
196195-37-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

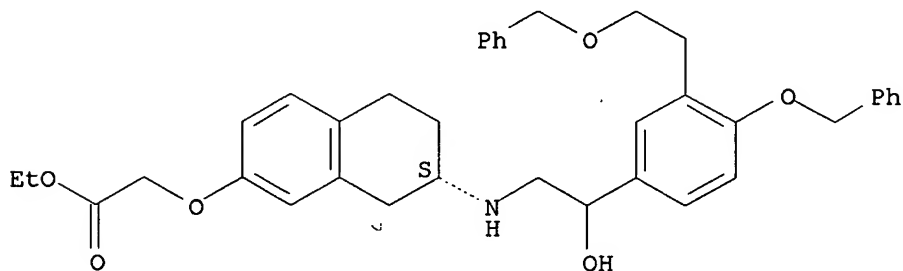
(prepn. of disubstituted phenylethanolaminotetralinalkanoic acid derivs. as selective .beta.-adrenergic stimulants for treatment of diseases)

RN 194785-63-2 CAPLUS

CN Acetic acid,

[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

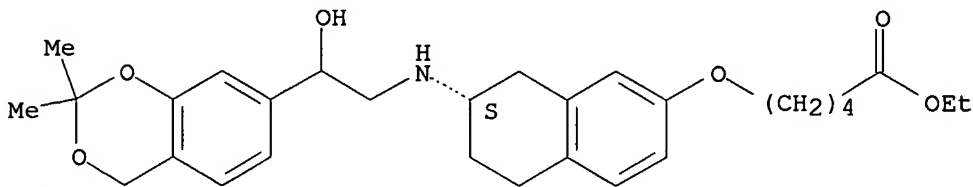
Absolute stereochemistry.



RN 196195-32-1 CAPLUS

CN Pentanoic acid, 5-[[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-7-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

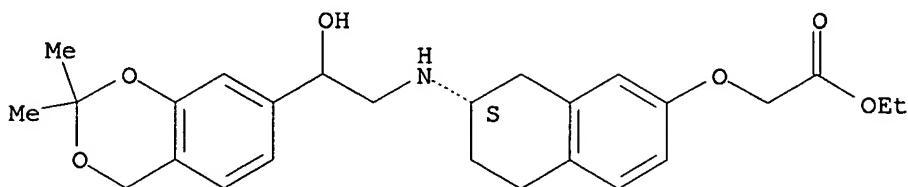


10/009,008

RN 196195-33-2 CAPLUS

CN Acetic acid, [[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-7-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

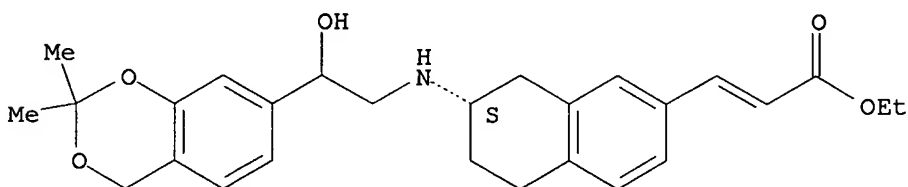
Absolute stereochemistry.



RN 196195-34-3 CAPLUS

CN 2-Propenoic acid, 3-[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-7-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

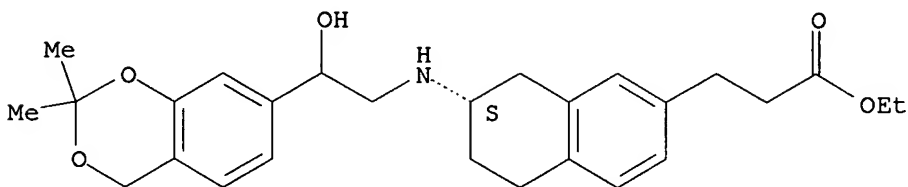
Absolute stereochemistry.
Double bond geometry unknown.



RN 196195-35-4 CAPLUS

CN 2-Naphthalenepropanoic acid, 7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-7-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

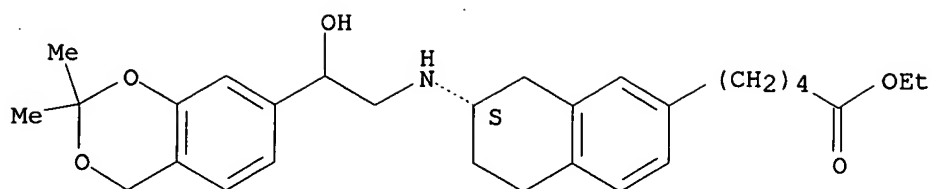


RN 196195-36-5 CAPLUS

CN 2-Naphthalenepentanoic acid, 7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-7-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

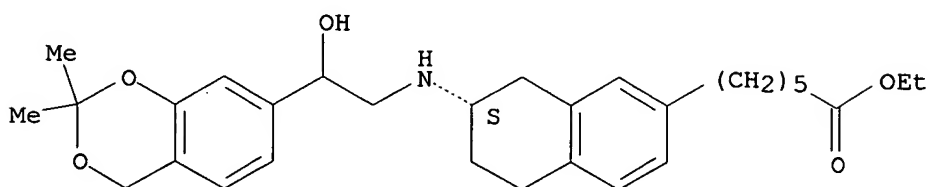


RN 196195-37-6 CAPLUS

CN 2-Naphthalenehexanoic acid,

7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-7-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

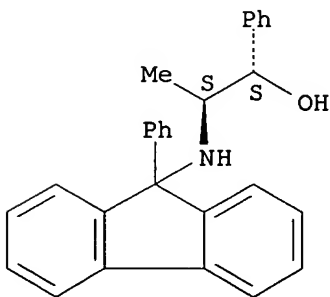
Absolute stereochemistry.



10/009,008

L4 ANSWER 86 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:603470 CAPLUS
DN 127:234168
TI Enantiospecific Synthesis of N-(9-Phenylfluoren-9-yl)-.alpha.-amino Ketones
AU Paleo, M. Rita; Calaza, M. Isabel; Sardina, F. Javier
CS Departamento de Quimica Organica, Universidad de Santiago de Compostela, Santiago de Compostela, 15706, Spain
SO Journal of Organic Chemistry (1997), 62(20), 6862-6869
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 127:234168
AB Enantiomerically pure N-(9-phenylfluoren-9-yl)-.alpha.-amino ketones were prepd. in excellent yields by acylation of organolithium reagents with N-(9-phenylfluoren-9-yl)-.alpha.-amino acid-derived oxazolidinones. The method is not applicable to the acylation of Grignard reagents as they attack the methylenic carbon of the oxazolidinone to give the corresponding N-alkylated amino acids in excellent yields. The N-(9-phenylfluoren-9-yl)-.alpha.-amino ketones could be stereoselectively reduced to the corresponding syn- or anti-.beta.-amino alcs. depending upon the nature of the reducing agent.
IT 178238-01-2P 178238-03-4P 195244-96-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantiospecific synthesis of N-(9-phenylfluoren-9-yl)-.alpha.-amino ketones)
RN 178238-01-2 CAPLUS
CN Benzenemethanol,
.alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-,
(.alpha.S)- (9CI) (CA INDEX NAME)

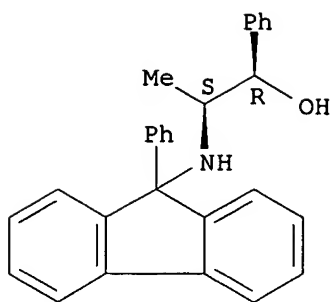
Absolute stereochemistry. Rotation (+).



RN 178238-03-4 CAPLUS
CN Benzenemethanol,
.alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

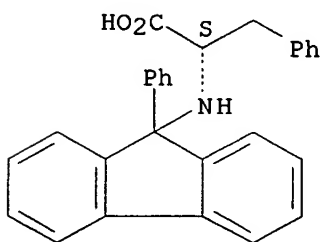
10/009,008



RN 195244-96-3 CAPLUS

CN L-Phenylalanine, N-(9-phenyl-9H-fluoren-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 195245-09-1P 195245-10-4P 195245-11-5P

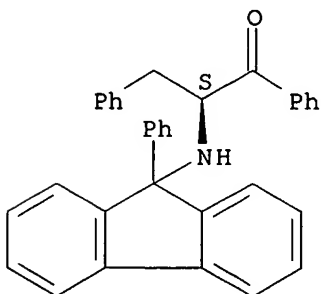
RL: SPN (Synthetic preparation); PREP (Preparation)
(enantiospecific synthesis of N-(9-phenylfluoren-9-yl)-.alpha.-amino
ketones)

RN 195245-09-1 CAPLUS

CN 1-Propanone, 1,3-diphenyl-2-[(9-phenyl-9H-fluoren-9-yl)amino]-, (S)-
(9CI)

(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

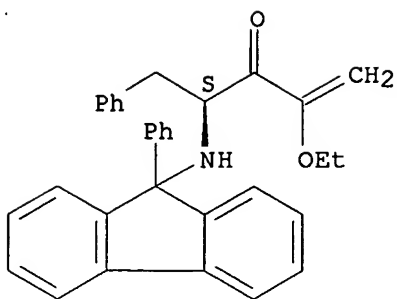


RN 195245-10-4 CAPLUS

CN 1-Penten-3-one, 2-ethoxy-5-phenyl-4-[(9-phenyl-9H-fluoren-9-yl)amino]-,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

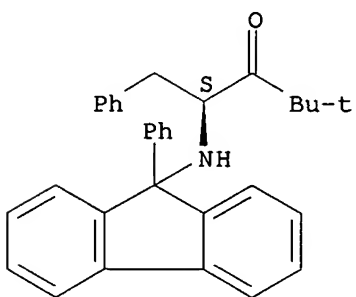
10/009,008



RN 195245-11-5 CAPLUS

CN 3-Pentanone, 4,4-dimethyl-1-phenyl-2-[(9-phenyl-9H-fluoren-9-yl)amino]-,
(S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



10/009,008

L4 ANSWER 87 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1997:567066 CAPLUS

DN 127:275950

TI Characterization of a novel iodocyanopindolol and SM-11044 binding protein, which may mediate relaxation of depolarized rat colon tonus

AU Sugasawa, Toshinari; Matsuzaki-Fujita, Masago; Guillaume, Jean-Luc; Camoin, Luc; Morooka, Shigeaki; Strosberg, A. Donny

CS Institut Cochin de Genetique Moleculaire, CNRS-UPR 0415 and Universite Paris VII, Paris, 75014, Fr.

SO Journal of Biological Chemistry (1997), 272(34), 21244-21252
CODEN: JBCHA3; ISSN: 0021-9258

PB American Society for Biochemistry and Molecular Biology

DT Journal

LA English

AB Studies under blockade of .alpha.-, .beta.1-, and .beta.2-adrenoreceptors revealed a good correlation between the responses of rat colon relaxation of depolarized tonus and of rat adipocyte lipolysis elicited by catecholamines or BRL-37344, a selective .beta.3-adrenoreceptor agonist, suggesting .beta.3-adrenoreceptor stimulation. In contrast, SM-11044, a nonselective .beta.-adrenoreceptor agonist, stimulated colon relaxation more efficiently than lipolysis; its effects were differently antagonized by cyanopindolol with pA2 values of 8.31 in colon and of 7.32 in adipocytes. Binding studies in rat colon smooth muscle membranes using [125I]iodocyanopindolol under blockade of adrenaline and serotonin receptors revealed the existence of a single class of sites (Kd = 11.0

nM, Bmax = 716.7 fmol/mg protein). The specific binding was saturable and reversible and was displaced by SM-11044 but not by BRL-37344, isoproterenol, noradrenaline, adrenaline, serotonin, nor dopamine. This binding site was photoaffinity labeled using [125I]iodocyanopindolol-diazirine. The labeling was prevented by SM-11044 but not by BRL-37344. The amino-terminal amino acid sequences of the high performance liq. chromatog.-purified peptides generated by enzymic and chem. cleavages of the affinity labeled 34-kDa protein confirmed that the novel iodocyanopindolol or SM-11044 binding protein of rat colon smooth muscle membranes is different from known adrenaline, serotonin, or dopamine receptors. Its functional role might include the relaxation of depolarized colon.

IT 121524-09-2, SR 58611A

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); BIOL (Biological study)

(adrenoreceptor agonist effects on colon smooth muscle relaxation and white adipocyte lipolysis and characterization of iodocyanopindolol-binding protein which mediates adrenoreceptor-independent relaxation

in

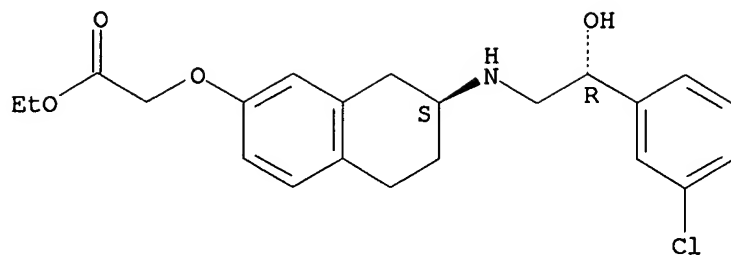
colon smooth muscle)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

10/009,008

L4 ANSWER 88 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1997:563096 CAPLUS

DN 127:205361

TI Preparation of 3,4-disubstituted phenylethanolaminotetralincarboxamide derivatives having a selective .beta.2-adrenergic receptor stimulating effect

IN Kitazawa, Makio; Okazaki, Kosuke; Tamai, Tetsuro; Saito, Masaru; Tanaka, Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Ken; Muranaka, Hideyuki

PA Kissei Pharmaceutical Co., Ltd., Japan; Kitazawa, Makio; Okazaki, Kosuke; Tamai, Tetsuro; Saito, Masaru; Tanaka, Nobuyuki; Kobayashi, Hiroaki; Kikuchi, Ken; Muranaka, Hideyuki

SO PCT Int. Appl., 69 pp.

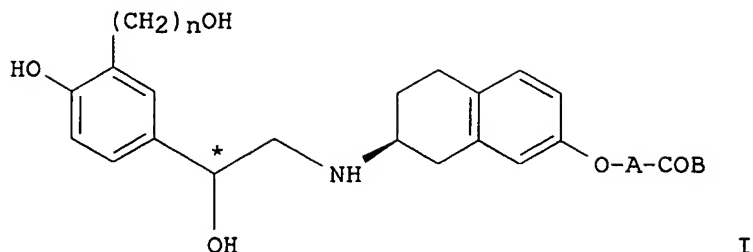
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9730023	A1	19970821	WO 1997-JP424	19970218
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	SG 72727	A1	20000523	SG 1997-355	19970217
	CA 2245490	AA	19970821	CA 1997-2245490	19970218
	AU 9720014	A1	19970902	AU 1997-20014	19970218
	AU 725042	B2	20001005		
	EP 882704	A1	19981209	EP 1997-902714	19970218
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	CN 1100032	B	20030129		
	BR 9707566	A	19990727	BR 1997-7566	19970218
	NZ 331445	A	20000128	NZ 1997-331445	19970218
	AT 225767	E	20021015	AT 1997-902714	19970218
	NO 9803777	A	19981019	NO 1998-3777	19980818
	US 6133266	A	20001017	US 1999-125429	19990210
PRAI	JP 1996-68885	A	19960219		
	WO 1997-JP424	W	19970218		
OS	MARPAT 127:205361				
GI					



AB The title

2-(2-phenyl-2-hydroxyethylamino)tetralin-7-yloxyalkylcarboxamide
 derivs. represented by general formula (I; lower alkylene; B = amino,
 di(lower alkyl)amino or 3- to 7-membered alicyclic amino optionally
 contg.

oxygen in the ring; n = an integer of 1 or 2; the carbon atom marked with
 * means a carbon atom with the R or S configuration or a mixt. thereof)
 and their pharmacol. acceptable salts having a selective
 .beta.2-adrenergic receptor stimulating effect with a relieved burden on
 the heart such as frequent pulse (no data) are prepd. These compds. are
 useful as preventives for threatened abortion/premature birth,
 bronchodilators and pain-relieving and urinary calculus (lithangiurea)
 agents in ureterolithiasis. Thus, 2.00 g Et tetralin-7-yloxyacetate
 deriv. I (A = CH₂, B = OEt, n = 1) and 17.9 g Me₂NH were dissolved in a
 sealed tube and heated at 65.degree. for 36 h to give I (A = CH₂, B =
 NMe₂, n = 1).

IT 194785-06-3P 194785-07-4P 194785-08-5P
 194785-09-6P 194785-10-9P 194785-11-0P
 194785-12-1P 194785-13-2P 194785-14-3P
 194785-15-4P 194785-16-5P 194785-17-6P
 194785-19-8P 194785-20-1P 194785-21-2P
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 194785-25-6P 194785-26-7P 194785-27-8P
 194785-28-9P 194785-29-0P 194785-30-3P
 194785-31-4P 194785-32-5P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylethanolaminotetralincarboxamide derivs. as selective
 .beta.2-adrenergic receptor agonists)

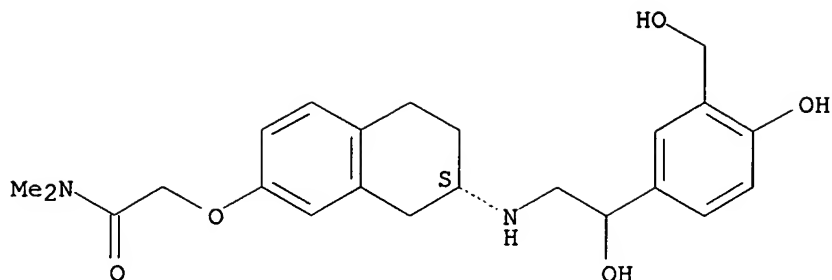
RN 194785-06-3 CAPLUS

CN Acetamide,

N,N-dimethyl-2-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-
 3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

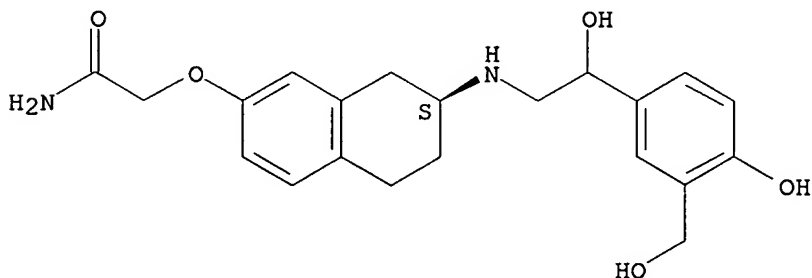
10/009,008



RN 194785-07-4 CAPLUS

CN Acetamide, 2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI) (CA INDEX NAME)

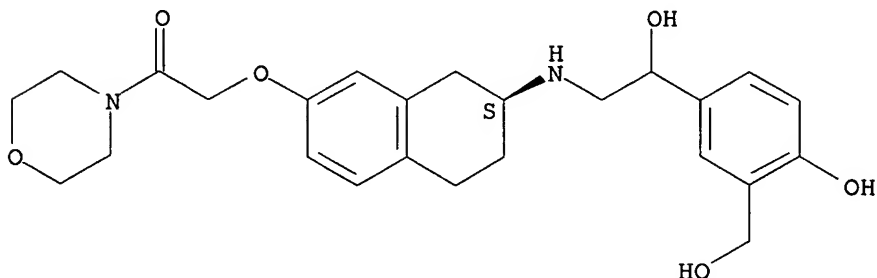
Absolute stereochemistry.



RN 194785-08-5 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

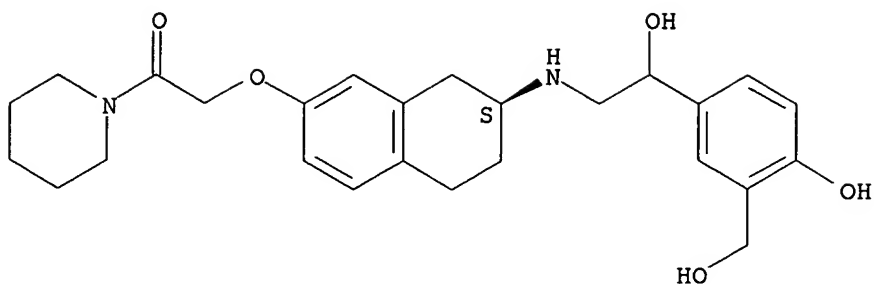


RN 194785-09-6 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

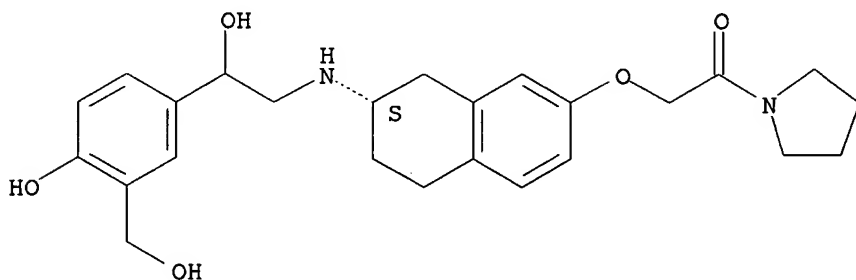
10/009,008



RN 194785-10-9 CAPLUS

CN Pyrrolidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (7S)-(9CI) (CA INDEX NAME)

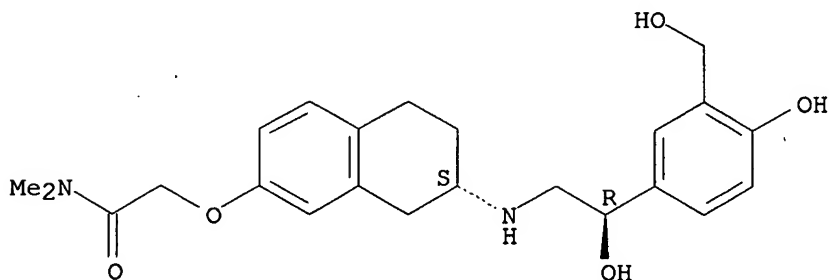
Absolute stereochemistry.



RN 194785-11-0 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

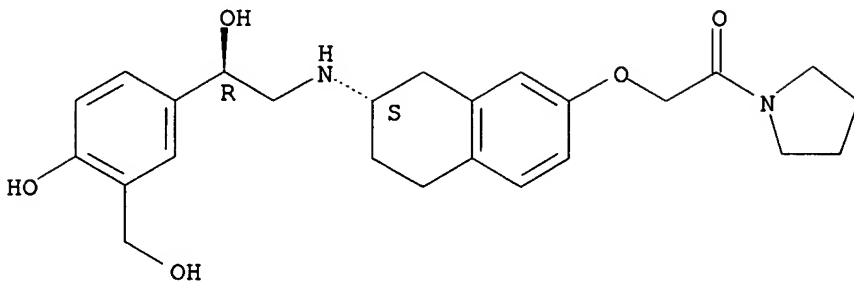


RN 194785-12-1 CAPLUS

CN Pyrrolidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

10/009,008

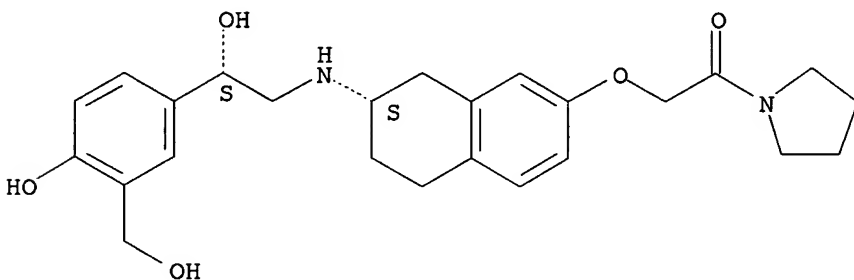
Absolute stereochemistry. Rotation (-).



RN 194785-13-2 CAPLUS

CN Pyrrolidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

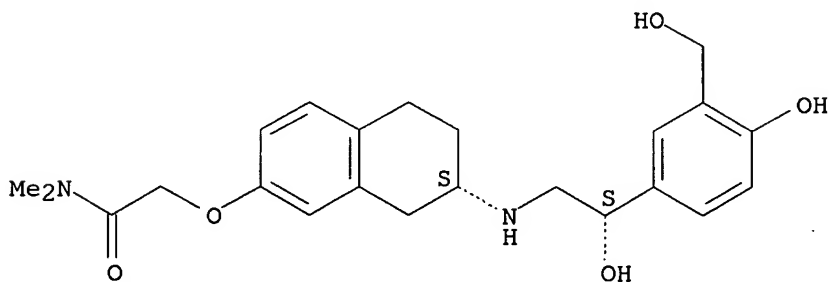
Absolute stereochemistry. Rotation (-).



RN 194785-14-3 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



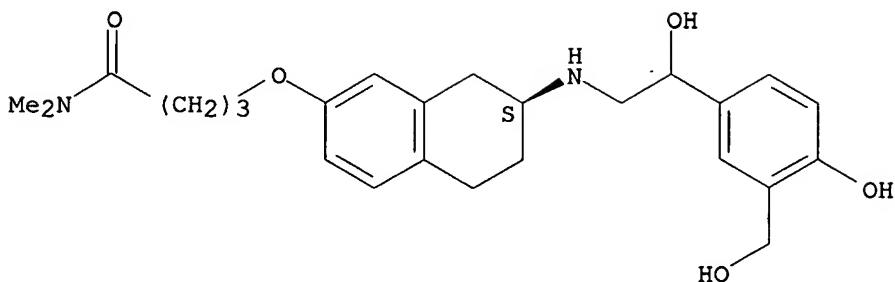
RN 194785-15-4 CAPLUS

CN Butanamide, N,N-dimethyl-4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI)

10/009,008

(CA INDEX NAME)

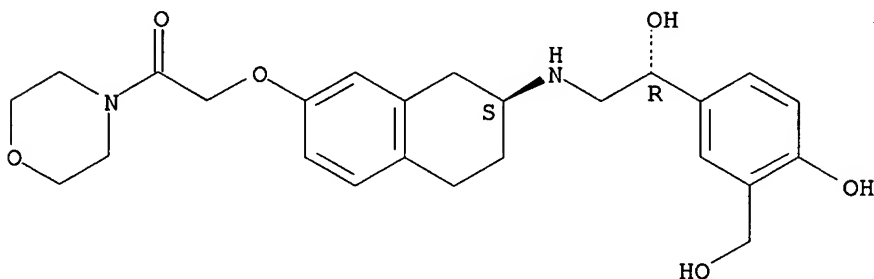
Absolute stereochemistry.



RN 194785-16-5 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

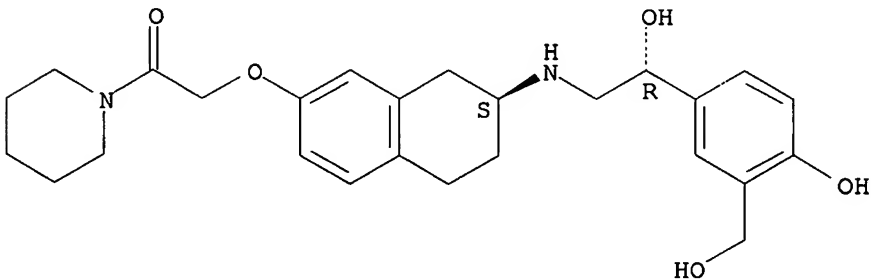
Absolute stereochemistry. Rotation (-).



RN 194785-17-6 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



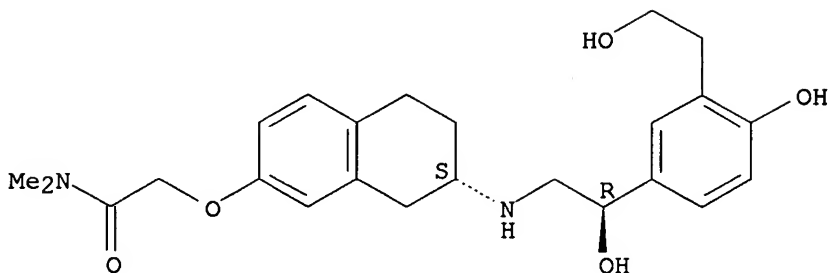
RN 194785-19-8 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-

10/009,008

(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

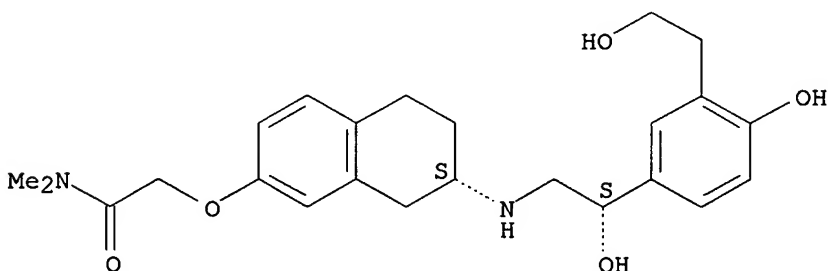


RN 194785-20-1 CAPLUS

CN Acetamide,

N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [S-(R*,R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

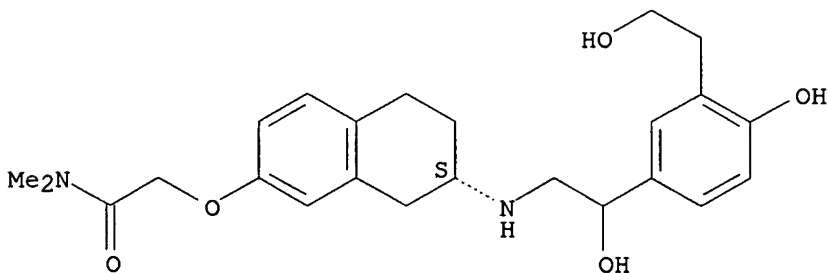


RN 194785-21-2 CAPLUS

CN Acetamide,

N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

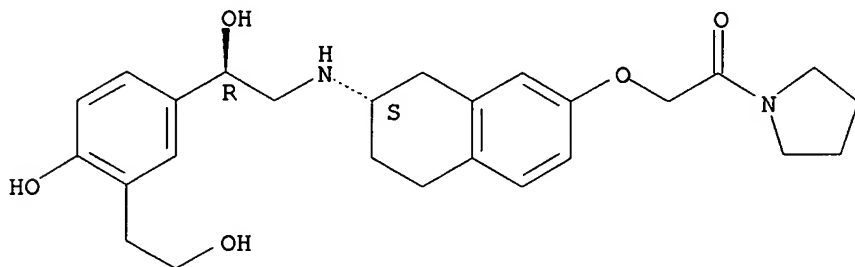


RN 194785-22-3 CAPLUS

10/009,008

CN Pyrrolidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

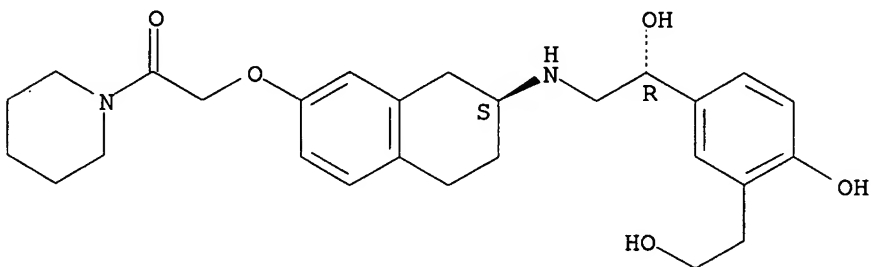
Absolute stereochemistry. Rotation (-).



RN 194785-23-4 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

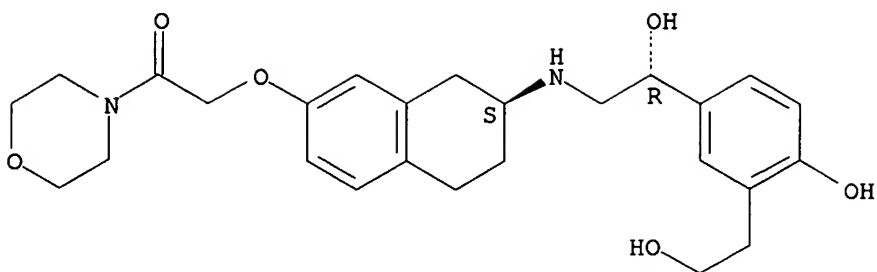


RN 194785-24-5 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10/009,008



RN 194785-25-6 CAPLUS

CN Acetamide,

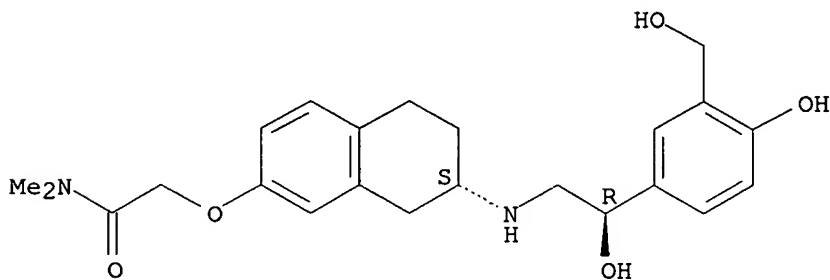
N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [R-(R*,S*)]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 194785-11-0

CMF C23 H30 N2 O5

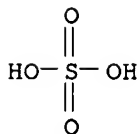
Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 194785-26-7 CAPLUS

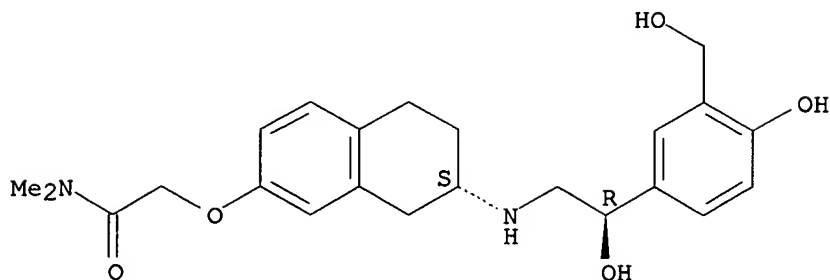
CN Acetamide, N,N-dimethyl-2-[[[7S)-5,6,7,8-tetrahydro-7-[[[2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

10/009,008

CM 1

CRN 194785-11-0
CMF C23 H30 N2 O5

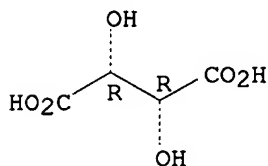
Absolute stereochemistry. Rotation (-).



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



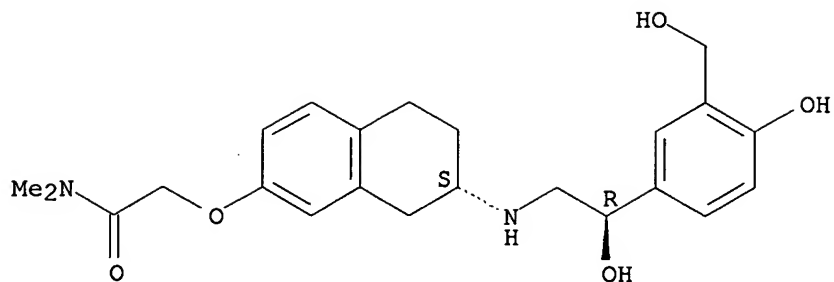
RN 194785-27-8 CAPLUS
CN Acetamide,
N,N-dimethyl-2-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [R-(R*,S*)]-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 194785-11-0
CMF C23 H30 N2 O5

Absolute stereochemistry. Rotation (-).

10/009,008

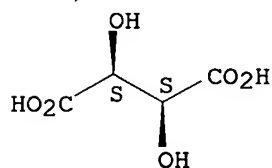


CM 2

CRN 147-71-7

CMF C4 H6 O6

Absolute stereochemistry.



RN 194785-28-9 CAPLUS

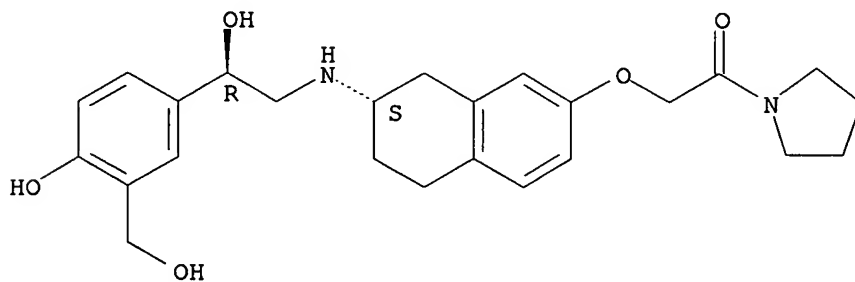
CN Pyrrolidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 194785-12-1

CMF C25 H32 N2 O5

Absolute stereochemistry. Rotation (-).

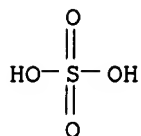


CM 2

CRN 7664-93-9

10/009,008

CMF H2 O4 S



RN 194785-29-0 CAPLUS

CN Pyrrolidine,

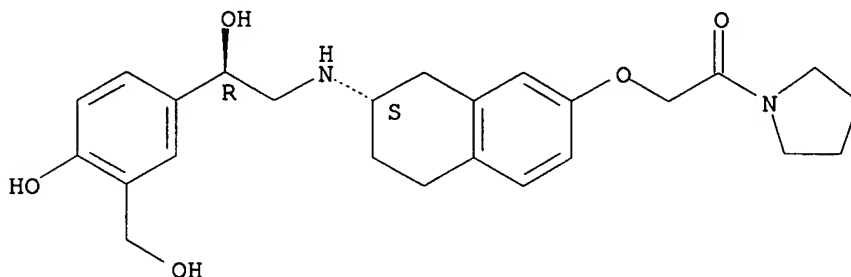
1-[[[(7S)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 194785-12-1

CMF C25 H32 N2 O5

Absolute stereochemistry. Rotation (-).

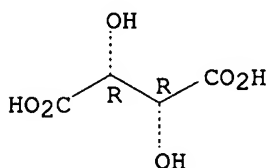


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 194785-30-3 CAPLUS

CN Pyrrolidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 194785-12-1

CMF C25 H32 N2 O5

CM 2

CRN 147-71-7

CMF C4 H6 O6

OC(=O)[C@H](O)S[C@@H](O)C(=O)O

RN	194785-31-4	CAPLUS
CN	Acetamide, N,N-dimethyl-2-[[(7S)-5,6,7,8-tetrahydro-7-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)	

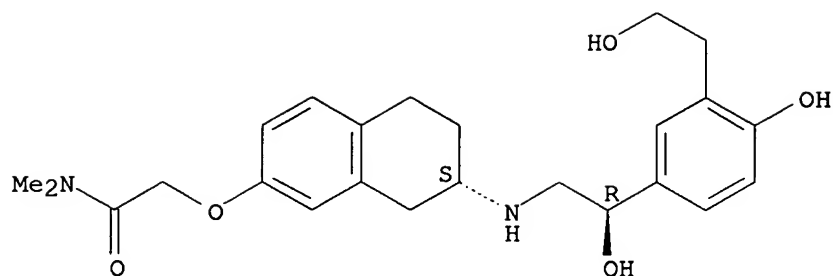
CM 1

CRN 194785-19-8

CMF C24 H32 N2 O5

Absolute stereochemistry. Rotation (-).

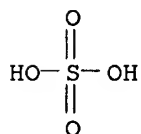
10/009,008



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 194785-32-5 CAPLUS

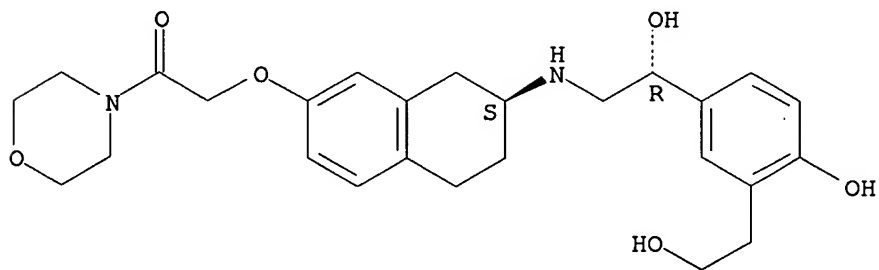
CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(2-hydroxyethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 194785-24-5

CMF C26 H34 N2 O6

Absolute stereochemistry. Rotation (-).

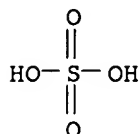


CM 2

CRN 7664-93-9

CMF H2 O4 S

10/009,008



IT 194785-41-6P 194785-46-1P 194785-47-2P
194785-48-3P 194785-50-7P 194785-54-1P
194785-56-3P 194785-57-4P 194785-63-2P
194785-64-3P 194785-70-1P 194785-71-2P
194785-72-3P 194785-73-4P 194785-74-5P
194785-75-6P 194785-76-7P 194785-77-8P

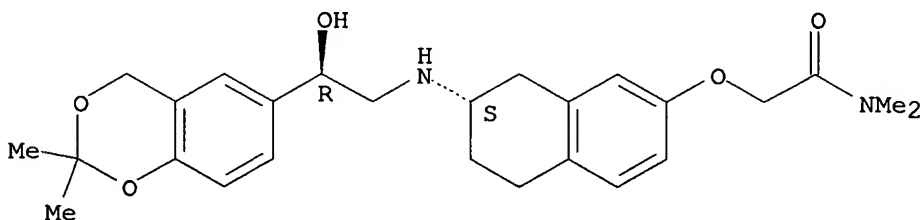
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylethanolaminotetralincarboxamide derivs. as selective .beta.2-adrenergic receptor agonists)

RN 194785-41-6 CAPLUS

CN Acetamide, 2-[[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-6-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-N,N-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

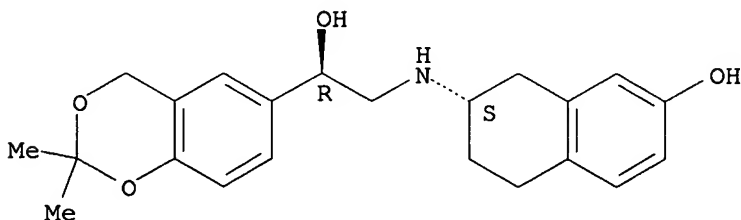
Absolute stereochemistry.



RN 194785-46-1 CAPLUS

CN 4H-1,3-Benzodioxin-6-methanol, 2,2-dimethyl-.alpha.-[[(1,2,3,4-tetrahydro-7-hydroxy-2-naphthalenyl)amino]methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

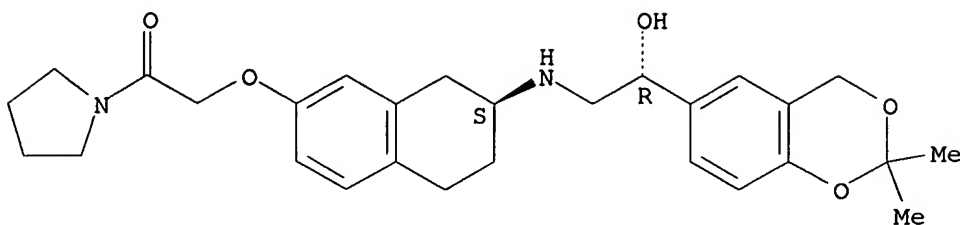


RN 194785-47-2 CAPLUS

CN Pyrrolidine, 1-[[[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-6-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

10/009,008

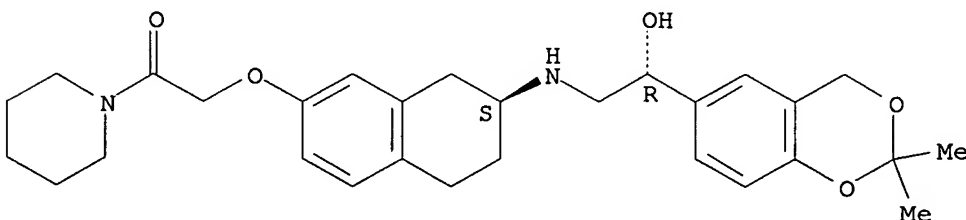
Absolute stereochemistry. Rotation (-).



RN 194785-48-3 CAPLUS

CN Piperidine, 1-[[[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-6-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

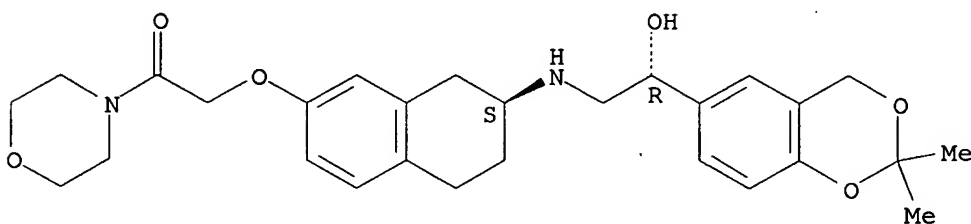
Absolute stereochemistry. Rotation (-).



RN 194785-50-7 CAPLUS

CN Morpholine, 4-[[[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-6-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

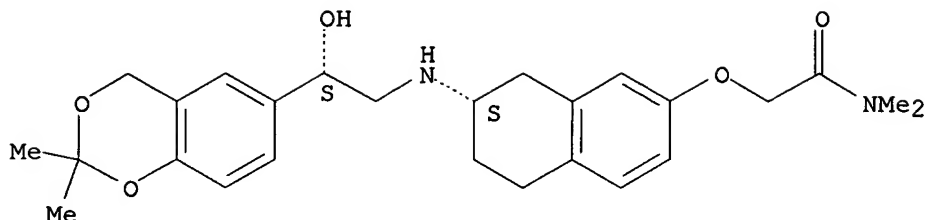


RN 194785-54-1 CAPLUS

CN Acetamide, 2-[[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-6-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-N,N-dimethyl-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

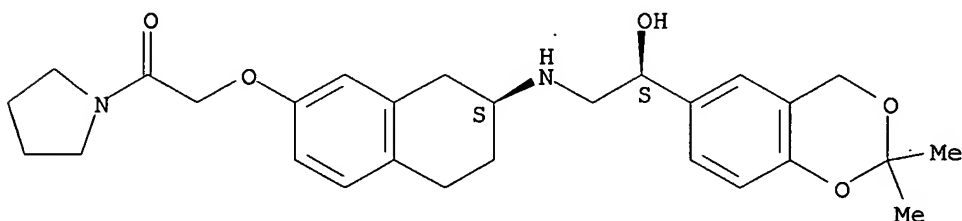
10/009,008



RN 194785-56-3 CAPLUS

CN Pyrrolidine, 1-[[[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-6-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]acetyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

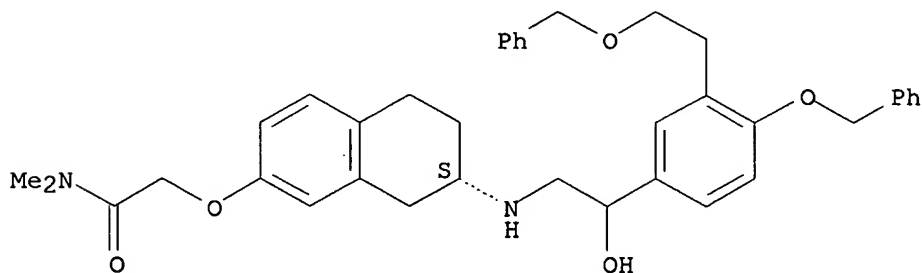
Absolute stereochemistry. Rotation (-).



RN 194785-57-4 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

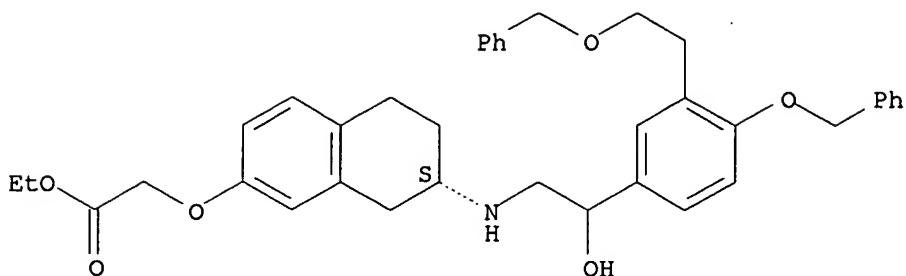


RN 194785-63-2 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

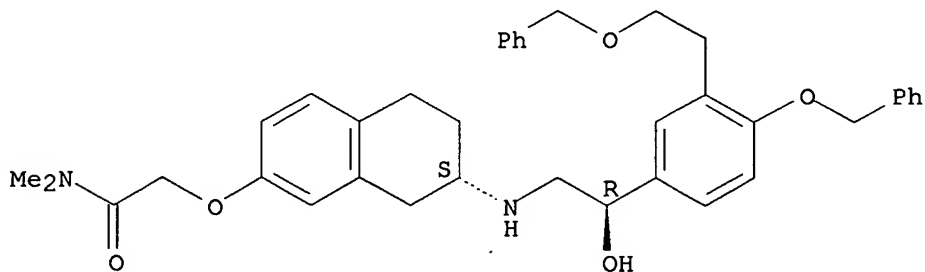
10/009,008



RN 194785-64-3 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

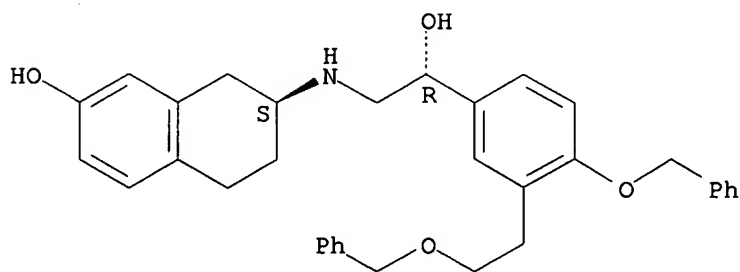
Absolute stereochemistry. Rotation (-).



RN 194785-70-1 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

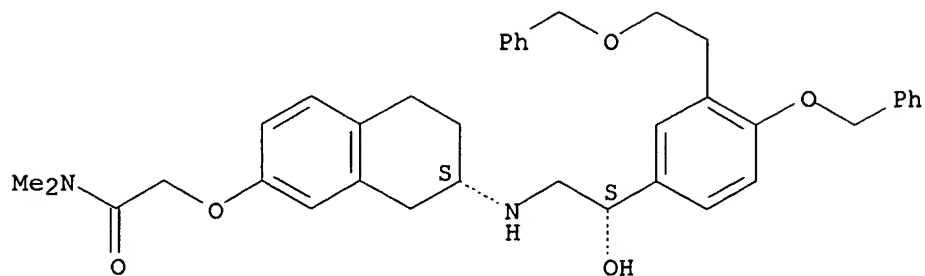


RN 194785-71-2 CAPLUS

CN Acetamide, N,N-dimethyl-2-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

10/009,008

Absolute stereochemistry. Rotation (-).

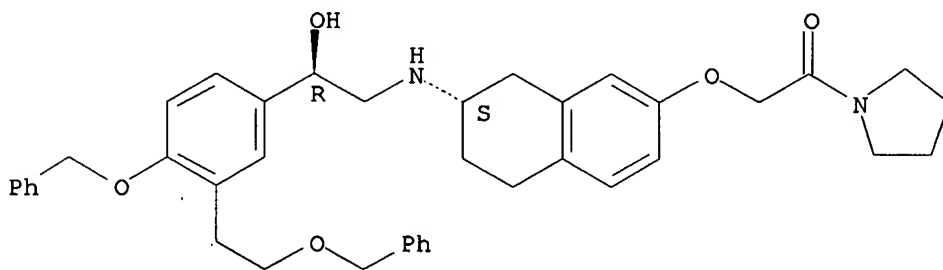


RN 194785-72-3 CAPLUS

CN Pyrrolidine,

1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]]- (9CI) (CA INDEX NAME)

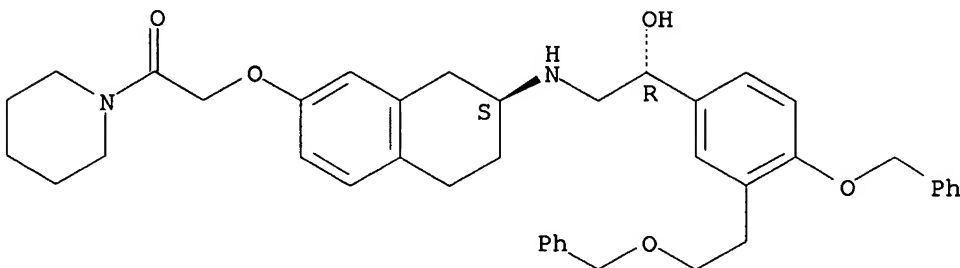
Absolute stereochemistry. Rotation (-).



RN 194785-73-4 CAPLUS

CN Piperidine, 1-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

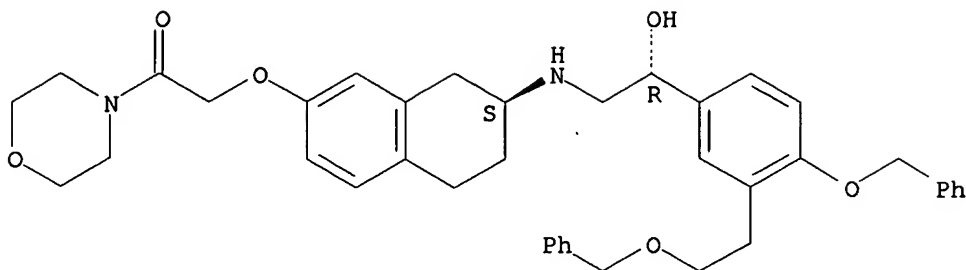


RN 194785-74-5 CAPLUS

CN Morpholine, 4-[[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-(phenylmethoxy)-3-[2-(phenylmethoxy)ethyl]phenyl]ethyl]amino]-2-naphthalenyl]oxy]acetyl]-, [R-(R*,S*)]]- (9CI) (CA INDEX NAME)

10/009,008

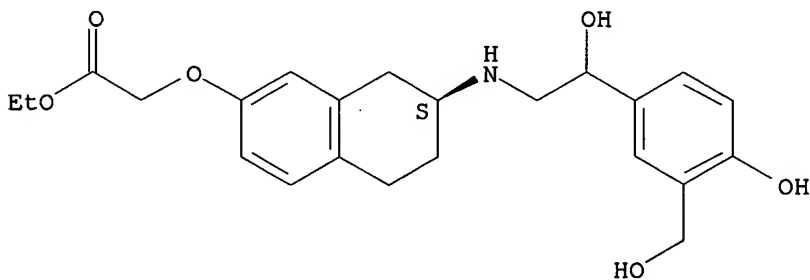
Absolute stereochemistry. Rotation (-).



RN 194785-75-6 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

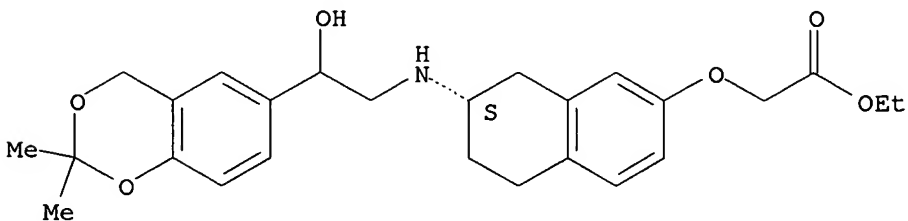
Absolute stereochemistry.



RN 194785-76-7 CAPLUS

CN Acetic acid, [[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-6-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

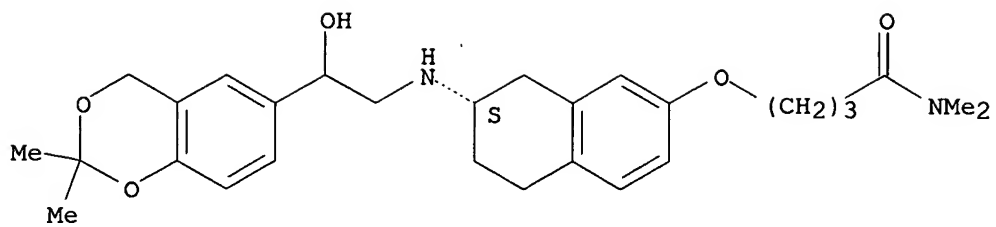


RN 194785-77-8 CAPLUS

CN Butanamide, 4-[[7-[[2-(2,2-dimethyl-4H-1,3-benzodioxin-6-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-N,N-dimethyl-, (7S)- (9CI) (CA INDEX NAME)

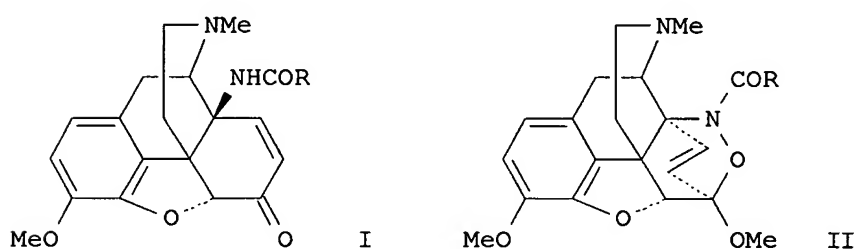
Absolute stereochemistry.

10/009,008



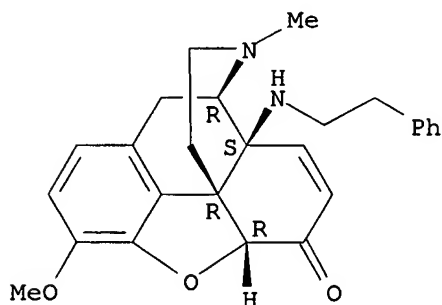
10/009,008

L4 ANSWER 89 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:481773 CAPLUS
DN 127:190876
TI Short synthesis of 14.beta.-acylaminocodeinones from the cycloadducts of thebaine and acylnitroso compounds
AU Gourlay, Ross I.; Kirby, Gordon W.
CS Dep. Chemistry, Univ. Glasgow, Glasgow, G12 8QQ, UK
SO Journal of Chemical Research, Synopses (1997), (5), 152-153
CODEN: JRPSDC; ISSN: 0308-2342
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 127:190876
GI



AB Thebaine was converted in four steps into analgesic 14.beta.-acylaminocodeinones I [R = Me, Ph, Ph(CH₂)₂, PhCH₂O, PhCH₂, Ph(CH₂)₃, Me(CH₂)₄] via the formation of cycloadducts II.
IT **68616-19-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 14.beta.-acylaminocodeinones from the cycloadducts of thebaine and acylnitroso compds.)
RN 68616-19-3 CAPLUS
CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-[(2-phenylethyl)amino]-, (5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

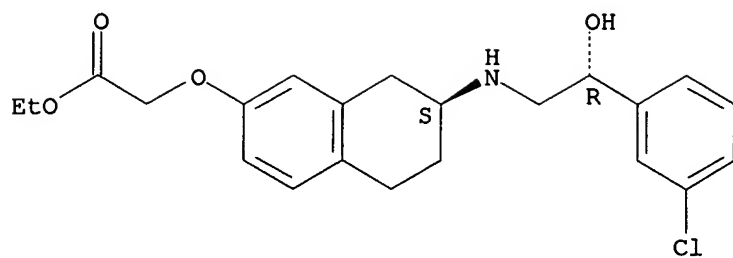


10/009,008

L4 ANSWER 90 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:475527 CAPLUS
DN 127:214919
TI The .beta.3-adrenoceptor agonist SR58611A inhibits gastric acid secretion in the conscious cat
AU Coruzzi, Gabriella; Bertaccini, G.
CS Institute of Pharmacology, University of Parma, Parma, I-43100, Italy
SO Naunyn-Schmiedeberg's Archives of Pharmacology (1997), 356(2), 263-265
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer
DT Journal
LA English
AB The effect of the .beta.3-adrenoceptor agonist [N-((2S)-7-ethoxycarbonylmethoxyl-1,2,3,4-tetrahydronaphth-2-yl) (2R)-2-(3-chlorophenyl)-2-hydroxyethanamine hydrochloride] (SR58611A) on gastric acid secretion was investigated in conscious cats with a gastric fistula. The i.v. infusion of SR58611A (0.3-3 .mu.mol/kg/h) caused a dose-dependent inhibition of the acid secretion stimulated by 2-deoxy-D-glucose (2DG), with a max. redn. by 45%. The secretory effect of the histamine H2-receptor agonist dimaprit only tended to be reduced by SR58611A (3 .mu.mol/kg/h). The inhibitory effect of SR58611A was not modified by the non selective .beta.1- and .beta.2-adrenoceptor antagonist propranolol (1.5 .mu.mol/kg i.v.), but it was prevented by bupranolol (10.mu.mol/kg i.v.), a drug endowed with .beta.3-antagonistic properties. Both antagonists blocked the inhibitory effect of the .beta.2-adrenoceptor agonist clenbuterol (0.1.mu.mol/kg/h) on 2DG-induced acid secretion. These findings suggest that compd. SR58611A inhibits gastric acid secretion in the conscious cat through activation of .beta.3-adrenoceptors insensitive to propranolol.
IT 121524-09-2, SR58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES (Uses)
(.beta.3-adrenoceptor agonist SR58611A inhibition of gastric acid secretion in conscious cat, and comparison with .beta.2-adrenoceptor agonist clenbuterol)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

10/009,008

L4 ANSWER 91 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:433633 CAPLUS
DN 127:55894
TI Stable freeze-dried pharmaceutical formulation containing mannitol and alanine
IN Bouloumie, Colette; Breul, Thierry; Colliere, Laurence; Faure, Philippe
PA Sanofi, Fr.; Bouloumie, Colette; Breul, Thierry; Colliere, Laurence; Faure, Philippe
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9717064	A1	19970515	WO 1996-FR1706	19961030
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	FR 2740686	A1	19970509	FR 1995-13022	19951103
	FR 2740686	B1	19980116		
	CA 2234140	AA	19970515	CA 1996-2234140	19961030
	AU 9674990	A1	19970529	AU 1996-74990	19961030
	AU 713383	B2	19991202		
	EP 858325	A1	19980819	EP 1996-937367	19961030
	EP 858325	B1	20020731		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	CN 1203527	A	19981230	CN 1996-198786	19961030
	BR 9611367	A	19990223	BR 1996-11367	19961030
	JP 11507945	T2	19990713	JP 1996-517912	19961030
	CZ 287178	B6	20001011	CZ 1998-1231	19961030
	IL 124214	A1	20010128	IL 1996-124214	19961030
	RU 2163801	C2	20010310	RU 1998-110638	19961030
	AT 221374	E	20020815	AT 1996-937367	19961030
	JP 3357376	B2	20021216	JP 1997-517912	19961030
	ES 2180805	T3	20030216	ES 1996-937367	19961030
	ZA 9609176	A	19980430	ZA 1996-9176	19961031
	TW 442295	B	20010623	TW 1996-85114410	19961122
	NO 9801967	A	19980630	NO 1998-1967	19980430
	US 6284277	B1	20010904	US 1998-66387	19981209
PRAI	FR 1995-13022	A	19951103		
	WO 1996-FR1706	W	19961030		

AB A pharmaceutically acceptable freeze-dried formulation consisting of an amorphous phase and a cryst. phase and including at least one non-protein active principle is disclosed. The formulation is characterized in that it contains mannitol and alanine in a ratio R of 0.1-1, where R is the wt. of mannitol over the wt. of alanine. A free-dried pharmaceutical contained SR 57746A 0.44, alanine 72.0, mannitol 36.0, citric acid 30.8, and Polysorbate-80 4.0 mg.

IT 121524-08-1

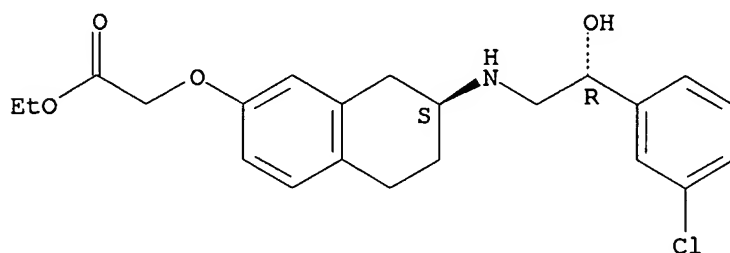
10/009,008

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stable freeze-dried pharmaceutical formulation contg. mannitol and
alanine)

RN 121524-08-1 CAPLUS

CN Acetic acid, [[[2S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

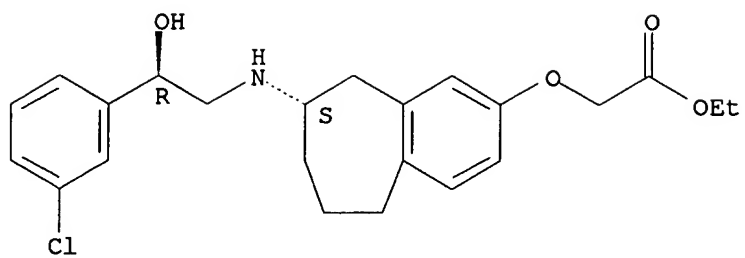


10/009,008

L4 ANSWER 92 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:365180 CAPLUS
DN 127:75830
TI FR149175, a .beta.3-adrenoceptor-selective agonist, is a possible
therapeutic agent for non-insulin-dependent diabetes mellitus
AU Yamamoto, Hiroko; Takakura, Shoji; Yamamoto, Tadashi; Satoh, Hisashi;
Higaki, Masahide; Torno, Masaaki; Shimomura, Kyoichi
CS Pharmacological Research Laboratories, Fujisawa Pharmaceutical Co., Ltd.,
Osaka, 532, Japan
SO Japanese Journal of Pharmacology (1997), 74(1), 109-112
CODEN: JJPAAZ; ISSN: 0021-5198
PB Japanese Pharmacological Society
DT Journal
LA English
AB We examd. whether FR149175 (Et [(S)-8-[(R)-2-(3-chlorophenyl)-2-
hydroxyethylamino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]
acetate
monohydrochloride monohydrate), a selective agonist for the
.beta.3-adrenoceptor, is a possible therapeutic agent for
non-insulin-dependent diabetes mellitus (NIDDM). FR149175 had
hypoglycemic effects with an increase in the level of plasma insulin in
normal rats. In Zucker fatty rats, an animal model of NIDDM, repeated
administration of the drug improved hyperinsulinemia and showed a
tendency
to decrease the area under the curve (AUC) for plasma glucose levels in
the glucose tolerance test. Moreover, FR149175 decreased plasma
triglyceride, free fatty acid and total cholesterol levels in the rats.
Body wt. gain in the rat was suppressed by FR149175 as well. These
results suggest that FR149175 has antiobesity and antidiabetic effects
and
that this drug may be useful for treating NIDDM.
IT 152357-12-5, FR 149175
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES
(Uses)
(therapeutic effects of .beta.3-adrenoceptor-adrenoceptor-selective
agonist FR149175 non-insulin-dependent diabetes mellitus)
RN 152357-12-5 CAPLUS
CN Acetic acid, [[(8S)-8-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester,
hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

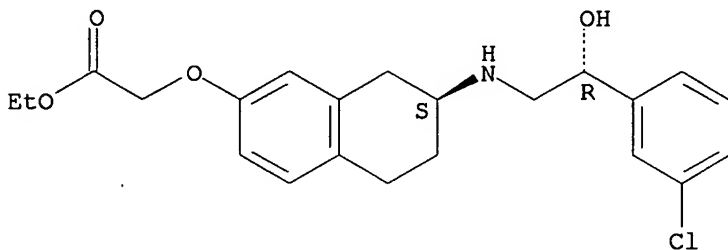


● HCl

10/009,008

L4 ANSWER 93 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:349486 CAPLUS
DN 127:61038
TI Carboxyl-promoted enhancement of selectivity for the .beta.3 adrenergic receptor. Selectivity is enhanced at the level of receptor binding
AU Sher, Philip M.; Fisher, Liesl G.; Skwish, Stephen; Michel, Inge M.; Seiler, Steven M.; Washburn, William N.; Dickinson, Kenneth E. J.
CS Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SO Medicinal Chemistry Research (1997), 7(2), 109-115
CODEN: MCREEB; ISSN: 1054-2523
PB Birkhaeuser
DT Journal
LA English
AB Four carboxyl-contg., selective .beta.3 adrenergic agonists and their ester or amide derivs. were evaluated for their ability to bind to human .beta.1, .beta.2, and .beta.3 adrenergic receptors. Stimulatory effects on the .beta.3 adrenergic receptor were also measured. The authors conclude that carboxyl-derived .beta.3 functional selectivity likely results, at least in part, from the effect of the carboxyl on binding selectivity.
IT 121524-09-2, SR 58611A 191533-25-2, SR 58878
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(carboxyl-promoted enhancement of selectivity for .beta.3 adrenergic receptor)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

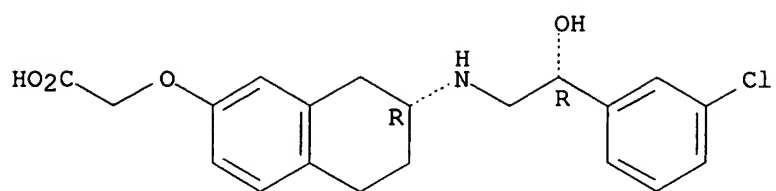


● HCl

RN 191533-25-2 CAPLUS
CN Acetic acid, [[[7R)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



10/009,008

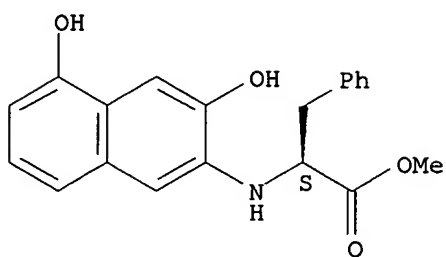
L4 ANSWER 94 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:307687 CAPLUS
DN 126:293356
TI Preparation of phenylamide compounds as cytokine inhibitors
IN Haruta, Junichi; Sakuma, Kazuhiko; Watanabe, Yoshihiro
PA Japan Tobacco Inc., Japan; Haruta, Junichi; Sakuma, Kazuhiko; Watanabe, Yoshihiro
SO PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9708133	A1	19970306	WO 1996-JP2305	19960815
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	AU 9667095	A1	19970319	AU 1996-67095	19960815
	EP 849256	A1	19980624	EP 1996-927187	19960815
	R: DE, FR, GB, IT				
	TW 410218	B	20001101	TW 1996-85110115	19960819
	JP 09118658	A2	19970506	JP 1996-239796	19960821
	JP 2829599	B2	19981125		
	US 6174887	B1	20010116	US 1998-11983	19980220
	US 6420561	B1	20020716	US 2000-714435	20000117
PRAI	JP 1995-213855	A	19950822		
	WO 1996-JP2305	W	19960815		
	US 1998-11983	A3	19980220		
OS	MARPAT 126:293356				
GI	For diagram(s), see printed CA Issue.				
AB	The title compds. [I; R1 =; R2 =; R3 =; R4 =; R5 =; R6 = R = NH2, (un)substituted alkoxy or alkylamino, etc.; A = (un)substituted alkylene, etc.; X = O, S, etc.; M = arylene, cycloalkylene, heterocyclyl, etc.; R1, R2, R3, R4 = H, OH, halo, (un)substituted alkyl, aralkyloxy, etc.; R5 =				
H,	alkyl, etc.; m = 0-6; R6 = optionally substituted aryl or cycloalkyl, etc.; R7 = H, optionally substituted alkyl or aryl, etc.] and pharmaceutically acceptable salts thereof are prepd. I, exhibiting excellent inhibitory effects on cytokines (IL-8, IL-1, IL-6, TNF, GM-CSF, etc.) relating directly or indirectly to inflammation, are useful in the prevention or treatment of arthritis caused by rheumatic diseases, gout, etc. Thus, benzoic acid (II) was reacted with L-phenylalanine.HCl in the presence of WSC.HCl, HOBT, and Et3N, and followed by treatment with aq. HCl to give the title compd. (III). III showed IC50 of 0.002, 0.008, and 0.009 .mu.M against IL-1.beta., TNF, and IL-8 resp. when tested on human in vitro.				
IT	188792-95-2P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
	(prepn. of phenylamide compds. as cytokine inhibitors)				
RN	188792-95-2 CAPLUS				
CN	L-Phenylalanine, N-(3,5-dihydroxy-2-naphthalenyl)-, methyl ester (9CI)				

10/009,008

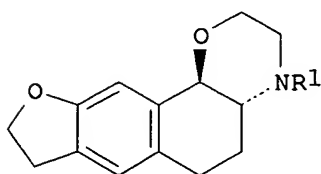
(CA INDEX NAME)

Absolute stereochemistry.



10/009,008

L4 ANSWER 95 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1997:285653 CAPLUS
DN 127:5052
TI Tetracyclic analogs of [+]S 14297: synthesis and determination of
affinity and selectivity at cloned human dopamine D3 vs D2 receptors
AU Peglion, Jean-Louis; Vian, Joel; Goument, Bertrand; Despaux, Nicole;
Audinot, Valerie; Millan, Mark J.
CS Institut de Recherches Servier, Suresnes, 92150, Fr.
SO Bioorganic & Medicinal Chemistry Letters (1997), 7(7), 881-886
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
GI



I

AB Starting from the structure of the preferential D3 antagonist S 14297, we have prepd. a series of cis and trans tetracyclic derivs. , e.g. I (R1 = Pr, CH2CHMe2, cyclopropylmethyl, etc.), in order to improve potency and selectivity for D3 receptors. The trans oxazino deriv. I (R1 = Pr) showed

slightly increased affinity at D3 receptors and double the selectivity for D3 over D2 receptors, in comparison to S 14297. Cis derivs. and compds. where R1 is alkyl were totally devoid of activity.

IT 174637-23-1P

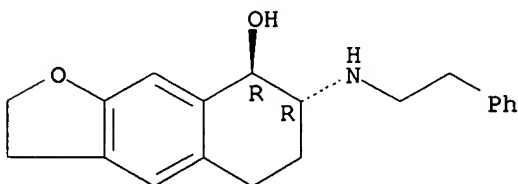
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and D3 and D2 receptor affinity of fluorooxazinonaphthalenes and related compds.)

RN 174637-23-1 CAPLUS

CN Naphtho[2,3-b]furan-8-ol,
2,3,5,6,7,8-hexahydro-7-[(2-phenylethyl)amino]-,
trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



10/009,008

10/009,008

L4 ANSWER 96 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1997:93789 CAPLUS

DN 126:99317

TI Use of beta3-adrenergic agonists for inducing the release of glucagon-like-peptide

IN Bouloux, Cyril Jacques; Manara, Luciano; Bloom, Stephen Robert

PA Sanofi, Fr.

SO Fr. Demande, 9 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	FR 2732894	A1	19961018	FR 1995-4448	19950413
	FR 2732894	B1	19970704		
	FR 2734482	A1	19961129	FR 1995-12694	19951027
	FR 2734482	B1	19970814		
	BE 1009698	A3	19970701	BE 1996-294	19960409
	IT 1298492	B1	20000110	IT 1996-TO284	19960412
PRAI	FR 1995-4448	A	19950413		
	FR 1995-12694	A	19951027		

AB Beta3-adrenergic agonists are useful for inducing the release of glucagon-like-peptide. These agonists are administered at 0.01-30 mg/kg body wt. in different dosage forms (no data).

IT 107758-23-6 107758-43-0 121524-08-1

160696-89-9 185953-96-2

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);

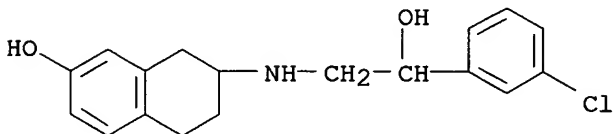
USES

(Uses)

(beta3-adrenergic agonists for inducing release of glucagon-like-peptide)

RN 107758-23-6 CAPLUS

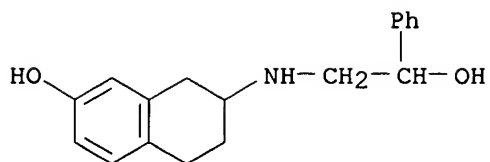
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 107758-43-0 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

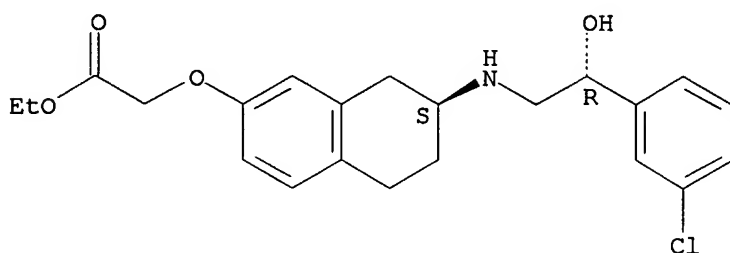
10/009,008



RN 121524-08-1 CAPLUS

CN Acetic acid, [[[2S)-7-[[[2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

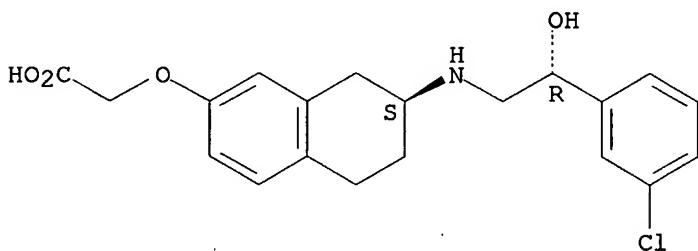
Absolute stereochemistry.



RN 160696-89-9 CAPLUS

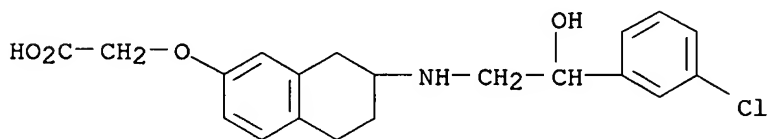
CN Acetic acid, [[[7S)-7-[[[2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185953-96-2 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

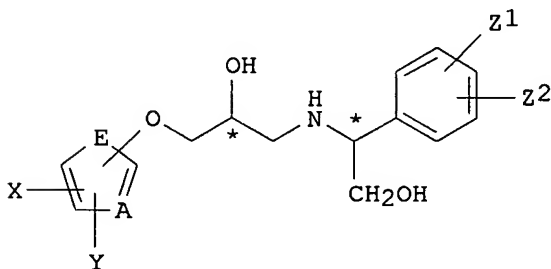


10/009,008

10/009,008

L4 ANSWER 97 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:758896 CAPLUS
DN 126:18641
TI Preparation of novel aryloxypropanolamino(phenyl)propanol compounds as
intestinal motility modulating agents
IN Ohno, Norio; Hiratsuka, Kozo; Takenawa, Noriko
PA Tokyo Tanabe Company Limited, Japan
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9632369	A1	19961017	WO 1996-JP1024	19960412
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE	AU 9652894	A1	19961030	AU 1996-52894	19960412
PRAI	JP 1995-89706		19950414		
	WO 1996-JP1024		19960412		
OS	MARPAT 126:18641				
GI					



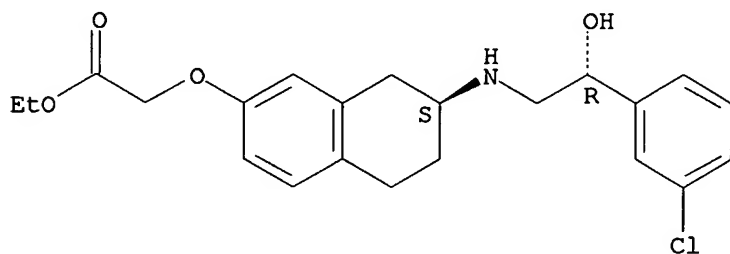
I

AB The title compds. [I; A = CH, N; E = CH:CH, S; X, Y = H, halo, C1-4 alkoxy
(un)substituted C1-4 alkoxy, C1-4 alkyl or alkenyl; or X and Y combine to form CH:CH2CH:CH, NHCH:CH; Z1, Z2 = H, halo, (un)substituted C1-4 alkoxy] and salts thereof are prepd. I are useful as active ingredients for controlling intestinal movements. Thus, Et (S)-4-(2-amino-3-hydroxy)propylphenoxyacetate hydrochloride (prepn. given) was refluxed with (2S)-glycidyl Ph ether in 1N caustic soda-EtOH to give 59% Et (S,S)-4-[2-[(3-phenoxy-2-hydroxy)propyl]amino-3-hydroxy]propylphenoxyacetate (II). II in vitro showed EC50 of 28 nM for suppressing rat intestinal movements vs. 14 nM of ref. compd. SR58611A.
IT 121524-09-2P, SR58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel aryloxypropanolamino(phenyl)propanol compds. as intestinal motility modulating agents)
RN 121524-09-2 CAPLUS

10/009,008

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

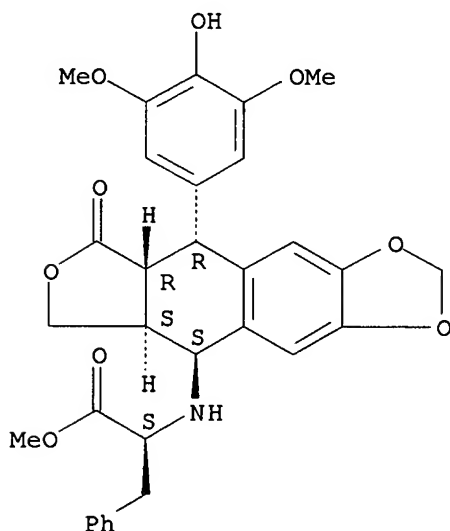


● HCl

10/009,008

L4 ANSWER 98 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:744694 CAPLUS
DN 126:103944
TI Synthesis and antitumor activity of new derivatives of podophyllotoxin
AU Wang, Yan Guang; Pan, Jian Lin; Chen, Yao Zu
CS Dep. Chem., Zhejiang Univ., Hangzhou, 310027, Peop. Rep. China
SO Chinese Chemical Letters (1996), 7(11), 987-988
CODEN: CCLEE7
PB Chinese Chemical Society
DT Journal
LA English
AB A series of C-4 alkylamino-substituted 4'-demethyl-epipodophyllotoxins, have been synthesized and studied for their activity to inhibit L1210 and KB cells in vitro. Most new compds. are as active or more active than VP-16 in their inhibition of both L1210 and KB cells.
IT 182206-92-4P 182206-93-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of amino acid-substituted demethylepipodophyllotoxins as antitumor agents)
RN 182206-92-4 CAPLUS
CN L-Phenylalanine, N-[5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]-, methyl ester, [5S-(5.alpha.,5a.beta.,8a.alpha.,9.beta.)]- (9CI) (CA INDEX NAME)

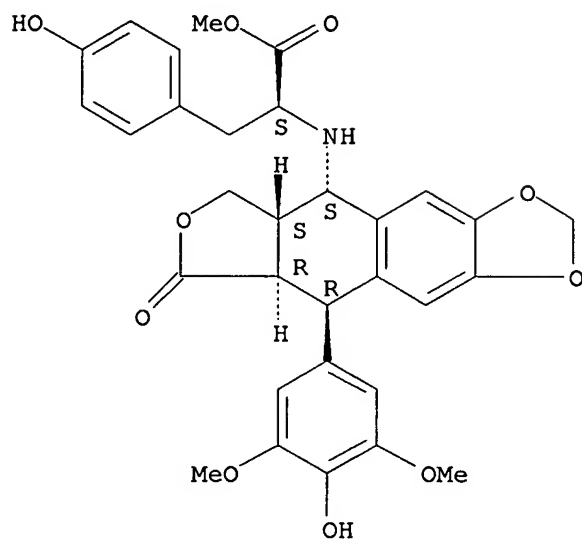
Absolute stereochemistry.



RN 182206-93-5 CAPLUS
CN L-Tyrosine,
N-[5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]-, methyl ester, [5S-(5.alpha.,5a.beta.,8a.alpha.,9.beta.)]- (9CI) (CA INDEX NAME)

10/009,008

Absolute stereochemistry.



10/009,008

L4 ANSWER 99 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:725349 CAPLUS
DN 126:26853
TI Treatment of glaucoma and ocular hypertension with .beta.3-adrenergic agonists
IN Brazzell, Romulus K.; Dubnick, Bernard
PA American Cyanamid Company, USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5578638	A	19961126	US 1993-148154	19931105
	ZA 9408742	A	19950710	ZA 1994-8742	19941104
PRAI	US 1993-148154		19931105		

AB This invention relates to a method of treating glaucoma or reducing intraocular pressure in a patient in need of such treatment which is based

on the topical administration to the eye of a mammal or the systemic administration of .beta.2-adrenergic agonists such as di-Na (R,R)-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-7,8-dihydro-6H-indeno[4,3-d]-1,3-dioxole-2,2-dicarboxylate.

IT 157769-77-2

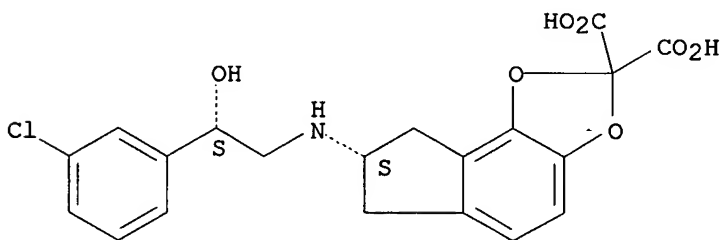
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (glaucoma and ocular hypertension treatment with .beta.3-adrenergic agonists)

RN 157769-77-2 CAPLUS

CN 6H-Indeno[4,5-d]-1,3-dioxole-2,2-dicarboxylic acid, 7-[[2-(3-chlorophenyl)-

2-hydroxyethyl]amino]-7,8-dihydro-, disodium salt, (R*,R*)- (9CI) (CA INDEX NAME)

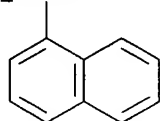
Relative stereochemistry.



10/009,008

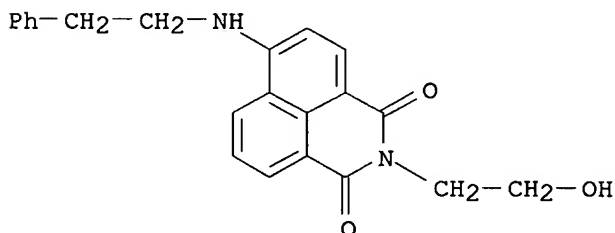
L4 ANSWER 100 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:720599 CAPLUS
DN 126:17967
TI Pyrolysis/GC/MS analysis of non-volatile flavor precursors: Amadori compounds
AU Yaylayan, Varoujan A.; Keyhani, Anahita
CS Department Food Science and Agricultural Chemistry, McGill University, Ste. Anne de Bellevue, QC, H9X 3V9, Can.
SO Contribution of Low- and Non-Volatile Materials to the Flavor of Foods (1996), 13-26. Editor(s): Pickenhagen, Wilhelm; Ho, Chi-Tang; Spanier, Arthur M. Publisher: Allured, Carol Stream, Ill.
CODEN: 63QPAI
DT Conference
LA English
AB Pyrolysis/GC/MS was applied to the study of the thermal degrdn. products of non-volatile Maillard flavor precursors - Amadori compds. Different Amadori products were pyrolyzed on a ribbon probe at 150, 200 and 250.degree. for 20 s to study the effect of temp. on the initial decompn. products. To produce secondary pyrolysis products, the Amadori compds. were pyrolyzed at 250.degree. in a quartz tube for 20 s. Furthermore, the effect of addn. of free amino acids and glucose on the pyrolysis products of Amadori compds. was also studied.
IT 65021-64-9
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative) (pyrolysis/GC/MS anal. of non-volatile flavor precursors (Amadori compds.))
RN 65021-64-9 CAPLUS
CN 1-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

Ph-CH₂-CH₂-NH

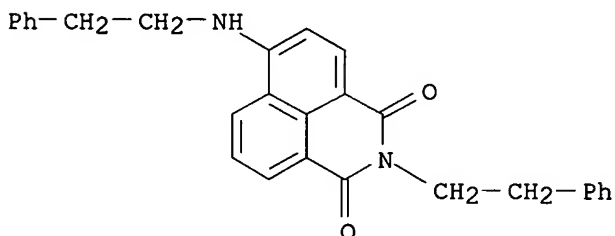


10/009,008

L4 ANSWER 101 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:687959 CAPLUS
DN 125:331536
TI Synthesis and application of fluorescent dyes on basis of 1,8-naphthalic anhydride
AU Philipova, Tzvetanka
CS Department of Organic Chemistry, Institute of Chemical Technology, Sofia, 1756, Bulg.
SO Revue Roumaine de Chimie (1996), 41(7-8), 591-600
CODEN: RRCHAX; ISSN: 0035-3930
PB Editura Academiei Romane
DT Journal
LA English
AB Twelve fluorescent dyes derived from 1,8-naphthalic anhydride, suitable for dyeing of polyamide fibers and epoxy resins, were synthesized. Characterization of the dyes was carried out by spectral and elemental anal. The color parameters of the dyed fabrics were measured. The assessment of color was made in terms of CIE tristimulus values as well as the position of color in CIELab coordinates (L^* , a^* , b^*). The correlation between color and structure of the dyes is discussed.
IT **159433-63-3P 159433-66-6P**
RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(synthesis and characterization of 1,8-naphthalic anhydride-based fluorescent dyes for polyamide fibers and epoxy resins)
RN 159433-63-3 CAPLUS
CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-(2-hydroxyethyl)-6-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 159433-66-6 CAPLUS
CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-(2-phenylethyl)-6-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



10/009,008

10/009,008

L4 ANSWER 102 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1996:649632 CAPLUS

DN 125:266047

TI Use of 6,7-substituted-2-aminotetralines for preparing pharmaceutical compositions useful for the treatment of septic shock, and antipyretic and

anti-inflammatory pharmaceutical compositions

IN Foresta, Piero; Ruggiero, Vito

PA Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 730861	A1	19960911	EP 1996-102860	19960226
	EP 730861	B1	20000802		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE					
	AT 195072	E	20000815	AT 1996-102860	19960226
	ES 2150034	T3	20001116	ES 1996-102860	19960226
	US 5591777	A	19970107	US 1996-607452	19960227
	TW 471967	B	20020111	TW 1996-85102443	19960229
	CA 2171081	AA	19960910	CA 1996-2171081	19960305
	ZA 9601897	A	19960912	ZA 1996-1897	19960308
	JP 08268884	A2	19961015	JP 1996-53075	19960311
PRAI	IT 1995-RM143	A	19950309		

OS MARPAT 125:266047

AB The use of 6,7-substituted-2-aminotetralines (e.g. 2-amino-6-fluoro-7-methoxytetraline) is disclosed for prepg. pharmaceutical compns. useful for the treatment of septic shock and having anti-inflammatory and antipyretic activities. Oral administration of 2-amino-6-fluoro-7-methoxytetraline (ST 626) at doses of 10, 20, and 50 mg/kg was able to decrease Brewer's yeast-induced pyrexia, as evaluated by rectal temp. measurements. Moreover, edema, developing as a consequence of the treatment with the phlogistic agent, was kept at lower values following treatment with ST 626.

IT 140914-55-2, ST 563

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES

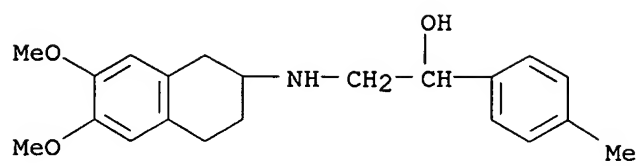
(Uses)

(aminotetralines for pharmaceutical compns. useful for treatment of septic shock and as antipyretics and inflammation inhibitors)

RN 140914-55-2 CAPLUS

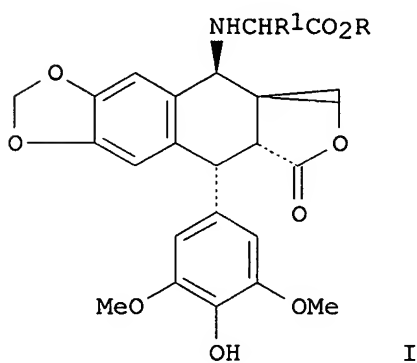
CN Benzenemethanol, 4-methyl-.alpha.-[[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl) amino]methyl]- (9CI) (CA INDEX NAME)

10/009,008



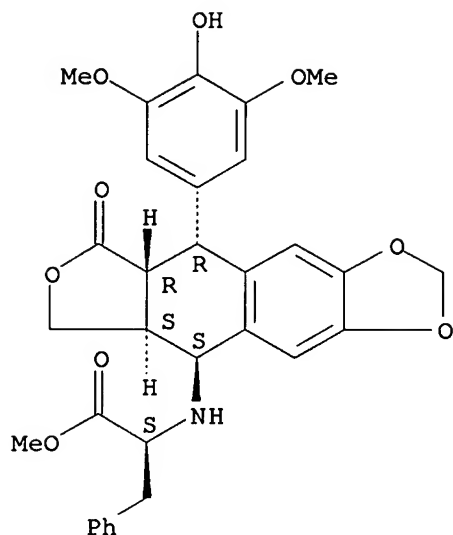
10/009,008

L4 ANSWER 103 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:586943 CAPLUS
DN 125:275518
TI Synthesis and anticancer activity of new derivatives of podophyllotoxin
AU Yan-guang, Wang; Jian-lin, Pan; Yao-Zu, Chen
CS Department Chemistry, Zhejiang University, Hangzhou, 310 027, Taiwan
SO Current Science (1996), 71(4), 312-314
CODEN: CUSCAM; ISSN: 0011-3891
PB Current Science Association
DT Journal
LA English
GI



AB A series of analogs of etoposide (VP-16,1), the C-4
alkylamino-substituted
4'-dimethylepipodophyllotoxins I (R = Et, Me; R1 = H, Me, CHMe2, CH2Ph,
4-CH2C6H4OH, CH2CHMe2) were synthesized from the appropriate L-amino acid
ester and 4.beta.-bromo-4'-demethyl-4-deoxypodophyllotoxin and studied
for
their activity to inhibit L1210 and KB cells in vitro. Compds. I (R =
Et,
R1 = H; R = Me, R1 = H; R = R1 = Me; R = Me, R1 = 4-CH2C6H4OH) are as
potent or more potent than VP-16 in their inhibition of both L1210 and KB
cells.
IT 182206-92-4P 182206-93-5P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(prepn. of new podophyllotoxin derivs. and their anticancer activity)
RN 182206-92-4 CAPLUS
CN L-Phenylalanine, N-[5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-
dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]-,
methyl ester, [5S-(5.alpha.,5a.beta.,8a.alpha.,9.beta.)]- (9CI) (CA
INDEX
NAME)
Absolute stereochemistry.

10/009,008

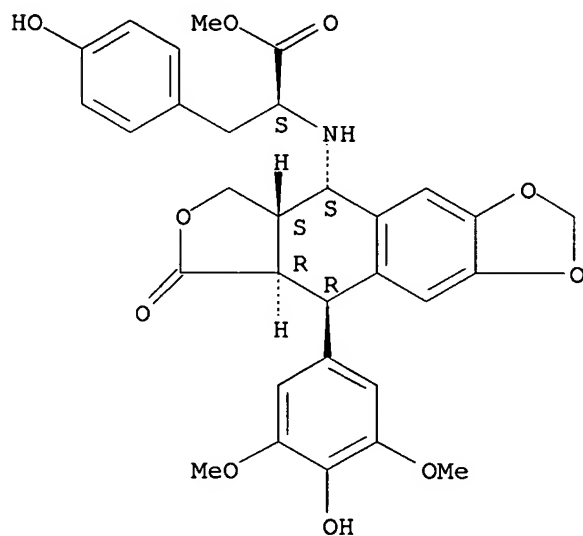


RN 182206-93-5 CAPLUS

CN L-Tyrosine,

N-[5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]-, methyl ester, [5S-(5.alpha.,5a.beta.,8a.alpha.,9.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 104 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1996:530176 CAPLUS

DN 125:186459

TI Differences between the third cardiac .beta.-adrenoceptor and the colonic .beta.3-adrenoceptor in the rat

AU Kaumann, Alberto J.; Molenaar, Peter

CS Dep. Pharmacol., Univ. Melbourne, Victoria, 3052, Australia

SO British Journal of Pharmacology (1996), 118(8), 2085-2098

CODEN: BJPCBM; ISSN: 0007-1188

PB Stockton

DT Journal

LA English

AB The heart of several species including man contains atypical

.beta.-adrenoceptors, in addn. to coexisting .beta.1- and

.beta.2-adrenoceptors. We now asked the question whether or not the

third

cardiac .beta.-adrenoceptor is identical to the putative

.beta.3-adrenoceptor. We compared the properties of the third cardiac

.beta.-adrenoceptor with those of .beta.3-adrenoceptors in isolated

tissues of the rat. To study the third cardiac .beta.-adrenoceptor we

used spontaneously beating right atria, paced left atria and paced left

ventricular papillary muscles. As a likely model for putative

.beta.3-adrenoceptors we studied atypical .beta.-adrenoceptors of the

colonic longitudinal muscle precontracted with 30 mM KCl. We used

.beta.3-adrenoceptor-selective agonists, antagonists and non-conventional

partial agonists (i.e., high-affinity blockers of both .beta.1- and

B2-adrenoceptors known to exert also stimulant effects through

.beta.3-adrenoceptors). The non-conventional partial agonist (-)-CGP

12177 caused pos. chronotropic effects in right atria (pD2 = 7.3) and

pos.

inotropic effects in left atria (pD2 = 7.5). The stimulant effects of

(-)-CGP 12177 were resistant to blockade by 200 nM-2 .mu.M

(-)-propranolol

and 3 .mu.M ICI 118551 (a .beta.2-selective antagonist) but antagonized

by

1 .mu.M (-)-bupranolol (pKB = 6.4-6.8), 3 .mu.M CGP 20712A (a

.beta.1-selective antagonist) (pKB = 6.3-6.4) and 6.6 .mu.M SR 59230A (a

.beta.3-selective antagonist, pKB = 5.1-5.4). The non-conventional

partial agonist cyanopindolol caused pos. chronotropic effects in right

atria (pK2 = 7,7) and pos. inotropic effects in left atria (pD2 = 7.1).

The stimulant effects of cyanopindolol were resistant to blockade by 200

nM (-)-propranolol but antagonized by 1 .mu.M (-)-bupranolol (pKB =

6.8-7.1). Neither (-)-CGP 12177 nor cyanopindolol caused stimulant

effects in papillary muscles at concns. between 0.2 nM and 20 .mu.M. In

the presence of 200 nM (-)-propranolol, the

.beta.3-adrenoceptor-selective

agonists BRL 37344 (6 .mu.M), SR 58611A (6 .mu.M), ZD 2079 (60 .mu.M) and

CL 31643 (60 .mu.M) did not cause stimulant effects or modify the potency

and efficacy of the effects of (-)-CGP 12177 in right and left atria.

The

combination of 2 .mu.M (-)-propranolol and 2 .mu.M (-)-noradrenaline did

not modify the chronotropic potency and efficacy of (-)-CGP 12177

compared

to the potency and efficacy in the presence of 2 .mu.M (-)-propranolol

alone. (-)-CGP 12177 relaxed the colon with a pD2 of 6.9 and max. effect

of 55% compared to (-)-isoprenaline. The relaxant effects of (-)-CGP

12177 were resistant to blockade by 200 nM (-)-propranolol, 3 .mu.M CGP

10/009,008

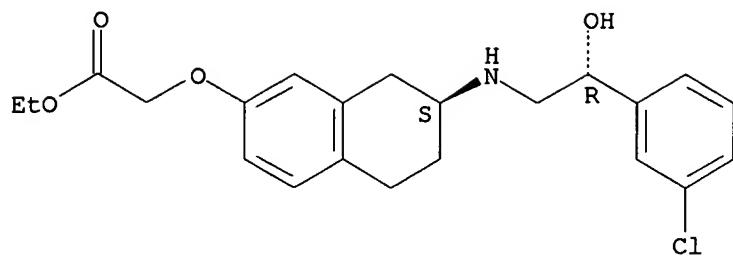
20712A, 3 .mu.M ICI 118551 but blocked by 2 .mu.M (-)-propranolol (pKB = 6.0), 1 .mu.M (-)-bupranolol (pKB = 6.4) and 3 .mu.M SR 59230A (pKB = 6.3). In the presence of 200 nM (-)-propranolol, (-)-CGP 12177 (20 .mu.M) antagonized surmountably the relaxant effects of BRL 37344 (pKp = 7.3), (-)-noradrenaline (pKp = 7.0), and CL 316243 (pKp = 7.0). Cyanopindolol in the presence of 200 nM (-)-propranolol relaxed the colon with a pD2 of 7.0 and a max. effect of 40% compared to (-)-isoprenaline. As expected from a partial agonist, cyanopindolol antagonized the relaxant effects of both BRL 37344 and CL 316243 with a pKp = 7.6 and (-)-noradrenaline with a pKp = 7.4. The following .beta.3-adrenoceptor-selective agonists were potent colonic relaxants (pD2 values between parentheses): BRL 37344 (9.1), ZD 2079 (7.0), CL 316243 (9.0) and SR 58611A (8.2). The relaxant effects of these agonists were only marginally affected by 200 nM (-)-propranolol, not blocked by 3 .mu.M CGP 20712A or 3 .mu.M ICI 118551, and blocked by SR 59230A 3 .mu.M (pKB = 6.9-7.5), 1 .mu.M (-)-bupranolol (pKB = 6.2-6.4) and 2 .mu.M (-)-propranolol (pKB = 6.3-6.5). The colonic relaxation caused by the nanomolar concns. of the .beta.3-adrenoceptor-selective agonists and the non-conventional partial agonists (-)-CGP 12177 and cyanopindolol and their relative resistance to blockade by antagonists with high affinity for .beta.1- and .beta.2-adrenoceptors but blockade by the .beta.3-adrenoceptor selective SR 59230A agree with the hypothesis that the receptors involved are .beta.3-adrenoceptors. The failure of micromolar concns. of .beta.3-adrenoceptor-selective agonists to produce cardiac stimulation or affect the cardiostimulant effects of (-)-CGP 12177 is inconsistent with the hypothesis that the third cardiac .beta.-adrenoceptor is .beta.3. Addnl., the selective blockade of the colonic putative .beta.3-adrenoceptor compared to the third cardiac .beta.-adrenoceptor by SR 59230A, as well as the blockade of cardiac but not colonic receptors by CGP 20712A is also inconsistent with an identical putative .beta.3-adrenoceptor in colon and heart. We conclude that in the rat the third cardiac .beta.-adrenoceptor is different from the colonic .beta.3-adrenoceptor.

IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(pharmacol. characterization indicates that rat third cardiac .beta.-adrenoceptor is different than colonic .beta.3-adrenoceptor)

RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

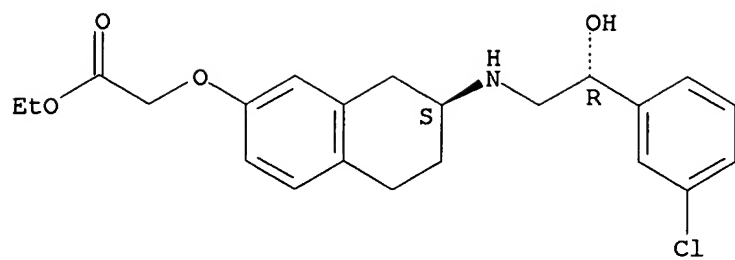


● HCl

L4 ANSWER 105 OF 323 CAPLUS COPYRIGHT 2003 ACS
 AN 1996:454656 CAPLUS
 DN 125:133456
 TI Functional .beta.3-adrenoceptor in the human heart
 AU Gauthier, Chantal; Tavernier, Genevieve; Charpentier, Flavien; Langin, Dominique; Le Marec, Herve
 CS Fac. Sci. Techniques, Univ. Nantes, Nantes, 44035, Fr.
 SO Journal of Clinical Investigation (1996), 98(2), 556-562
 CODEN: JCINAO; ISSN: 0021-9738
 PB Rockefeller University Press
 DT Journal
 LA English
 AB .beta.3-Adrenoceptors are involved in metab., gut relaxation, and vascular vasodilation. However, their existence and role in the human heart have not been documented. We investigated the effects of several .beta.-adrenoceptor agonists and antagonists on the mech. properties of ventricular endomyocardial biopsies. In the presence of nadolol, a .beta.1 and .beta.2-adrenoceptor antagonist, isoprenaline produced consistent neg. inotropic effects. Similar neg. inotropic effects also resulted from the action of .beta.3-adrenoceptor agonists with an order of potency: BRL 37344 > SR 58611 .apprxeq. CL 316243 > CGP 12177. The dose-response curve to BRL 37344-decreasing myocardial contractility was not modified by pretreatment with nadolol, but was shifted to the right by bupranolol, a nonselective .beta.-adrenoceptor antagonist. .beta.3-Adrenoceptor agonists also induced a redn. in the amplitude and an acceleration in the repolarization phase of the human action potential. .beta.3-Adrenoceptor transcripts were detected in human ventricle by a polymerase chain reaction assay. These results indicate that: (a) .beta.3-adrenoceptors are present and functional in the human heart; and (b) these receptors are responsible for the unexpected neg. inotropic effects of catecholamines and may be involved in pathophysiol. mechanisms leading to heart failure.
 IT 121524-08-1, SR 58611
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (.beta.3-adrenoceptor agonist neg. inotropic activity in human heart)
 RN 121524-08-1 CAPLUS
 CN Acetic acid, [[[2S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

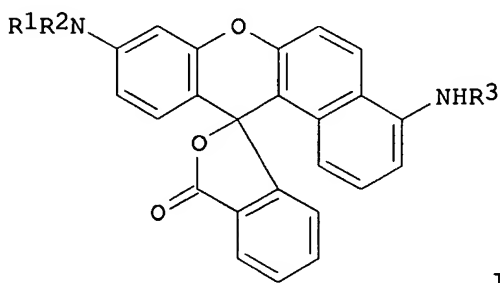
10/009,008



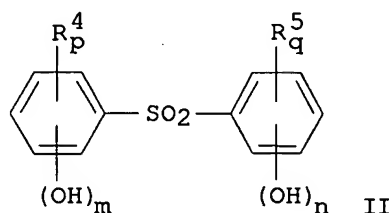
10/009,008

L4 ANSWER 106 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:393642 CAPLUS
DN 125:71955
TI Thermal recording substances providing optically readable image
IN Tsuchida, Tetsuo; Koro, Takaaki; Kondo, Naoko; Dano, Nobuhisa
PA Shinoji Seishi Kk, Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08067069	A2	19960312	JP 1994-205633	19940830
PRAI	JP 1994-205633		19940830		
OS	MARPAT 125:71955				
GI					



I



II

AB The title substances comprise a support coated with a recording layer contg. .gtoreq.1 fluoran deriv. I (R1, R2 = C1-6 alkyl, ethoxypropyl, p-toluidino; R3 = C1-12 alkyl, benzyl, phenethyl) as a basic dye, and a di-Ph sulfone deriv. II (R4, R5 = C1-4 alkyl, C2-4 alkenyl, C1-4 alkoxy, benzyloxy, halo; m = 0-2; n = 1-3; p, q = 0-2) as a color developer. A thermal recording paper contg.

4-benzylamino-8-diethylaminobenzo[a]fluoran
and 3,3'-diallyl-4,4'-dihydroxydiphenyl sulfone showed good thermal and moisture resistance and gave high-d. images optically (OCR) readable at the wavelength region of 650-700 nm.

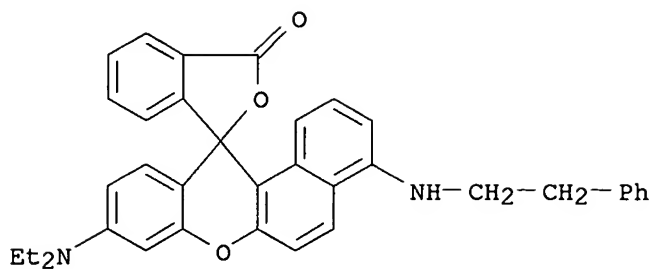
IT 178217-36-2 178217-37-3

RL: TEM (Technical or engineered material use); USES (Uses)
(color former; thermal recording substances contg. fluoran derivs. and phenylsulfone derivs.)

RN 178217-36-2 CAPLUS

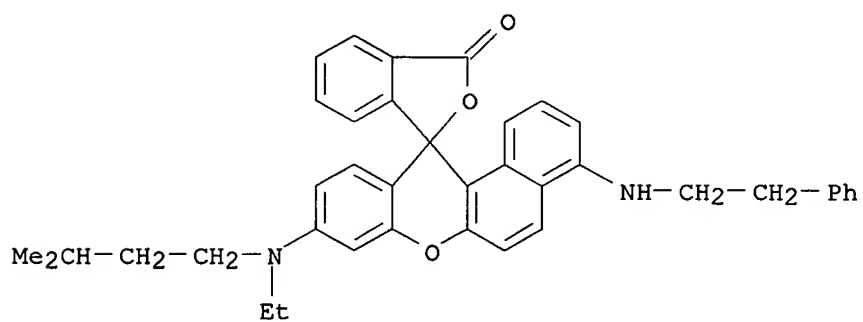
CN Spiro[12H-benzo[a]xanthene-12,1'(3'H)-isobenzofuran]-3'-one,
9-(diethylamino)-4-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

10/009,008



RN 178217-37-3 CAPLUS

CN Spiro[12H-benzo[a]xanthene-12,1'-(3'H)-isobenzofuran]-3'-one,
9-[ethyl(3-methylbutyl)amino]-4-[2-phenylethylamino]- (9CI) (CA INDEX
NAME)

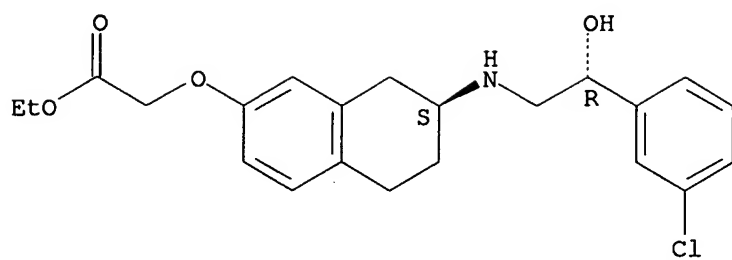


10/009,008

L4 ANSWER 107 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:343723 CAPLUS
DN 125:75996
TI Effects of several putative .beta.3-adrenoceptor agonists on lipolysis in human omental adipocytes
AU Hoffstedt, J.; Loennqvist, F.; Shimizu, M.; Blaak, E.; Arner, P.
CS Department of Medicine, Huddinge University Hospital, Huddinge, S-14186, Swed.
SO International Journal of Obesity (1996), 20(5), 428-434
CODEN: IJOBDP; ISSN: 0307-0565
PB Stockton
DT Journal
LA English
AB Atypical .beta.3-adrenoceptor agonists have attained an increasing interest as potential drugs against obesity and diabetes. However, their pharmacol. actions on the native, human .beta.3-adrenoceptor are not well defined. In the present study, the lipolytic effects of several putative .beta.3-adrenoceptor agonists were investigated in human omental adipocytes. CL 316 243 and CGP 12177 had selective partial .beta.3-agonist effects (pD2 about 4 and 8, resp.); the latter drug is a .beta.1-/ .beta.2-adrenoceptor blocker in addn. to its .beta.3-adrenoceptor agonist activity. BRL 37344 and SM 11044 were also partial agonists, but with significant .beta.1 - and/or .beta.2-adrenoceptor agonist properties.
Bucindolol, ZD 2079, ICI D7114 and SR 58611A were ineffective as lipolytic drugs. In addn., ICI D7114 was a non-selective .beta.1-/ .beta.2-/ .beta.3-adrenoceptor antagonist in human adipocytes. None of the .beta.3-adrenoceptor agonists tested is an ideal drug for therapeutic use in man (i.e. regarded as a selective and full agonist with high receptor potency). Only CL 316 243 may have a potential therapeutic role, although the potency is very low. CGP 12177 is useful as a ref. substance for human in vitro studies.
IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES (Uses)
(putative .beta.3-adrenoceptor agonists effect on lipolysis in human omental adipocytes in relation to obesity treatment)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

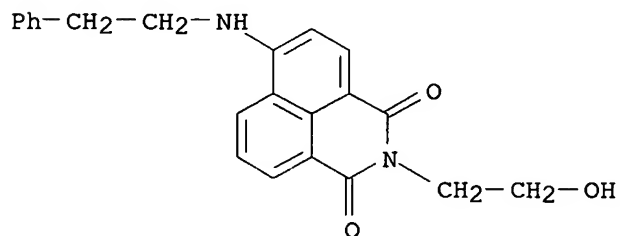
10/009,008



● HCl

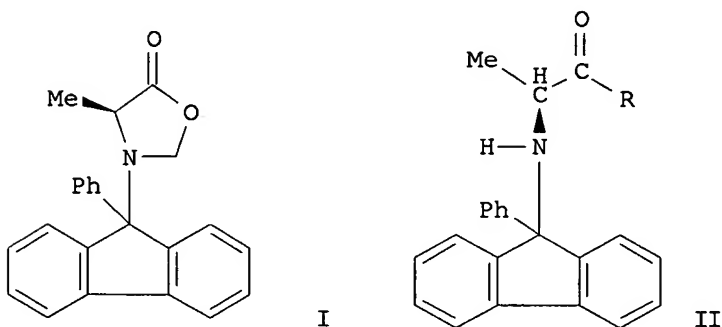
10/009,008

L4 ANSWER 108 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:339271 CAPLUS
DN 125:13271
TI Influence of substituents on the spectroscopic and photochemical
properties of naphthalimide derivatives
AU Grabtchev, I.; Philipova, Tz.; Meallier, P.; Guittonneau, S.
CS Inst. Polymers, Bulgarian Acad. Sci., Sofia, 1113, Bulg.
SO Dyes and Pigments (1996), 31(1), 31-34
CODEN: DYPIDX; ISSN: 0143-7208
PB Elsevier
DT Journal
LA English
AB The spectroscopic and photochem. properties of seven derivs. of
1,8-naphthalimide have been studied. The influence of the substituents
on
light absorption, fluorescence, and photostability were evaluated. The
best results were obtained when the substituent was an amino group on the
4-position of the naphthalimide nucleus.
IT **159433-63-3**
RL: PRP (Properties)
(influence of substituents on the spectroscopic and photochem.
properties of naphthalimide derivs.)
RN 159433-63-3 CAPLUS
CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-(2-hydroxyethyl)-6-[(2-
phenylethyl)amino]- (9CI) (CA INDEX NAME)



10/009,008

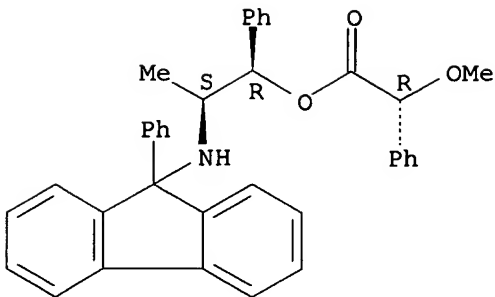
L4 ANSWER 109 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:295525 CAPLUS
DN 125:58033
TI Enantiospecific synthesis of .alpha.-amino ketones and .beta.-amino alcohols from the reaction of N-(9-phenylfluoren-9-yl)alanine oxazolidinone with organolithium reagents
AU Paleo, M. Rita; Sardina, F. Javier
CS Dep. Quim. Org., Univ. Santiago de Compostela, Santiago de Compostela, 15706, Spain
SO Tetrahedron Letters (1996), 37(19), 3403-3406
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
GI



AB The addn. of organolithium reagents to
(S)-4-Methyl-5-(9-phenyl-9H-fluoren-
9-yl)-5-oxazolidinone (I) gave enantiomerically pure
N-(9-phenylfluoren-9-
yl) .alpha.-amino ketones II (R = Me, Bu, Ph, etc.). The .alpha.-amino
ketones II thus obtained could be stereoselectively reduced to the
corresponding syn or anti .beta.-amino alcs. depending upon the nature of
the reducing agent.
IT **178238-04-5P 178358-19-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 178238-04-5 CAPLUS
CN Benzeneacetic acid, .alpha.-methoxy-, 1-phenyl-2-[(9-phenyl-9H-fluoren-9-
yl)aminopropyl ester, [1R-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

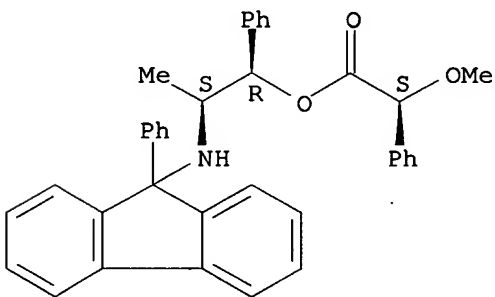
10/009,008



RN 178358-19-5 CAPLUS

CN Benzeneacetic acid, .alpha.-methoxy-, 1-phenyl-2-[(9-phenyl-9H-fluoren-9-yl)amino]propyl ester, [1R-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



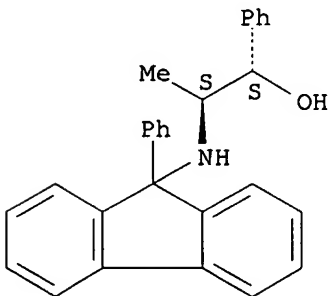
IT 178238-01-2P 178238-03-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of .alpha.-amino ketones and .beta.-amino alcs. from
(phenylfluorenyl)oxazolidinone and organolithium reagents)

RN 178238-01-2 CAPLUS

CN Benzenemethanol,
.alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-,
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



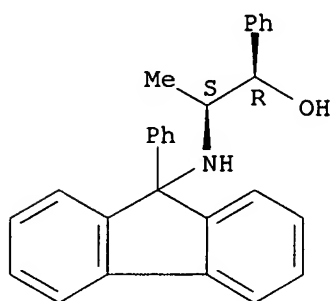
RN 178238-03-4 CAPLUS

CN Benzenemethanol,
.alpha.-[(1S)-1-[(9-phenyl-9H-fluoren-9-yl)amino]ethyl]-,

10/009,008

(.alpha.R)- (9CI) (CA INDEX NAME)

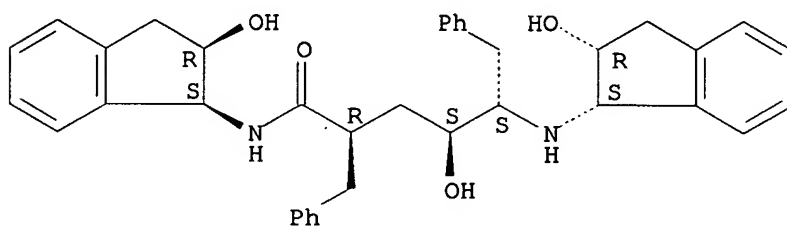
Absolute stereochemistry. Rotation (+).



10/009,008

L4 ANSWER 110 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:271492 CAPLUS
DN 125:104292
TI A Priori Prediction of Activity for HIV-1 Protease Inhibitors Employing
Energy Minimization in the Active Site. [Erratum to document cited in
CA122:177664]
AU Holloway, M. Katharine; Wai, Jenny M.; Halgren, Thomas A.; Fitzgerald,
Paula M. D.; Vacca, Joseph P.; Dorsey, Bruce D.; Levin, Rhonda B.;
Thompson, Wayne J.; Chen, L. Jenny; et al.
CS Department of Molecular Systems, Merck Research Laboratories, West Point,
PA, 19486, USA
SO Journal of Medicinal Chemistry (1996), 39(11), 2280
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Equations 1-3 are cor. The errors were not reflected in the abstr. or
the
index entries.
IT **161458-51-1**
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); PRP (Properties); BIOL (Biological study)
(energy minimization in active site for design of HIV-1 protease
inhibitors (Erratum))
RN 161458-51-1 CAPLUS
CN Benzenehexanamide, N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-.delta.-[(2,3-
dihydro-2-hydroxy-1H-inden-1-yl)amino]-.gamma.-hydroxy-.alpha.-
(phenylmethyl)-,
[1S-[1.alpha.[N(1R*,2S*),.alpha.S*,.gamma.R*,.delta.R*],2
.alpha.]]- (9CI) (CA INDEX NAME)

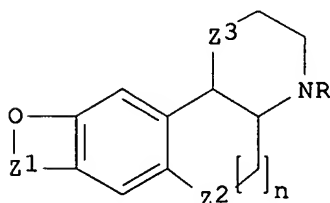
Absolute stereochemistry.



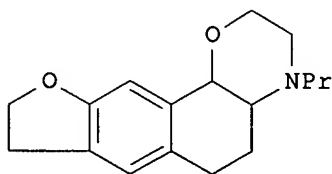
10/009,008

L4 ANSWER 111 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:177849 CAPLUS
DN 124:232473
TI Preparation of tetracyclic 1,4-oxazine derivatives and analogs as
dopaminergic D3 agonists
IN Peglion, Jean-Louis; Vian, Joel; Goument, Bertrand; Millan, Mark;
Audinot,
Valerie; Schwartz, Jean-Charles; Sokoloff, Pierre
PA Adir et Compagnie, Fr.; Institute National de la Sante et de la Recherche
Medicale (INSERM)
SO Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	EP 686637	A1	19951213	EP 1995-401311	19950607
	EP 686637	B1	20000426		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE					
	FR 2721027	A1	19951215	FR 1994-6985	19940608
	FR 2721027	B1	19960719		
	US 5593989	A	19970114	US 1995-456504	19950601
	CA 2151096	AA	19951209	CA 1995-2151096	19950606
	AU 9520523	A1	19951214	AU 1995-20523	19950606
	AU 681780	B2	19970904		
	FI 9502802	A	19951209	FI 1995-2802	19950607
	NO 9502249	A	19951211	NO 1995-2249	19950607
	CN 1120541	A	19960417	CN 1995-107344	19950607
	CN 1050358	B	20000315		
	AT 192155	E	20000515	AT 1995-401311	19950607
	ES 2147825	T3	20001001	ES 1995-401311	19950607
	JP 07330778	A2	19951219	JP 1995-141772	19950608
	JP 3157418	B2	20010416		
	ZA 9504738	A	19960126	ZA 1995-4738	19950608
	US 5668142	A	19970916	US 1996-659267	19960606
PRAI	FR 1994-6985	A	19940608		
	US 1995-456504	A3	19950601		
OS	MARPAT 124:232473				
GI					



I



II

AB Title compds. [I; R = H, (ar)alk(en)yl, (ar)alkynyl, etc.; Z1 = (CH₂)₂₋₃, CH:CH, CH₂CO, CH₂CH(OH); Z2, Z3 = O or CH₂; n = 0 or 1] were prepd. Thus,

10/009,008

6

7-amino-2,3,6,7-tetrahydro-5H-naphtho[2,3-b]furan-8-one was converted in steps to title compd. trans-II which reduced immobility from 188.0s (control) to 63.1s at 2.5mg/kg s.c. in the forced swimming test (test animal not given).

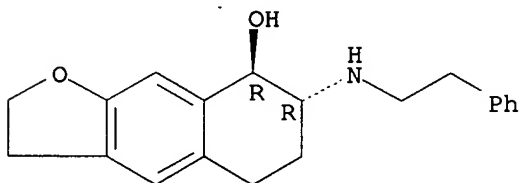
IT **174637-23-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tetracyclic 1,4-oxazine derivs. and analogs as dopaminergic D3 agonists)

RN 174637-23-1 CAPLUS

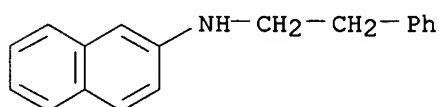
CN Naphtho[2,3-b]furan-8-ol,
2,3,5,6,7,8-hexahydro-7-[(2-phenylethyl)amino]-,
trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

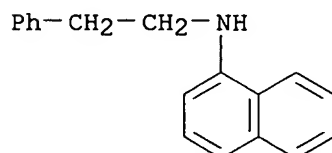


10/009,008

L4 ANSWER 112 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1996:352 CAPLUS
DN 124:28342
TI Pyrolysis/GC/MS Analysis of N-(1-Deoxy-D-fructos-1-yl)-L-phenyl- alanine:
Identification of Novel Pyridine and Naphthalene Derivatives
AU Keyhani, Anahita; Yaylayan, Varoujan A.
CS Department of Food Science and Agricultural Chemistry, McGill University,
Quebec, QC, H9X 3V9, Can.
SO Journal of Agricultural and Food Chemistry (1996), 44(1), 223-9
CODEN: JAFCAU; ISSN: 0021-8561
PB American Chemical Society
DT Journal
LA English
AB Pyrolysis/GC/MS was employed to analyze phenylalanine-specific products
formed during the Maillard reaction. Phenylalanine Amadori product and
different model systems contg. phenylalanine and glucose, ribose, or
glyceraldehyde were studied. Ribbon pyrolysis was used to study the
effect of temp. (150, 200, 250 .degree.C) on the efficiency of formation
of initial pyrolysis products from phenylalanine and Amadori
phenylalanine. Quartz tube pyrolysis was used at 250 .degree.C to
enhance
the secondary reactions. To address specific mechanistic questions,
[1-13C]glucose was used. These studies revealed the formation of
pyridine
and naphthalene derivs. such as 3,5-diphenylpyridine, 1(2)-
naphthaleneamine, N-methyl-1(2)-aminonaphthalene, 1-aminoanthracene,
2'-phenylpyrrolo[4,5-a]dihydronaphthalene, 1(2)-(N-
phenethyl)naphthaleneamine, and 1(2)-(N-phenethyl-N-
methyl)naphthaleneamine. The precursors for pyridine and naphthalene
derivs. were verified by GC/MS identification of the target compds. in
the
reaction mixts. of the postulated precursors.
IT 63458-19-5, 2-Naphthalenamine, N-(2-phenylethyl)-
65021-64-9, 1-Naphthalenamine, N-(2-phenylethyl)-
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(phenylalanine-specific Maillard reaction products)
RN 63458-19-5 CAPLUS
CN 2-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 65021-64-9 CAPLUS
CN 1-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

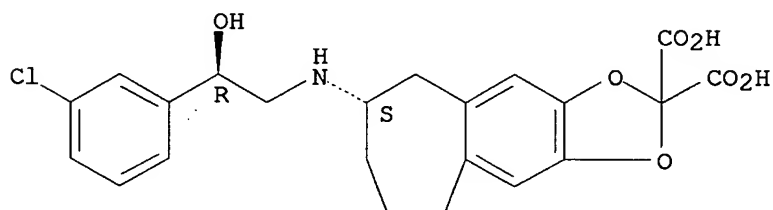


10/009,008 .

10/009,008

L4 ANSWER 113 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:1002139 CAPLUS
DN 124:202181
TI Asymmetric synthesis of FR165914: a novel .beta.3-adrenergic agonist with
a benzocycloheptene structure
AU Hattori, Kouji; Nagano, Masanobu; Kato, Takeshi; Nakanishi, Isao; Imai,
Keisuke; Kinoshita, Takayoshi; Sakane, Kazuo
CS New Drug Res. Lab., Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan
SO Bioorganic & Medicinal Chemistry Letters (1995), 5(23), 2821-4
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
AB The asym. synthesis of a novel .beta.3-adrenergic agonist FR-165194 is
described. The crit. steps involve prepn. of an optically active amine
via stereoselective redn. of a chiral imine prepd. from
.alpha.-methylbenzylamine and synthesis of a chiral epoxide via the
Sharpless asym. dihydroxylation. The target compd. was
(S)-6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-
cyclohepta[f]-1,3-benzodioxole-2,2-dicarboxylic acid disodium salt.
IT 174232-23-6P, FR 165914
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(asym. synthesis of FR-165914 .beta.3-adrenergic agonist with
benzocycloheptene structure)
RN 174232-23-6 CAPLUS
CN 5H-Cyclohepta[f]-1,3-benzodioxole-2,2-dicarboxylic acid,
6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-,
disodium
salt, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

L4 ANSWER 114 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:905893 CAPLUS

DN 124:45714

TI Prophylactics or therapeutics containing .beta.3-adrenergic agonists for pancreatitis, circulation disorders, or diabetic complications

IN Yoshino, Takako; Yamaguchi, Isamu; Kodama, Hiroshi

PA Fujisawa Pharmaceutical Co, Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

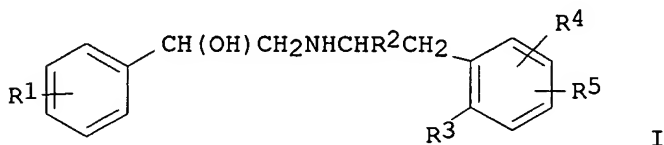
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07228543	A2	19950829	JP 1994-19431	19940216
PRAI	JP 1994-19431		19940216		
OS	MARPAT 124:45714				
GI					



AB The prophylactic and/or therapeutic agents for pancreatitis, disorders caused from disturbance of circulation, or diabetic complications contain .beta.3-adrenergic agonists as active ingredients. The

.beta.3-adrenergic

agonists may be bis(phenethyl)amines I (R1 = halo; R2 = lower alkyl and

R3

= H or R2R3 = lower alkylene; R4 = lower alkoxy substituted with carboxy which may be esterified and R5 = H or R4R5 = lower alkylendioxy substituted with carboxy which may be esterified) or their pharmaceutically acceptable salts. (R*,R*)-(.-.-)-[4-[2-[2-(3-chlorophenyl)-2-hydroxyethylamino]propyl]phenoxy]acetic acid Me ester hydrobromide (II) dose-dependently reduced mortality of mice with acute pancreatitis induced by feeding with CDE (choline-deficient ethionine-added) diet at ED50 value 1.0 mg/kg. Capsules contg. II were also formulated.

IT 121524-09-2

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES

(Uses)

(prophylactic and therapeutic agents contg. .beta.3-adrenergic agonists

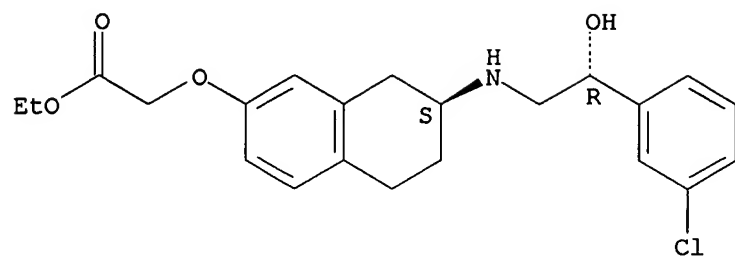
for pancreatitis, circulation disorders, and diabetic complications)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

10/009,008

Absolute stereochemistry.



● HCl

10/009,008

L4 ANSWER 115 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:821445 CAPLUS

DN 123:247372

TI Differential relevance of .beta.-adrenoceptor subtypes in modulating the rat brown adipocytes function

AU Nisoli, E.; Tonello, C.; Carruba, M. O.

CS School of Medicine, University Milan, Milan, I-20129, Italy

SO Archives Internationales de Pharmacodynamie et de Therapie (1995),

329(3),

436-53

CODEN: AIPTAK; ISSN: 0003-9780

PB Heymans Institute of Pharmacology

DT Journal

LA English

AB The potencies and intrinsic activities on cAMP accumulation and lipolysis of various selective .beta.3-adrenoceptor agonists were studied in brown adipocytes and compared to those of the nonselective, (-)-isoprenaline, and conventional .beta.1- (dobutamine) and .beta.2-adrenoceptor (salbutamol) agonists. (-)-Isoprenaline, dobutamine and salbutamol were more potent stimulants of lipolysis than of cAMP accumulation, while the selective .beta.3-adrenoceptor agonists had similar potencies for these two functions. Apparent pA2 values of the selective .beta.1- (CGP

20712A)

and .beta.2-adrenoceptor (ICI 118551) antagonists for inhibition of adenylyl cyclase stimulation by (-)-isoprenaline and the .beta.3-adrenoceptor agonists, BRL 37344, SR 58611A, and ICI 215001, indicated that (-)-isoprenaline can stimulate the enzyme through a relevant .beta.1-adrenergic component, while the other drugs activate the enzyme mainly by acting on the .beta.3-adrenoceptors. On the contrary, antagonism of the lipolysis yielded apparent pA2 values for CGP 20712A

and

ICI 118551, suggesting that (-)-isoprenaline, like all the .beta.3-adrenoceptor agonists, stimulated the brown adipose tissue lipid metab. mainly through an action on .beta.3-adrenoceptors.

IT 121524-09-2, SR 58611A

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); BIOL (Biological study)

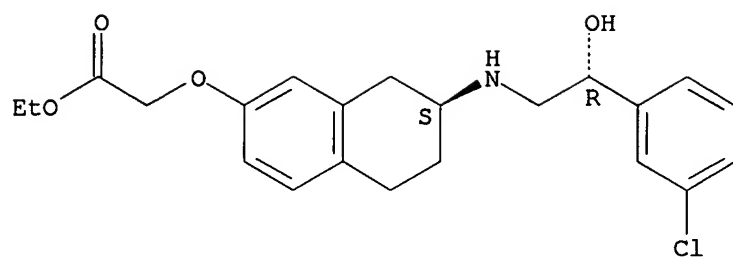
(.beta.-adrenoceptor subtypes role in cAMP accumulation and glycerol release by rat brown adipocytes)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

10/009,008

L4 ANSWER 116 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:817700 CAPLUS

DN 123:275737

TI Rat frontal cortex .beta.1-adrenoceptors are activated by the
37344 .beta.3-adrenoceptor agonists SR 58611A and SR 58878A but not by BRL

or ICI 215,001

AU Nisoli, Enzo; Tonello, Cristina; Benarese, Marina; Carruba, Michele O.

CS School Medicine, Univ. Milan, Milan, Italy

SO Journal of Neurochemistry (1995), 65(4), 1580-7

CODEN: JONRA9; ISSN: 0022-3042

PB Lippincott-Raven

DT Journal

LA English

AB SR 58611A, a selective agonist of gut and brown adipose tissue
.beta.3-adrenoceptors (.beta.3ARs), has been reported to have
antidepressant-like activity in rodents, indicating brain .beta.3ARs as
the sites of this property. SR 58611A and its acid metabolite SR 58878A,
as opposed to BRL 37344, ICI 215,001, and CGP 12177, increased cAMP

levels

in rat frontal cortex. ICI 215,001, differently from BRL 37344, at
concns. in the millimolar range, partially antagonized norepinephrine- or
(-)-isoproterenol-stimulated adenylyl cyclase. The increase of cAMP
levels induced by SR 58878A was blocked selectively by the .beta.1AR
antagonist CGP 20712A but not by the .beta.2AR antagonist ICI 118,551.

In

addn., PCR anal. did not reveal .beta.3AR mRNA, and no specific .beta.3AR
binding sites were detected by [3H]CGP 12177 in rat frontal cortex. When
down-regulation of the .beta.1AR ligand binding and mRNA levels had been
induced in the frontal cortex by chronic administration of imipramine, SR
58878A as well as norepinephrine and (-)-isoproterenol increased the cAMP
prodn. less markedly. The findings indicate that .beta.3ARs are absent

in

the adult rat frontal cortex, and that various .beta.3AR agonists
differently affect the frontal cortex .beta.1ARs, indicating that SR
58611A may exert its putative antidepressant effect by acting on the
frontal cortex .beta.1ARs.

IT 121524-09-2, SR 58611A 160696-89-9, SR 58878A

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); BIOL (Biological study)

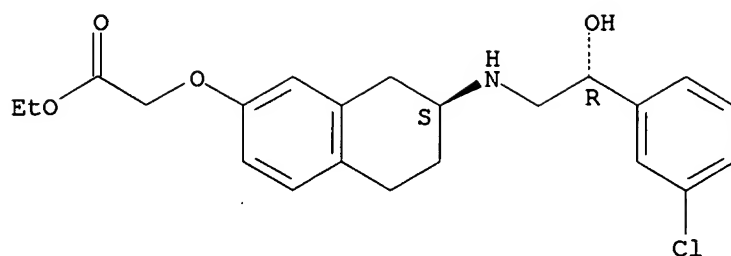
(brain frontal cortex .beta.1-adrenergic receptors activated by)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/009,008

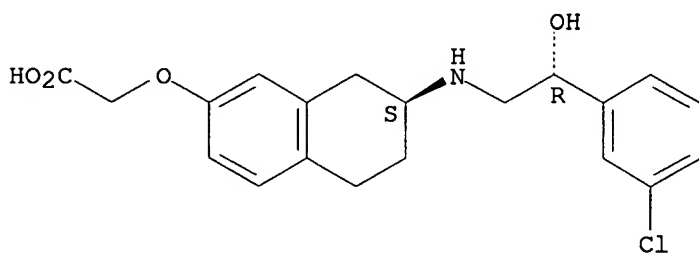


● HCl

RN 160696-89-9 CAPLUS

CN Acetic acid, [[(7S)-7-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

L4 ANSWER 117 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:794026 CAPLUS

DN 123:246542

TI Selective activation of brown adipocyte hormone-sensitive lipase and cAMP production in the mouse by .beta.3-adrenoceptor agonists

AU Shih, Mei-Fen; Taberner, Peter V.

CS Sch. Med. Sci., Univ. Bristol, Bristol, BS8 1TD, UK

SO Biochemical Pharmacology (1995), 50(5), 601-8

CODEN: BCPA6; ISSN: 0006-2952

PB Elsevier

DT Journal

LA English

AB Acute injection of either noradrenaline or isoprenaline in mice activated both brown (BAT) and white (WAT) adipose tissue hormone-sensitive lipase activity (HSL). Dose-response studies indicated that isoprenaline (0.05-0.15 mg/kg) produced a dose-dependent activation of HSL in both BAT and WAT, whereas SR 58611A produced no change in HSL in WAT over a dose range (105 mg/kg) which, at the same time, dose-dependently increased HSL activity in BAT. The other .beta.3-adrenoceptor agonists, ZD 7114 (10 mg/kg) and BRL 35135 A (5 mg/kg) also selectively increased HSL activity in BAT, these doses having previously been shown to stimulate lipogenesis in vivo. Higher doses of ZD 7114 and BRL 35135 produced no further increase in HSL activity and, in the case of BRL 35135, provoked symptoms of non-selective .beta.-adrenoceptor activation. The increase in HSL activity could be prevented by pretreating the mice with propranolol, 10 mg/kg, i.p., 30 min prior to the agonist. The activation of HSL activity by the .beta.3-adrenoceptor agonists was assocd. with an increase in tissue cAMP prodn. which was also prevented by pretreatment with propranolol. The degree of cAMP accumulation was least with BRL 35135

and

greatest with ZD 7114. The authors conclude that, in the mouse

adipocyte,

the atypical .beta.-adrenoceptor (.beta.3) is present in BAT, but is not present or functional in WAT.

IT 121524-09-2, SR 58611A

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); BIOL (Biological study)

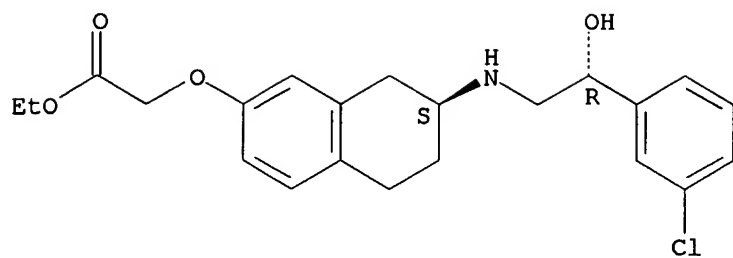
(selective activation of brown adipocyte hormone-sensitive lipase and cAMP prodn. in the mouse by .beta.3-adrenoceptor agonists)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



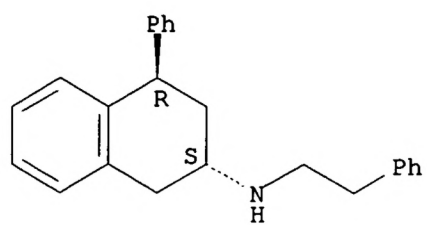
● HCl

10/009,008

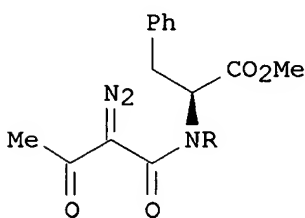
L4 ANSWER 118 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:776278 CAPLUS
DN 124:8360
TI 1-Phenyl-3-amino-1,2,3,4-tetrahydronaphthalenes and Related Derivatives
as
Ligands for the Neuromodulatory .sigma.3 Receptor: Further
Structure-Activity Relationships
AU Wyrick, Steven D.; Booth, Raymond G.; Myers, Andrew M.; Owens, Constance
E.; Bucholtz, Ehren C.; Hooper, Phillip C.; Kula, Nora S.; Baldessarini,
Ross J.; Mailman, Richard B.
CS School of Pharmacy, University of North Carolina, Chapel Hill, NC,
27599-7360, USA
SO Journal of Medicinal Chemistry (1995), 38(19), 3857-64
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A series of 1-phenyl-3-amino-1,2,3,4-tetrahydronaphthalenes
(1-phenyl-3-aminotetralins, PATs) previously was found to stimulate
tyrosine hydroxylase activity and dopamine synthesis in rat brain through
interaction with a novel .sigma.3 receptor. Here, we report the
synthesis
and evaluation of addnl. analogs in order to expand previous
structure-activity relationship studies. Further mol. modifications
include synthesis of 1-phenyl-1-methyl-3-amino, 1-phenyl-2-amino,
1-phenyl-3-(trimethylammoniumyl), and 1-phenyl-3-(phenylalkyl) analogs,
as
well as ring-expanded tetrahydrobenzocycloheptenes. In general, the
above
modifications decreased .sigma.3 receptor affinity and, in some cases,
caused a reversal of the .sigma.3 binding selectivity of trans- vs.
cis-PATs found previously. Most analogs were selective for .sigma.3
receptors and showed little or no affinity for either .sigma.1/.sigma.2
or
dopamine D1, D2, and D3 receptors. N-Phenylalkyl substituents, such as
N-phenylethyl, however, endowed the 1-phenyl-3-aminotetralins with
enhanced .sigma.1/.sigma.2 and dopamine receptor affinity while
decreasing
.sigma.3 affinity, thus abolishing .sigma.3 selectivity.
IT **170647-41-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of phenylaminotetrahydronaphthalenes and related derivs. as
ligands for the neuromodulatory .sigma.3 receptor)
RN 170647-41-3 CAPLUS
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-4-phenyl-N-(2-phenylethyl)-, trans-
(9CI) (CA INDEX NAME)

Relative stereochemistry.

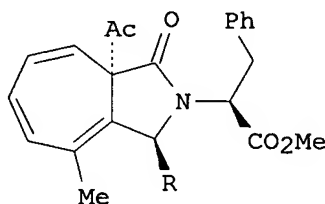
10/009,008



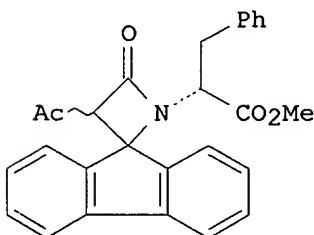
L4 ANSWER 119 OF 323 CAPLUS COPYRIGHT 2003 ACS
 AN 1995:734570 CAPLUS
 DN 123:339598
 TI Remarkable substituent effects on the chemoselectivity of rhodium(II)
 carbenoids derived from N-(2-diazo-3-oxobutyl)-L-phenylalanine esters
 AU Zaragoza, Florencio
 CS Inst. Org. Chem., Tech. Univ. Dresden, Dresden, D-01062, Germany
 SO Tetrahedron (1995), 51(32), 8829-34
 CODEN: TETRAB; ISSN: 0040-4020
 PB Elsevier
 DT Journal
 LA English
 OS CASREACT 123:339598
 GI



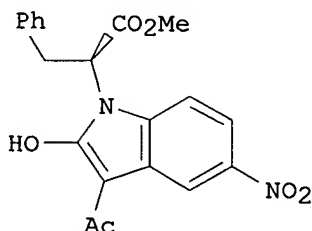
I



II



III



IV

AB Four different N-(2-diazo-3-oxobutyl)-L-phenylalanine Me esters I [R = CH(C₆H₄Cl-4)₂, CH(C₆H₄Me-4)₂, 9-fluorenyl, C₆H₄NO₂-4] were prepd. and subjected to rhodium(II) acetate catalyzed diazo decompn. A strong dependence of the product distribution on the nitrogen substituent R was obsd. I [R = CH(C₆H₄Cl-4)₂] provided similar products in comparable yields as the benzhydryl deriv. I (R = CHPh₂). Bis(p-tolyl)methyl diazoamide I [R = CH(C₆H₄Me-4)₂] gave exclusively the cyclohepta[c]pyrrole II, whereas I (R = 9-fluorenyl) yielded, upon treatment with Rh₂(OAc)₄, mainly the spiro lactam III. I (R = C₆H₄NO₂-4) gave, under the same conditions, the nitroindole IV.

IT 170466-48-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

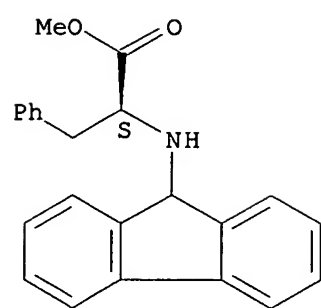
(remarkable substituent effects on the chemoselectivity of rhodium carbenoids derived from N-[diazo(oxo)butyl]phenylalanine esters)

RN 170466-48-5 CAPLUS

CN L-Phenylalanine, N-9H-fluoren-9-yl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10/009,008



10/009,008

L4 ANSWER 120 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:644335 CAPLUS

DN 123:35244

TI Absorption spectra of some N-substituted-1,8-naphthalimides

AU Philipova, Tzvetanka; Karamancheva, Ilyana; Grabchev, Ivo

CS Dep. Organic Chem., Higher Inst. Chem. Technol., Sofia, 1156, Bulg.

SO Dyes and Pigments (1995), 28(2), 91-9

CODEN: DYPIDX; ISSN: 0143-7208

PB Elsevier

DT Journal

LA English

AB FT-IR and UV/VIS spectral data of 14 derivs. of R-N-substituted-1,8-naphthalimides (R = Et, CH₂CH₂OH, i-Pr, CH₂CH₂Ph) contg. amino substituent

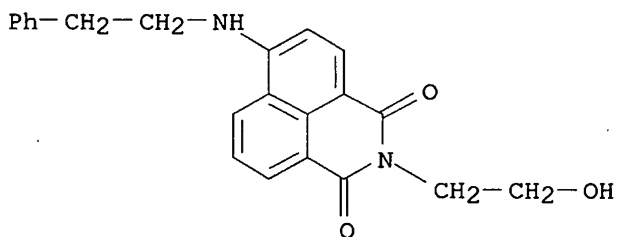
A in 4-position (A = NH₂, NHCH₃, NHC₂H₅, NHCH₂CH₂Ph, N-piperidyl, or N-morpholinyl) are presented, and substituent effects on their spectral properties are discussed.

IT 159433-63-3P 159433-66-6P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(absorption spectra of N-substituted-1,8-naphthalimides)

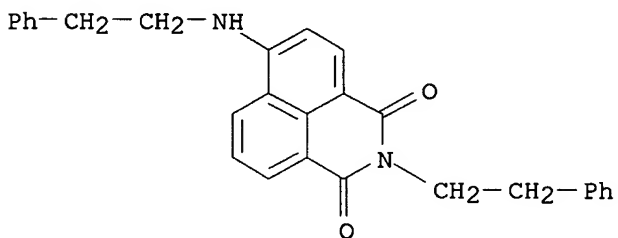
RN 159433-63-3 CAPLUS

CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-(2-hydroxyethyl)-6-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 159433-66-6 CAPLUS

CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-(2-phenylethyl)-6-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

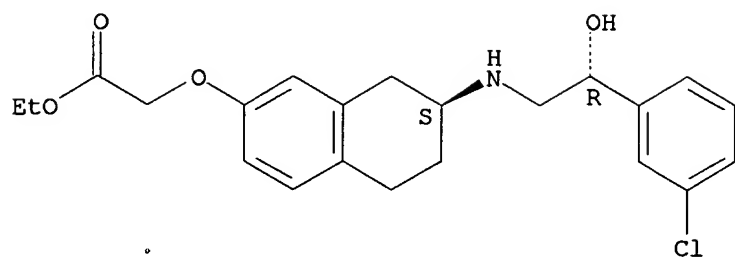


10/009,008

L4 ANSWER 121 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:614635 CAPLUS
DN 123:74228
TI Predictive quantitative structure-activity relationships (QSAR) analysis
of .beta.3-adrenergic ligands
AU Blin, Nathalie; Federici, Christian; Koschielniak, Thiery; Strosberg,
Donny
CS Institut Cochin Genetique Moleculaire, Universite Paris VII, Paris,
75014,
Fr.
SO Drug Design and Discovery (1995), 12(4), 297-311
CODEN: DDDIEV; ISSN: 1055-9612
PB Harwood
DT Journal
LA English
AB A novel quant. structure-activity relationships strategy was used to
analyzed seventeen .beta.-adrenergic ligands for which we had previously
evaluated pharmacol. properties in Chinese hamster ovary cells
transfected
with the human .beta.1-, .beta.2- or .beta.3-adrenergic gene (Blin et
al.,
1993, Mol. Pharmacol., 44: 1094-1104). These ligands were classified
into
pharmacol. activity categories in order to det. the extent to which mol.
structural features may be involved in the selectivity of the interaction
with the .beta.3-AR, or to define mol. features and properties
characteristic of a .beta.3-AR high affinity ligand or of a potent
.beta.3-adrenergic agonist. Topol. and physico-chem. mol. descriptors
were obtained using a novel software combining calcns. with multivariate
statistical methods, such as principal component anal. and discriminant
anal. This study showed that .beta.1/.beta.2-antagonists
.beta.3-agonists
could be differentiate from .beta.1/.beta.2/.beta.3-agonists on the basis
of their topol. mol. descriptors weighted by partial at. charge and
lipophilicity logP values. Bulky lipophilic groups at the end of the
alkylamine chain and an ethoxy function, extending the flexible portion
of
the mol. and modifying the electron d. distribution, were requirements
for
selective agonism at the .beta.3-site. Charge and logP weighted
2D-autocorrelation vectors were properties able to discriminate between
classes of agonists to terms of their affinity, potency or intrinsic
activity, thus emphasizing the part these mol. descriptors play in detg.
.beta.3-adrenergic ligands. These results, in assocn. with the powerful
activity-prediction model evaluated in the test, provide a framework to
rationalize the synthesis of new .beta.3-AR specific compds.
IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(QSAR anal. of .beta.3-adrenergic ligands)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

10/009,008

Absolute stereochemistry.



● HCl

10/009,008

L4 ANSWER 122 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:494286 CAPLUS

DN 122:256840

TI Inhibitory effects of SR 58611A on canine colonic motility: evidence for a

role of .beta.3-adrenoceptors

AU de Ponti, Fabrizio; Cosentino, Marco; Costa, Angela; Girani, Marco; Gibelli, Graziano; d'Angelo, Luigi; Frigo, Gianmario; Crema, Antonio

CS Dep. Internal Med. and Therapeutics, Univ. Pavia, Pavia, I-27100, Italy

SO British Journal of Pharmacology (1995), 114(7), 1447-53

CODEN: BJPCBM; ISSN: 0007-1188

PB Stockton

DT Journal

LA English

AB To clarify whether atypical of .beta.3-adrenoceptors can modulate canine colonic motility in vivo, we studied the effects of SR 58611A (a selective

agonist for atypical .beta.-adrenoceptors) alone and after pretreatment with .beta.-adrenoceptor antagonists on colonic motility in the conscious dog. The gastrocolonic response (postprandial increase in motility) was monitored by electrodes and strain-gauge force transducers chronically implanted along the distal colon. In some expts., heart rate was also measured. The possible role of .beta.3-adrenoceptors in mediating the effects of SR 58611A was also tested in vitro in circular muscle strips taken from the canine distal colon. I.v. infusion of SR 58611A,

ritodrine

or isoprenaline at doses inducing the same degree of tachycardia

inhibited

the gastrocolonic response to a different extent, with SR 58611A and ritodrine being more effective than isoprenaline. In a dose-response study, SR 58611A was more potent in inhibiting colonic motility than in inducing tachycardia: the ED35 values for inhibition of colonic motility and induction of tachycardia were 23 and 156 .mu.g kg⁻¹, i.v., resp. The inhibitory effect of SR 58611A 100 .mu.g kg⁻¹, i.v., on the gastrocolonic response was reversed by alprenolol (non-selective .beta.-adrenoceptor antagonist), but resistant to CGP 20712A (.beta.1-adrenoceptor

antagonist)

or ICI 118551 (.beta.2-adrenoceptor antagonist). In vitro, SR 58611A concn.-dependently relaxed circular muscle strips, an effect that was competitively antagonized by alprenolol with a pA2 values of 7.1, but resistant to CGP 20712A (100 nM), ICI 118551 (100 nM) or tetrodotoxin (1 .mu.m). The present study provides strong functional evidence for a role of atypical or .beta.3-adrenoceptors in the modulation of canine colonic motility both in vivo and in vitro by an inhibitory effect most likely at the smooth muscle level.

IT 121524-09-2, SR 58611A

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); BIOL (Biological study)

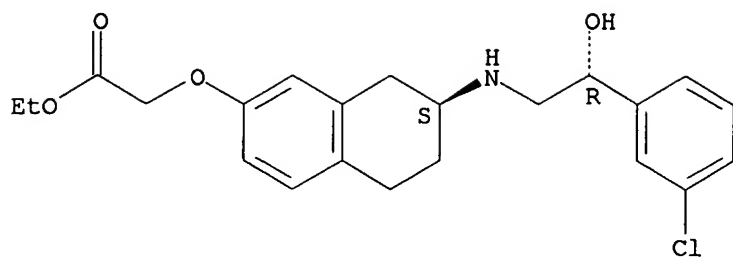
(inhibitory effects of SR 58611A on canine colonic motility and evidence for a role of .beta.3-adrenoceptors)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

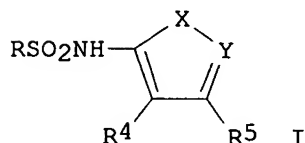


● HCl

10/009,008

L4 ANSWER 123 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:444262 CAPLUS
DN 122:214059
TI Preparation of (substituted isoxazolyl)naphthalenesulfonamides as
endothelin antagonists
IN Stein, Philip D.; Hunt, John T.; Murugesan, Natesan
PA Bristol-Myers Squibb Co., USA
SO U.S., 27 pp. Cont.-in-part of U.S. Ser. No. 998, 246, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	----	-----	-----
PI	US 5378715	A	19950103	US 1993-92166	19930715
PRAI	US 1992-840496	B2	19920224		
	US 1993-998246	B2	19930125		
OS	MARPAT 122:214059				
GI					



AB Title compds. I (one of X and Y is N and the other is O; R = (substituted) naphthyl; R4, R5 = H, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, aryl, aralkyl, halo, HO, NC, O2N, etc.; R4R5 = alkylene or alkenylene either of which may be substituted, etc.) or pharmaceutically acceptable salt thereof, useful as endothelin antagonists (no data), are prepd. I are also claimed for treatment of endothelin-related disorders. Dansyl chloridein pyridine was added to 3,4-dimethyl-5-isoxazolamine to give after workup I (X = O, Y = N, R4 = R5 = Me, R = 5-(dimethylamino)-1-naphthyl).

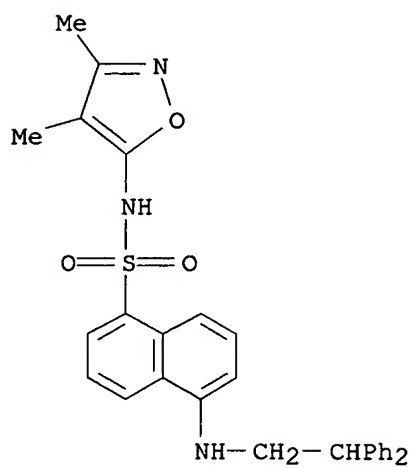
IT **161801-65-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of (substituted isoxazolyl)naphthalenesulfonamides as endothelin antagonists)

RN 161801-65-6 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(2,2-diphenylethyl)amino]- (9CI) (CA INDEX NAME)

10/009,008



10/009,008

L4 ANSWER 124 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:347146 CAPLUS

DN 122:132788

TI Preparation of (7S)-7-(1R)-2-(3-chlorophenyl)-2-hydroethylamino-5,6,7,8-tetrahydronaphtalen-2-yloxyacetic acid .beta.3-adrenergic agonist and pharmaceutical compositions containing it

IN Baroni, Marco; Cecchi, Roberto; Croci, Tiziano

PA Sanofi, Fr.; Midy S.P.A.

SO Eur. Pat. Appl., 6 pp.

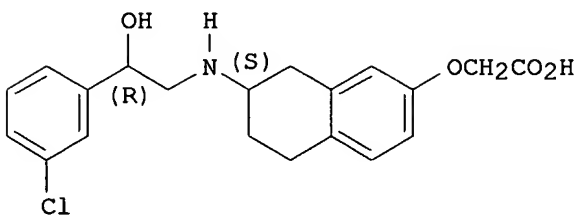
CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 626367	A1	19941130	EP 1994-401163	19940526
	EP 626367	B1	19970409		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	EP 627407	A1	19941207	EP 1993-401375	19930528
	R: IT				
PRAI	EP 1993-401375		19930528		
GI					



AB The title compd., I [m.p. 215.degree., decompn.; [.alpha.]20 = -98.4.degree. (0.5% MeOH/HCl 1N)], and its pharmaceutically acceptable salts (e.g., the Na salt) is prepd. by the sapon. of the corresponding Et ester and is useful as a .beta.3-adrenergic receptor agonist for the treatment of diseases amenable to application of a .beta.3-adrenergic agonist [e.g., irritable colon (no data), obesity (no data), anxiety (no data), etc. (no data)].

IT **160696-89-9DP**, salts **160853-47-4P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

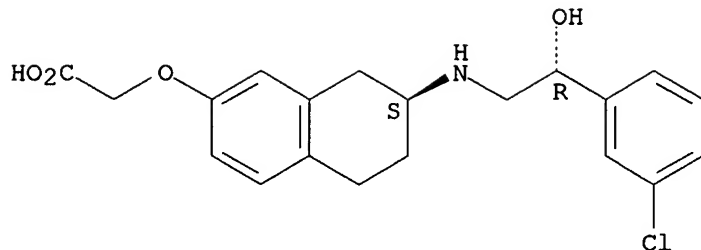
(claimed; prepn. as .beta.3-adrenergic receptor agonist)

RN 160696-89-9 CAPLUS

CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

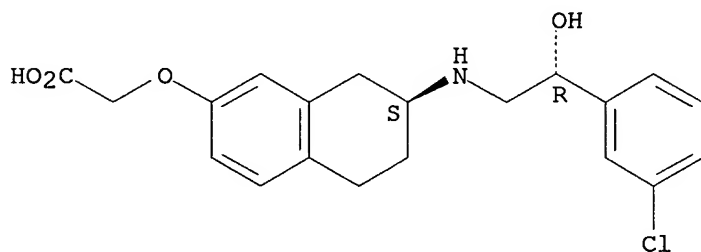
10/009,008



RN 160853-47-4 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, monosodium salt, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

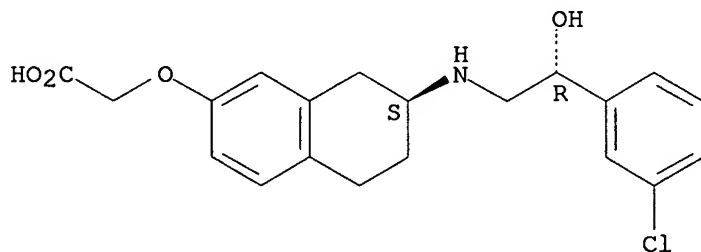
IT 160696-89-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as .beta.3-adrenergic receptor agonist)

RN 160696-89-9 CAPLUS

CN Acetic acid, [[(7S)-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 121524-08-1

RL: RCT (Reactant); RACT (Reactant or reagent)

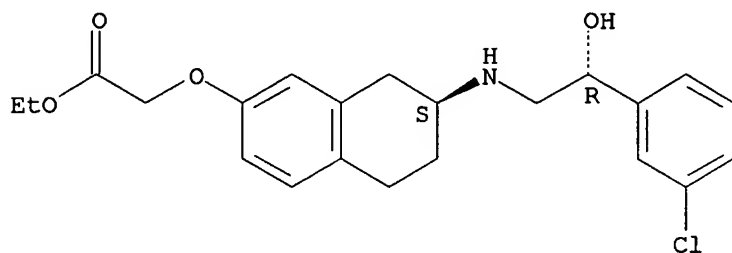
10/009,008

(prepn. of (7S)-7-(1R)-2-(3-chlorophenyl)-2-hydroxyethylamino-5,6,7,8-tetrahydronaphthalen-2-yloxyacetic acid .beta.3-adrenergic agonist and pharmaceutical comps. contg. it)

RN 121524-08-1 CAPLUS

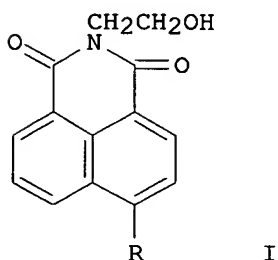
CN Acetic acid, [[(2S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

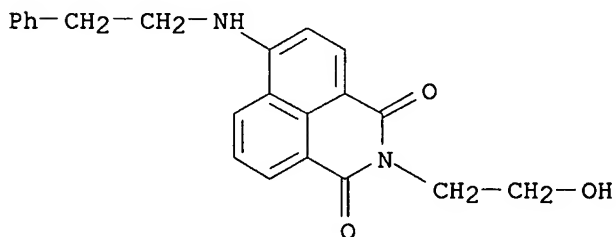
L4 ANSWER 125 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:339283 CAPLUS
DN 122:163346
TI Polyamide dyeing with fluorescent dyes based on 1,8-naphthol anhydride
AU Philipowa, Zvetanka
CS Technische Universitaet Sofia, Bulg.
SO Melliand Textilberichte (1994), 75(5), 393, 396-7
CODEN: MTIRDL; ISSN: 0341-0781
PB Melliand Textilberichte
DT Journal
LA German
GI



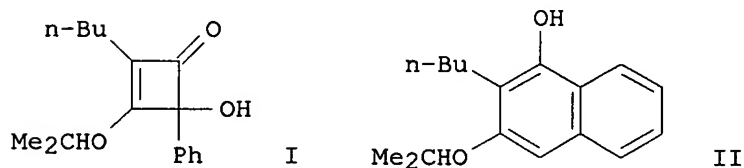
AB N-(2-hydroxyethyl)-naphthalimide derivs. with the structure I (R = NH₂, NHMe, NMe₂, NHEt, NHCH₂CH₂OH, NHCH₂CH₂Ph, or NHCHMe₂) are water-sol. and display an intensive green fluorescence in org. solvents. Nylon 6 fabrics were dyed with the derivs. at liquor ratio 1:40 and pH 4.5-5 using the dispersing agent Verol C10. The level yellow-green dyeings obtained displayed good light- and washfastness and good fastness to perspiration.

IT **159433-63-3**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(fastness and color characteristics of on nylon 6 fibers)

RN 159433-63-3 CAPLUS
CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-(2-hydroxyethyl)-6-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 126 OF 323 CAPLUS COPYRIGHT 2003 ACS
 AN 1995:337439 CAPLUS
 DN 122:187093
 TI Regioselective Synthesis of Highly Substituted Naphthols
 AU Turnbull, Philip; Moore, Harold W.
 CS Department of Chemistry, University of California, Irvine, CA, 92717, USA
 SO Journal of Organic Chemistry (1995), 60(3), 644-9
 CODEN: JOCEAH; ISSN: 0022-3263
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 122:187093
 GI



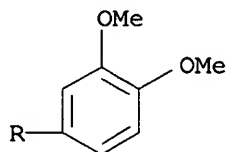
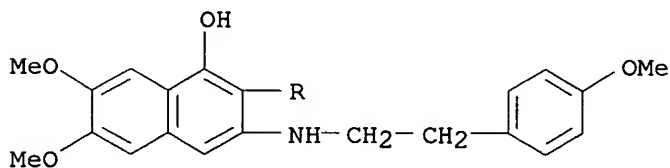
AB 2,3,4-Trisubstituted 4-hydroxy-2-cyclobutenones, e.g., I, prepd. by regiospecific redn. of substituted cyclobutenediones, undergo Lewis acid facilitated ionization to cyclobutenyl cations, which are trapped by trialkylsilanes in a regioselective sense. Thermolysis of the resulting cyclobutenones affords phenols, e.g., naphthol II, in high yields.

IT 161642-55-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (regioselective synthesis of highly substituted naphthols)

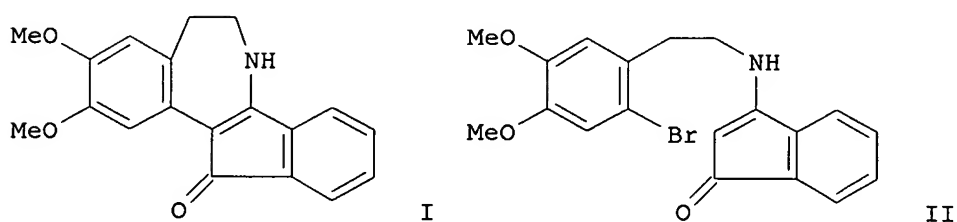
RN 161642-55-3 CAPLUS

CN 1-Naphthalenol, 2-(3,4-dimethoxyphenyl)-6,7-dimethoxy-3-[[2-(4-methoxyphenyl)ethyl]amino]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 127 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:332174 CAPLUS
DN 122:240085
TI A photochemical synthesis of benz[d]indeno[1,2-b]azepines
AU Fidalgo, Jesus; Castedo, Luis; Dominiguez, Dominago
CS Fac. Quim., Univ. Santiago, Santiago de Compostela, 15706, Spain
SO Heterocycles (1994), 39(2), 581-9
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
OS CASREACT 122:240085
GI

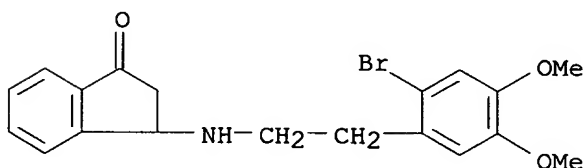


AB A novel synthesis of benz[d]indeno[1,2-b]azepine (I) has been achieved by photochem. cyclization of the bromo enaminone II. On the other hand, irradiation of enolates and enamides failed to give the cyclized azepines.

IT **162330-92-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(photochem. synthesis of benzindenoazepines)

RN 162330-92-9 CAPLUS

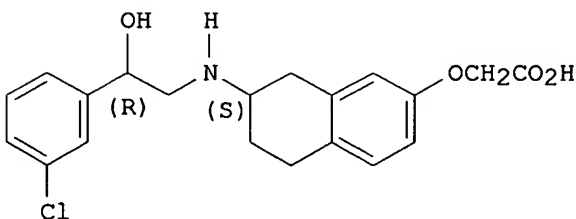
CN 1H-Inden-1-one, 3-[[2-(2-bromo-4,5-dimethoxyphenyl)ethyl]amino]-2,3-dihydro- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 128 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:331108 CAPLUS
DN 122:105454
TI Preparation of (7S)-7-[[2(3-chlorophenyl)-2-hydroxyethylamino]-5,6,7,8-tetrahydronaphthalen-2-yloxy]acetic acid .beta.3-adrenergic receptor agonist
IN Baroni, Marco; Croci, Tiziano; Cecchi, Roberto
PA Miday s.p.a., Italy
SO Eur. Pat. Appl., 5 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 2

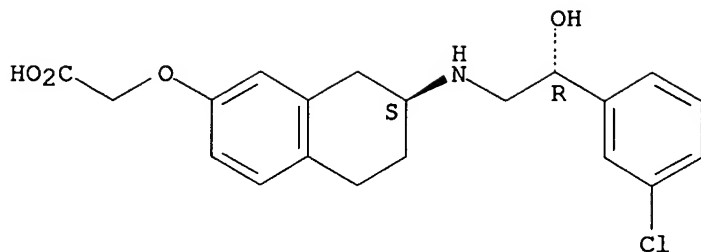
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 627407	A1	19941207	EP 1993-401375	19930528
	R: IT				
	EP 626367	A1	19941130	EP 1994-401163	19940526
	EP 626367	B1	19970409		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 151405	E	19970415	AT 1994-401163	19940526
	ES 2103113	T3	19970816	ES 1994-401163	19940526
	JP 07070013	A2	19950314	JP 1994-117182	19940530
	US 5488151	A	19960130	US 1994-250830	19940531
PRAI	EP 1993-401375		19930528		
GI					



AB The title compd., I, prepd. by the basic hydrolysis of the corresponding
I
Et ester, is prepd. and useful as a .beta.3-adrenergic receptor agonist
(no data) for use as an antiobesity agent (no data), for the treatment of
gastrointestinal problems due to the G.I. contraction of smooth muscle
(no
data), for the treatment of irritable colon (no data), etc. (no data).
IT **160696-89-9DP**, salts **160696-89-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
(prepn. as .beta.3-adrenergic receptor agonist)
RN 160696-89-9 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

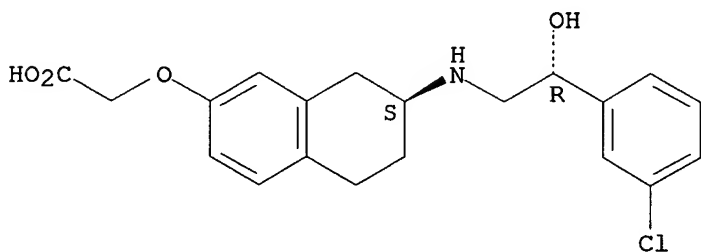
10/009,008



RN 160696-89-9 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



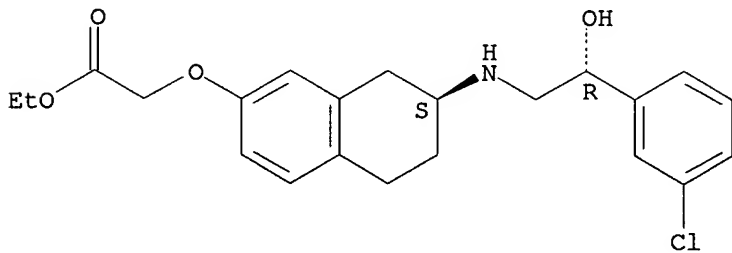
IT 121524-08-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of .beta.3-adrenergic receptor agonist by hydrolysis of)

RN 121524-08-1 CAPLUS

CN Acetic acid, [[(2S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

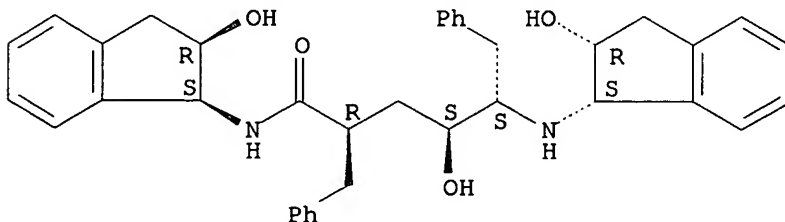
Absolute stereochemistry.



10/009,008

L4 ANSWER 129 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:297964 CAPLUS
DN 122:177664
TI A priori prediction of activity for HIV-1 protease inhibitors employing energy minimization in the active site
AU Holloway, M. Katharine; Wai, Jenny M.; Halgren, Thomas A.; Fitzgerald, Paula M. D.; Vacca, Joseph P.; Dorsey, Bruce D.; Levin, Rhonda B.; Thompson, Wayne J.; Chen, L. Jenny; et al.
CS Department of Molecular Systems, Merck Research Laboratories, West Point, PA, 19486, USA
SO Journal of Medicinal Chemistry (1995), 38(2), 305-17
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A high correlation was obsd. between the intermol. interaction energy (Einter) calcd. for HIV-1 protease inhibitor complexes and the obsd. in vitro enzyme inhibition. A training set of 33 inhibitors contg. modifications in the P1' and P2' positions was used to develop a regression equation which relates Einter and pIC50. This correlation was subsequently employed to successfully predict the activity of proposed HIV-1 protease inhibitors in advance of synthesis in a structure-based design program. This included a precursor to the current phase II clin. candidate L-735,524. The development of the correlation, its applications, and its limitations are discussed, and the force field (MM2X) and host mol. mechanics program (OPTIMOL) used in this work are described.
IT **161458-51-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (energy minimization in active site for design of HIV-1 protease inhibitors)
RN 161458-51-1 CAPLUS
CN Benzenehexanamide, N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-.delta.-[(2,3-dihydro-2-hydroxy-1H-inden-1-yl)amino]-.gamma.-hydroxy-.alpha.-(phenylmethyl)-,
[1S-[1.alpha.[N(1R*,2S*),.alpha.S*,.gamma.R*,.delta.R*],2.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



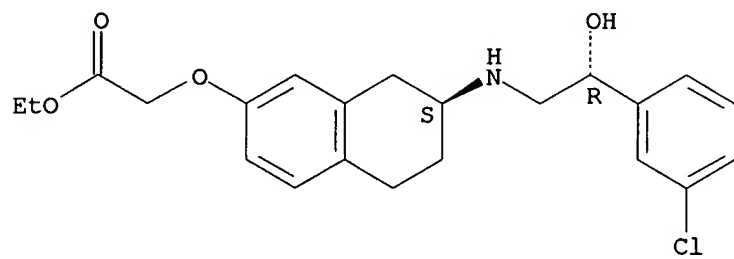
10/009,008

L4 ANSWER 130 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:215093 CAPLUS
DN 122:741
TI .beta.3-Adrenoceptor agonists, BRL 37344 and SR 58611A, do not induce relaxation of human, sheep and guinea pig airway smooth muscle in vitro
AU Martin, C.A.E.; Naline, E.; Bakdach, H.; Advenier, C.
CS Laboratoire de Pharmacologie, Faculte de Medecine Paris-Ouest, Paris, F-75270, Fr.
SO European Respiratory Journal (1994), 7(9), 1610-15
CODEN: ERJOEI; ISSN: 0903-1936
DT Journal
LA English
AB The existence of atypical- or .beta.3-adrenoceptors has now been generally accepted. These receptors have been shown to be abundant in adipose tissue and in a no. of gastrointestinal smooth muscle prepns. A recent study reported that .beta.3-adrenoceptor stimulation mediated relaxation of isolated canine bronchial smooth muscle. The aim of the present study was to extend this observation to other species. The authors investigated the in vitro responses of guinea-pig, human and sheep bronchial smooth muscle to isoprenaline, salbutamol (a selective .beta.2-adrenoceptor agonist), and BRL 37344 and SR 58611A (two presumably selective .beta.3-adrenoceptor agonists). The prepns. were precontracted to 60-70% of maximal tension with histamine 10^{-6} M for guinea-pig and human bronchi, or acetylcholine 10^{-6} M for sheep bronchi. In each species, SR 58611A produced a slight fall in tension of about 10% of the effects of theophylline (3 mM), but this decrease in tension was not significantly different from the spontaneous and weak relaxation obsd. with saline addn. during the same duration of the expt. These relaxations were not modified by either the nonselective .beta.-adrenoceptor antagonist propranolol or the selective .beta.2-adrenoceptor antagonist ICI 118,551. In contrast, BRL 37344 induced a significant concn.-dependent fall in tension induced by both spasmogens. Its relaxant effects were inhibited both by propranolol and ICI 118,551 in human and guinea-pig airways, whereas on the isolated sheep bronchus BRL 37344-induced relaxations were only slightly, albeit significantly, reduced with either of the .beta.-adrenoceptor antagonists tested. Salbutamol and isoprenaline induced potent relaxations of guinea-pig, human and sheep airway smooth muscle in vitro, which were antagonized both by propranolol and ICI 118,551. The authors findings show that .beta.3-adrenoceptor stimulation does not induce relaxation in guinea-pig, human and sheep bronchial smooth muscle, and that a .beta.2-adrenoceptor agonistic component might be implicated in the relaxant effects of BRL 37344.
IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(.beta.3-adrenoceptor agonists BRL 37344 and SR 58611A do not induce relaxation of human, sheep, and guinea pig airway smooth muscle in vitro)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-

10/009,008

5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

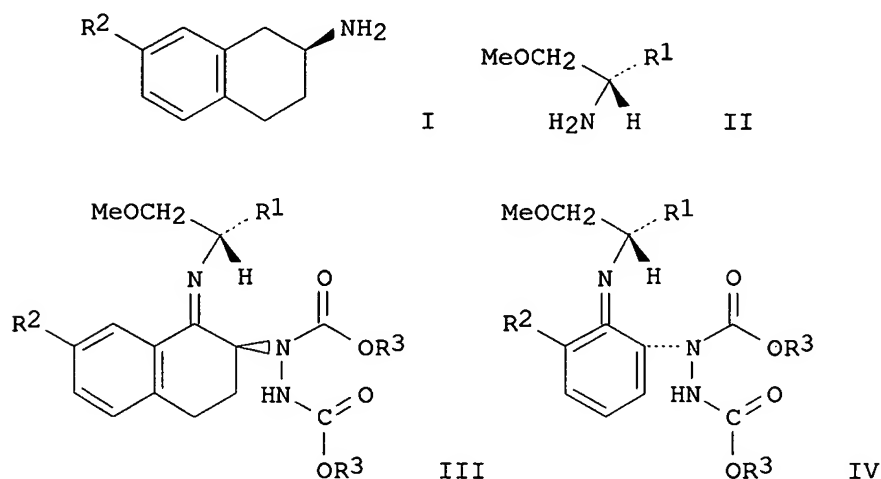
Absolute stereochemistry.



● HCl

10/009,008

L4 ANSWER 131 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:102323 CAPLUS
DN 123:55463
TI Asymmetric synthesis of .beta.-aminotetralins by electrophilic amination
AU Gmeiner, Peter; Bollinger, Bernd
CS Pharm. Inst., Univ. Bonn, Bonn, D-53121, Germany
SO Tetrahedron (1994), 50(37), 10909-22
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
GI



AB An effective synthesis of .beta.-aminotetralins (I; R₂=H, OMe) including an asym. electrophilic amination by di-tert-Bu azodicarboxylate is reported. Depending on the chiral auxiliaries [(S)-II; R₁= benzyl, iso-Bu, iso-Pr, t-Bu,], the central intermediates [III and IV; R₁ and R₂ as above, R₃=t-Bu, benzyl] could be isolated in 62-80% de. Subsequent hydrolysis and reductive degrdn. resulted in the nonracemic final products I (57-84% ee). Sepn. of the diastereomeric intermediates by chromatog. makes possible the synthesis of optically pure products. An induction model

for the asym. amination is provided.

IT 162577-06-2P 162577-11-9P

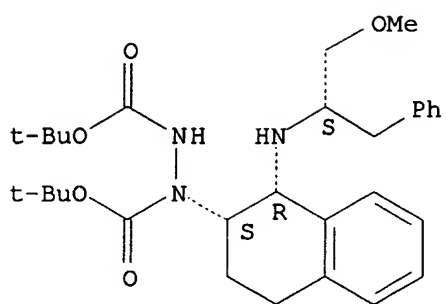
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of .beta.-aminotetralins by electrophilic amination)

RN 162577-06-2 CAPLUS

CN 1,2-Hydrazinedicarboxylic acid, 1-[1,2,3,4-tetrahydro-1-[[1-(methoxymethyl)-2-phenylethyl]amino]-2-naphthalenyl]-, bis(1,1-dimethylethyl) ester, [1R-[1.alpha.(S*),2.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

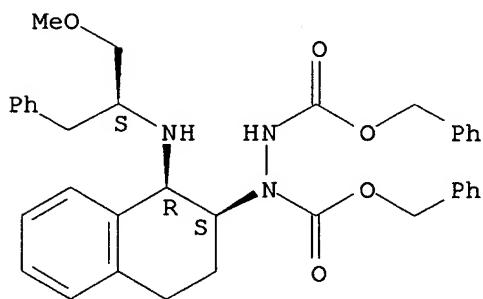
10/009,008



RN 162577-11-9 CAPLUS

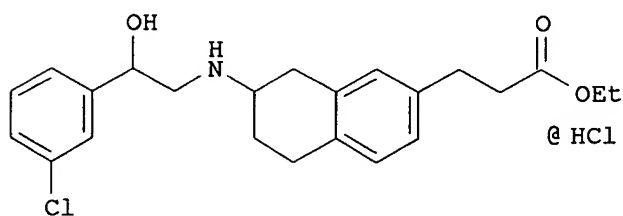
CN 1,2-Hydrazinedicarboxylic acid, 1-[1,2,3,4-tetrahydro-1-[[1-(methoxymethyl)-2-phenylethyl]amino]-2-naphthalenyl]-, bis(phenylmethyl) ester, [1R-[1.alpha.(S*),2.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



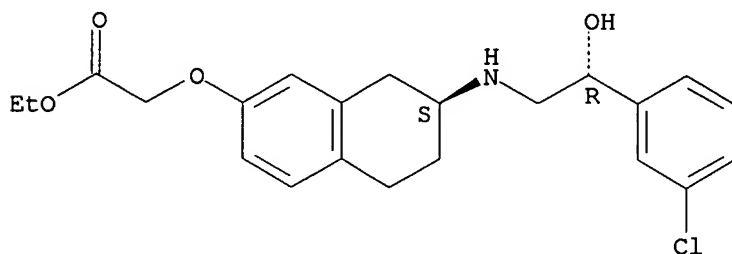
10/009,008

L4 ANSWER 132 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1995:63848 CAPLUS
DN 122:80821
TI Synthesis of the potent and selective atypical .beta.-adrenergic agonist
SR 59062 A
AU Badone, Domenico; Guzzi, Umberto
CS Res. Cent., Sanofi-Midy SpA, Milan, Italy
SO Bioorganic & Medicinal Chemistry Letters (1994), 16(4), 1921-4
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI



AB The search for synthesis and evaluation of a novel highly potent atypical .beta.-adrenergic agonist (.beta.3-agonist) are described. An example compd. is the SR 68611A analog 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenepropanoate hydrochloride (I) (diastereomers).
IT 121524-09-2, SR 58611A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MSC (Miscellaneous); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of SR 59062A (SR 58611A bioisostere))
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

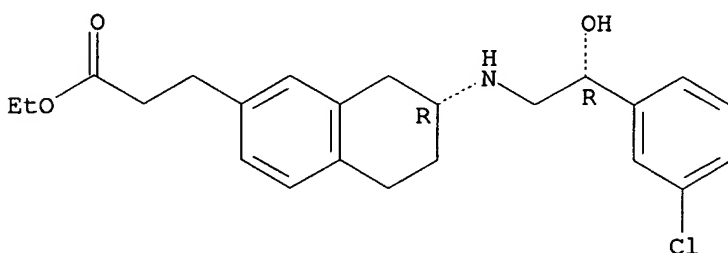
Absolute stereochemistry.



10/009,008

IT 145822-37-3P, 2-Naphthalenepropanoic acid, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, [R-(R*,R*)]- 145822-38-4P 160241-71-4P 160241-72-5P 160335-05-7P 160335-06-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of SR 59062A (SR 58611A bioisostere))
RN 145822-37-3 CAPLUS
CN 2-Naphthalenepropanoic acid, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

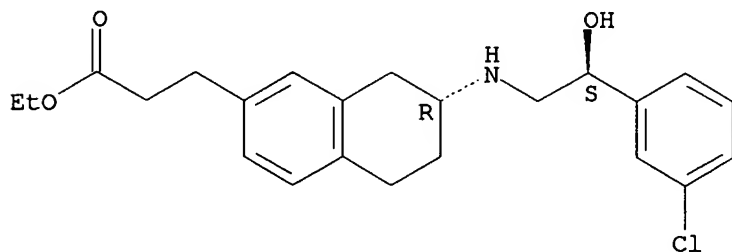
Absolute stereochemistry.



● HCl

RN 145822-38-4 CAPLUS
CN 2-Naphthalenepropanoic acid, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



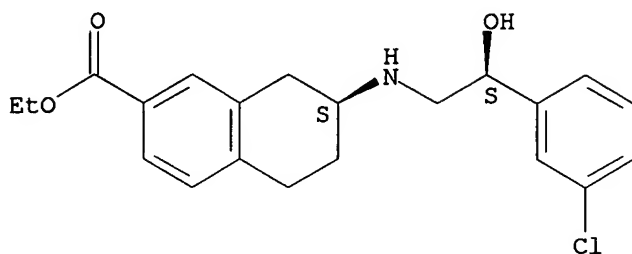
● HCl

RN 160241-71-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-

10/009,008

5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, (R*,R*)- (9CI) (CA
INDEX
NAME)

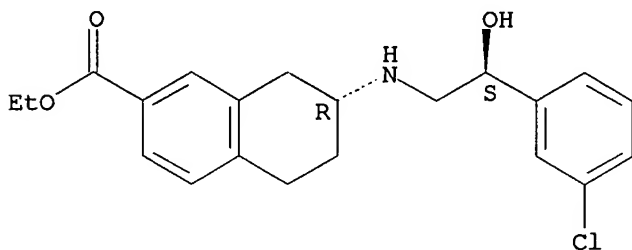
Relative stereochemistry.



● HCl

RN 160241-72-5 CAPLUS
CN 2-Naphthalenecarboxylic acid,
7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, (R*,S*)- (9CI) (CA
INDEX
NAME)

Relative stereochemistry.

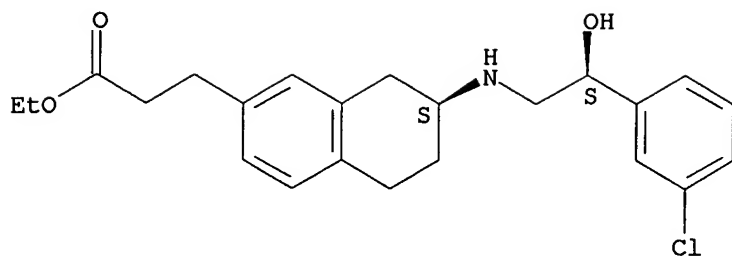


● HCl

RN 160335-05-7 CAPLUS
CN 2-Naphthalenepropanoic acid,
7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, (R*,R*)- (9CI) (CA
INDEX
NAME)

Relative stereochemistry.

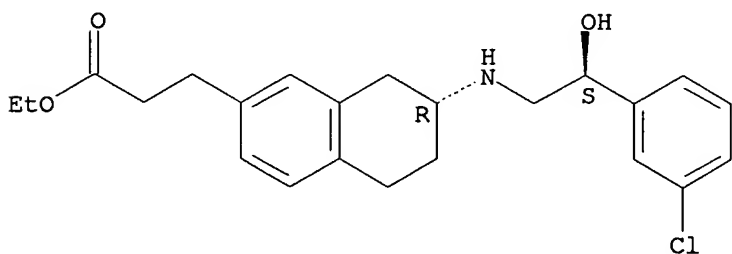
10/009,008



● HCl

RN 160335-06-8 CAPLUS
CN 2-Naphthalenepropanoic acid,
7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, (R*,S*)- (9CI) (CA
INDEX
NAME)

Relative stereochemistry.



● HCl

10/009,008

L4 ANSWER 133 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:18090 CAPLUS

DN 122:214460

TI Evaluation of Pirkle-type chiral stationary phases by liquid and supercritical fluid chromatography. Influence of the spacer length and the

steric hindrance in the vicinity of the stereogenic center

AU Bargmann-Leyder, N.; Truffert, J.-C.; Tambute, A.; Caude, M.

CS Lab. Chim. Anal., Ec. Super. Phys. Chim. Ind. Paris, Paris, 75231, Fr.

SO Journal of Chromatography, A (1994), 666(1-2), 27-40

CODEN: JCRAEY; ISSN: 0021-9673

DT Journal

LA English

AB The scope of applications of novel chiral stationary phases (CSPs) derived

from L-Phe or D-phenylglycine and bearing a long spacer in normal-phase liq. chromatog. is reviewed with regard to the similar corresponding com. available brush-type CSPs. The parameters studied were the spacer length and the steric hindrance in the vicinity of the stereogenic center. The direct sepn. of .beta.-blockers in supercrit. fluid chromatog. (SFC) was also carried out in order to elucidate the influence of the steric decompression. The chromatog. properties of one of the novel CSPs and

the

com. available CSP ChyRoSine-A, exhibiting both a long spacer and a weak steric hindrance in the vicinity of the stereogenic center were compared. The design and synthesis of three novel CSPs derived from Phe or phenylglycine and starting from the concept of ChyRoSine-A allowed an optimized CSP, 3,5-(O₂N)₂C₆H₃CO-L-Phe-NH(CH₂)₁₁Si(CH₂)₃Si(O-P)₃ (I; P = silica gel support) to be proposed. I possesses both reduced steric hindrance in the vicinity of the stereogenic center and a long spacer. Its main advantage is that it combines the fields of application of various CSPs (DNBPG, ChiraChrom A1, ChyRoSine-A). In addn., I allows the resoln. of .beta.-blockers, where both DNBPG and ChiraChrom A1 fail.

IT 135213-13-7P, N-(2-Naphthyl)-L-phenylalanine methyl ester

135213-14-8P, N-(2-Naphthyl)-D-phenylalanine methyl ester

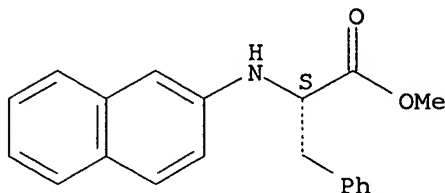
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, via resoln. of racemate by supercrit. fluid chromatog., Pirkle-type chiral stationary phases for)

RN 135213-13-7 CAPLUS

CN L-Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

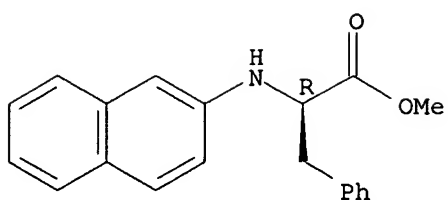


RN 135213-14-8 CAPLUS

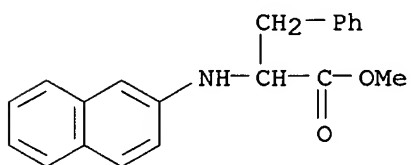
CN D-Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



IT **135088-68-5**, N-(2-Naphthyl)-DL-phenylalanine methyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(resoln. of, via supercrit. fluid chromatog., Pirkle-type chiral
stationary phases for)
RN 135088-68-5 CAPLUS
CN Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 134 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1995:2788 CAPLUS

DN 122:133273

TI Nucleophilic aromatic substitution reactions of 1-methoxy-2-(diphenylphosphinyl)naphthalene with C-, N-, and O-nucleophiles: facile synthesis of diphenyl(1-substituted 2-naphthyl)phosphines

AU Hattori, Tetsutaro; Sakamoto, Junichi; Hayashizaka, Noriyuki; Miyano, Sotaro

CS Fac. Eng., Tohoku Univ., Sendai, 980, Japan

SO Synthesis (1994), (2), 199-202

CODEN: SYNTBF; ISSN: 0039-7881

DT Journal

LA English

OS CASREACT 122:133273

AB A novel nucleophilic arom. substitution reaction is described in which the

methoxy group of 1-methoxy-2-(diphenylphosphinyl)naphthalene is readily replaced with Grignard reagents, alkoxides, and amides. Redn. of the resulting phosphine oxides provides a convenient route to diphenyl(1-substituted 2-naphthyl)phosphines.

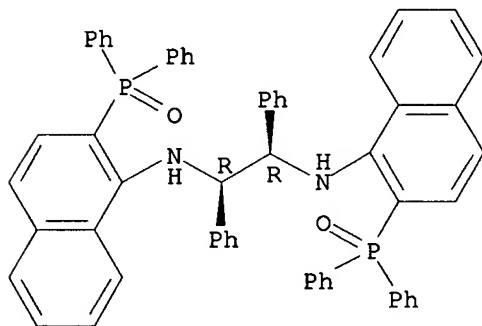
IT 161053-50-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 161053-50-5 CAPLUS

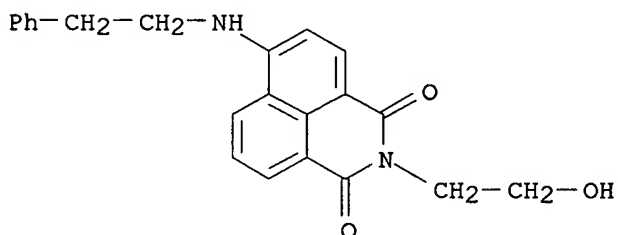
CN 1,2-Ethanediamine, N,N'-bis[2-(diphenylphosphinyl)-1-naphthalenyl]-1,2-diphenyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

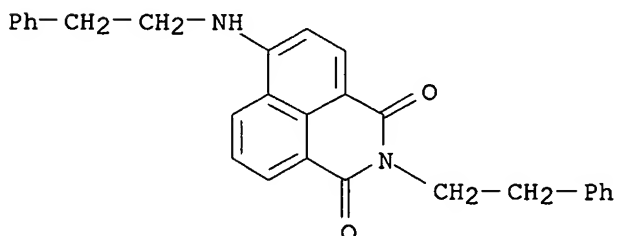


10/009,008

L4 ANSWER 135 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:703038 CAPLUS
DN 121:303038
TI Synthesis and application of fluorescent dyes on basis of 1,8-naphthalic carboximides
AU Philipova, Tzvetanka
CS Dep. Organic Chem., Inst. Chem. Technology, Sofia, Bulg.
SO Journal fuer Praktische Chemie/Chemiker-Zeitung (1994), 336(7), 587-90
CODEN: JPCCEM; ISSN: 0941-1216
PB Barth
DT Journal
LA English
AB N-substituted naphthalimide derivs. were synthesized from bromo- and aminonaphthalic anhydrides. They showed green fluorescence and were suitable for dyeing of textiles and epoxy resins. The color parameters of the dyed fabrics were measured. The assessment of color was made in terms of CIE tristimulus values as well as the position of color in CIELAB coordinates (L^* , a^* , b^*). The correlation between color and structure of the dyes was discussed.
IT 159433-63-3P 159433-66-6P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); PROC (Process); USES (Uses) (prepn. and application of fluorescent naphthalimide-based dyes)
RN 159433-63-3 CAPLUS
CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-(2-hydroxyethyl)-6-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 159433-66-6 CAPLUS
CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-(2-phenylethyl)-6-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



10/009,008

10/009,008

L4 ANSWER 136 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1994:645501 CAPLUS

DN 121:245501

TI Enhancement of gastric mucosal blood flow by beta-3 adrenergic agonists prevents indomethacin-induced antral ulcer in the rat

AU Kuratani, Kazuyoshi; Kodama, Hiroshi; Yamaguchi, Isamu

CS Tsukuba Res. Labs., Fujisawa Pharm. Co. Ltd., Tsukuba, 300-26, Japan

SO Journal of Pharmacology and Experimental Therapeutics (1994), 270(2), 559-65

CODEN: JPETAB; ISSN: 0022-3565

DT Journal

LA English

AB Indomethacin (32 mg/kg s.c.) produced mainly antral ulcers in refed rats but almost exclusively corpus erosions in fasted rats. S.c. doses of a nonselective beta (isoproterenol), a selective .beta.-2 (salbutamol) and selective .beta.-3 adrenergic agonists BRL 35135, CL 316243, SR 58611A, dose-dependently attenuated the antral ulcers, and their activities were in the order of BRL 35135 (ED50 = 0.03 mg/kg) > CL 316243 (ED50 = 0.04 mg/kg) > SR 68511A (ED50 = 0.2 mg/kg) > isoproterenol (ED50 = 0.4 mg/kg)

> salbutamol (ED50 = 6 mg/kg). Whereas only isoproterenol, salbutamol and BRL35135 significantly attenuated the corpus erosions and reduced gastric acid secretion in pylorus-ligated rats. In in vitro, all the beta agonists enhanced the beating rate of guinea pig atria (.beta.-1 action) and inhibited spontaneous contractions of rat uterus (.beta.-2 action)

and

colon (.beta.-3 action). There was found a statistically significant correlation between the IC50 values of the drugs on the colon and ED50 values on the indomethacin-induced antral ulcers (r = 0.97). In addn., the beta agonists excepting salbutamol increased antral gastric mucosal blood flow in rats anesthetized with halothane, and the activities were arranged in the potency order of inhibiting colon motility. It is concluded that activation of .beta.-3 adrenoceptor attenuates the indomethacin-induced antral ulcers through an enhancement of antral gastric mucosal blood flow, whereas activation of beta-1 and/or .beta.-2 adrenoceptors attenuates indomethacin-induced corpus erosions through an inhibition of gastric secretion.

IT 121524-09-2, SR58611A

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES

(Uses)

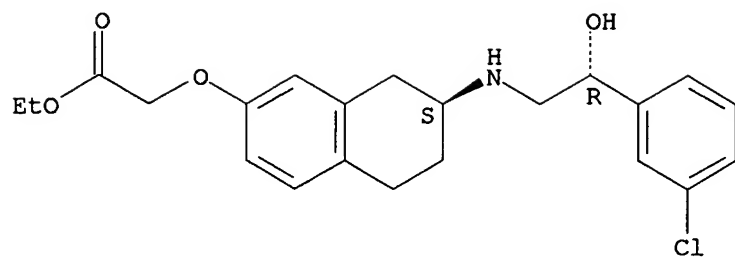
(enhancement of gastric mucosal blood flow by beta-3 adrenergic agonists prevents indomethacin-induced antral ulcer in rat)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

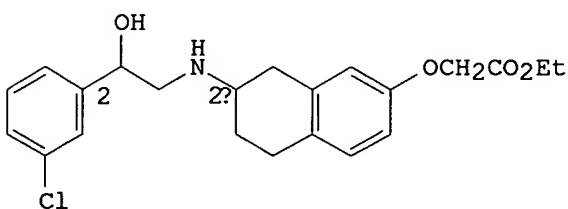
10/009,008



● HCl

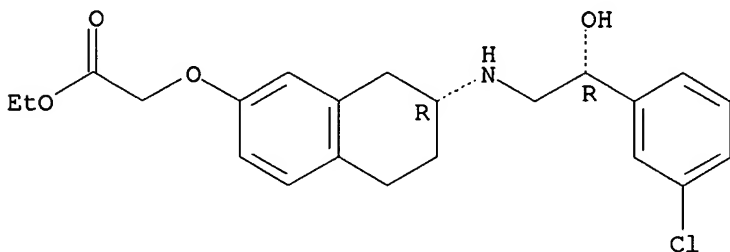
10/009,008

L4 ANSWER 137 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:630444 CAPLUS
DN 121:230444
TI Synthesis and .beta.-adrenergic activity of atypical .beta.-adrenergic
phenylethanaminotetralin stereoisomers
AU Cecchi, R.; Croci, T.; Boigegrain, R.; Boveri, S.; Baroni, M.; Boccardi,
G.; Guimbard, J. P.; Guzzi, U.
CS Res. Cent., Sanofi Midy SpA, Milan, 20137, Italy
SO European Journal of Medicinal Chemistry (1994), 29(4), 259-67
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA English
OS CASREACT 121:230444
GI



AB A series of substituted phenylethanaminotetralins were synthesized as pure stereoisomers and their ability to stimulate atypical .beta.-adrenoceptors selectively was evaluated. The compds. in vitro relative potencies were assessed using the atypical .beta. response of inhibition of rat proximal colon motility and the typical .beta.1 (increase in guinea-pig right atrial frequency) and .beta.2 (guinea-pig tracheal relaxation and rat uterus motility inhibition) responses. (2R,2'S)-I (SR 58611A) was found to be the most potent and selective.
IT **121524-07-0**
RL: PROC (Process)
(conversion of, to hydrochloride)
RN 121524-07-0 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **121216-31-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)

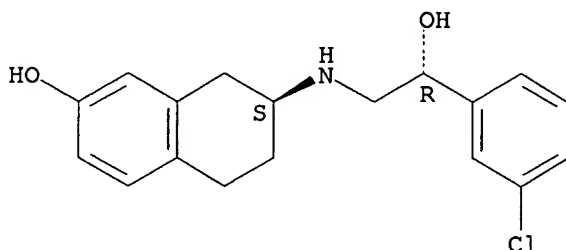
10/009,008

(prepn. and conversion of, to adrenergic phenylethanolaminotetralin stereoisomer)

RN 121216-31-7 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 107758-36-1P 107758-37-2P 107758-38-3P

107758-39-4P 120839-53-4P 121216-32-8P

121524-08-1P 121524-09-2P 121524-10-5P

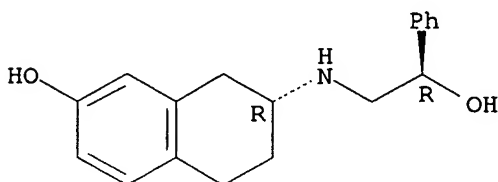
121524-11-6P 129831-97-6P 158223-17-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and .beta.-adrenergic activity of)

RN 107758-36-1 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



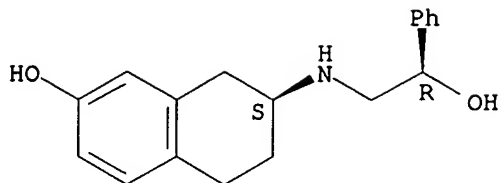
● HCl

RN 107758-37-2 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

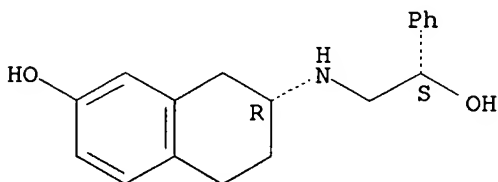


● HCl

RN 107758-38-3 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

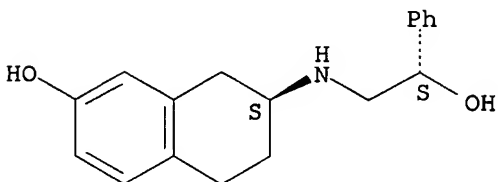


● HCl

RN 107758-39-4 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



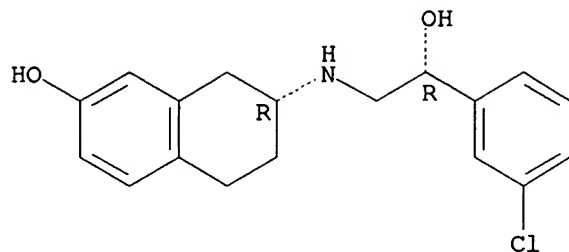
● HCl

RN 120839-53-4 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

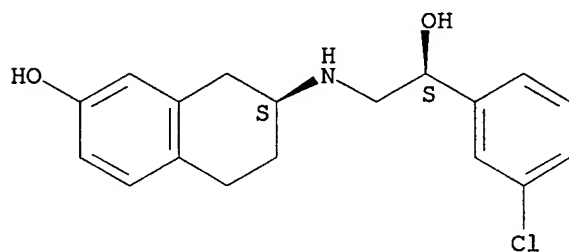


● HCl

RN 121216-32-8 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

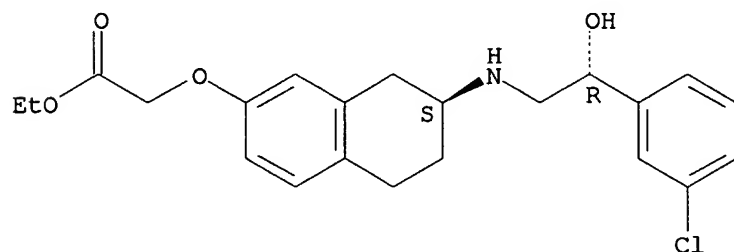


● HCl

RN 121524-08-1 CAPLUS

CN Acetic acid, [[(2S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



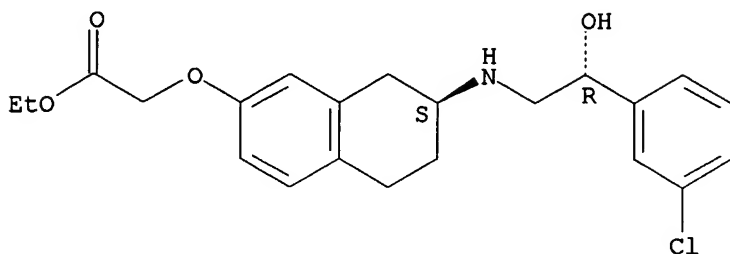
RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-

10/009,008

5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

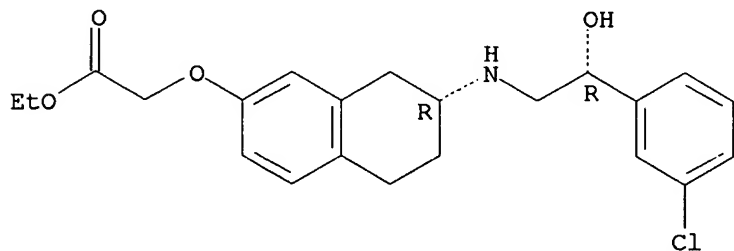


● HCl

RN 121524-10-5 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



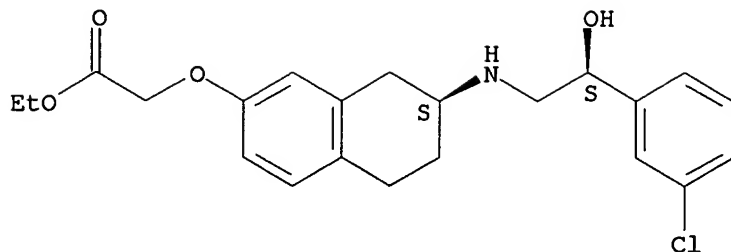
● HCl

RN 121524-11-6 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

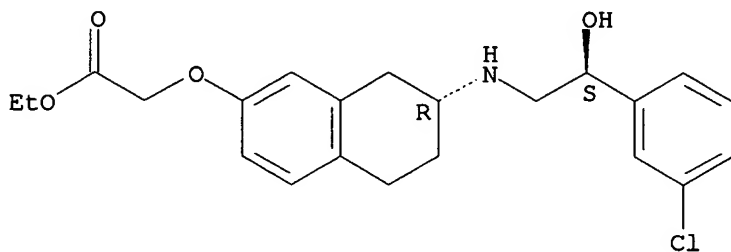


● HCl

RN 129831-97-6 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



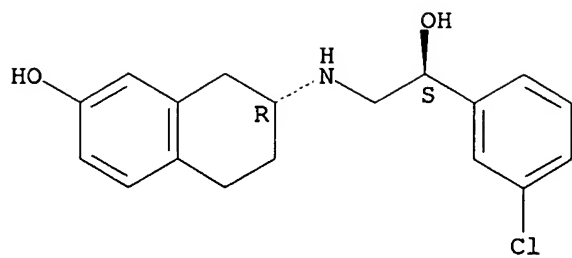
● HCl

RN 158223-17-7 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [S-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

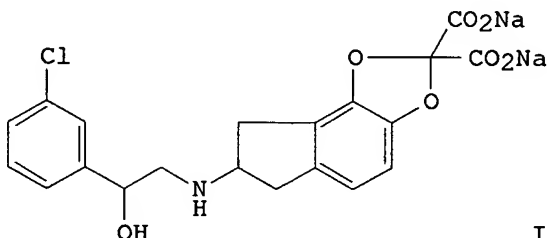
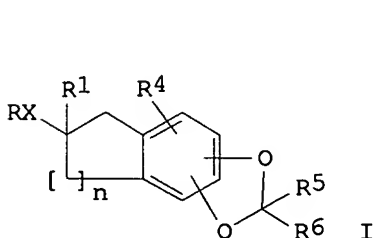


● HCl

10/009,008

L4 ANSWER 138 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:579619 CAPLUS
DN 121:179619
TI Aminocycloalkanobenzodioxoles as .beta.-3 selective adrenergic agents.
IN Epstein, Joseph William; Birnberg, Gary Harold; Walker, Gary Edward;
Dutia, Minu Dhanjisha; Bloom, Jonathan David
PA American Cyanamid Co., USA
SO Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 608568	A1	19940803	EP 1993-121091	19931230
	EP 608568	B1	19980311		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 163930	E	19980315	AT 1993-121091	19931230
	ES 2115003	T3	19980616	ES 1993-121091	19931230
	JP 07002831	A2	19950106	JP 1994-23455	19940126
	CA 2114359	AA	19940730	CA 1994-2114359	19940127
	US 5510376	A	19960423	US 1994-250471	19940527
	US 5594027	A	19970114	US 1995-435469	19950505
PRAI	US 1993-10973		19930129		
	US 1994-250471		19940527		
OS	MARPAT 121:179619				
GI					



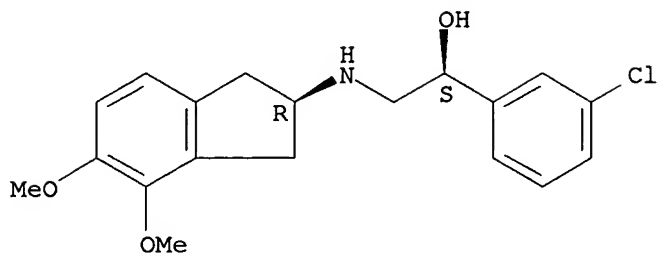
AB The antiobesity agents, antidiabetics and .beta.-agonists I (R = naphthalenyl, phenyl; R1 = H, alkyl; R4 = H, alkyl, alkoxy, etc.; R5, R6 = H, carboxy, hydroxymethyl, etc.; n = integer; X = divalent group) were disclosed. An example compd., disodium 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7-dihydro-6H-indeno[4,5-d]-1,3-dioxole-2,2-dicarboxylate (II) was prepd.

IT **157769-60-3P 157769-61-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (oxazolidinyl)indeno[4,5-d]-1,3-dioxolodicarboxylate)

RN 157769-60-3 CAPLUS
CN Benzenemethanol,
3-chloro-.alpha.-[[(2,3-dihydro-4,5-dimethoxy-1H-inden-2-yl)amino]methyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

10/009,008

Relative stereochemistry.

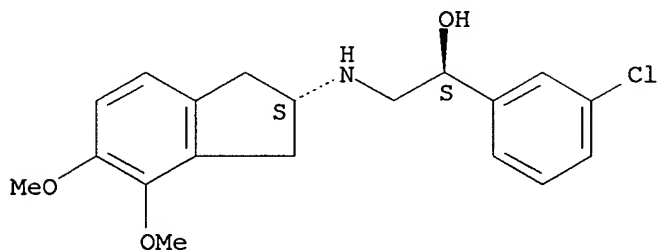


RN 157769-61-4 CAPLUS

CN Benzenemethanol,

3-chloro-.alpha.-[[(2,3-dihydro-4,5-dimethoxy-1H-inden-2-yl)amino]methyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 157769-65-8P 157769-66-9P 157769-70-5P

157769-71-6P 157769-74-9P 157769-75-0P

157769-76-1P 157769-77-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as .beta.3-adrenergic agonist)

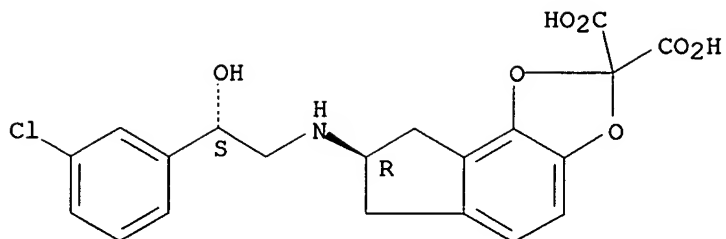
RN 157769-65-8 CAPLUS

CN 6H-Indeno[4,5-d]-1,3-dioxole-2,2-dicarboxylic acid,
7-[[2-(3-chlorophenyl)-

2-hydroxyethyl]amino]-7,8-dihydro-, disodium salt, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/009,008



●2 Na

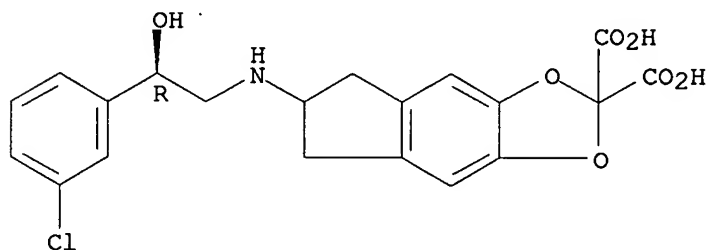
RN 157769-66-9 CAPLUS

CN 5H-Indeno[5,6-d]-1,3-dioxole-2,2-dicarboxylic acid,

6-[[2-(3-chlorophenyl)-

2-hydroxyethyl]amino]-6,7-dihydro-, disodium salt, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 Na

RN 157769-70-5 CAPLUS

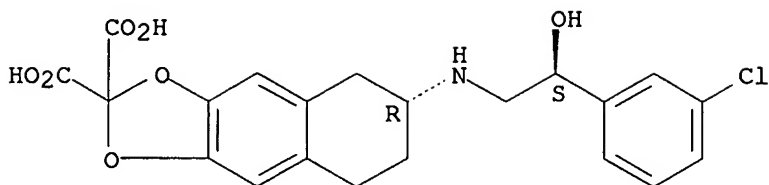
CN Naphtho[2,3-d]-1,3-dioxole-2,2-dicarboxylic acid,

6-[[2-(3-chlorophenyl)-2-

hydroxyethyl]amino]-5,6,7,8-tetrahydro-, disodium salt, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

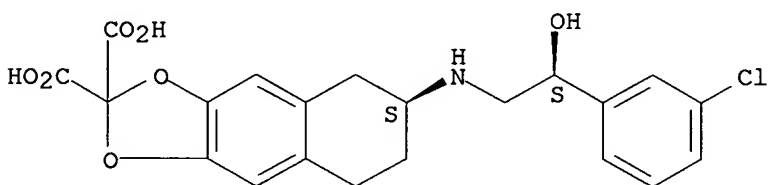
10/009,008



● 2 Na

RN 157769-71-6 CAPLUS
CN Naphtho[2,3-d]-1,3-dioxole-2,2-dicarboxylic acid,
6-[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, disodium salt, (R*,R*)- (9CI)
(CA INDEX NAME)

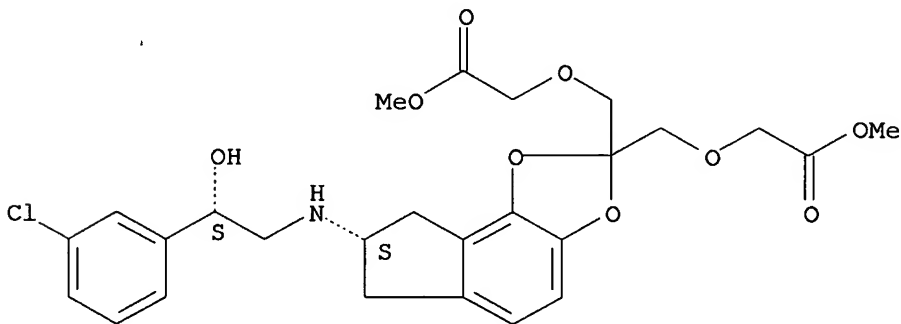
Relative stereochemistry.



● 2 Na

RN 157769-74-9 CAPLUS
CN Acetic acid, 2,2'-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-7,8-dihydro-6H-indeno[4,5-d]-1,3-dioxol-2-ylidene]bis(methyleneoxy)]bis-, dimethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

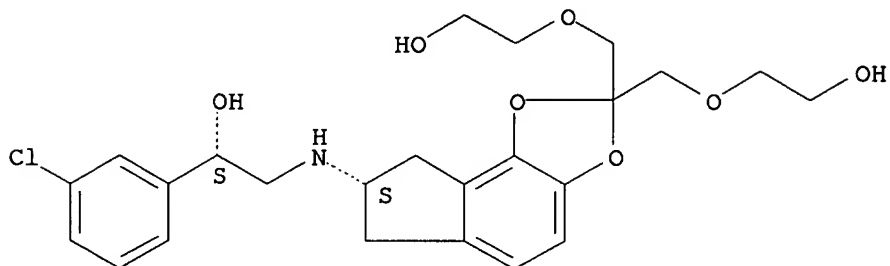


RN 157769-75-0 CAPLUS
CN Benzenemethanol, 3-chloro-.alpha.-[[[7,8-dihydro-2,2-bis[2-

10/009,008

hydroxyethoxy)methyl]-6H-indeno[4,5-d]-1,3-dioxol-7-yl]amino)methyl]-,
(R*,R*)- (9CI) (CA INDEX NAME)

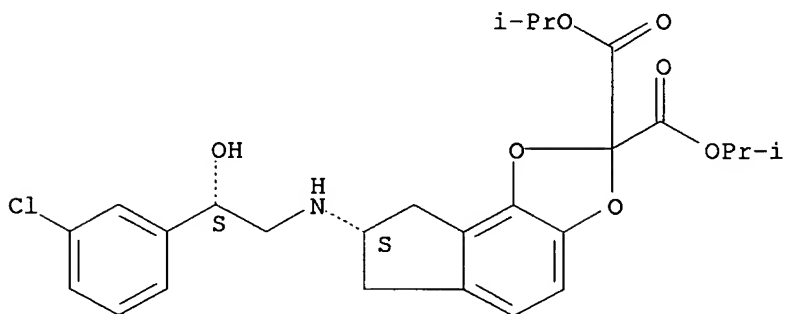
Relative stereochemistry.



RN 157769-76-1 CAPLUS

CN 6H-Indeno[4,5-d]-1,3-dioxole-2,2-dicarboxylic acid,
7-[[2-(3-chlorophenyl)-
2-hydroxyethyl]amino]-7,8-dihydro-, di-1-methylethyl ester, (R*,R*)-
(9CI)
(CA INDEX NAME)

Relative stereochemistry.

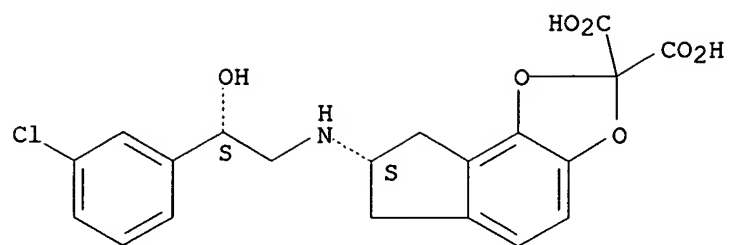


RN 157769-77-2 CAPLUS

CN 6H-Indeno[4,5-d]-1,3-dioxole-2,2-dicarboxylic acid,
7-[[2-(3-chlorophenyl)-
2-hydroxyethyl]amino]-7,8-dihydro-, disodium salt, (R*,R*)- (9CI) (CA
INDEX NAME)

Relative stereochemistry.

10/009,008



●2 Na

10/009,008

L4 ANSWER 139 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1994:549595 CAPLUS

DN 121:149595

TI .beta.-Adrenergic control of lipolysis in primate white fat cells: a comparative study with nonprimate mammals

AU Bousquet-Melou, Alain; Galitzky, Jean; Carpene, Christian; Lafontan, Max; Berlan, Michel

CS Faculte de Medecine, Universite Paul Sabatier, Toulouse, 31073, Fr.

SO American Journal of Physiology (1994), 267(1, Pt. 2), R115-R123

CODEN: AJPHAP; ISSN: 0002-9513

DT Journal

LA English

AB The .beta.-adrenoceptor subtypes involved in the control of lipolysis in white fat cells of rat, dog, marmoset (*Callithrix jacchus*), baboon (*Papio papio*), macaque (*Macaca fascicularis*), and human were compared. In all species, [³H]CGP-12177 binding (up to 3 nM) indicated the existence of a homogeneous population of binding sites in fat cell membranes, and competition studies showed that .beta.1- and .beta.2-adrenoceptors were present. Selective .beta.1- or .beta.2-adrenoceptor agonists induced lipolysis. The efficiencies of isoproterenol and norepinephrine were similar. The use of selective .beta.3-adrenoceptor agonists revealed

that

BRL-37344 and CL-316243 were full agonists, whereas CGP-12177 and SR-58611A were partial agonists in rat and dog white fat cells.

.beta.3-Agonists partially stimulated lipolysis in the marmoset, while CGP-12177 was weakly active in the baboon. In macaque and human fat cells, B3-agonists were ineffective. The lipolytic effect of norepinephrine involves .beta.1-and/or .beta.2-adrenoceptors in baboon, macaque, and human. The baboon and macaque constitute valuable models

for

studying the .beta.-adrenergic control of lipolysis.

IT 121524-09-2, SR-58611A

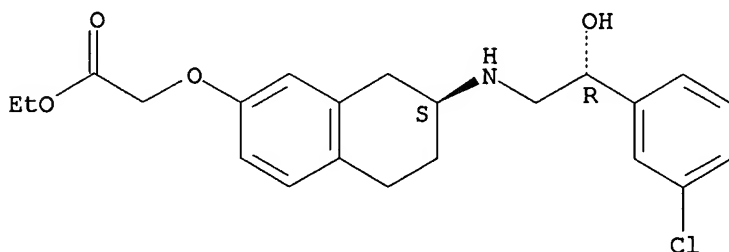
RL: BIOL (Biological study)

(lipolysis stimulation by, in adipose tissue of human and mammals)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



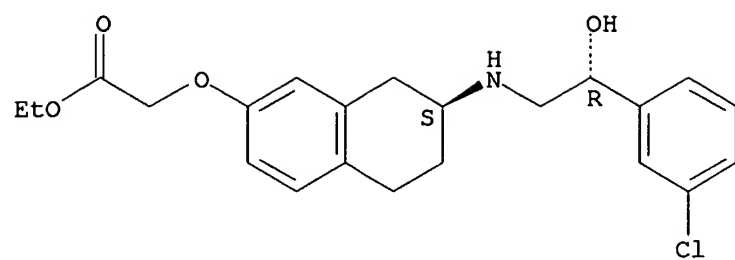
● HCl

10/009,008

L4 ANSWER 140 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:474452 CAPLUS
DN 121:74452
TI Mediation of most atypical effects by species homologs of the
.beta.3-adrenoceptor
AU Blin, Nathalie; Nahmias, Clara; Drumare, Marie F.; Strosberg, A Donny
CS Institut Cochin de Genetique Molecularire, CNRS, Paris, 75014, Fr.
SO British Journal of Pharmacology (1994), 112(3), 911-19
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
AB A wide panel of compds. acting on .beta.-adrenoceptors active either in
mammalian heart or in rodent digestive tract and adipose tissues, were
investigated for their effects on Chinese hamster ovary cells transfected
with the human or murine .beta.3-adrenoceptor gene. The
.beta.3-agonists,
bucindolol, CGP 12177A and pindolol exhibited the highest binding
affinities; BRL 37344, LY 79771, ICI 201651 and SR 58611A presented high
potencies in stimulating adenylyl cyclase; bupranolol appeared as the
most efficient .beta.3-antagonist. This pharmacol. anal. further established
that the .beta.3-adrenoceptor is the prototype of the adipose tissue
atypical .beta.-adrenoceptor, since these receptors share a no. of
pharmacol. properties which differ strikingly from those of .beta.1- and
.beta.2-adrenoceptors: low affinities for conventional
.beta.-adrenoceptor
agonists and antagonists, high potencies for novel compds. active in
adipose tissues, partial agonistic activities for several
.beta.1/.beta.2-antagonists. Although the pharmacol. profiles of the
human and murine .beta.3-receptor were very similar, some quant. or even
qual. differences were obsd. for particular compds. such as propranolol,
which exhibited weak and partial agonistic effects at the human
.beta.3-receptors and antagonistic effects at the murine
.beta.-receptors.
These differences may result from key amino-acid substitutions between
the human and the murine .beta.3-receptor sequences, which may alter the
binding site or signal processing. Compds. active on atypical
.beta.-site
of other tissues such as heart and digestive tract were also potent on
the .beta.3-adrenoceptor expressed in Chinese hamster ovary cells, suggesting
that this receptor mediates most of the atypical properties described in
various tissues, and that differences in ligand effects may result from
tissue-related specificities.
IT 121524-09-2, SR 58611A
RL: BIOL (Biological study)
(human and murine .beta.3-adrenoceptors affinity for, in CHO cells)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

10/009,008

L4 ANSWER 141 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1994:473752 CAPLUS

DN 121:73752

TI SR 58611A: a novel thermogenic .beta.-adrenoceptor agonist

AU Nisoli, Enzo; Tonello, Cristina; Carruba, Michele O.

CS Section of Pharmacology, Toxicology and Experimental Therapeutics,
Department of Biomedical Sciences and Biotechnologies, School of
Medicine,

University of Brescia, Via Valsabbina 19, Brescia, 25123, Italy

SO European Journal of Pharmacology (1994), 259(2), 181-6

CODEN: EJPHAZ; ISSN: 0014-2999

DT Journal

LA English

AB

N(2S)-7-[carbethoxymethoxy-1,2,3,4-tetrahydronaphth-2-yl]-(2R)-2-hydroxy-2-(3-chlorophenyl)ethanamine hydrochloride (SR 58611A) increased cAMP levels

in membrane homogenates from rat interscapular brown adipose tissue with an EC50 of 20 nM. Substitution of GTP with the GDP analog, guanosine-5'-O-[thiodiphosphate], in the incubation medium suppressed the stimulation of adenylyl cyclase activity by SR 58611A. This compd. also stimulated glycerol release from the brown fat cells, with an EC50 of 11 nM. Only at doses higher than 10 .mu.M did the non-selective .beta.-adrenoceptor antagonists, propranolol and alprenolol, as well as the selective .beta.1- and .beta.2-adrenoceptor antagonists, (.+-.)-[2-(3-carbamoyl-4-hydroxyphenoxy)-ethylamino]-3-[4(1-methyl-4-trifluoromethyl-2-imidazolyl)-phenoxy]-2 propanol (CGP 20712A) and erythro-(.+-.)-1-(7-methylindan-4-yloxy)-3-iso-propylaminobutan-2-ol-hydrochloride (ICI 118,551), antagonize the SR 58611A-induced stimulation of both adenylyl cyclase activity and lipid metab. Since, at high doses, all these .beta.- adrenoceptor antagonists lack selectivity for .beta.1- or .beta.2- adrenoceptors, these results suggest that the .beta.-adrenoceptor agonist, SR 58611A, activates thermogenesis by acting on brown fat cell .beta.3-adrenoceptors. This implies that this compd. might be useful for treatment of obesity.

IT 121524-09-2, SR 58611A

RL: BIOL (Biological study)

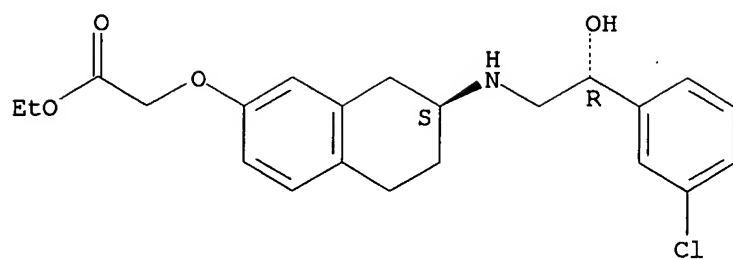
(thermogenesis from, adipose tissue metab. in, antiobesity activity in relation to)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/009,008

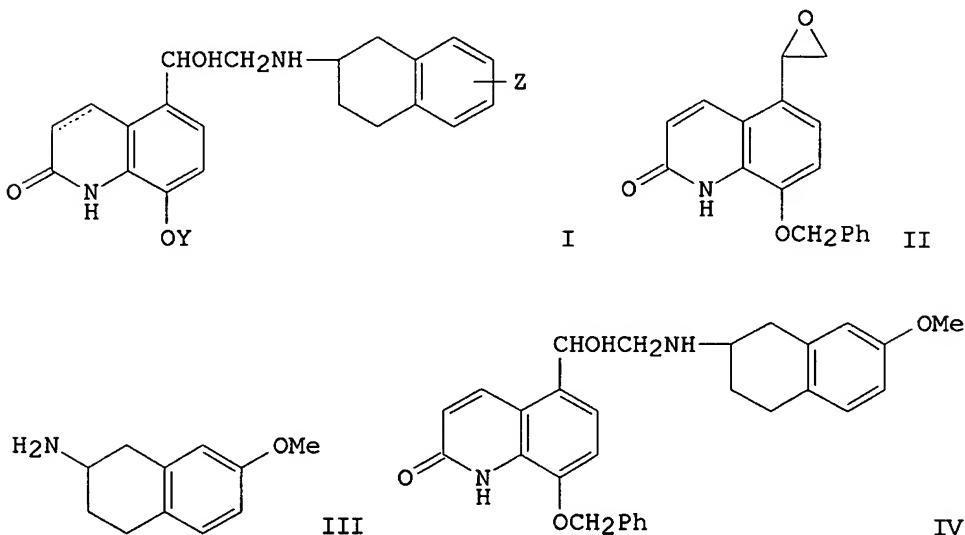


● HCl

10/009,008

L4 ANSWER 142 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:217308 CAPLUS
DN 120:217308
TI Preparation of carbostyryl derivatives as bronchodilators
IN Tsuchiya, Susumu; Mori, Hiroaki; Hiratsuka, Kouzou; Takenawa, Noriko
PA Tokyo Tanabe Co. Ltd., Japan
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9318007	A1	19930916	WO 1993-JP303	19930312
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9336487	A1	19931005	AU 1993-36487	19930312
PRAI	JP 1992-54733		19920313		
	WO 1993-JP303		19930312		
OS	MARPAT 120:217308				
GI					



AB The title compds. I [OY = OH, (substituted) alkoxy, protected OH; Z = H, OH, alkoxy, etc; dotted line indicates either single or double bond] were prepd. I are bronchodilators which selectively act upon the .beta.2-adrenaline receptor. Reaction of oxiranylcarbostyryl II with aminotetralin III gave title compd. IV. In a test using tracheal muscles isolated from guinea pigs, IV caused the relaxation of the said muscles and had ED50 value of 0.11 nM vs. ED50 value of 0.19 nM for procaterol.

IT 153388-00-2P 153388-01-3P 153388-02-4P
153388-03-5P 153388-04-6P 153388-05-7P
153388-06-8P 153388-07-9P 153388-08-0P

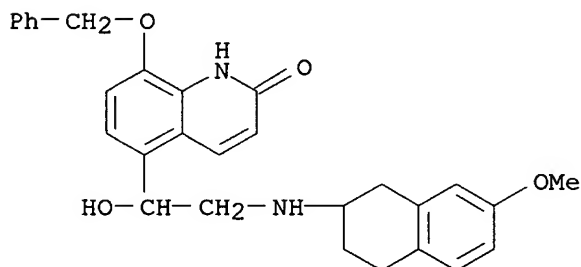
10/009,008

153388-09-1P 153388-10-4P 153388-11-5P
153388-12-6P 153388-13-7P 153388-14-8P
153388-15-9P 153388-16-0P 153388-17-1P
153388-18-2P 153388-19-3P 153388-20-6P
153388-21-7P 153388-22-8P 153388-23-9P
153388-24-0P 153388-25-1P 153388-26-2P
153388-27-3P 153388-28-4P 153388-29-5P
153388-30-8P 153388-31-9P 153388-32-0P
153388-33-1P 153388-34-2P 153388-35-3P
153388-36-4P 153388-37-5P 153388-38-6P
153388-39-7P 153388-40-0P 153388-41-1P
153388-42-2P 153388-43-3P 153388-44-4P
153388-45-5P 153388-46-6P 153388-47-7P
153388-48-8P 153388-49-9P 153388-50-2P
153388-51-3P 153388-52-4P 153388-53-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as bronchodilator)

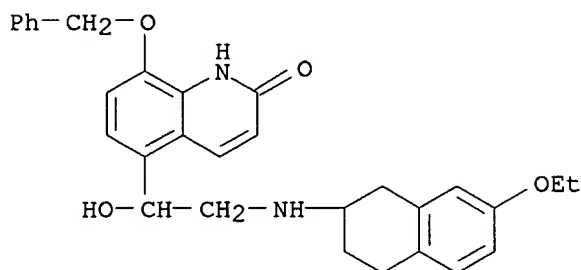
RN 153388-00-2 CAPLUS

CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 153388-01-3 CAPLUS

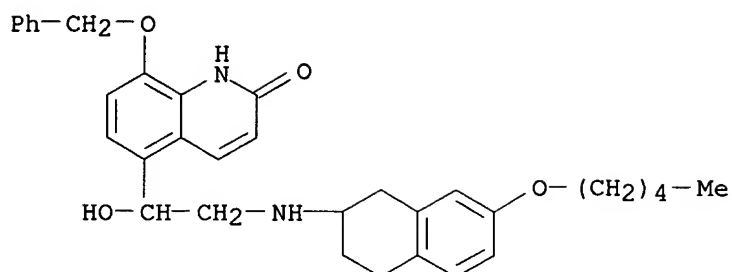
CN 2(1H)-Quinolinone, 5-[2-[(7-ethoxy-1,2,3,4-tetrahydro-2-naphthalenyl)amino]-1-hydroxyethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 153388-02-4 CAPLUS

CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-(pentyloxy)-2-naphthalenyl]amino]ethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

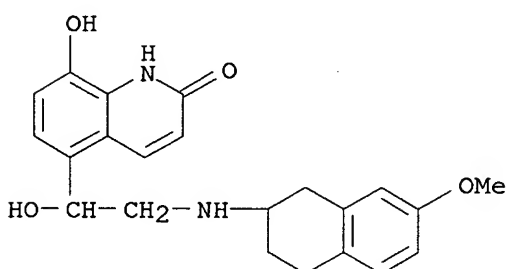
10/009,008



RN 153388-03-5 CAPLUS

CN 2(1H)-Quinolinone,

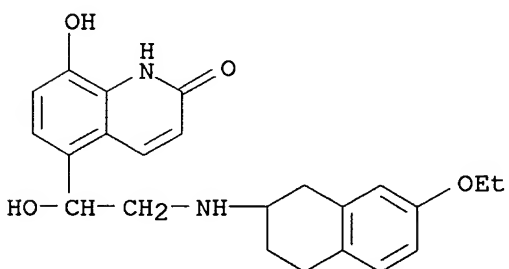
8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 153388-04-6 CAPLUS

CN 2(1H)-Quinolinone, 5-[2-[(7-ethoxy-1,2,3,4-tetrahydro-2-

naphthalenyl)amino]-1-hydroxyethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

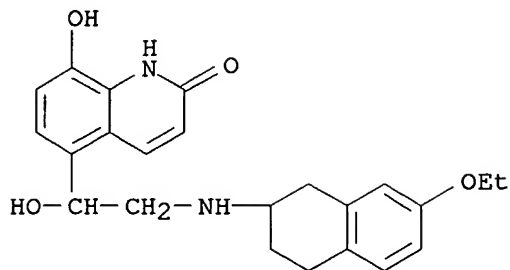


RN 153388-05-7 CAPLUS

CN 2(1H)-Quinolinone, 5-[2-[(7-ethoxy-1,2,3,4-tetrahydro-2-

naphthalenyl)amino]-1-hydroxyethyl]-8-hydroxy-, monohydrochloride (9CI)
(CA INDEX NAME)

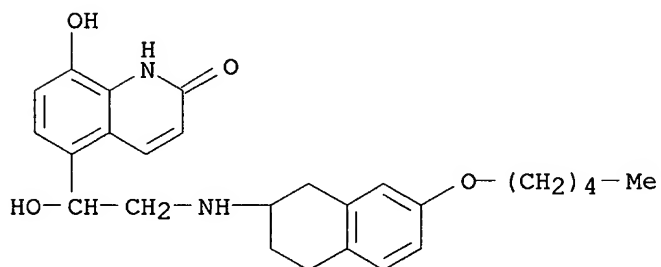
10/009,008



● HCl

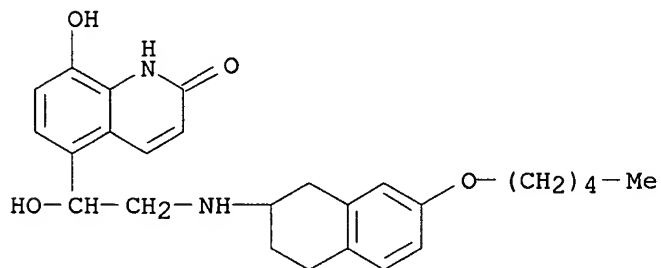
RN 153388-06-8 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-(pentyloxy)-2-naphthalenyl]amino]ethyl]- (9CI) . (CA INDEX NAME)



RN 153388-07-9 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-(pentyloxy)-2-naphthalenyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

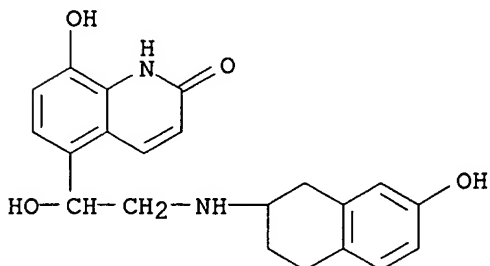


● HCl

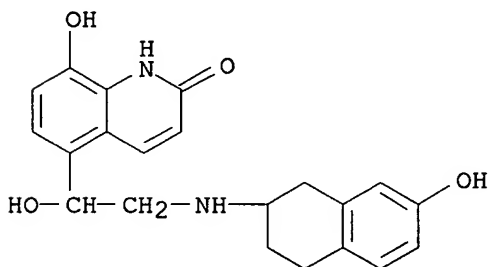
RN 153388-08-0 CAPLUS

10/009,008

CN 2(1H)-Quinolinone,
8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-hydroxy-
2-naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

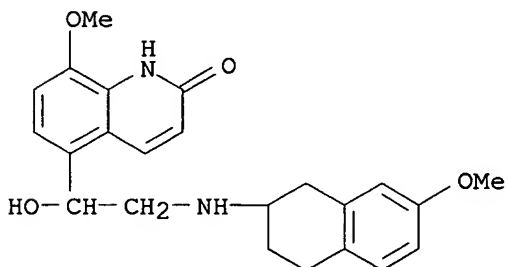


RN 153388-09-1 CAPLUS
CN 2(1H)-Quinolinone,
8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-hydroxy-
2-naphthalenyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

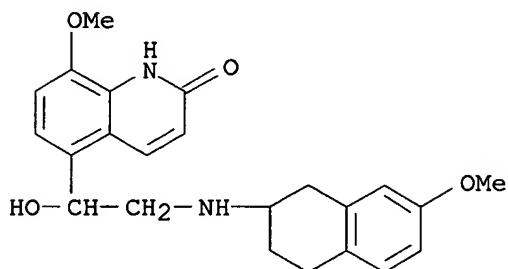
RN 153388-10-4 CAPLUS
CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-
naphthalenyl)amino]ethyl]-8-methoxy- (9CI) (CA INDEX NAME)



RN 153388-11-5 CAPLUS

10/009,008

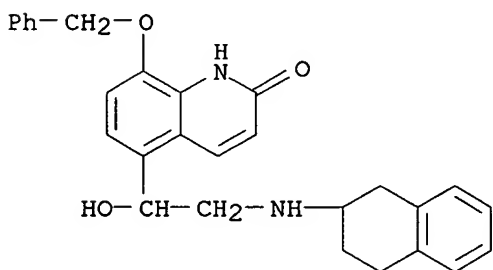
CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-8-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

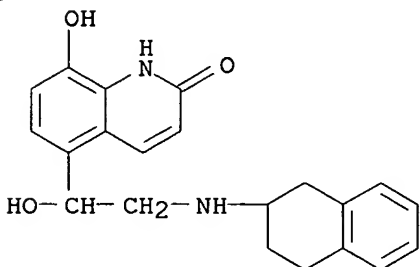
RN 153388-12-6 CAPLUS

CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]ethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 153388-13-7 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

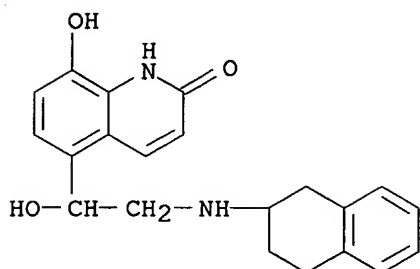


RN 153388-14-8 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-2-

10/009,008

naphthalenyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

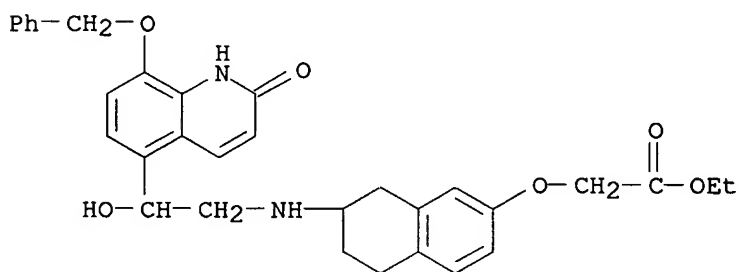


● HCl

RN 153388-15-9 CAPLUS

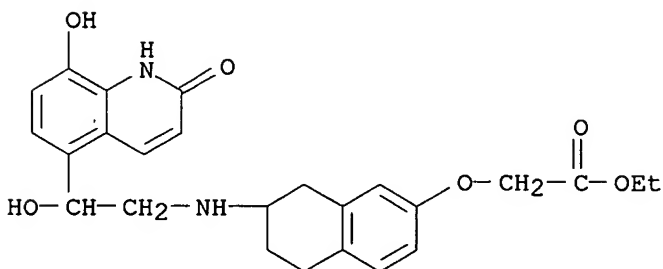
CN Acetic acid,

[[7-[[2-[[1,2-dihydro-2-oxo-8-(phenylmethoxy)-5-quinolinyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 153388-16-0 CAPLUS

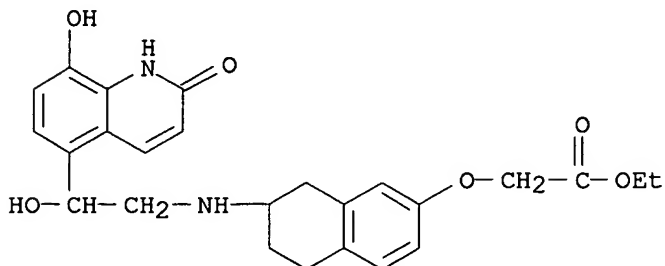
CN Acetic acid, [[7-[[2-[[1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 153388-17-1 CAPLUS

10/009,008

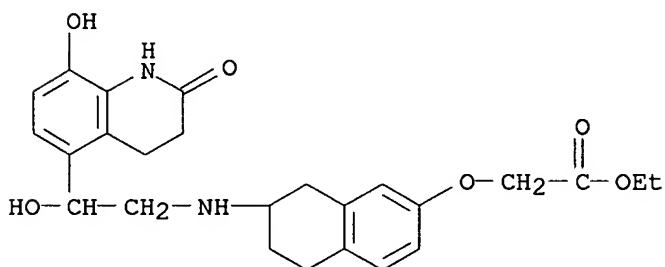
CN Acetic acid, [[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 153388-18-2 CAPLUS

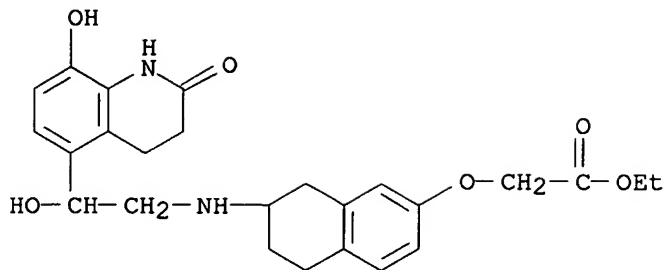
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(1,2,3,4-tetrahydro-8-hydroxy-2-oxo-5-quinolinyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 153388-19-3 CAPLUS

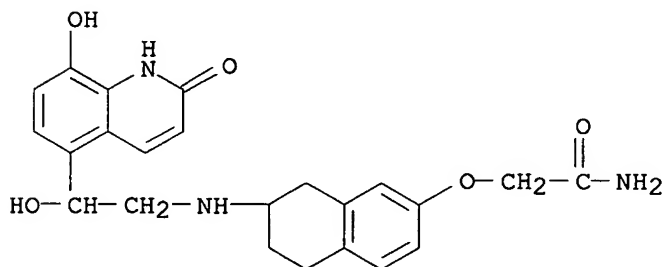
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(1,2,3,4-tetrahydro-8-hydroxy-2-oxo-5-quinolinyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008

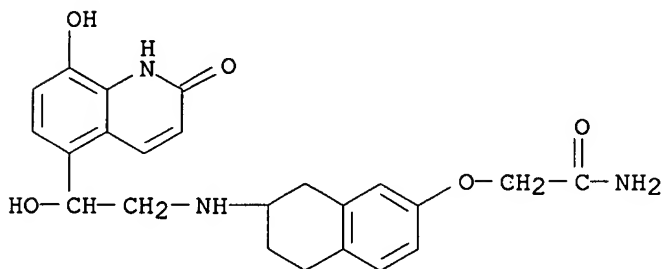


● HCl

RN 153388-20-6 CAPLUS
CN Acetamide, 2-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]- (9CI) (CA INDEX NAME)



RN 153388-21-7 CAPLUS
CN Acetamide, 2-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, monohydrochloride (9CI) (CA INDEX NAME)

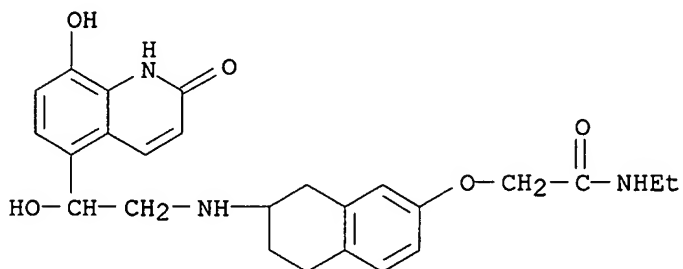


HCl

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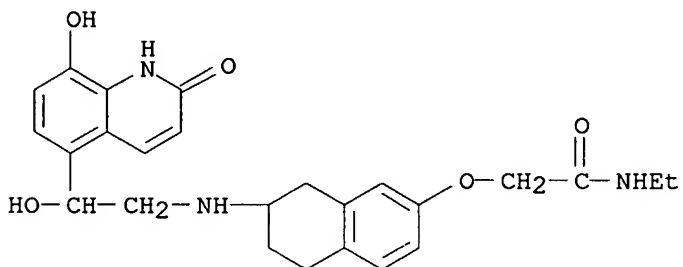
RN 153388-22-8 CAPLUS

CN Acetamide, 2-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-N-ethyl- (9CI)
(CA INDEX NAME)



RN 153388-23-9 CAPLUS

CN Acetamide, 2-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-N-ethyl-,
monohydrochloride (9CI) (CA INDEX NAME)

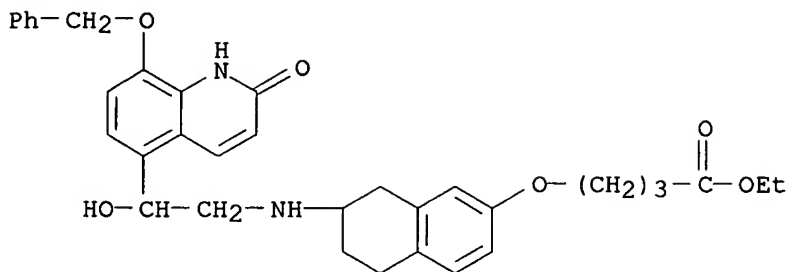


● HCl

RN 153388-24-0 CAPLUS

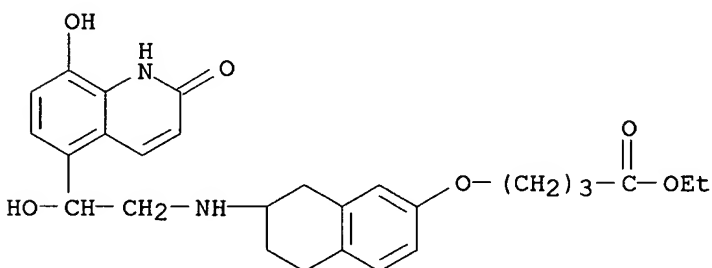
CN Butanoic acid, 4-[[7-[[2-[1,2-dihydro-2-oxo-8-(phenylmethoxy)-5-quinolinyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

10/009,008



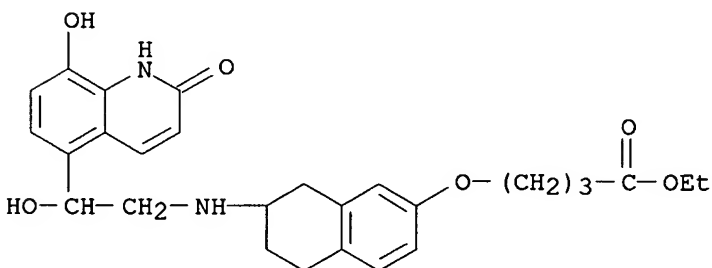
RN 153388-25-1 CAPLUS

CN Butanoic acid, 4-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 153388-26-2 CAPLUS

CN Butanoic acid, 4-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



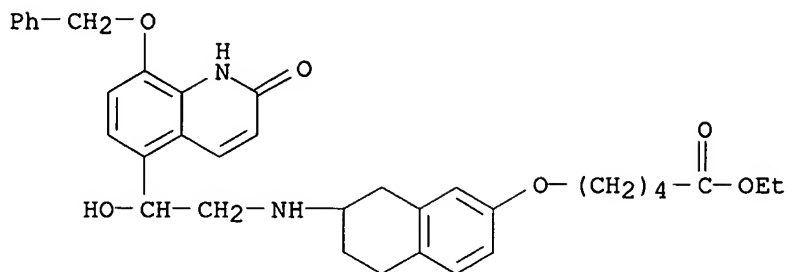
● HCl

RN 153388-27-3 CAPLUS

CN Pentanoic acid, 5-[[7-[[2-[1,2-dihydro-2-oxo-8-(phenylmethoxy)-5-quinolinyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-,

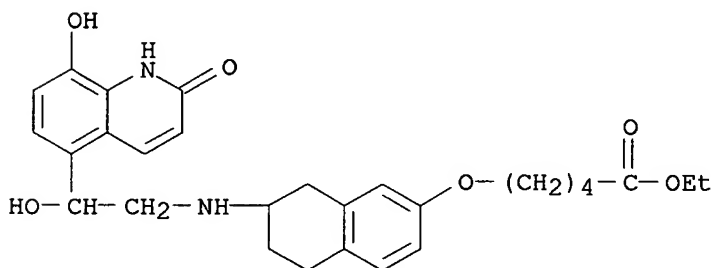
10/009,008

ethyl ester (9CI) (CA INDEX NAME)



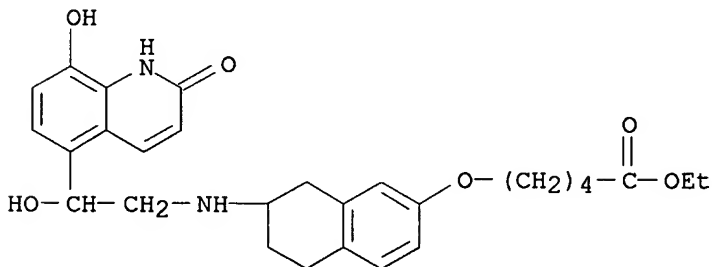
RN 153388-28-4 CAPLUS

CN Pentanoic acid, 5-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 153388-29-5 CAPLUS

CN Pentanoic acid, 5-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



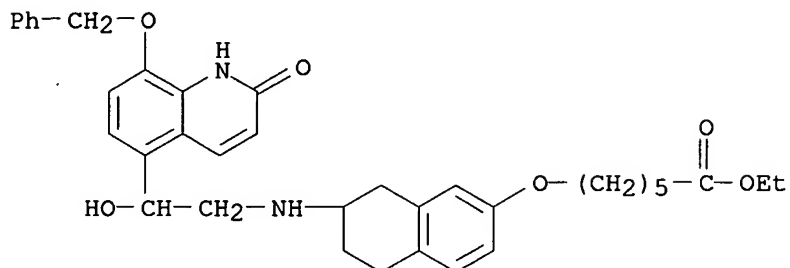
● HCl

RN 153388-30-8 CAPLUS

CN Hexanoic acid, 6-[[7-[[2-[1,2-dihydro-2-oxo-8-(phenylmethoxy)-5-

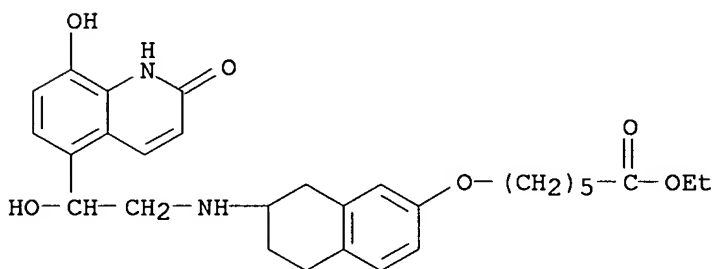
10/009,008

quinolinyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



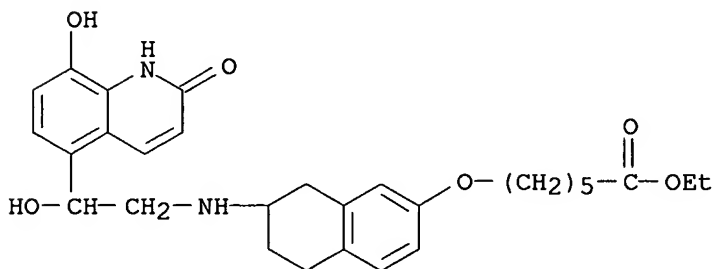
RN 153388-31-9 CAPLUS

CN Hexanoic acid, 6-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 153388-32-0 CAPLUS

CN Hexanoic acid, 6-[[7-[[2-(1,2-dihydro-8-hydroxy-2-oxo-5-quinolinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

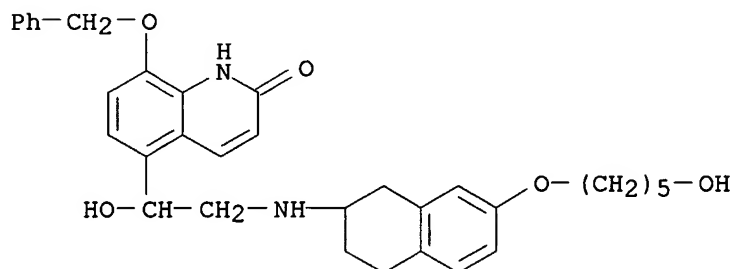


HCl

10/009,008

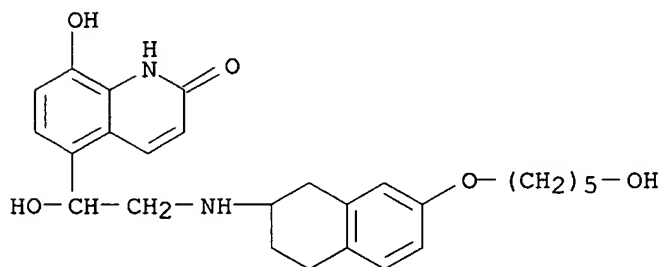
RN 153388-33-1 CAPLUS

CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-[(5-hydroxypentyl)oxy]-2-naphthalenyl]amino]ethyl]-8-(phenylmethoxy)- (9CI)
(CA INDEX NAME)



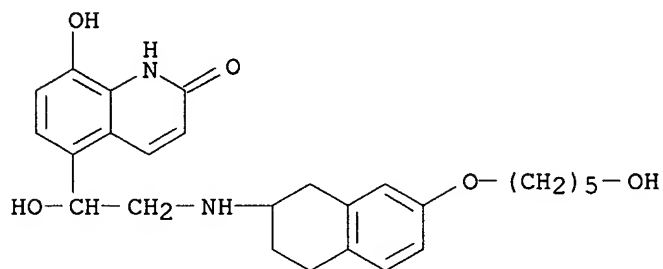
RN 153388-34-2 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-[(5-hydroxypentyl)oxy]-2-naphthalenyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 153388-35-3 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[[1,2,3,4-tetrahydro-7-[(5-hydroxypentyl)oxy]-2-naphthalenyl]amino]ethyl]-, monohydrochloride (9CI)
(CA INDEX NAME)



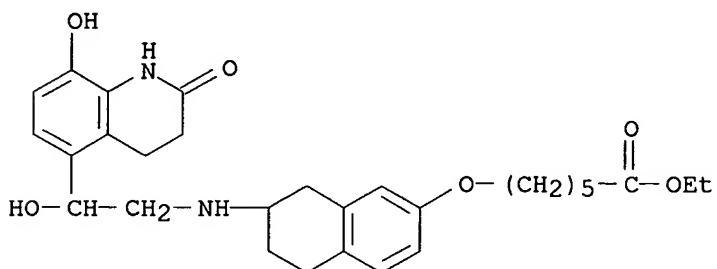
HCl

10/009,008

RN 153388-36-4 CAPLUS

CN Hexanoic acid,

6-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(1,2,3,4-tetrahydro-8-hydroxy-2-oxo-5-quinolinyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

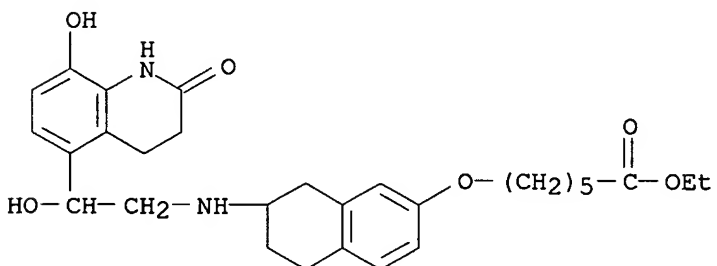


● HCl

RN 153388-37-5 CAPLUS

CN Hexanoic acid,

6-[[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(1,2,3,4-tetrahydro-8-hydroxy-2-oxo-5-quinolinyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

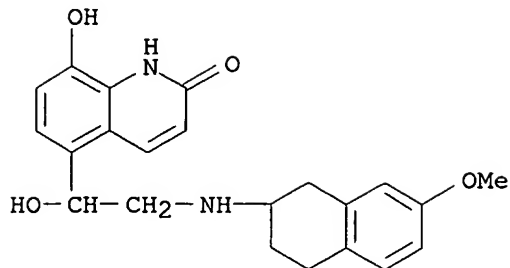


RN 153388-38-6 CAPLUS

CN 2(1H)-Quinolinone,

8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008

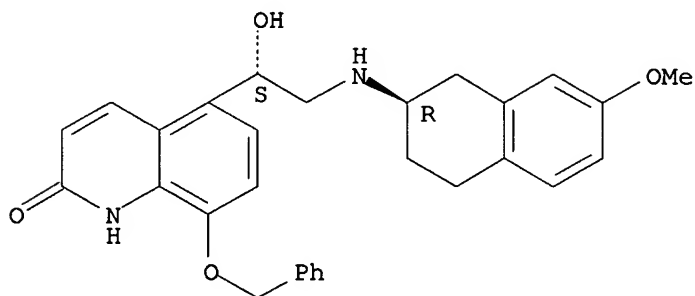


● HCl

RN 153388-39-7 CAPLUS

CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-8-(phenylmethoxy)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

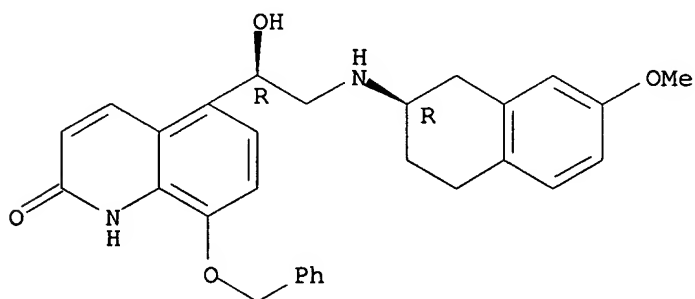
Absolute stereochemistry.



RN 153388-40-0 CAPLUS

CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-8-(phenylmethoxy)-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



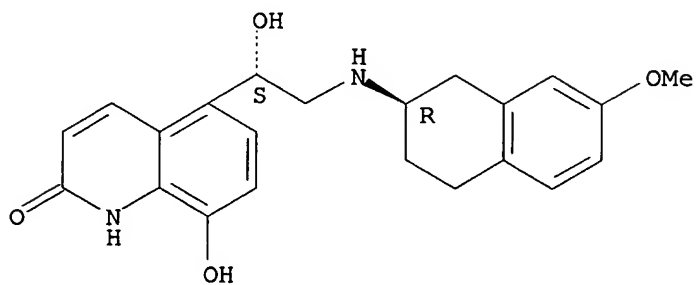
RN 153388-41-1 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-

10/009,008

2-naphthalenyl)amino]ethyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

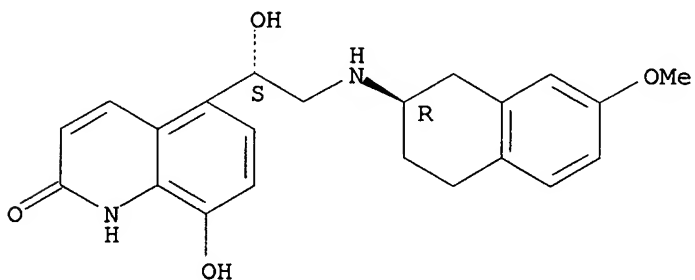


RN 153388-42-2 CAPLUS

CN 2(1H)-Quinolinone,

8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-, monohydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

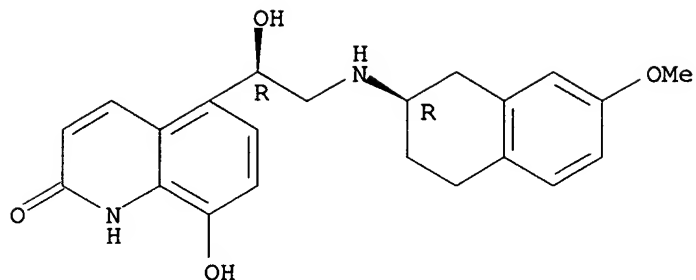
RN 153388-43-3 CAPLUS

CN 2(1H)-Quinolinone,

8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



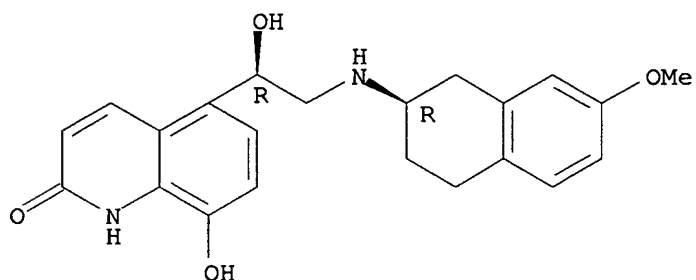
RN 153388-44-4 CAPLUS

CN 2(1H)-Quinolinone,

8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-

2-naphthalenyl)amino]ethyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



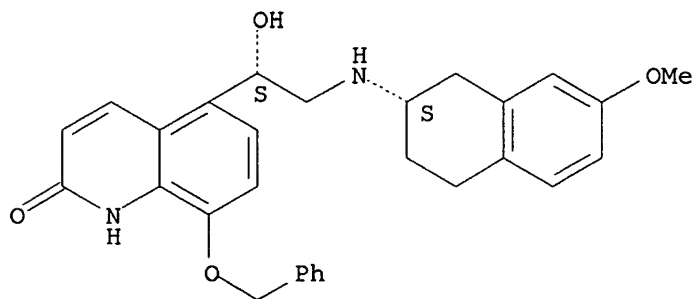
● HCl

RN 153388-45-5 CAPLUS

CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-

naphthalenyl)amino]ethyl]-8-(phenylmethoxy)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

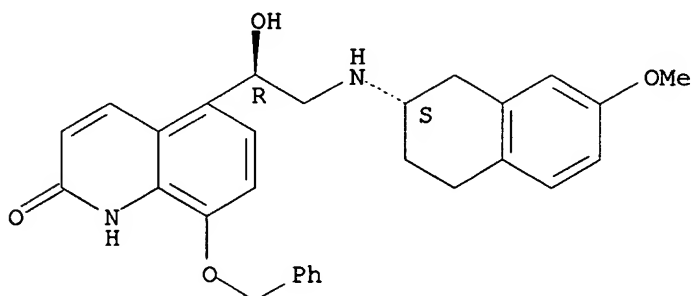


RN 153388-46-6 CAPLUS

10/009,008

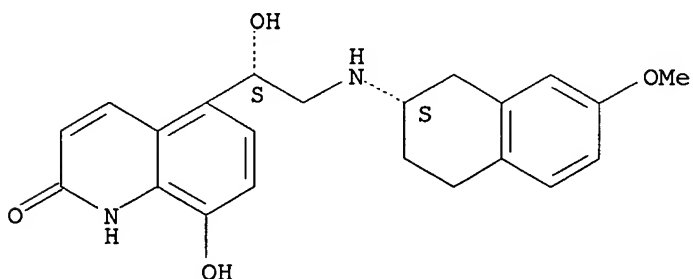
CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-8-(phenylmethoxy)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 153388-47-7 CAPLUS
CN 2(1H)-Quinolinone,
8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

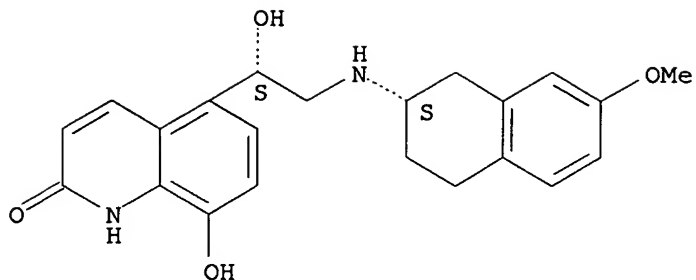
Absolute stereochemistry.



RN 153388-48-8 CAPLUS
CN 2(1H)-Quinolinone,
8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

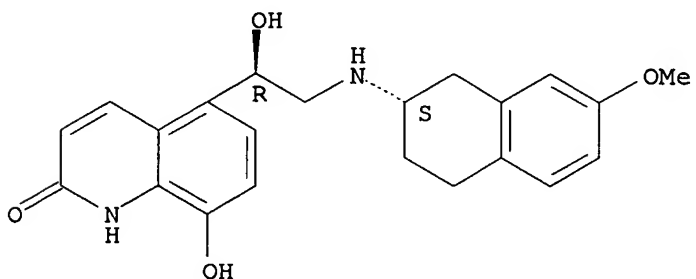
10/009,008



● HCl

RN 153388-49-9 CAPLUS
CN 2(1H)-Quinolinone,
8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-
2-naphthalenyl)amino]ethyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

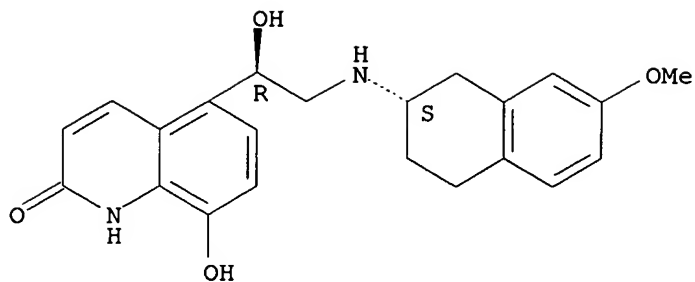
Absolute stereochemistry.



RN 153388-50-2 CAPLUS
CN 2(1H)-Quinolinone,
8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-
2-naphthalenyl)amino]ethyl]-, monohydrochloride, [R-(R*,S*)]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

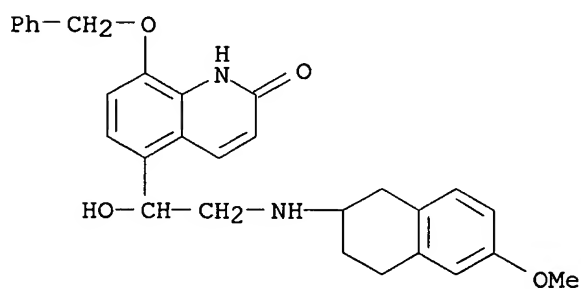
10/009,008



● HCl

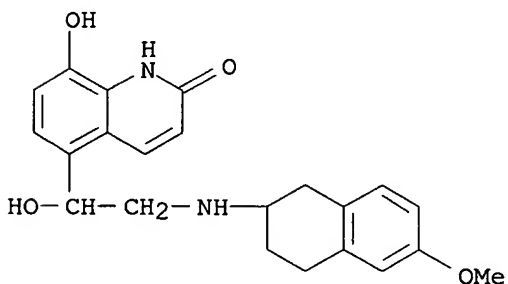
RN 153388-51-3 CAPLUS

CN 2(1H)-Quinolinone, 5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)amino]ethyl]-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 153388-52-4 CAPLUS

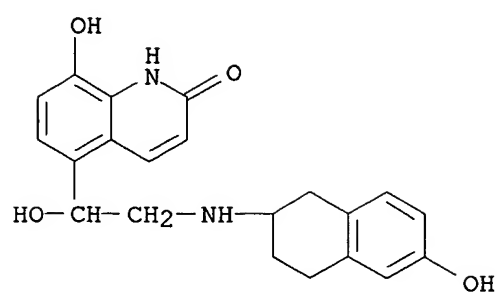
CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 153388-53-5 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-6-hydroxy-2-naphthalenyl)amino]ethyl]-, monohydrobromide (9CI) (CA INDEX NAME)

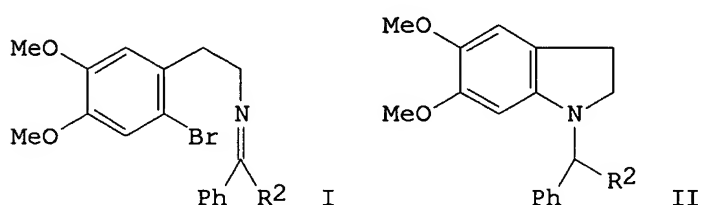
10/009,008



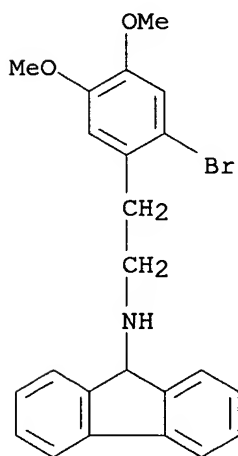
● HBr

10/009,008

L4 ANSWER 143 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:217173 CAPLUS
DN 120:217173
TI Indoline formation by regioselective aryl radical cyclization to
azomethine bond
AU Takano, Seiichi; Suzuki, Mahito; Ogasawara, Kunio
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Heterocycles (1994), 37(1), 149-52
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 120:217173
GI



AB Aryl radical-initiated cyclization of the ketimines derived from
acetophenone and benzophenone, I (R² = Me, Ph) occurred exclusively at
the
nitrogen end of the azomethine bond in an exo-5 mode to yield the
corresponding indoline derivs. II.
IT **153758-49-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 153758-49-7 CAPLUS
CN 9H-Fluoren-9-amine, N-[2-(2-bromo-4,5-dimethoxyphenyl)ethyl]- (9CI) (CA
INDEX NAME)



10/009,008

10/009,008

L4 ANSWER 144 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1994:216805 CAPLUS

DN 120:216805

TI Asymmetric synthesis of amines by the reductive amination of ketones using

(+)- and (-)-norephedrine followed by periodate oxidation

AU Sreekumar, R.; Pillai, C. N.

CS Dep. Chem., Indian Inst. Technol., Madras, India

SO Tetrahedron: Asymmetry (1993), 4(9), 2095-100

CODEN: TASYE3; ISSN: 0957-4166

DT Journal

LA English

OS CASREACT 120:216805

AB A new route for the synthesis of aralkyl primary amines is reported, where

the com. available (+)- or (-)-norephedrine is condensed with aralkyl ketones RR₁CO (e.g., R, R₁ = Ph, Me) followed by hydrogenation of the Schiff base using platinum catalyst. The chiral .beta.-aminoalcs. (1S,2R,1'S)- and (1R,2S,1'R)-RC(1')HR₁NHC(2)HMeC(1)H(OH)Ph thus obtained were oxidized by sodium metaperiodate to yield the aralkyl primary amines (S)- or (R)-RCHR₁NH₂ in 54-66% enantiomeric excess.

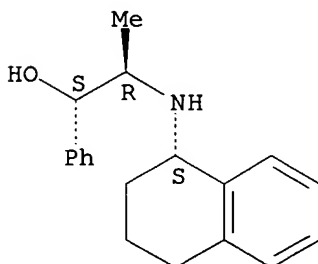
IT 154170-04-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and periodate oxidn. of, chiral amine from)

RN 154170-04-4 CAPLUS

CN Benzenemethanol, .alpha.-[1-[(1,2,3,4-tetrahydro-1-naphthalenyl)amino]ethyl]-, [1S-[1R*[S*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

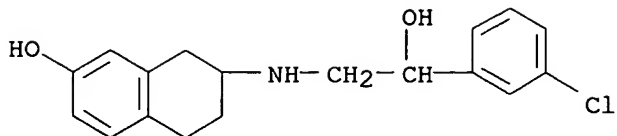


10/009,008

L4 ANSWER 145 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:153732 CAPLUS
DN 120:153732
TI Atypical .beta.-adrenoceptor agonists for treatment of gastrointestinal disorders
IN Bahl, Ashwani K.
PA Glaxo Group Ltd., UK
SO Can. Pat. Appl., 29 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

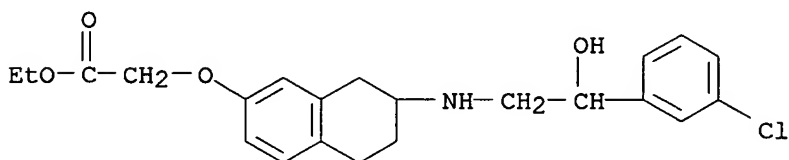
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	CA 2087823	AA	19930723	CA 1993-2087823	19930121
	EP 556880	A2	19930825	EP 1993-200096	19930115
	EP 556880	A3	19931027		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE	EP 713698	A2	19960529	EP 1995-202209	19930115
	EP 713698	A3	19960612		
	EP 713698	B1	20020403		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE	AT 215365	E	20020415	AT 1995-202209	19930115
	ES 2174897	T3	20021116	ES 1995-202209	19930115
	AU 9331981	A1	19930729	AU 1993-31981	19930121
	AU 666904	B2	19960229		
	JP 05255114	A2	19931005	JP 1993-8603	19930121
	ZA 9300424	A	19931011	ZA 1993-424	19930121
	IL 104464	A1	19970930	IL 1993-104464	19930121
PRAI	GB 1992-1359	A	19920122		
	GB 1992-25684	A	19921209		
	EP 1993-200096	A3	19930115		
OS	MARPAT 120:153732				
AB	Agonists (Markush included) of atypical .beta.-adrenoceptors are used for treating gastrointestinal disorders, esp. peptic ulceration, esophagitis, gastritis and duodenitis, intestinal ulcerations, including inflammatory bowel disease, and gastrointestinal ulcerations, esp. when induced by nonsteroidal antiinflammatory drugs or corticosteroids. Fifteen specific agonists are claimed. Thus, in animal studies, CL316243 [(R,R)-5-(2-((2-(3-chlorophenyl)-2-hydroxyethyl)amino)propyl)-1,3-benzodioxole-2,2-dicarboxylic acid] showed 83 and 96% inhibition of indomethacin-induced and piroxicam-induced gastrointestinal damage, resp. Tablet, syrup, i.v. injection, and suppository formulations are included.				
IT	107758-23-6, SR 58572	107758-27-0, SR 58380			
	107758-43-0, SR 58306	121524-08-1, SR 58611			
	153374-20-0, SR 58398				
	RL: BIOL (Biological study) (as agonist of atypical .beta.-adrenoceptor, for gastrointestinal disorder treatment)				
RN	107758-23-6	CAPLUS			
CN	2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)				

10/009,008



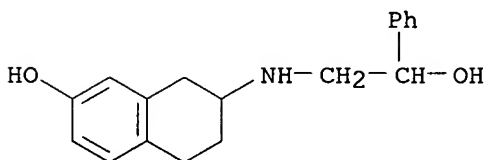
RN 107758-27-0 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 107758-43-0 CAPLUS

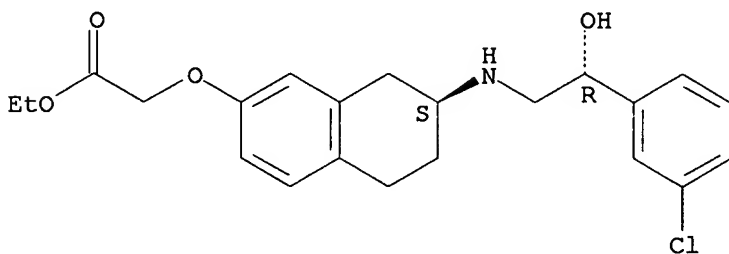
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 121524-08-1 CAPLUS

CN Acetic acid, [[(2S)-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

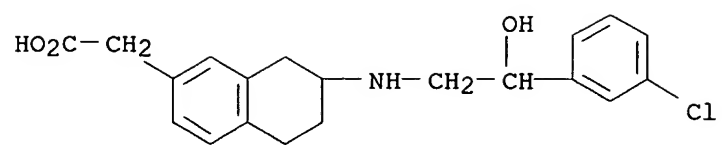
Absolute stereochemistry.



RN 153374-20-0 CAPLUS

CN 2-Naphthaleneacetic acid, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

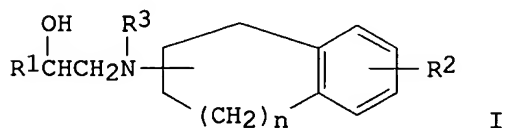
10/009,008



10/009,008

L4 ANSWER 146 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:106568 CAPLUS
DN 120:106568
TI (Ethanolamino)benzocycloalkane derivatives having sympathomimetic and anti-pollakiuria activities
IN Shiokawa, Youichi; Nagano, Masanobu; Taniguchi, Kiyoshi; Take, Kazuhiko; Kato, Takeshi; Tsubaki, Kazunori
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9315041	A1	19930805	WO 1993-JP113	19930201
	W: AU, CA, HU, JP, KR, RU, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	ZA 9300591	A	19931018	ZA 1993-591	19930127
	IL 104567	A1	19970318	IL 1993-104567	19930131
	AU 9333679	A1	19930901	AU 1993-33679	19930201
	AU 666162	B2	19960201		
	EP 583485	A1	19940223	EP 1993-914517	19930201
	EP 583485	B1	19970813		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	HU 65351	A2	19940502	HU 1993-3112	19930201
	HU 218941	B	20010129		
	JP 06506955	T2	19940804	JP 1993-513097	19930201
	AT 156804	E	19970815	AT 1993-914517	19930201
	ES 2105286	T3	19971016	ES 1993-914517	19930201
	RU 2125983	C1	19990210	RU 1993-58393	19930201
	JP 11092432	A2	19990406	JP 1998-130167	19930201
	JP 3282799	B2	20020520		
	CN 1084846	A	19940406	CN 1993-102681	19930202
	CN 1063430	B	20010321		
	US 5387710	A	19950207	US 1993-117163	19930917
PRAI	GB 1992-2236	A	19920203		
	GB 1992-17991	A	19920824		
	JP 1993-513097	A3	19930201		
	WO 1993-JP113	A	19930201		
OS	MARPAT 120:106568				
GI					



AB The title compds. I [R1 = (un)substituted aryl or heterocyclic group; R2 =
H, halogen, NO2, HO, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkoxy, (un)substituted NH2; R3 = H, a N-protective group, (un)substituted lower alkyl; n = 0-3; the heavy solid

line represents a single or double bond, etc.], useful for the treatment of dysuria, spasm, or hyperanakisia, are prepd. Thus, 6-amino-3-ethoxycarbonylmethoxy-6,7,8,9-tetrahydro-5H-benzocycloheptene was refluxed with (R)-3-chlorostyrene oxide in PrOH, and the intermediate acidified with EtOAc contg. HCl, producing a mixt. of (1R,6'R)- and (1R,6'S)-2-[(3-ethoxycarbonylmethoxy-6,7,8,9-tetrahydro-5H-benzocyclohepten-6-yl)amino]-1-(3-chlorophenyl)ethanol hydrochloride (II), m.p. 114-119.degree.. II demonstrated 50% inhibitory concn. against contractions of isolated rat distal colon of 6.8×10^{-10} M.

IT **152357-16-9P**

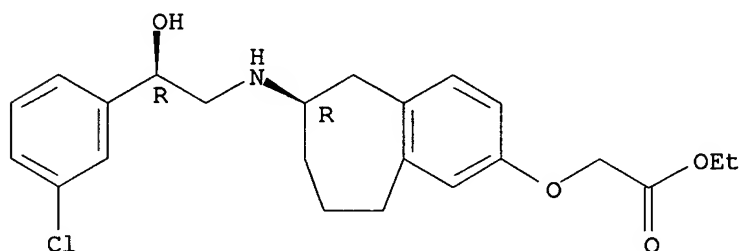
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction. of, in prepn. of sympathomimetic and anti-pollakiuria compds.)

RN 152357-16-9 CAPLUS

CN Acetic acid, [[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.



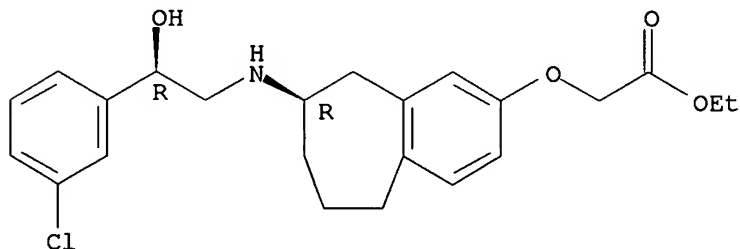
IT **152357-11-4P 152357-46-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sympathomemetic and anti-pollakiuria activities of)

RN 152357-11-4 CAPLUS

CN Acetic acid, [[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HCl

10/009,008

RN 152357-46-5 CAPLUS

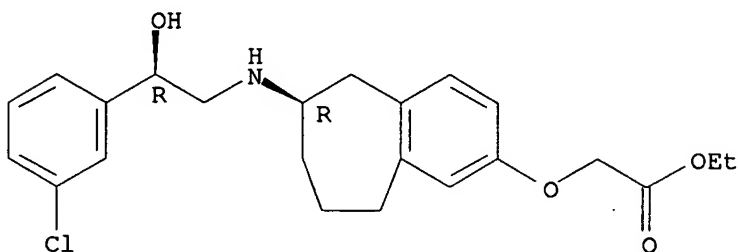
CN Acetic acid, [[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,R*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152357-16-9

CMF C23 H28 Cl N O4

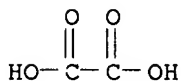
Absolute stereochemistry.



CM 2

CRN 144-62-7

CMF C2 H2 O4



IT 152357-12-5P 152357-13-6P 152357-14-7P
152357-15-8P 152357-16-9P 152357-17-0P
152357-18-1P 152357-23-8P 152357-24-9P
152357-33-0P 152357-40-9P 152357-41-0P
152357-44-3P 152357-45-4P 152357-47-6P
152357-48-7P 152357-49-8P 152357-50-1P
152357-51-2P 152357-53-4P 152357-57-8P
152357-59-0P 152357-61-4P 152357-74-9P
152357-75-0P 152357-76-1P 152357-78-3P
152357-79-4P 152357-80-7P 152357-81-8P
152357-82-9P 152357-83-0P 152357-84-1P
152357-85-2P 152357-86-3P 152357-87-4P
152357-88-5P 152357-89-6P 152357-90-9P
152357-91-0P 152357-92-1P 152357-93-2P
152357-95-4P 152358-04-8P 152358-05-9P
152358-06-0P 152358-07-1P 152358-08-2P
152358-09-3P 152358-10-6P 152358-11-7P
152358-12-8P 152358-13-9P 152358-14-0P
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152358-36-6P 152358-37-7P 152358-38-8P
152358-39-9P 152358-40-2P 152358-41-3P
152358-42-4P 152358-43-5P 152358-44-6P

10/009,008

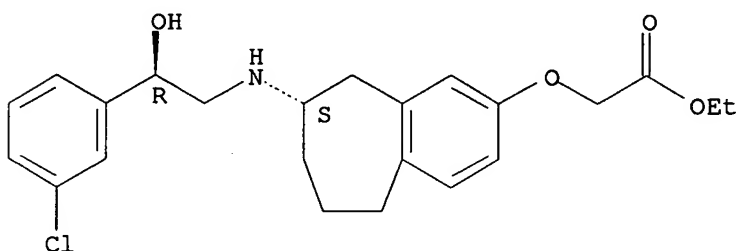
152358-45-7P 152358-46-8P 152358-47-9P
152358-48-0P 152358-49-1P 152358-50-4P
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152358-54-8P 152358-55-9P 152358-56-0P
152358-57-1P 152358-63-9P 152358-64-0P
152358-67-3P 152358-68-4P 152358-69-5P
152358-70-8P 152358-71-9P 152358-73-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sympathomimetic and anti-pollakiuria activities of)

RN 152357-12-5 CAPLUS

CN Acetic acid, [[(8S)-8-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



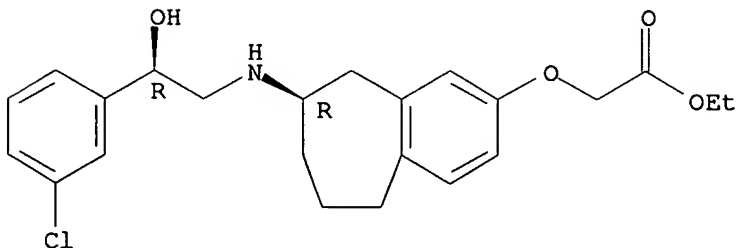
● HCl

RN 152357-13-6 CAPLUS

CN Acetic acid, [[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.



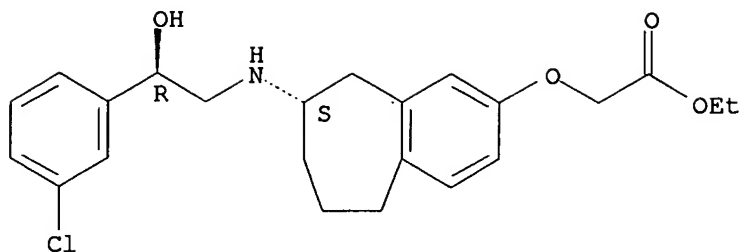
RN 152357-14-7 CAPLUS

CN Acetic acid, [[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,S*)]- (9CI)

(CA INDEX NAME)

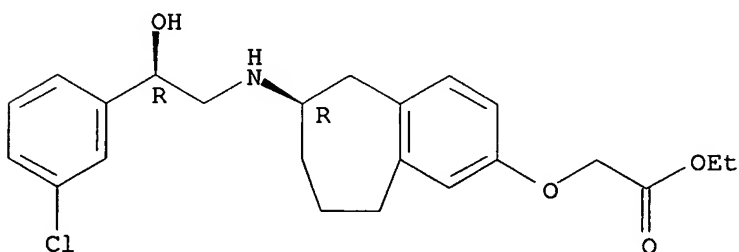
Absolute stereochemistry.

10/009,008



RN 152357-15-8 CAPLUS
CN Acetic acid, [[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

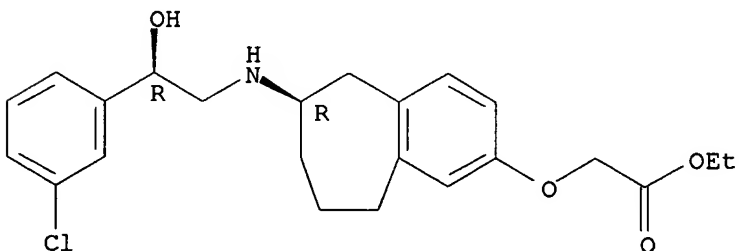
Absolute stereochemistry.



● HCl

RN 152357-16-9 CAPLUS
CN Acetic acid, [[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

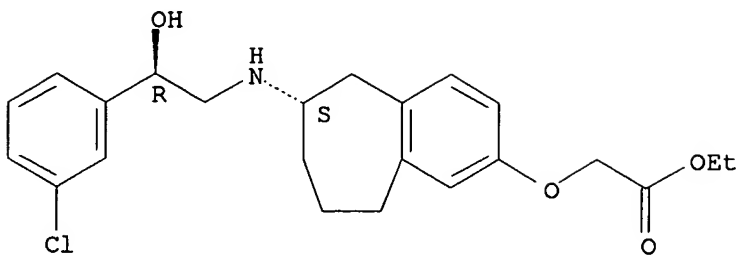
Absolute stereochemistry.



RN 152357-17-0 CAPLUS
CN Acetic acid, [[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

10/009,008

Absolute stereochemistry.



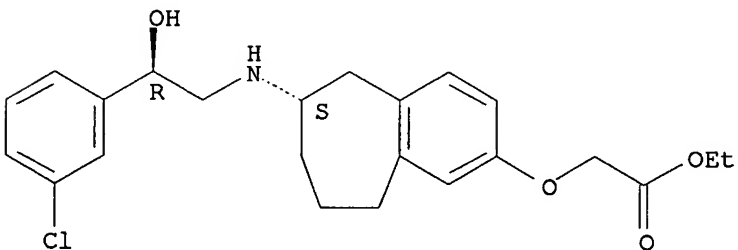
● HCl

RN 152357-18-1 CAPLUS

CN Acetic acid, [[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,S*)]]- (9CI)

(CA INDEX NAME)

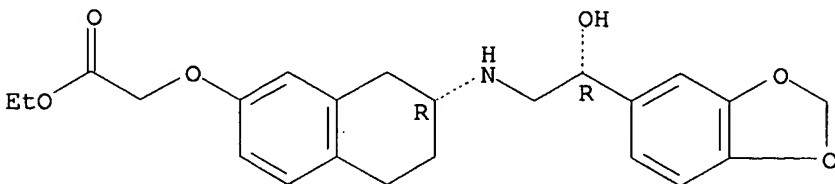
Absolute stereochemistry.



RN 152357-23-8 CAPLUS

CN Acetic acid, [[7-[[2-(1,3-benzodioxol-5-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HCl

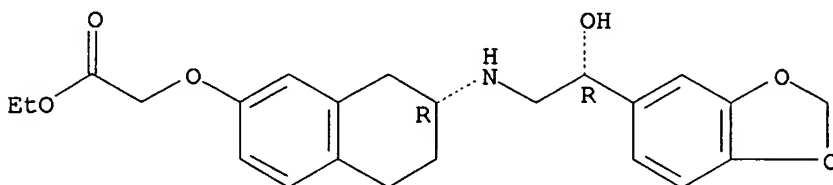
10/009,008

RN 152357-24-9 CAPLUS

CN Acetic acid,

[[7-[[2-(1,3-benzodioxol-5-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

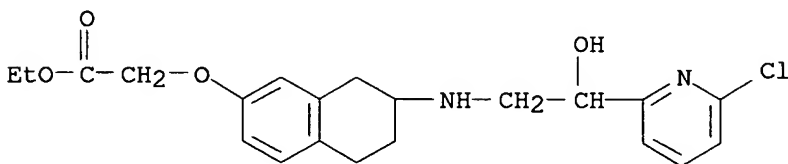
Absolute stereochemistry.



RN 152357-33-0 CAPLUS

CN Acetic acid,

[[7-[[2-(6-chloro-2-pyridinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

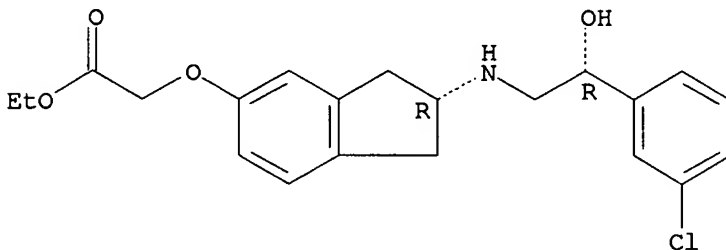


RN 152357-40-9 CAPLUS

CN Acetic acid,

[[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-2,3-dihydro-1H-inden-5-yl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



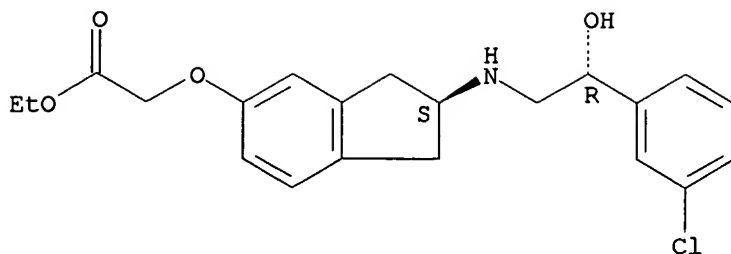
RN 152357-41-0 CAPLUS

CN Acetic acid,

[[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-2,3-dihydro-1H-inden-5-yl]oxy]-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

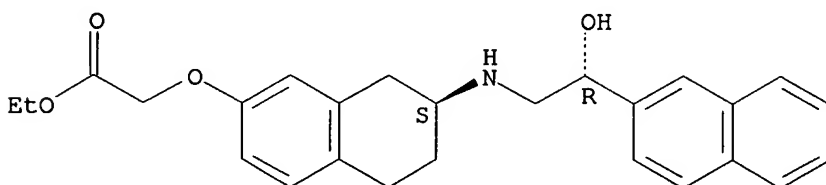
10/009,008



RN 152357-44-3 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(2-naphthalenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

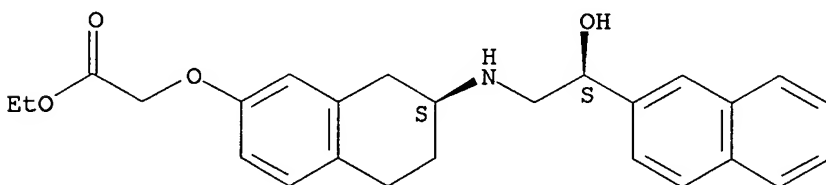
Absolute stereochemistry.



RN 152357-45-4 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(2-naphthalenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

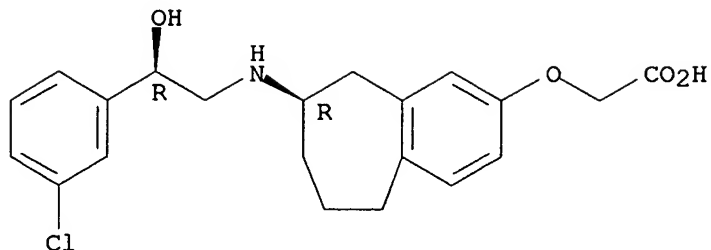


RN 152357-47-6 CAPLUS

CN Acetic acid, [[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

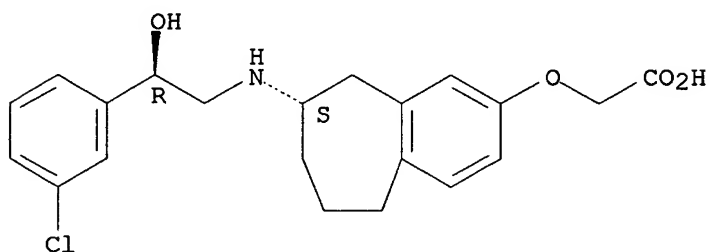
10/009,008



RN 152357-48-7 CAPLUS

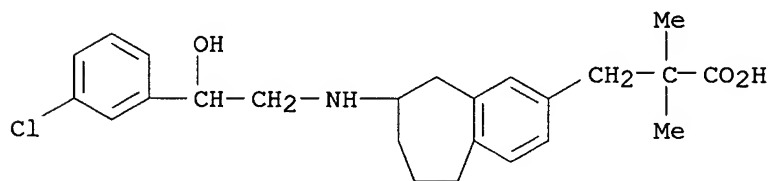
CN Acetic acid, [[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



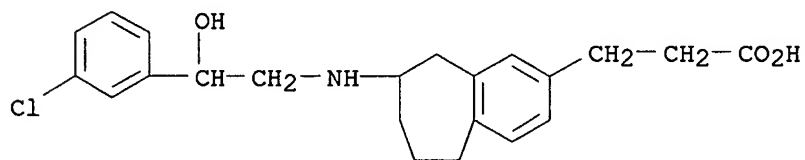
RN 152357-49-8 CAPLUS

CN 5H-Benzocycloheptene-2-propanoic acid, 8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



RN 152357-50-1 CAPLUS

CN 5H-Benzocycloheptene-2-propanoic acid, 8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

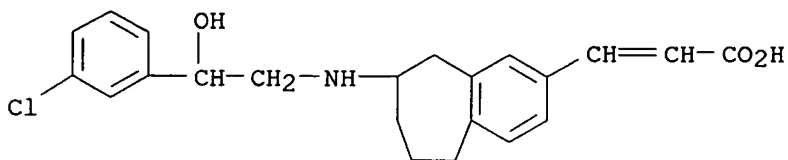


10/009,008

RN 152357-51-2 CAPLUS

CN 2-Propenoic acid,

3-[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]- (9CI) (CA INDEX NAME)



RN 152357-53-4 CAPLUS

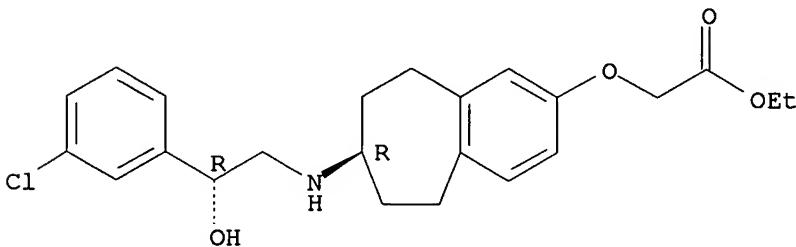
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,R*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152357-52-3

CMF C23 H28 Cl N O4

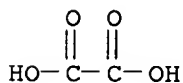
Absolute stereochemistry.



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 152357-57-8 CAPLUS

CN Acetic acid,

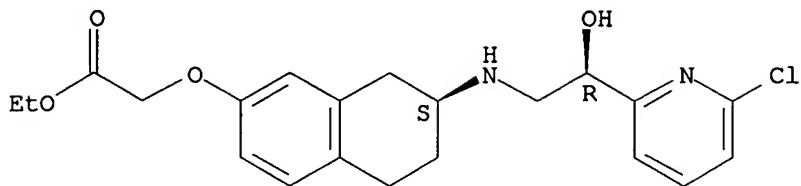
[[7-[[2-(6-chloro-2-pyridinyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,S*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

10/009,008

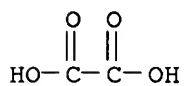
CRN 152357-56-7
CMF C21 H25 Cl N2 O4

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

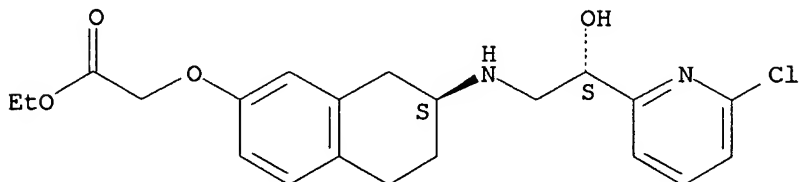


RN 152357-59-0 CAPLUS
CN Acetic acid,
[[7-[[2-(6-chloro-2-pyridinyl)-2-hydroxyethyl]amino]-5,6,7,8-
tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,R*)]-, ethanedioate
(1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152357-58-9
CMF C21 H25 Cl N2 O4

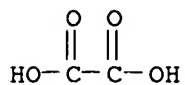
Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

10/009,008



RN 152357-61-4 CAPLUS

CN Acetic acid,

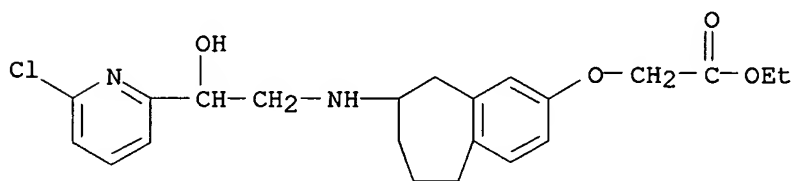
[[6-[[2-(6-chloro-2-pyridinyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-3-yl]oxy]-, ethyl ester, ethanedioate (1:1)

(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152357-60-3

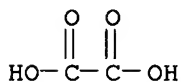
CMF C22 H27 Cl N2 O4



CM 2

CRN 144-62-7

CMF C2 H2 O4



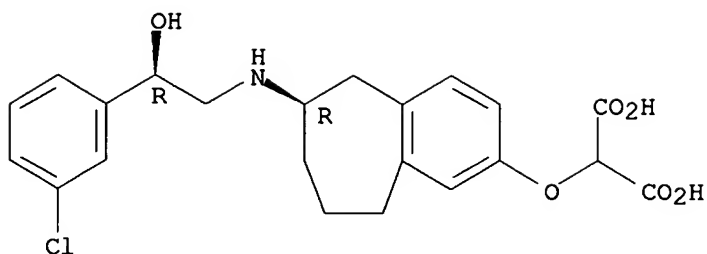
RN 152357-74-9 CAPLUS

CN Propanedioic acid,

[[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, disodium salt, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

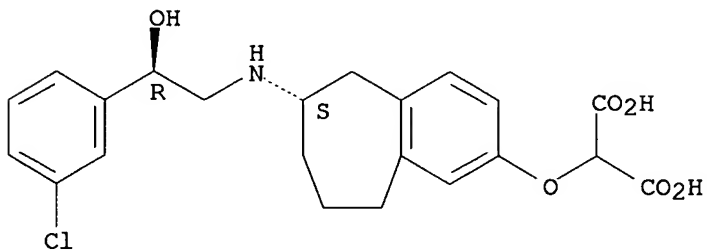
10/009,008



●2 Na

RN 152357-75-0 CAPLUS
CN Propanedioic acid,
[[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-
tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, disodium salt, [R-(R*,S*)]-
(9CI) (CA INDEX NAME)

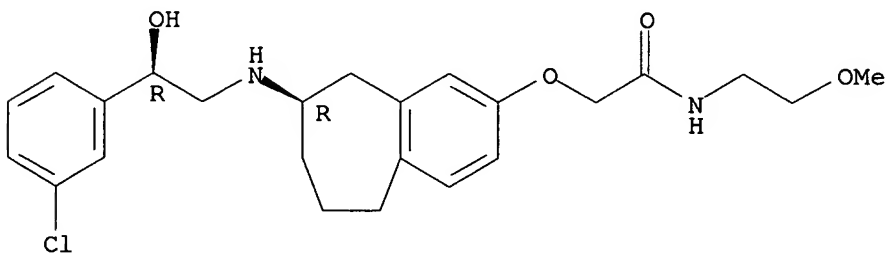
Absolute stereochemistry.



●2 Na

RN 152357-76-1 CAPLUS
CN Acetamide, 2-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-
tetrahydro-5H-benzocyclohepten-2-yl]oxy]-N-(2-methoxyethyl)-,
[R-(R*,R*)]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

RN 152357-78-3 CAPLUS

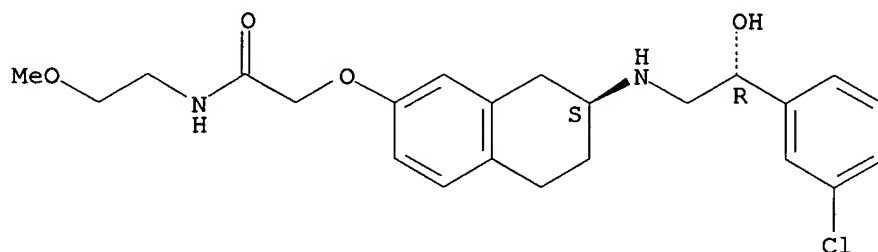
CN Acetamide, 2-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-N-(2-methoxyethyl)-, [R-(R*,S*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152357-77-2

CMF C23 H29 Cl N2 O4

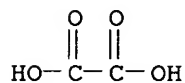
Absolute stereochemistry.



CM 2

CRN 144-62-7

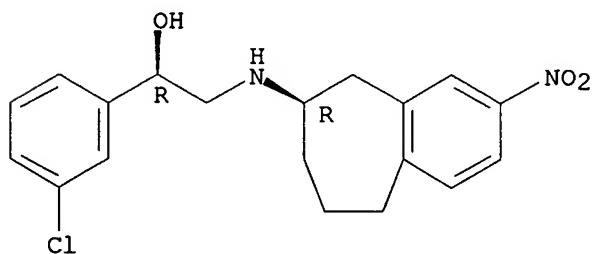
CMF C2 H2 O4



RN 152357-79-4 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[[(6,7,8,9-tetrahydro-3-nitro-5H-benzocyclohepten-6-yl)amino]methyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



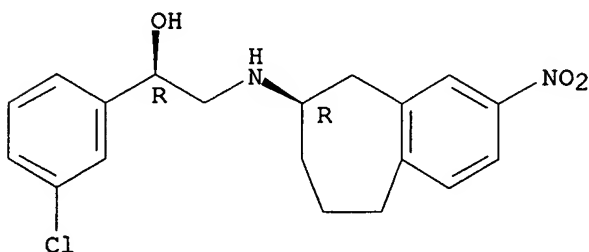
HCl

10/009,008

RN 152357-80-7 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[(6,7,8,9-tetrahydro-3-nitro-5H-benzocyclohepten-6-yl)amino]methyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

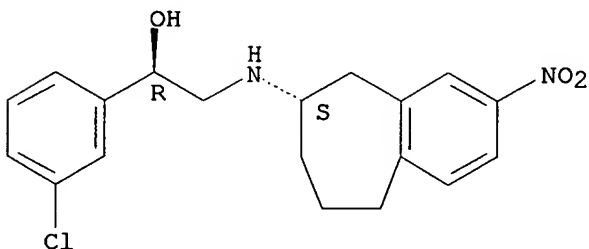
Absolute stereochemistry.



RN 152357-81-8 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[(6,7,8,9-tetrahydro-3-nitro-5H-benzocyclohepten-6-yl)amino]methyl]-, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

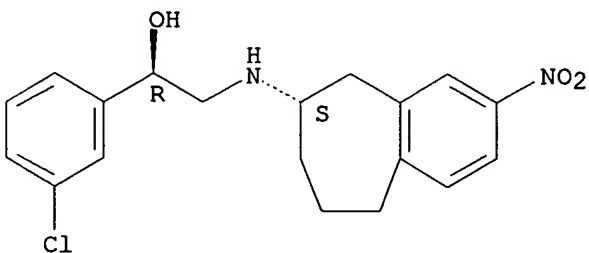


● HCl

RN 152357-82-9 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[(6,7,8,9-tetrahydro-3-nitro-5H-benzocyclohepten-6-yl)amino]methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

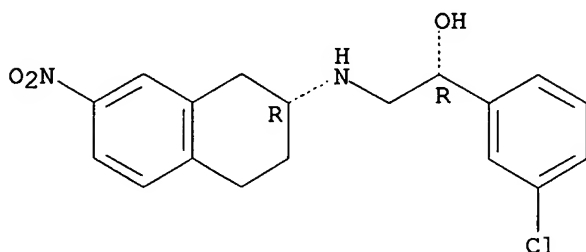


10/009,008

RN 152357-83-0 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[[(1,2,3,4-tetrahydro-7-nitro-2-naphthalenyl)amino]methyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

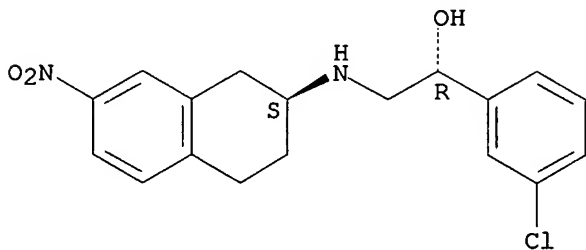


● HCl

RN 152357-84-1 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[[(1,2,3,4-tetrahydro-7-nitro-2-naphthalenyl)amino]methyl]-, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



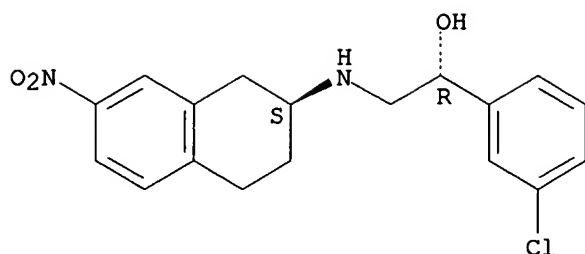
● HCl

RN 152357-85-2 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[[(1,2,3,4-tetrahydro-7-nitro-2-naphthalenyl)amino]methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

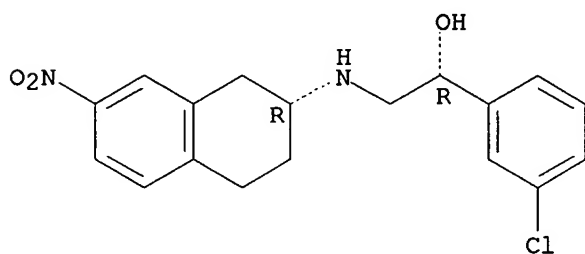
10/009,008



RN 152357-86-3 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[(1,2,3,4-tetrahydro-7-nitro-2-naphthalenyl)amino]methyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

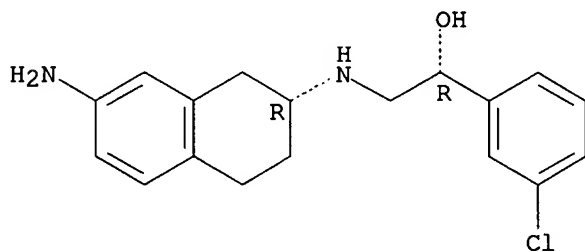
Absolute stereochemistry.



RN 152357-87-4 CAPLUS

CN Benzenemethanol, .alpha.-[[(7-amino-1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]-3-chloro-, dihydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



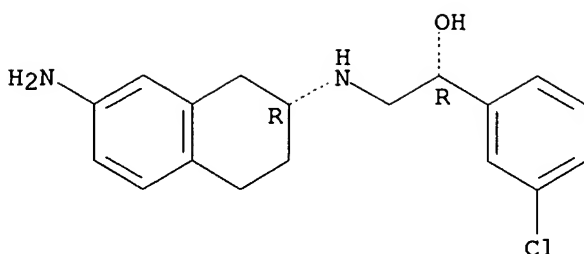
● 2 HCl

RN 152357-88-5 CAPLUS

CN Benzenemethanol, .alpha.-[[(7-amino-1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]-3-chloro-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

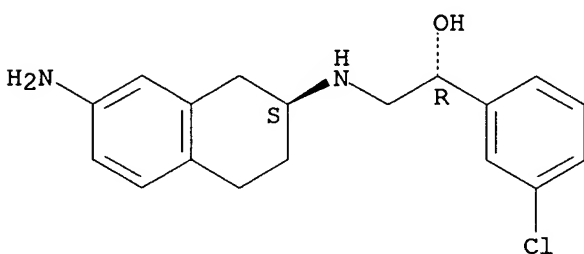
10/009,008



RN 152357-89-6 CAPLUS

CN Benzenemethanol, .alpha.-[[(7-amino-1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]-3-chloro-, dihydrochloride, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

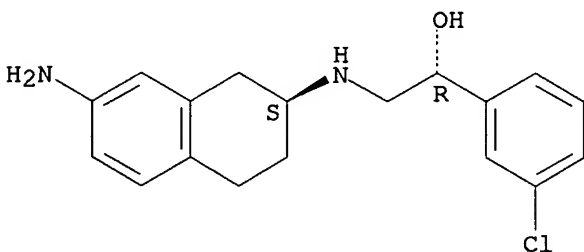


● 2 HCl

RN 152357-90-9 CAPLUS

CN Benzenemethanol, .alpha.-[[(7-amino-1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]-3-chloro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

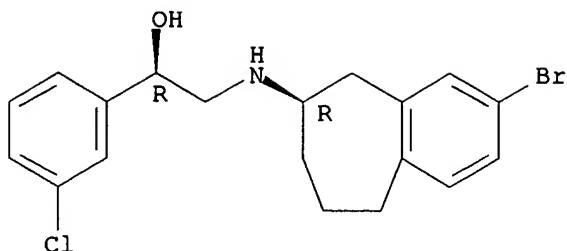


RN 152357-91-0 CAPLUS

CN Benzenemethanol, .alpha.-[[(3-bromo-6,7,8,9-tetrahydro-5H-benzocyclohepten-6-yl)amino]methyl]-3-chloro-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

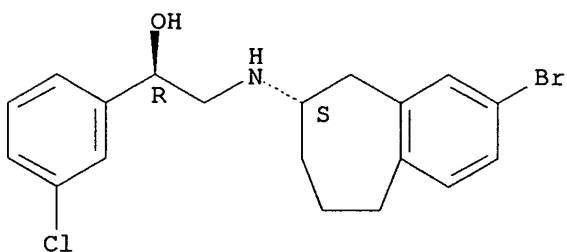


RN 152357-92-1 CAPLUS

CN Benzenemethanol,

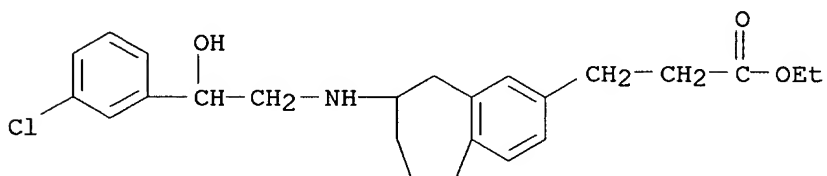
.alpha.-[[(3-bromo-6,7,8,9-tetrahydro-5H-benzocyclohepten-6-yl)amino]methyl]-3-chloro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 152357-93-2 CAPLUS

CN 5H-Benzocycloheptene-2-propanoic acid, 8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 152357-95-4 CAPLUS

CN 2-Propenoic acid,

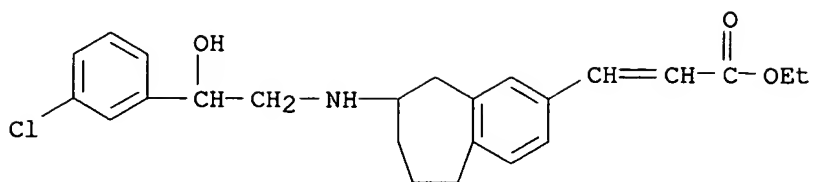
3-[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]-, ethyl ester, ethanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152357-94-3

CMF C24 H28 Cl N O3

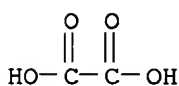
10/009,008



CM 2

CRN 144-62-7

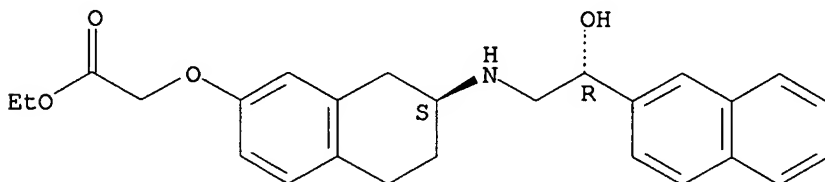
CMF C2 H2 O4



RN 152358-04-8 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(2-naphthalenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



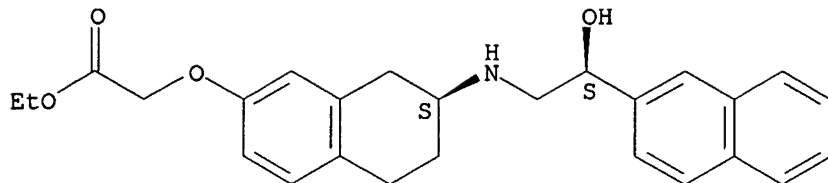
● HCl

RN 152358-05-9 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(2-naphthalenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

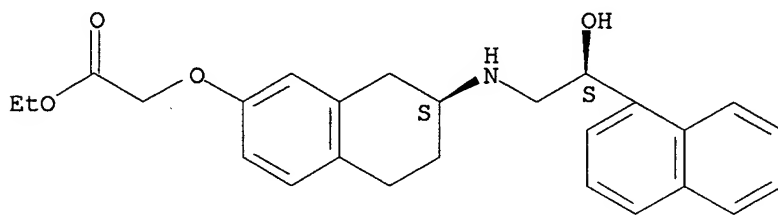


● HCl

RN 152358-06-0 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(1-naphthalenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

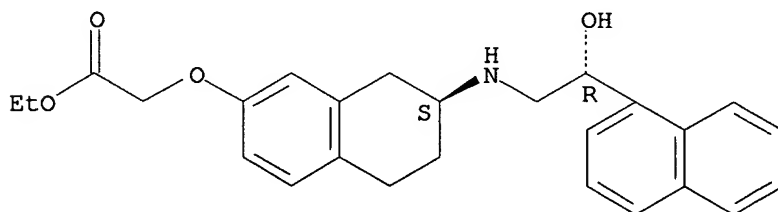
Absolute stereochemistry.



RN 152358-07-1 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(1-naphthalenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

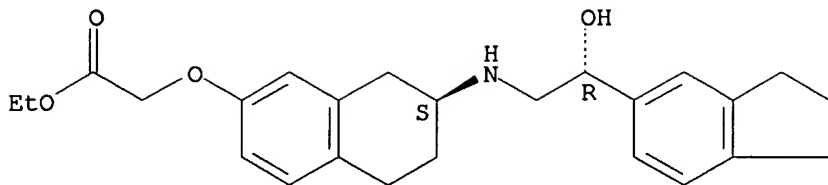


RN 152358-08-2 CAPLUS

CN Acetic acid, [[7-[[2-(2,3-dihydro-1H-inden-5-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

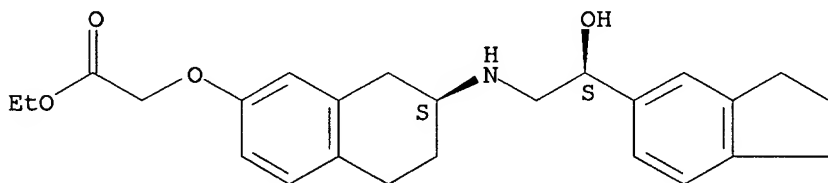


● HCl

RN 152358-09-3 CAPLUS

CN Acetic acid, [[7-[[2-(2,3-dihydro-1H-inden-5-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

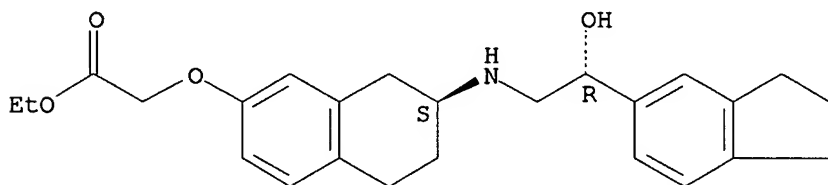


● HCl

RN 152358-10-6 CAPLUS

CN Acetic acid, [[7-[[2-(2,3-dihydro-1H-inden-5-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

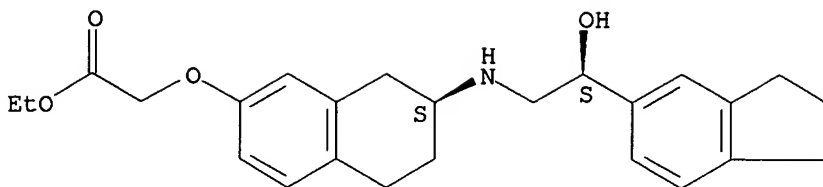


RN 152358-11-7 CAPLUS

CN Acetic acid, [[7-[[2-(2,3-dihydro-1H-inden-5-yl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

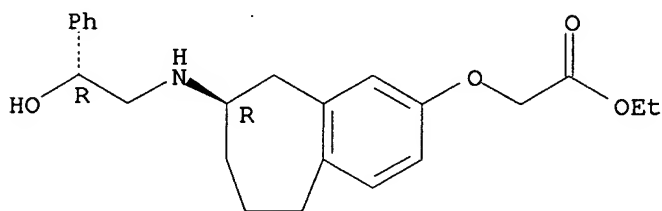
10/009,008



RN 152358-12-8 CAPLUS

CN Acetic acid, [[6,7,8,9-tetrahydro-8-[(2-hydroxy-2-phenylethyl)amino]-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

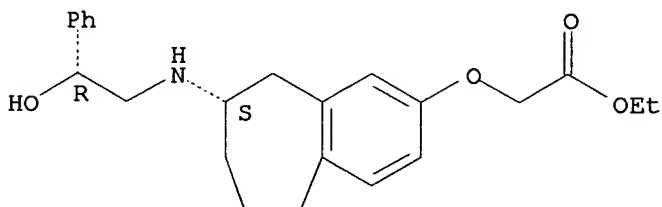
Absolute stereochemistry.



RN 152358-13-9 CAPLUS

CN Acetic acid, [[6,7,8,9-tetrahydro-8-[(2-hydroxy-2-phenylethyl)amino]-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

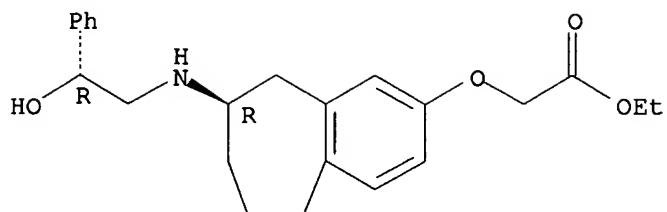


RN 152358-14-0 CAPLUS

CN Acetic acid, [[6,7,8,9-tetrahydro-8-[(2-hydroxy-2-phenylethyl)amino]-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

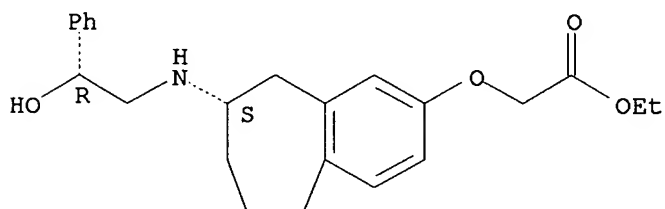


● HCl

RN 152358-15-1 CAPLUS

CN Acetic acid, [[6,7,8,9-tetrahydro-8-[(2-hydroxy-2-phenylethyl)amino]-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

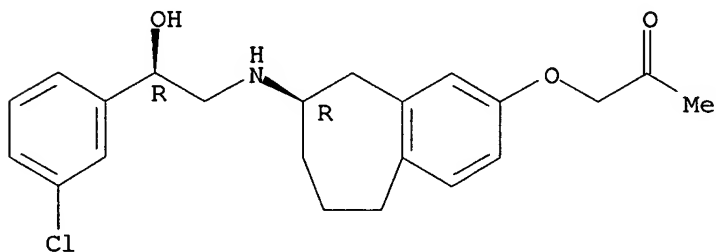


● HCl

RN 152358-34-4 CAPLUS

CN 2-Propanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



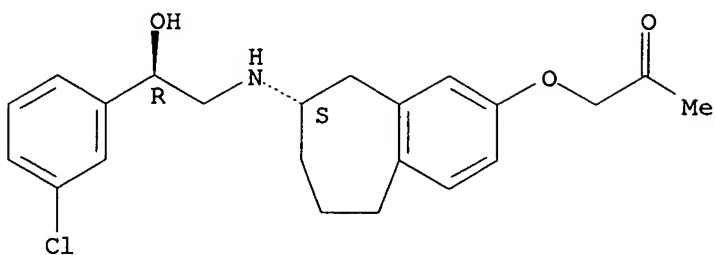
RN 152358-35-5 CAPLUS

CN 2-Propanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

10/009,008

NAME)

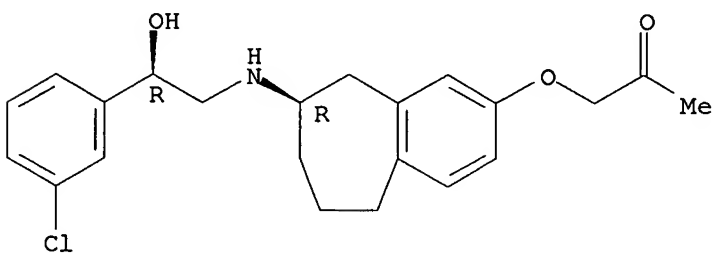
Absolute stereochemistry.



RN 152358-36-6 CAPLUS

CN 2-Propanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, hydrochloride, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



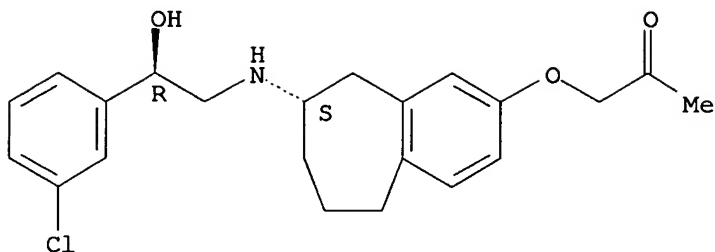
● HCl

RN 152358-37-7 CAPLUS

CN 2-Propanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, hydrochloride, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



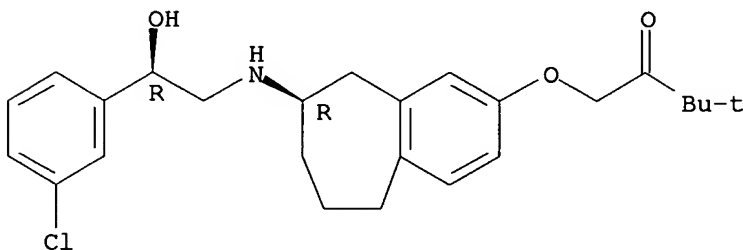
● HCl

RN 152358-38-8 CAPLUS

CN 2-Butanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-3,3-dimethyl-, [R-(R*,R*)]]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

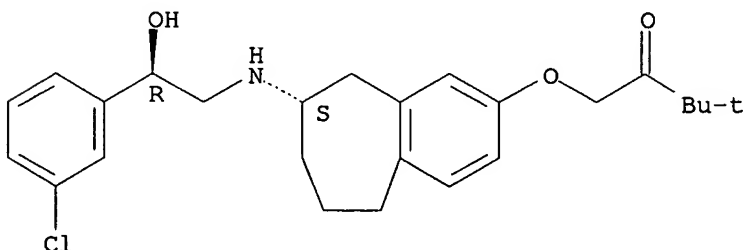


RN 152358-39-9 CAPLUS

CN 2-Butanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-3,3-dimethyl-, [R-(R*,S*)]]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

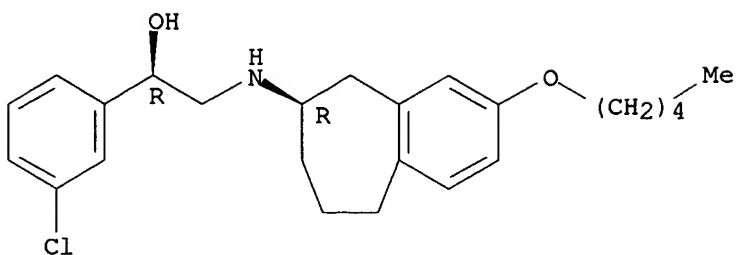


RN 152358-40-2 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[[6,7,8,9-tetrahydro-3-(pentyloxy)-5H-benzocyclohepten-6-yl]amino]methyl]-, [R-(R*,R*)]]- (9CI) (CA INDEX NAME)

10/009,008

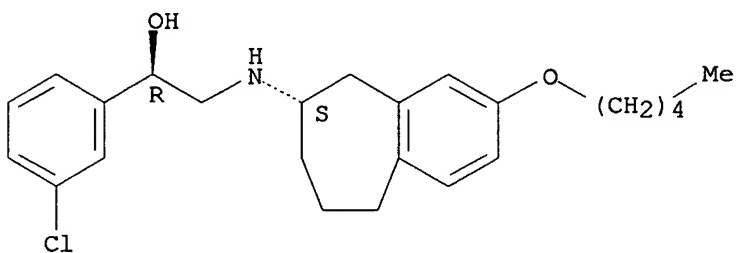
Absolute stereochemistry.



RN 152358-41-3 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[[6,7,8,9-tetrahydro-3-(pentyloxy)-5H-benzocyclohepten-6-yl]amino]methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

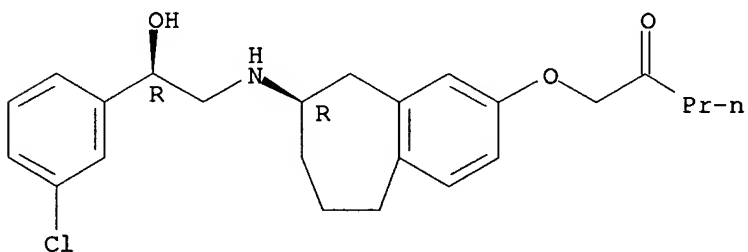
Absolute stereochemistry.



RN 152358-42-4 CAPLUS

CN 2-Pentanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

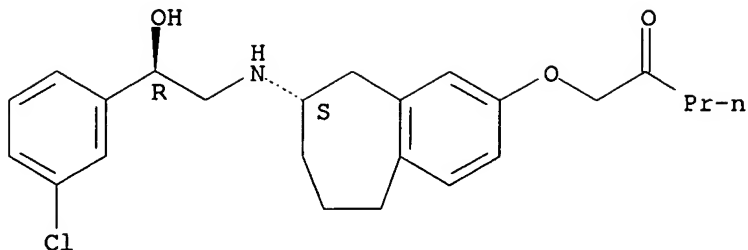


RN 152358-43-5 CAPLUS

CN 2-Pentanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

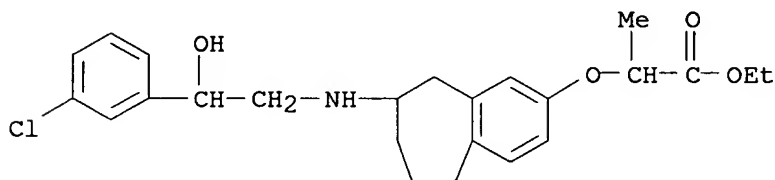
Absolute stereochemistry.

10/009,008



RN 152358-44-6 CAPLUS

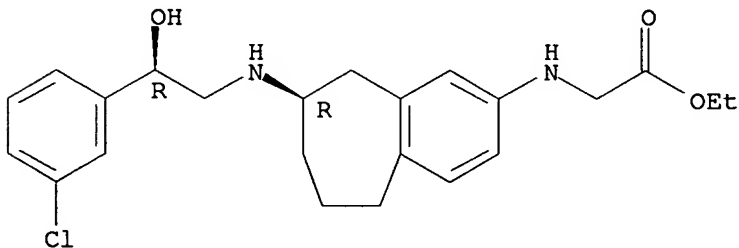
CN Propanoic acid, 2-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 152358-45-7 CAPLUS

CN Glycine, N-[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

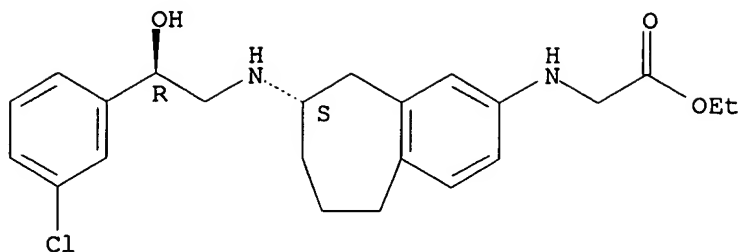


RN 152358-46-8 CAPLUS

CN Glycine, N-[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

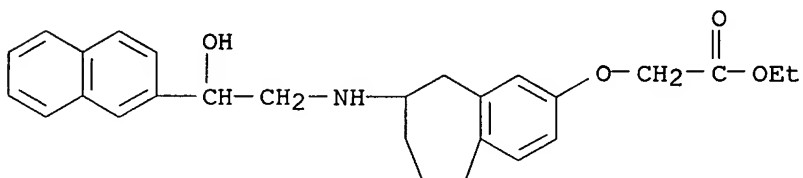
Absolute stereochemistry.

10/009,008



RN 152358-47-9 CAPLUS

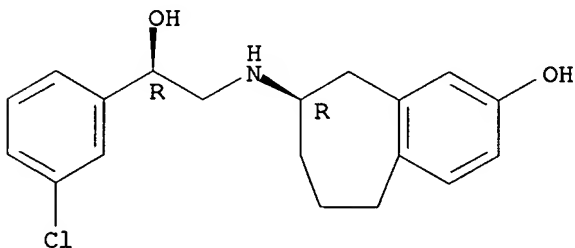
CN Acetic acid, [[6,7,8,9-tetrahydro-8-[[2-hydroxy-2-(2-naphthalenyl)ethyl]amino]-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 152358-48-0 CAPLUS

CN 5H-Benzocyclohepten-2-ol, 8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

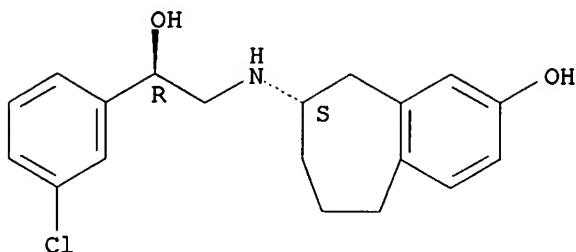


RN 152358-49-1 CAPLUS

CN 5H-Benzocyclohepten-2-ol, 8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

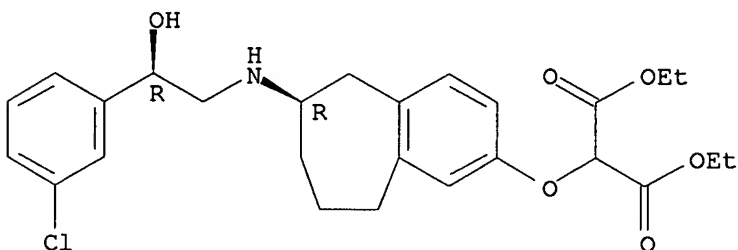


RN 152358-50-4 CAPLUS

CN Propanedioic acid,

[[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, diethyl ester, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

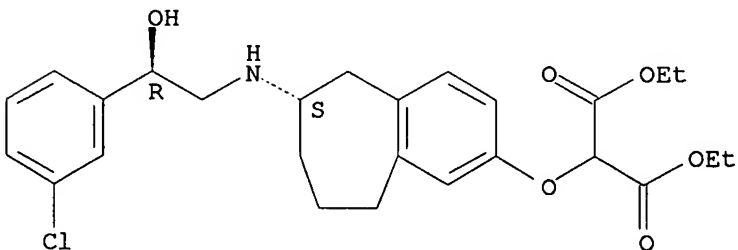


RN 152358-51-5 CAPLUS

CN Propanedioic acid,

[[6-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, diethyl ester, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

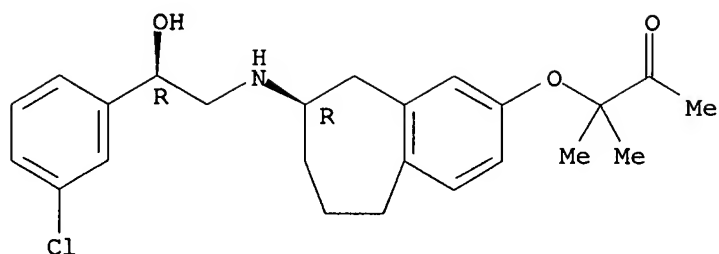


RN 152358-52-6 CAPLUS

CN 2-Butanone, 3-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-3-methyl-, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

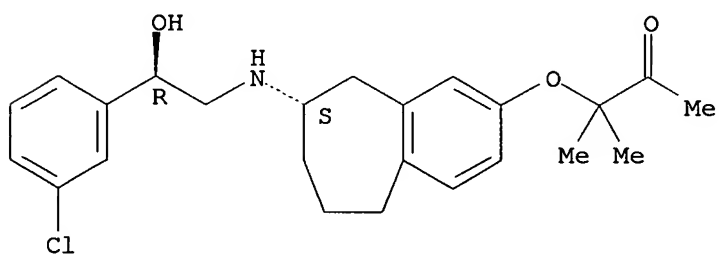
10/009,008



RN 152358-53-7 CAPLUS

CN 2-Butanone, 3-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-3-methyl-, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)

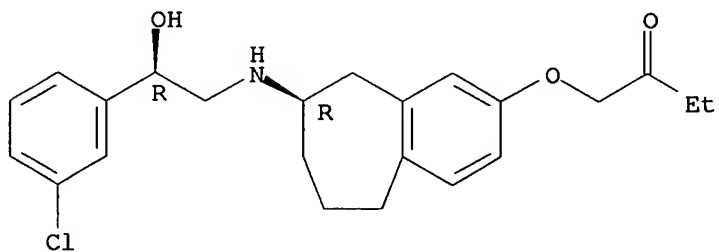
Absolute stereochemistry.



RN 152358-54-8 CAPLUS

CN 2-Butanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



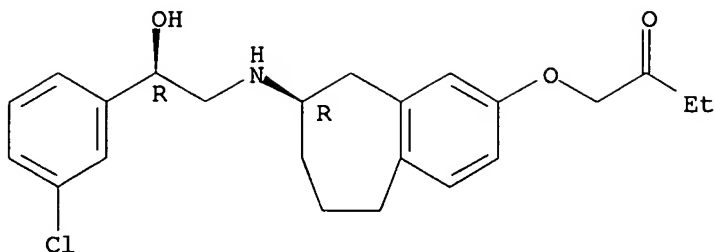
● HCl

RN 152358-55-9 CAPLUS

CN 2-Butanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

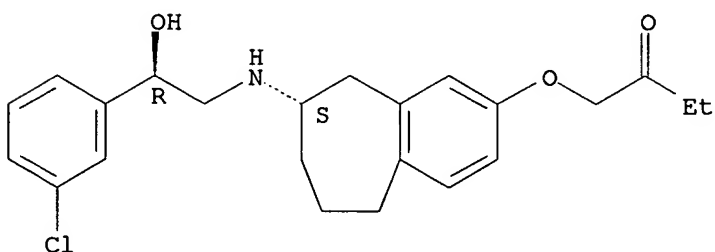
10/009,008



RN 152358-56-0 CAPLUS

CN 2-Butanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, hydrochloride, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

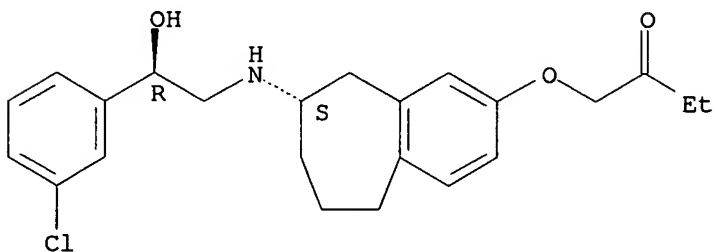


● HCl

RN 152358-57-1 CAPLUS

CN 2-Butanone, 1-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 152358-63-9 CAPLUS

CN 2-Pentanone, 3-[[8-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethanedioate (1:1) (salt) (9CI)

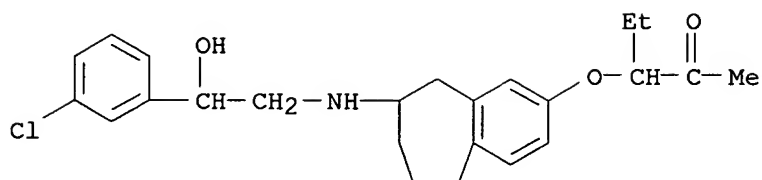
(CA INDEX NAME)

10/009,008

CM 1

CRN 152358-62-8

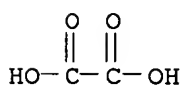
CMF C24 H30 Cl N O3



CM 2

CRN 144-62-7

CMF C2 H2 O4



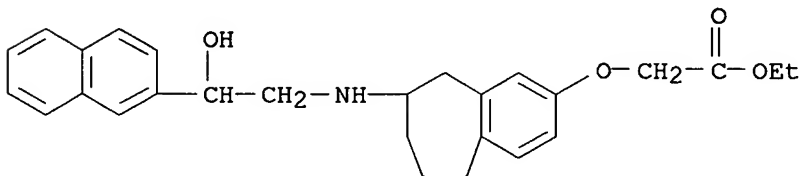
RN 152358-64-0 CAPLUS

CN Acetic acid, [[6,7,8,9-tetrahydro-8-[[2-hydroxy-2-(2-naphthalenyl)ethyl]amino]-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152358-47-9

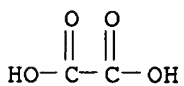
CMF C27 H31 N O4



CM 2

CRN 144-62-7

CMF C2 H2 O4



```

RN      152358-67-3    CAPLUS
CN      Acetic acid,
[[7-[2-(1,3-benzodioxol-5-yl)-2-hydroxyethyl]amino]-5,6,7,8-
tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]-
(9CI)    (CA INDEX NAME)

```

CCOC(=O)COc1ccc2c(c1)CCSC2[C@H](N)C[C@@H](O)S[C@@H]3C=Cc4ccccc4O3

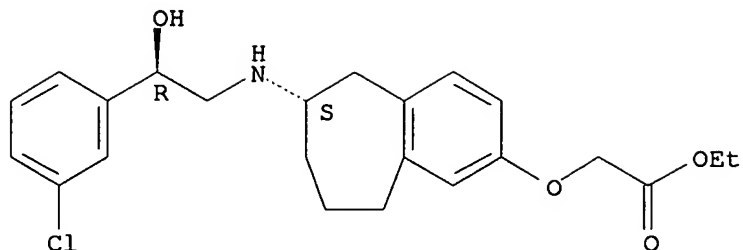
RN 152358-68-4 CAPLUS
CN Acetic acid,
[[[7-[[2-(1,3-benzodioxol-5-yl)-2-hydroxyethyl]amino]-5,6,7,8-
tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,R*)]- (9CI) (CA
INDEX NAME)

CCOC(=O)COc1ccc2c(c1)CCSC2[C@H](N)C[C@@H](O)S3C4=CC=CC=C3OC4

CRN 152357-18-1
CMF C23 H28 Cl N O4

Absolute stereochemistry.

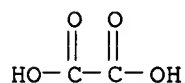
10/009,008



CM 2

CRN 144-62-7

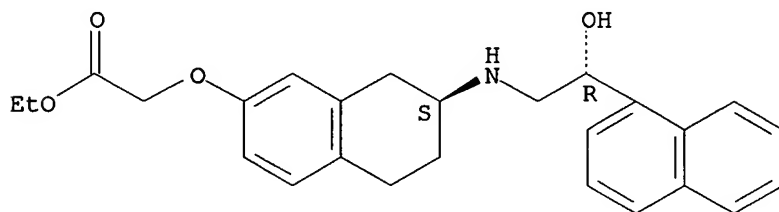
CMF C2 H2 O4



RN 152358-70-8 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(1-naphthalenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



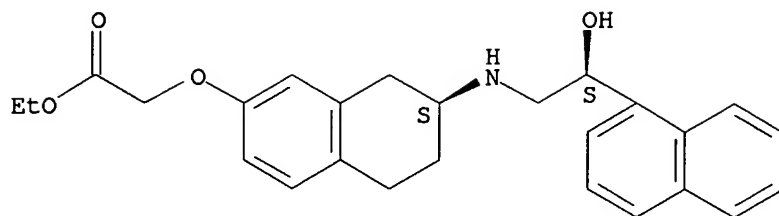
● HCl

RN 152358-71-9 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(1-naphthalenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

RN 152358-73-1 CAPLUS

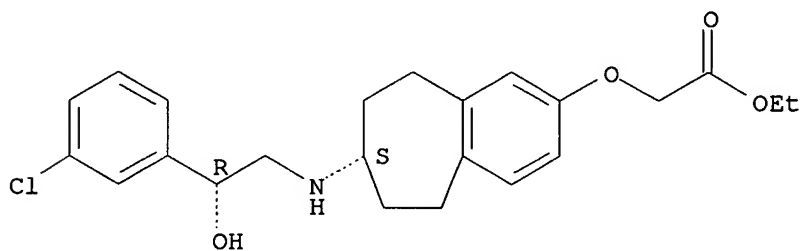
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-6,7,8,9-tetrahydro-5H-benzocyclohepten-2-yl]oxy]-, ethyl ester, [R-(R*,S*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152358-72-0

CMF C23 H28 Cl N O4

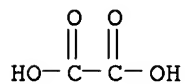
Absolute stereochemistry.



CM 2

CRN 144-62-7

CMF C2 H2 O4



10/009,008

L4 ANSWER 147 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:95485 CAPLUS
DN 120:95485
TI Effects of two .beta.3-adrenoceptor agonists, SR 58611A and BRL 37344,
and
of salbutamol on cholinergic and NANC neural contraction in guinea pig
main bronchi in vitro
AU Martin, Corinne A. E.; Naline, Emmanuel; Manara, Luciano; Advenier,
Charles
CS Dep. Pharmacol., Fac. Med. Paris-Ouest, Paris, F-75270, Fr.
SO British Journal of Pharmacology (1993), 110(4), 1311-16
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
AB The aim of the present study was to investigate the type of adrenoceptor
which modulates constriction of the guinea-pig isolated main bronchus in
response to elec. field stimulation (EFS). Drugs used were salbutamol
and
two agonists reportedly selective for the putative .beta.3-adrenoceptor:
BRL 37344 and SR 58611A. At basal tone, all three drugs induced
relaxation, however, SR 58611A and BRL 37344 (10-9 to 10-6 M) relaxed
guinea-pig isolated main bronchus more weakly than salbutamol (10-9 to
10-6 M). The effects obsd. at 10-6 M were 43% .+- 9%, 63% .+- 4% and
98% .+- 1% of the maximal effect induced by theophylline (3 .times. 10-3
M) for SR 58611A, BRL 37344 and salbutamol, resp. SR 58611A and BRL
37344
(10-8 to 10-6 M) did not significantly modify the cholinergic component
of
the response to EFS, but caused a concn.-dependent redn. of the
nonadrenergic noncholinergic (NANC) excitatory component (41.8% .+-
10.1%
and 56.8% .+- 7.4% resp. at 10-6 M, n = 6-7). Salbutamol (10-9 to 10-7
M) strongly inhibited both components, with 91.1% .+- 4.2% of inhibition
for the NANC contraction and 62.0% .+- 5.2% of inhibition for the
cholinergic contraction (10-7 M, n = 7). Whereas the inhibitory effects
of salbutamol were strongly inhibited by both propranolol (10-6 M) and
ICI
118,551 (10-6 M), those of BRL 37344 were only slightly, albeit
significantly reduced by both propranolol and ICI 118,551, and those of
SR
58611A were unaffected by treatment with either .beta.-adrenoceptor
antagonist. An .alpha.2-adrenoceptor antagonist, yohimbine, did not
influence the inhibitory effects of any of the .beta.-adrenoceptor
agonists tested. Concn.-response curves to acetylcholine (10-8 to 10-3
M), [Nle10]NKA(4-10) (10-10 to 10-6 M) and substance P (10-10 to 3
.times.
10-6 M) were also significantly shifted to the right by salbutamol (10-6
M), whereas SR 58611A and BRL 37344 (10-6 M) had no effect. These
results
suggest that the stimulation of putative .beta.3-adrenoceptors exerts a
specific prejunctional inhibitory action on NANC excitatory response
induced by EFS of the isolated main bronchus of the guinea-pig. They
also
suggest that a .beta.2-adrenoceptor agonistic component may be involved
in
the effects of BRL 37344.
IT 121524-09-2, SR 58611A

10/009,008

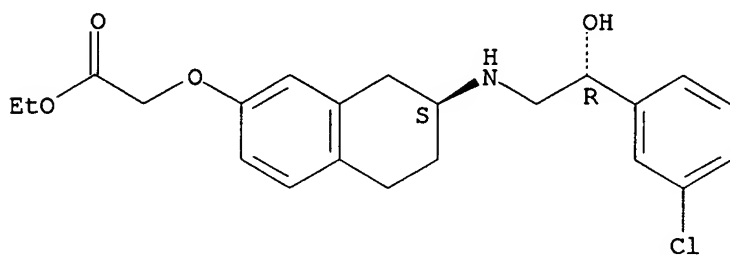
RL: BIOL (Biological study)

(cholinergic and NANC neural contraction in main bronchi response to,
as .beta.3-adrenoceptor agonist)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



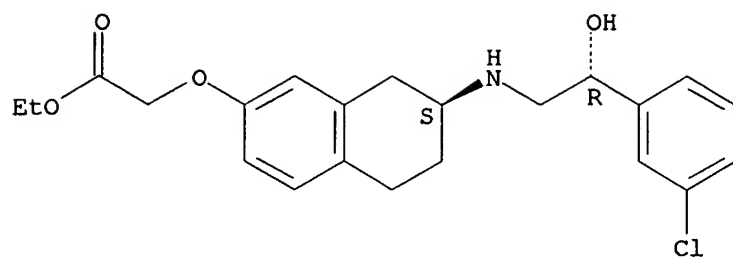
● HCl

10/009,008

L4 ANSWER 148 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1994:69749 CAPLUS
DN 120:69749
TI Structural and conformational features determining selective signal transduction in the .beta.3-adrenergic receptor
AU Blin, Nathalie; Camoin, Luc; Maigret, Bernard; Strosberg, Donny
CS Inst. Cochin Genet. Mol., Paris, 75014, Fr.
SO Molecular Pharmacology (1993), 44(6), 1094-104
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
AB With respect to the .beta.1- and .beta.2-adrenergic receptors (ARs), the .beta.3-AR induces specific physiol. effects in a few target tissues and exhibits atypical pharmacol. properties that distinguish it unambiguously from its counterparts. Therefore, the .beta.3-AR represents a suitable model to study the mol. mechanism responsible for receptor subtype selectivity and specificity. Potent .beta.3-AR ligands newly characterized in Chinese hamster ovary cells expressing the .beta.3-AR were also evaluated in Chinese hamster ovary cells expressing .beta.1- and .beta.2-ARs and were classified into three groups according to their pharmacol. properties. Among the .beta.1/.beta.2/.beta.3 agonists BRL 37344 and LY 79771 exhibit .beta.3 selectivity in stimulating adenylyl cyclase; among the .beta.1/.beta.2 antagonists displaying .beta.3 agonistic effects ICI 201651 exhibits .beta.3-AR binding selectivity, whereas among the .beta.1/.beta.2/.beta.3 antagonist class bupranolol is the most efficient (but not selective) .beta.3-AR antagonist. The structures of these ligands were simulated and compared using computer-generated mol. modeling. Structure-activity relation anal. indicates that potent or selective .beta.3-AR compds., in addn. to possessing a pharmacophore common to all .beta.-AR ligands, contain a long and bulk alkylamine substituent moiety, which is able to adopt and exchange extended and stacked conformations. Computerized three-dimensional models of the .beta.1-, .beta.2-, and .beta.3-AR binding sites show that more bulky amino acid side chains point inside the groove of the .beta.1 and .beta.2 sites, compared with the .beta.3 site, in a region implicated in signal processing. The long alkylamine chain of compds. behaving as .beta.1/.beta.2 antagonists and .beta.3 agonists may thus adopt either a stacked conformation in the encumbered .beta.1- and .beta.2-AR sites, leading to antagonistic effects, or an extended conformation in the less encumbered .beta.3 site, thus interacting with specific residues implicated in signal transduction.
IT 121524-09-2, SR 58611A
RL: BIOL (Biological study)
(adenylyl cyclase response to and .beta.-adrenergic receptor subtype binding of, mol. structure in relation to)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

10/009,008

L4 ANSWER 149 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1993:663143 CAPLUS

DN 119:263143

TI Similar atypical .beta.-adrenergic receptors mediate in vitro rat adipocyte lipolysis and colonic motility inhibition

AU Landi, Marco; Croci, Tiziano; Manara, Luciano

CS Res. Cent., SANOFI-MIDY S.p.A., Milan, 20137, Italy

SO Life Sciences (1993), 53(18), PL297-PL302

CODEN: LIFSAK; ISSN: 0024-3205

DT Journal

LA English

AB The authors studied the putative common nature of the rat atypical .beta.-adrenoceptors mediating white adipocyte lipolysis and proximal colon motility inhibition, using the nonselective antagonist alprenolol and agonist isoprenaline and the selective agonists SR 58611A and BRL 37344. Results in either isolated intestinal and fat tissues were consistent with: isoprenaline acting through both typical (.beta.1, .beta.2) and atypical .beta.-adrenoceptors; SR 58611A and BRL 37344

acting solely through the latter. The identical pA2 values obtained with alprenolol, irresp. of the tissue and the selective agonist (SR 58611A or BRL 37344) used, support the high functional homol. of the atypical .beta.-adrenoceptors in rat colon and adipocytes.

IT 121524-09-2, SR 58611A

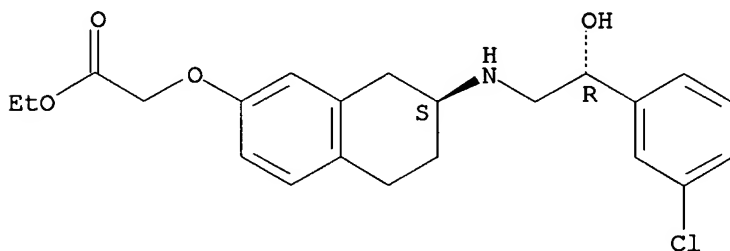
RL: BIOL (Biological study)

(adipocyte lipolysis and colonic motility response to, .beta.-adrenergic receptors mediation of)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



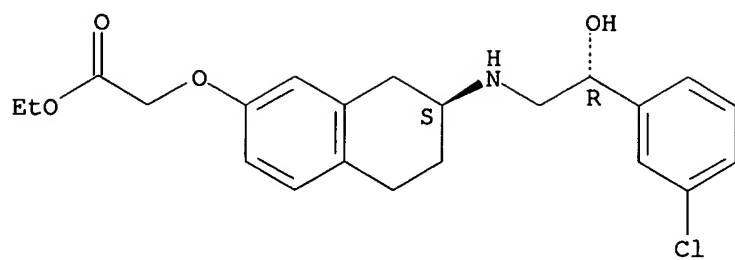
● HCl

10/009,008

L4 ANSWER 150 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1993:552682 CAPLUS
DN 119:152682
TI .beta.3-Adrenoceptors in dog adipose tissue: Studies on their involvement
in the lipomobilizing effect of catecholamines
AU Galitzky, Jean; Reverte, Maria; Carpine, Christian; Lafontan, Max;
Berlan,
Michel
CS Fac. Med., Univ. Paul Sabatier, Fr.
SO Journal of Pharmacology and Experimental Therapeutics (1993), 266(1),
358-66
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB The existence of .beta.3-adrenoceptors in adipose tissue and their
involvement in the control of lipolysis was investigated in dog.
Selective .beta.3-adrenergic agonists (BRL 37344, SR 58611A, and CGP
12177) and catecholamines (isoproterenol and norepinephrine) activated
lipolysis in isolated adipocytes (order of potency: isoproterenol > BRL
37344 > norepinephrine > CGP 12177 > SR 58611A). The lipolytic effect of
0.05 .mu.M BRL 37344 was antagonized by the nonselective .beta.-AR
antagonists, but the selective .beta.1-(CGP 20712A) and .beta.2-(ICI
118551) were ineffective. Infused to conscious dogs, .beta.3-adrenergic
agonists increased plasma nonesterified fatty acids levels with an order
of potency equiv. to that defined in lipolysis. The lipomobilizing
effect
induced by the administration of an .alpha.2-antagonist (0.01 mg/kg RX
821002, i.v.) was suppressed by bupranolol (0.5 mg/kg) or the combination
of CGP 20712A and ICI 118551 (0.25 mg/kg each). The effect of 0.05 mg/kg
RX 921002 was only partially suppressed by the same .beta.-antagonist
combination, whereas bupranolol totally abolished it. At 0.5 mg/kg the
RX
821002 effect was not modified by .beta.-antagonists. The
lipomobilization due to infusion of catecholamines (0.1, 0.5, or 5
.mu.g/kg/min norepinephrine or 5 .mu.g/kg/min epinephrine) was always
suppressed by bupranolol or the combination of selective
.beta.-antagonists. Thus, dog adipocytes express functional .beta.3-ARs.
Their stimulation induces lipid mobilization. The lipomobilization of
exogenously administered catecholamines is due only to the recruitment of
.beta.1- or .beta.2-ARs. However, endogenous catecholamines released
after sympathetic nervous system activation could stimulate .beta.3-ARS
in
adipocytes only if a high level of sympathetic nervous system activity is
realized.
IT 121524-09-2, SR 58611A
RL: BIOL (Biological study)
(lipolysis by adipose tissue stimulation by)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

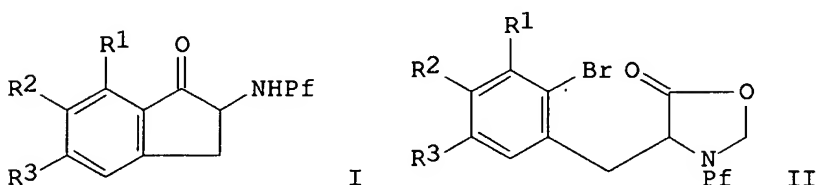
10/009,008



● HCl

10/009,008

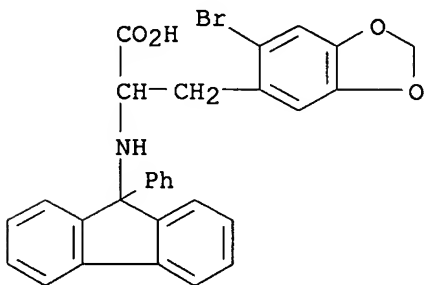
L4 ANSWER 151 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1993:408462 CAPLUS
DN 119:8462
TI Synthesis of 2-[N-(9-phenylfluoren-9-yl)amino]-1-indanones by anionic cyclization of phenylalanine-derived oxazolidinones
AU Paleo, M. Rita; Castedo, Luis; Dominguez, Domingo
CS Fac. Quim., Univ. Santiago, Santiago de Compostela, 15706, Spain
SO Journal of Organic Chemistry (1993), 58(10), 2763-7
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 119:8462
GI



AB A novel prepn. of N-(phenylfluorenyl)-2-amino-1-indanones I ($R_1 = \text{H, OMe,}$
 $R_2 = \text{H, OMe, R}_3 = \text{H, OMe, OCH}_2\text{Ph; R}_2\text{R}_3 = \text{OCH}_2\text{O,; Pf} = \text{9-phenylfluoren-9-yl}$) is described. The key step is the cyclization of aryl-substituted o-bromophenylalanine-derived oxazolidinones II with n-BuLi by halogen-metal exchange followed by in situ intramol. acylation of the aryllithium intermediate. When this method was applied to an optically pure oxazolidinone derived from an amino acid, cyclization occurred with complete retention of the integrity of the chiral center.

IT 147912-69-4P 147912-70-7P 147912-71-8P
147912-72-9P 147912-73-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclocondensation of, with formaldehyde)

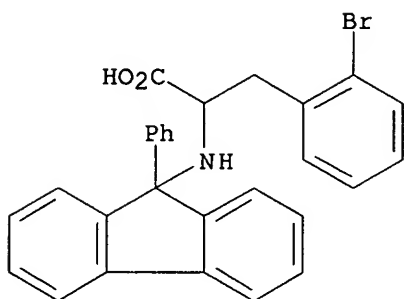
RN 147912-69-4 CAPLUS
CN 1,3-Benzodioxole-5-propanoic acid,
6-bromo-.alpha.-[(9-phenyl-9H-fluoren-9-yl)amino]- (9CI) (CA INDEX NAME)



RN 147912-70-7 CAPLUS

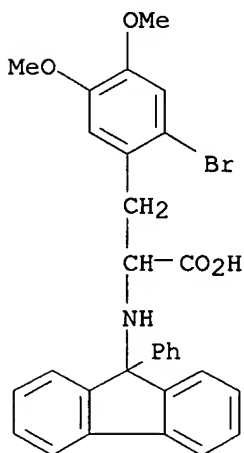
10/009,008

CN Phenylalanine, 2-bromo-N-(9-phenyl-9H-fluoren-9-yl)- (9CI) (CA INDEX NAME)



RN 147912-71-8 CAPLUS

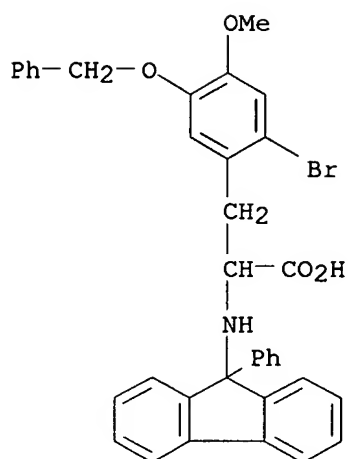
CN Tyrosine, 2-bromo-5-methoxy-O-methyl-N-(9-phenyl-9H-fluoren-9-yl)- (9CI) (CA INDEX NAME)



RN 147912-72-9 CAPLUS

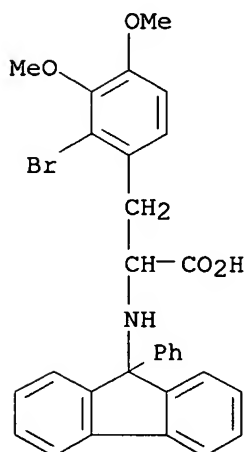
CN Tyrosine, 2-bromo-O-methyl-N-(9-phenyl-9H-fluoren-9-yl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/009,008



RN 147912-73-0 CAPLUS

CN Tyrosine, 2-bromo-3-methoxy-O-methyl-N-(9-phenyl-9H-fluoren-9-yl)- (9CI)
(CA INDEX NAME)



IT 147912-64-9P 147912-65-0P 147912-66-1P

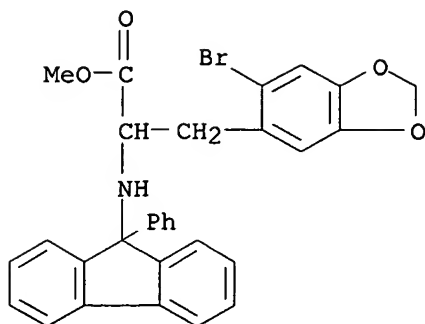
147912-67-2P 147912-68-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and hydrolysis of)

RN 147912-64-9 CAPLUS

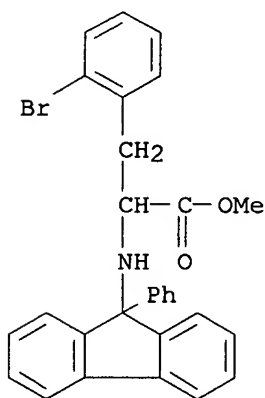
CN 1,3-Benzodioxole-5-propanoic acid,
6-bromo-.alpha.-[(9-phenyl-9H-fluoren-9-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

10/009,008



RN 147912-65-0 CAPLUS

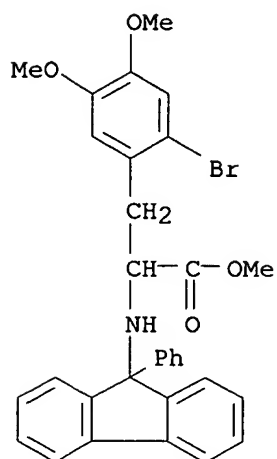
CN Phenylalanine, 2-bromo-N-(9-phenyl-9H-fluoren-9-yl)-, methyl ester (9CI)
(CA INDEX NAME)



RN 147912-66-1 CAPLUS

CN Tyrosine, 2-bromo-5-methoxy-O-methyl-N-(9-phenyl-9H-fluoren-9-yl)-,
methyl ester (9CI) (CA INDEX NAME)

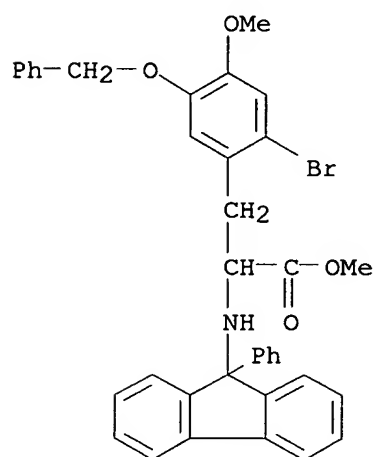
10/009,008



RN 147912-67-2 CAPLUS

CN Tyrosine,

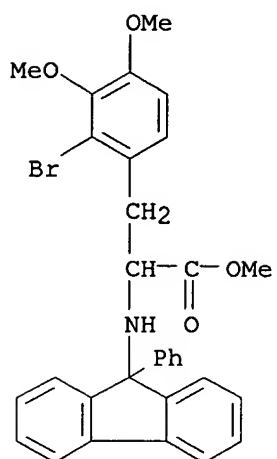
2-bromo-3-methoxy-N-(9-phenyl-9H-fluoren-9-yl)-5-(phenylmethoxy)-
, methyl ester (9CI) (CA INDEX NAME)



RN 147912-68-3 CAPLUS

CN Tyrosine, 2-bromo-3-methoxy-O-methyl-N-(9-phenyl-9H-fluoren-9-yl)-,
methyl
ester (9CI) (CA INDEX NAME)

10/009,008



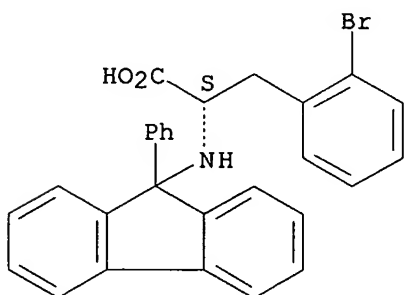
IT **147912-84-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 147912-84-3 CAPLUS

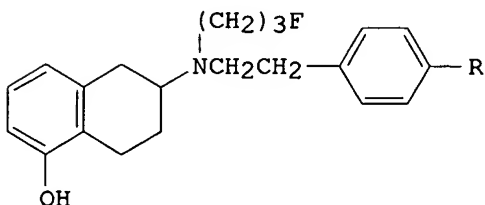
CN L-Phenylalanine, 2-bromo-N-(9-phenyl-9H-fluoren-9-yl)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



10/009,008

L4 ANSWER 152 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1993:250781 CAPLUS
DN 118:250781
TI Synthesis and in vivo distribution in the rat of several fluorine-18
labeled 5-hydroxy-2-aminotetralin derivatives
AU Zijlstra, S.; Elsinga, P. H.; Oosterhuis, E. Z.; Visser, G. M.; Korf, J.;
Vaalburg, W.
CS Univ. Hosp., Univ. Groningen, Groningen, 9713 EZ, Neth.
SO Applied Radiation and Isotopes (1993), 44(3), 473-80
CODEN: ARISEF; ISSN: 0883-2889
DT Journal
LA English
GI

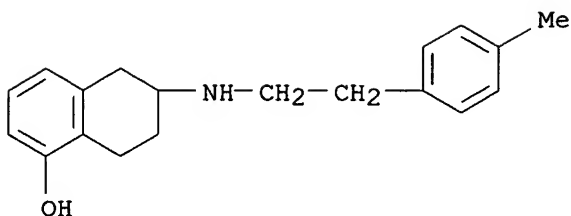


AB A method is described for the rapid prodn. and purifn. of new potential
dopamine agonists. Via thermal heating (refluxing in an oil bath) and
microwave exposure I, II, and their isotopic fluorine-18 derivs. were
synthesized, resp. The fluorine-18 label was introduced via
N-fluoroalkylation with no-carrier-added (n.c.a.) $^{18}\text{F}(\text{CH}_2)_3\text{I}$. In 115
min,
radiochem. yields of 11% (cor. for decay) were achieved for both compds.
The specific activity ranged from 15-75 GBq/.mu.mol. After i.v.
injection
in rats, the fluorine-18 labeled compds. were evaluated for their in vivo
binding to the D2-receptors. The radioactivity levels in the striatum,
nucleus accumbens and tuberculum olfactorius were not significantly
higher
than in the cerebellum and frontal cortex at 15, 30 and 60 min after
administration of the tetralin derivs. Dopamine depletion with reserpine
did not affect the uptake in the dopamine D2-receptor rich area.
Remarkable high uptakes were found in the adrenal for both compds.

IT **147703-04-6P 147703-05-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and acetylation of)

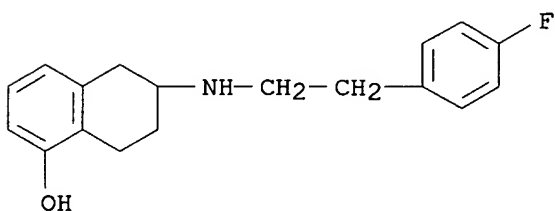
RN 147703-04-6 CAPLUS
CN 1-Naphthalenol, 5,6,7,8-tetrahydro-6-[[2-(4-methylphenyl)ethyl]amino]-
(9CI) (CA INDEX NAME)

10/009,008



RN 147703-05-7 CAPLUS

CN 1-Naphthalenol, 6-[[2-(4-fluorophenyl)ethyl]amino]-5,6,7,8-tetrahydro-
(9CI) (CA INDEX NAME)

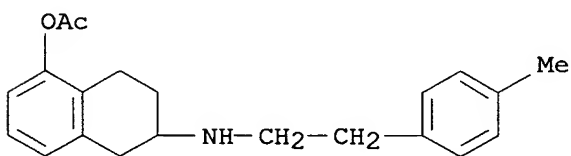


IT 147703-06-8P 147703-07-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and alkylation of, with fluoropropyl bromide)

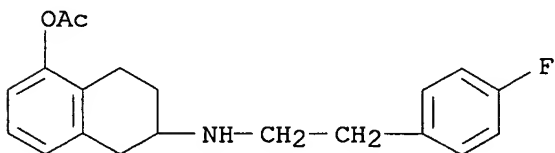
RN 147703-06-8 CAPLUS

CN 1-Naphthalenol, 5,6,7,8-tetrahydro-6-[[2-(4-methylphenyl)ethyl]amino]-,
acetate (ester) (9CI) (CA INDEX NAME)



RN 147703-07-9 CAPLUS

CN 1-Naphthalenol, 6-[[2-(4-fluorophenyl)ethyl]amino]-5,6,7,8-tetrahydro-,
acetate (ester) (9CI) (CA INDEX NAME)



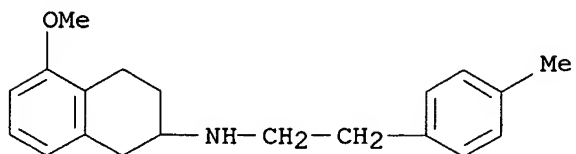
IT 147703-02-4P 147703-03-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and demethylation of)

10/009,008

RN 147703-02-4 CAPLUS

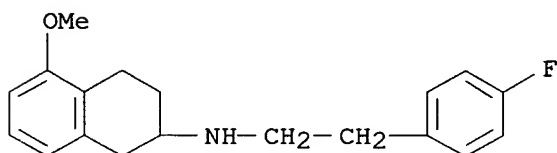
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-5-methoxy-N-[2-(4-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 147703-03-5 CAPLUS

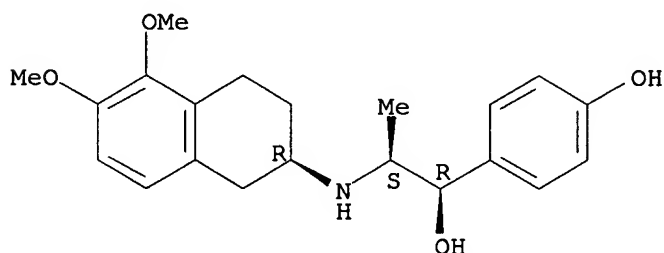
10/009,008

L4 ANSWER 153 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1993:212089 CAPLUS
DN 118:212089
TI Behavior of reaction mixtures under microwave conditions: use of sodium salts in microwave-induced N-[18F]fluoroalkylations of aporphine and tetralin derivatives
AU Zijlstra, S.; De Groot, T. J.; Kok, L. P.; Visser, G. M.; Vaalburg, W.
CS PET Cent., Univ. Hosp., Groningen, 9713 EZ, Neth.
SO Journal of Organic Chemistry (1993), 58(7), 1643-5
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 118:212089
AB A comparative study is described for the N-[18F]fluoroalkylation of secondary amines under microwave and thermal heating conditions. The relation between concns. of ions in the solvent and microwave-energy absorption was investigated. Microwave treatment, combined with manipulation of the ionic strength of the reaction mixt., increased the radiochem. yield dramatically as compared to thermal heating. The application of microwaves reduced the formation of side products.
IT **147434-83-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluoroalkylation of, in microwave field, effect of sodium iodide on)
RN 147434-83-1 CAPLUS
CN 2-Naphthalenamine, N-[2-(4-fluorophenyl)ethyl]-1,2,3,4-tetrahydro-5-methoxy- (9CI) (CA INDEX NAME)



10/009,008

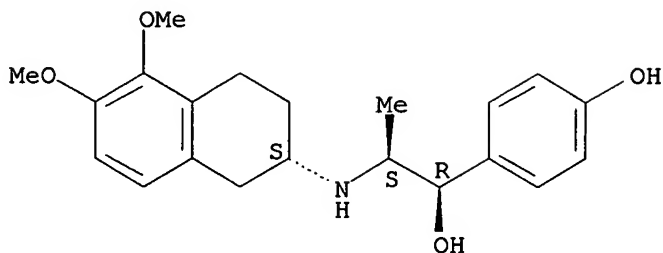
L4 ANSWER 154 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1993:160457 CAPLUS
DN 118:160457
TI Selective method for plasma quantitation of the stereoisomers of a new aminotetralin by high-performance liquid chromatography with electrochemical detection
AU Rondelli, Ivano; Mariotti, Fabrizia; Acerbi, Daniela; Redenti, Enrico; Amari, Gabriele; Ventura, Paolo
CS Chiesi Farm. S.p.A., Parma, 43100, Italy
SO Journal of Chromatography, Biomedical Applications (1993), 612(1), 95-103
CODEN: JCBADL; ISSN: 0378-4347
DT Journal
LA English
AB A high-performance liq. chromatog. method is described for the quantitation in plasma of the four stereoisomers of a new aminotetralin, (SRR,RSS) (SRS,RSR)-5,6-dimethoxy-2-[3'-(p-hydroxyphenyl)-3'-hydroxy-2'-propyl]aminotetralin (CHF 1255, internal code). After liq.-liq. extn. of the drug, sepn. was obtained after chiral derivatization with R-(+)-.alpha.-methylbenzyl isocyanate. The selective derivatization of the amino group was obtained by controlling the pH of the reaction medium at 7.5. The reaction was quant. after a period of 16 h. The structures of the urea derivs. were confirmed by proton NMR spectroscopy and high-performance liq. chromatog. with mass spectrometric detection. The use of an electrochem. detector, operating in the oxidative mode, allows the quantitation in plasma of all four urea derivs. at the nanogram level.
The method was demonstrated to be precise, reproducible and applicable to pharmacokinetics studies after administration of the two epimeric racemates.
IT 134524-01-9 134524-02-0 134622-85-8
134622-86-9
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in blood by HPLC with electrochem. detection, stereoisomer sepn. in)
RN 134524-01-9 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]-, [2R-[2R*[S*(R*)]]]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 134524-02-0 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]-, [2S-[2R*[R*(S*)]]]- (9CI) (CA INDEX NAME)

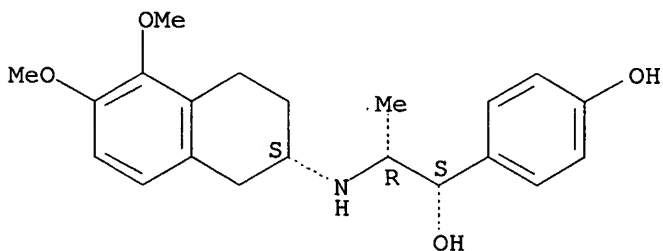
10/009,008

Absolute stereochemistry.



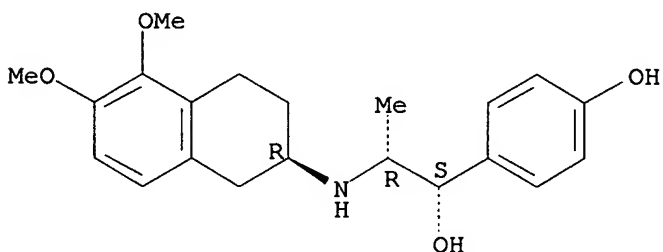
RN 134622-85-8 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, [2S-[2R*[S*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 134622-86-9 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, [2R-[2R*[R*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

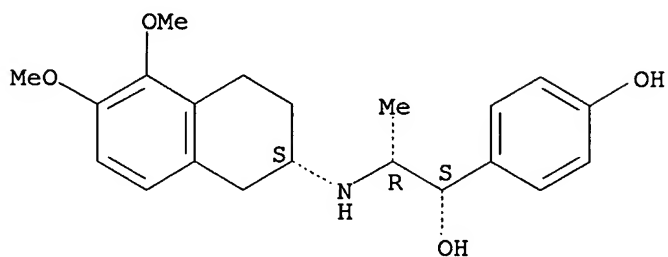


IT 146728-52-1 146728-53-2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(metab. of, stereoisomer sepn. in, HPLC with electrochem. detection
in)
RN 146728-52-1 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-

10/009,008

naphthalenyl)amino]ethyl]-, [2R*[S*(R*)]]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

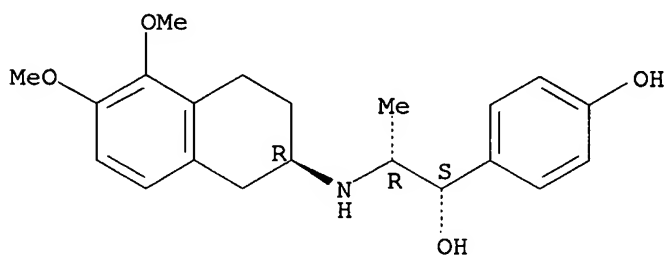


RN 146728-53-2 CAPLUS

CN Benzenemethanol,

4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]-, [2R*[R*(S*)]]- (9CI) (CA INDEX NAME)

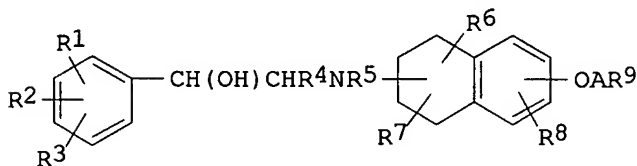
Relative stereochemistry.



10/009,008

L4 ANSWER 155 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1993:101674 CAPLUS
DN 118:101674
TI Preparation of naphthylaminoethanol derivatives with anti-pollakiuria activity
IN Shiokawa, Youichi; Nagano, Masanobu; Taniguchi, Kiyoshi; Take, Kazuhiko; Kato, Takeshi; Tsubaki, Kazunori
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9218461	A1	19921029	WO 1992-JP449	19920410
	W: CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	EP 579833	A1	19940126	EP 1992-908496	19920410
	EP 579833	B1	19970820		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06506676	T2	19940728	JP 1992-508075	19920410
	JP 3158436	B2	20010423		
	AT 157080	E	19970915	AT 1992-908496	19920410
PRAI	GB 1991-7827	A	19910412		
	WO 1992-JP449	W	19920410		
OS	MARPAT 118:101674				
GI					



I

AB Title compds. I (R1 = H, halo; R2 = halo, (protected) HO, aryloxy, (halo) alkoxy, O2N, cyano, (acyl)amino; R3, R8 = H, halo; R4, R5, R7 = H, alkyl; R6 = H, HO, alkyl; R9 = (esterified) carboxy; A = alkylene, with provisos) or a salt thereof, are prepd. I are also spasmolytics and sympathomimetics. (S)-2-Amino-7-[(ethoxycarbonyl)methoxy]-1,2,3,4-tetrahydronaphthalene-HCl (prepn. given), converted to free amine and then refluxed with (+)-[3-chloro-4-(2-methoxyethoxymethoxy)phenyl]oxirane (prepn. given) in EtOH for 9 h to give (-)-[3-chloro-4-(2-methoxyethoxymethoxy)phenyl]-2-[[(2S)-7-ethoxycarbonylmethoxy-1,2,3,4-tetrahydro-2-naphthyl]amino]ethanol which in MeSO3H/EtOH was heated to 50.degree. for 6 h to give the hydroxyphenyl deriv. which was converted to HCl salt (II). II at 1.0 mg/kg increased bladder vol. by .apprx.50%. Activity of I was also demonstrated as spasmolytics and sympathomimetics.

IT 145959-40-6P 145959-42-8P 145959-43-9P
145959-44-0P 145959-45-1P 145959-46-2P
145959-47-3P 145959-48-4P 145959-49-5P

10/009,008

145959-50-8P 145959-51-9P 145959-52-0P
145959-53-1P 145959-54-2P 145959-55-3P
145959-56-4P 145959-57-5P 145959-58-6P
145959-59-7P 145959-60-0P 145959-61-1P
145959-62-2P 145959-63-3P 145959-64-4P
145959-65-5P 145959-66-6P 145959-67-7P
145959-68-8P 145959-69-9P 145959-70-2P
145959-71-3P 145959-72-4P 145959-73-5P
145959-74-6P 145959-75-7P 145959-76-8P
145959-78-0P 145959-79-1P 145959-80-4P
145959-81-5P 145959-82-6P 145959-83-7P
145959-84-8P 145959-85-9P 145959-86-0P
145959-87-1P 145959-88-2P 145959-89-3P
145959-90-6P 145959-91-7P 145959-92-8P
145959-92-8P 146075-29-8P 146075-30-1P
146075-31-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antipollakiuria drug)

RN 145959-40-6 CAPLUS

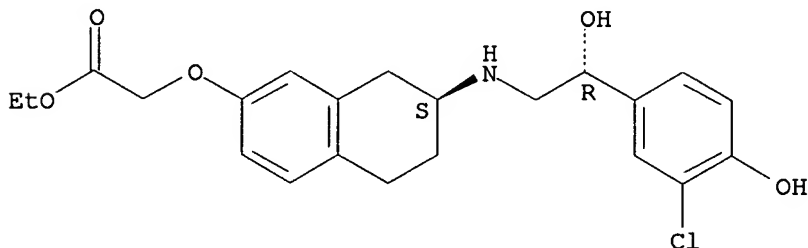
CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,S*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 145959-39-3

CMF C22 H26 Cl N O5

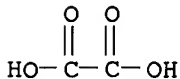
Absolute stereochemistry.



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 145959-42-8 CAPLUS

CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,R*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

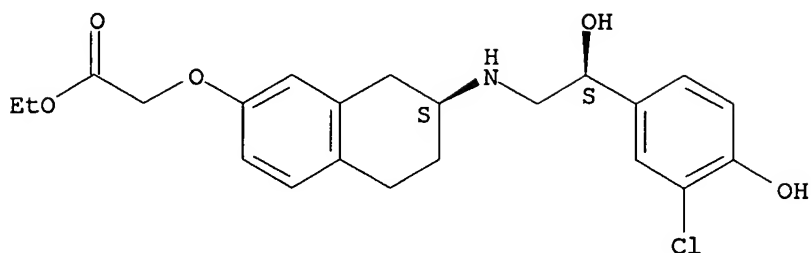
10/009,008

CM 1

CRN 145959-41-7

CMF C22 H26 Cl N O5

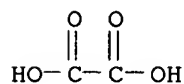
Absolute stereochemistry.



CM 2

CRN 144-62-7

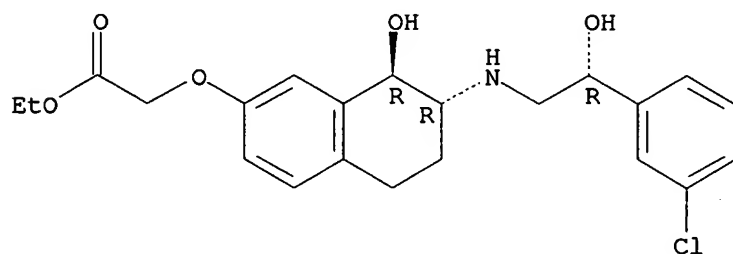
CMF C2 H2 O4



RN 145959-43-9 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-8-hydroxy-2-naphthalenyl]oxy]-, ethyl ester, [7R-[7.alpha.(R*),8.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

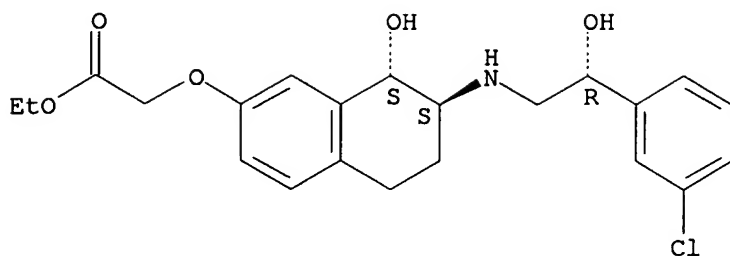


RN 145959-44-0 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-8-hydroxy-2-naphthalenyl]oxy]-, ethyl ester, [7S-[7.alpha.(S*),8.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

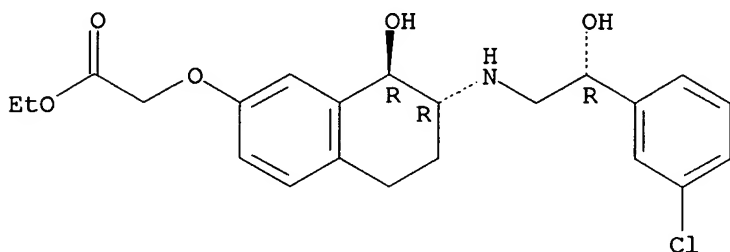
10/009,008



RN 145959-45-1 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-8-hydroxy-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [7R-[7.alpha.(R*),8.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

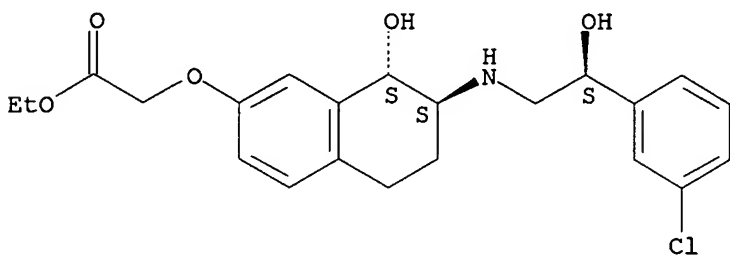


● HCl

RN 145959-46-2 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-8-hydroxy-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [7S-[7.alpha.(R*),8.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



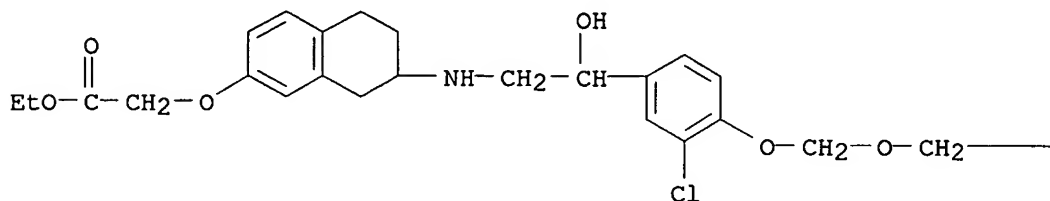
● HCl

RN 145959-47-3 CAPLUS

10/009,008

CN Acetic acid, [[7-[[2-[3-chloro-4-[(2-methoxyethoxy)methoxy]phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

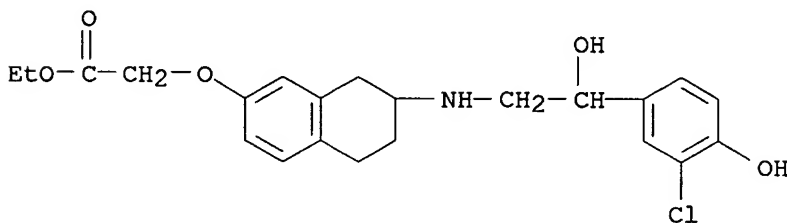


PAGE 1-B

—CH2—OMe

RN 145959-48-4 CAPLUS

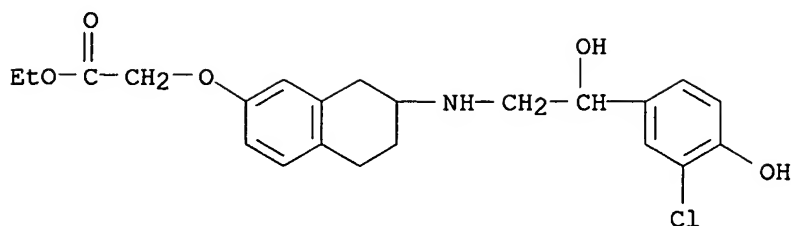
CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 145959-49-5 CAPLUS

CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

10/009,008

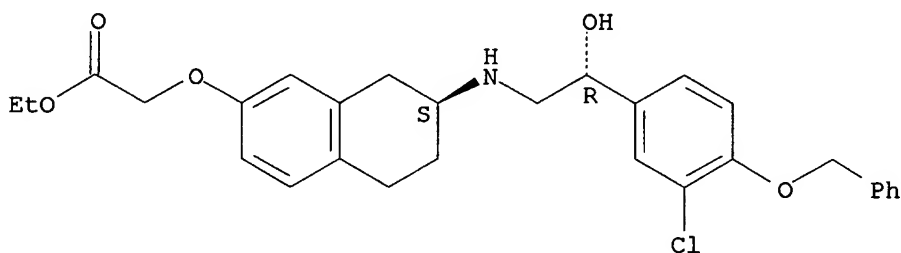


● HCl

RN 145959-50-8 CAPLUS

CN Acetic acid, [[7-[[2-[3-chloro-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



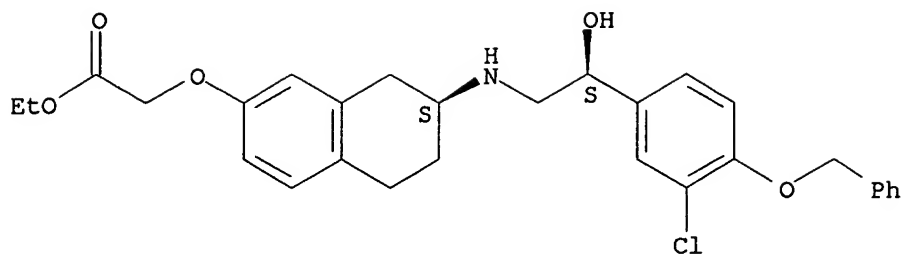
● HCl

RN 145959-51-9 CAPLUS

CN Acetic acid, [[7-[[2-[3-chloro-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

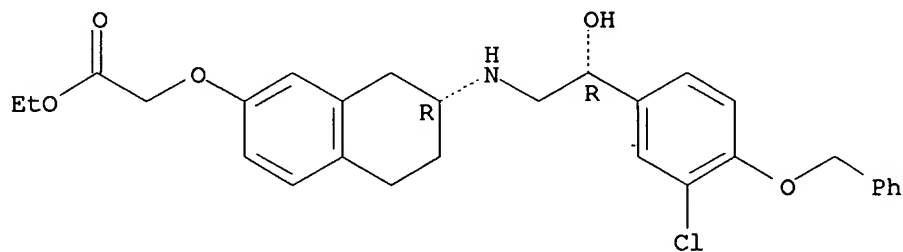


● HCl

RN 145959-52-0 CAPLUS

CN Acetic acid, [[7-[[2-[3-chloro-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



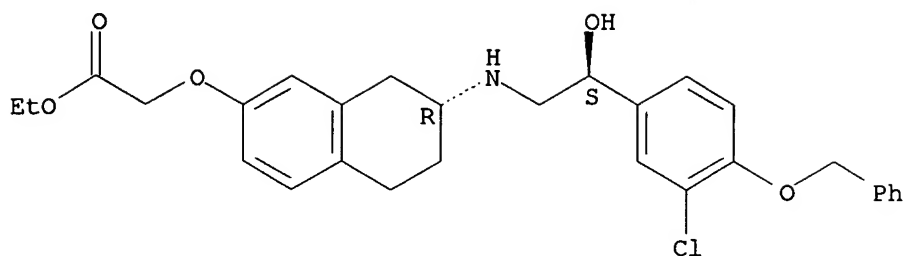
● HCl

RN 145959-53-1 CAPLUS

CN Acetic acid, [[7-[[2-[3-chloro-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

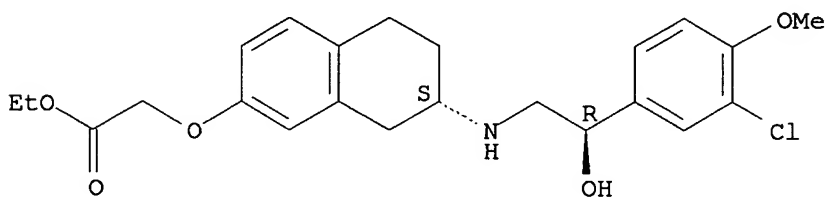
10/009,008



● HCl

RN 145959-54-2 CAPLUS
CN Acetic acid, [[7-[[2-(3-chloro-4-methoxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

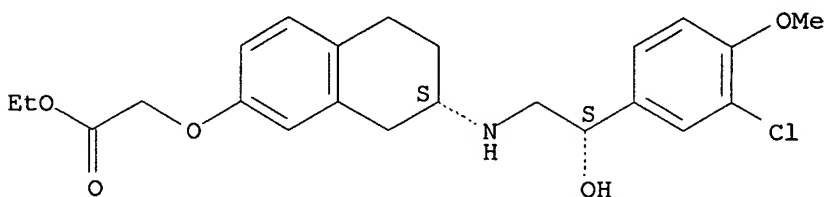
Absolute stereochemistry.



● HCl

RN 145959-55-3 CAPLUS
CN Acetic acid, [[7-[[2-(3-chloro-4-methoxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



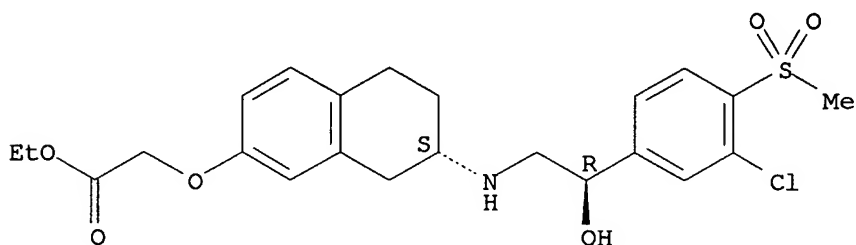
● HCl

RN 145959-56-4 CAPLUS

10/009,008

CN Acetic acid, [[7-[[2-[3-chloro-4-(methylsulfonyl)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

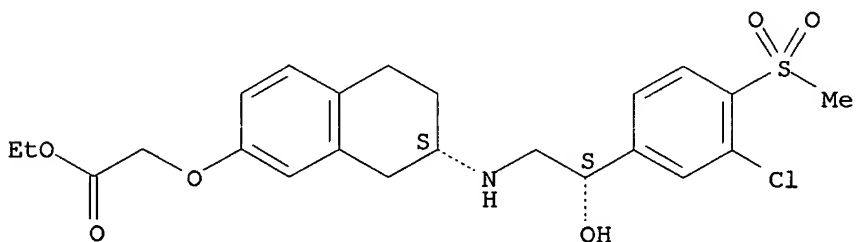


● HCl

RN 145959-57-5 CAPLUS

CN Acetic acid, [[7-[[2-[3-chloro-4-(methylsulfonyl)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



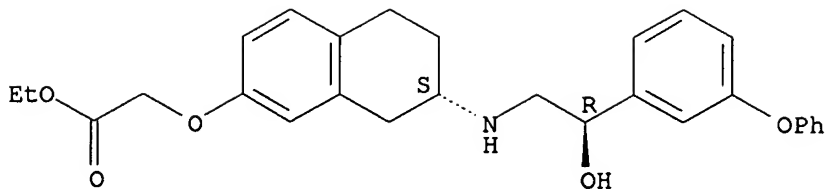
● HCl

RN 145959-58-6 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(3-phenoxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

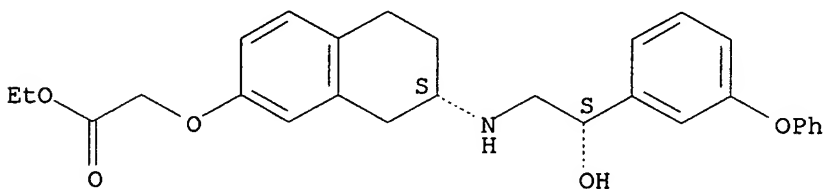
10/009,008



● HCl

RN 145959-59-7 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(3-phenoxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

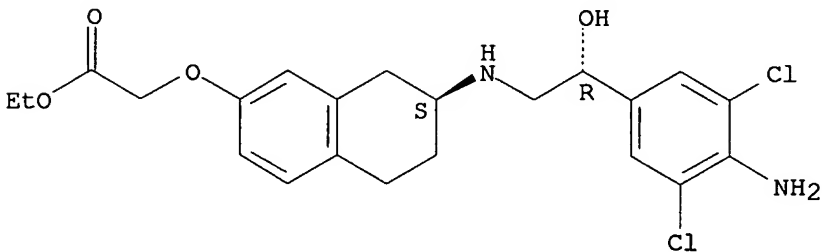
Absolute stereochemistry.



● HCl

RN 145959-60-0 CAPLUS
CN Acetic acid, [[7-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



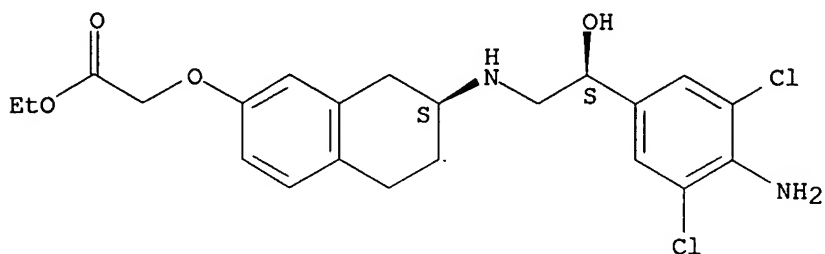
● HCl

RN 145959-61-1 CAPLUS

10/009,008

CN Acetic acid, [[7-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

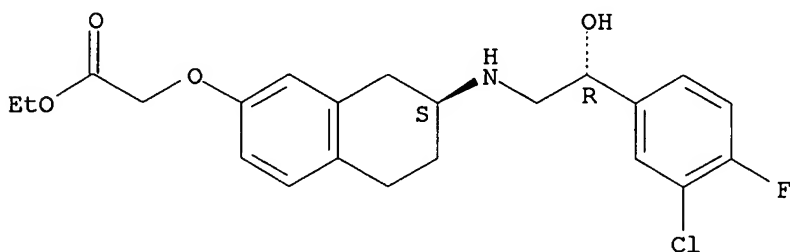
Absolute stereochemistry.



● HCl

RN 145959-62-2 CAPLUS
CN Acetic acid, [[7-[[2-(3-chloro-4-fluorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

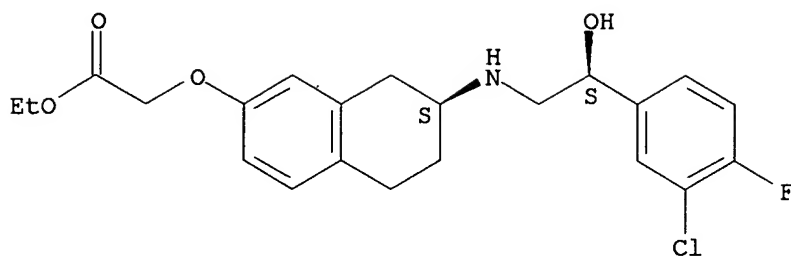


● HCl

RN 145959-63-3 CAPLUS
CN Acetic acid, [[7-[[2-(3-chloro-4-fluorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

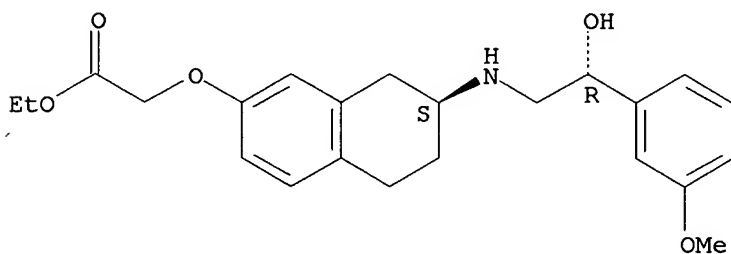
10/009,008



● HCl

RN 145959-64-4 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(3-methoxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

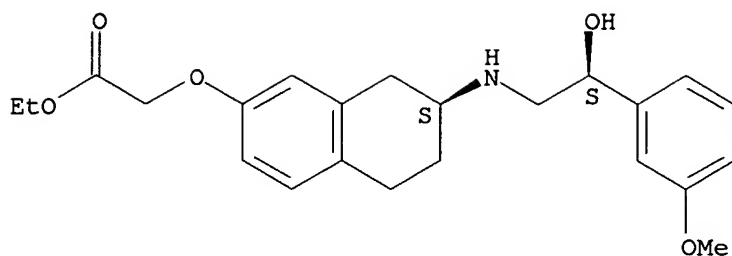


● HCl

RN 145959-65-5 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(3-methoxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

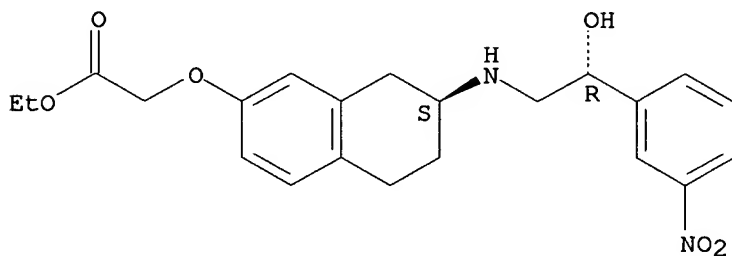
10/009,008



● HCl

RN 145959-66-6 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(3-nitrophenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

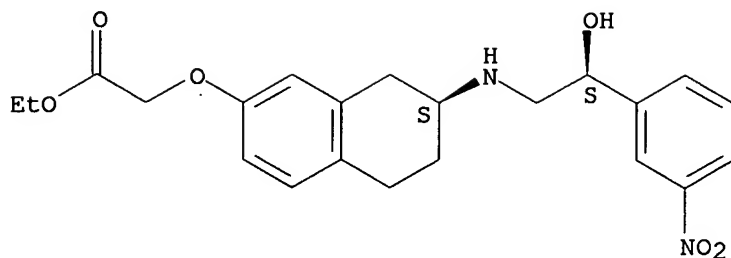


● HCl

RN 145959-67-7 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(3-nitrophenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

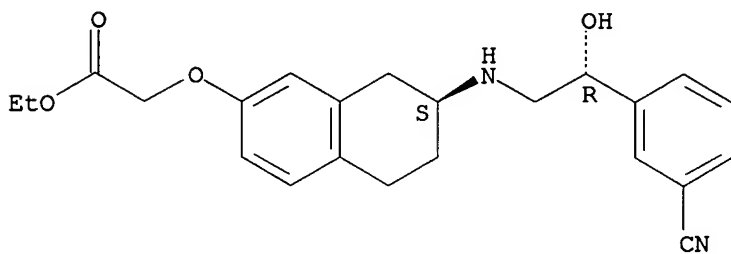
10/009,008



● HCl

RN 145959-68-8 CAPLUS
CN Acetic acid, [[7-[[2-(3-cyanophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

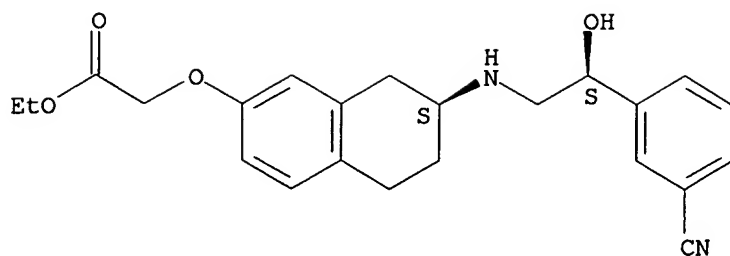


● HCl

RN 145959-69-9 CAPLUS
CN Acetic acid, [[7-[[2-(3-cyanophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

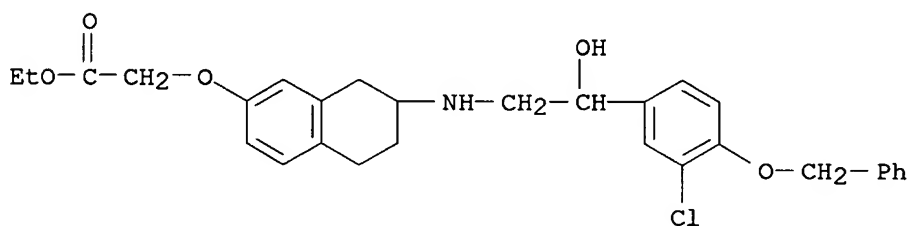
10/009,008



● HCl

RN 145959-70-2 CAPLUS

CN Acetic acid, [[7-[[2-[3-chloro-4-(phenylmethoxy)phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 145959-71-3 CAPLUS

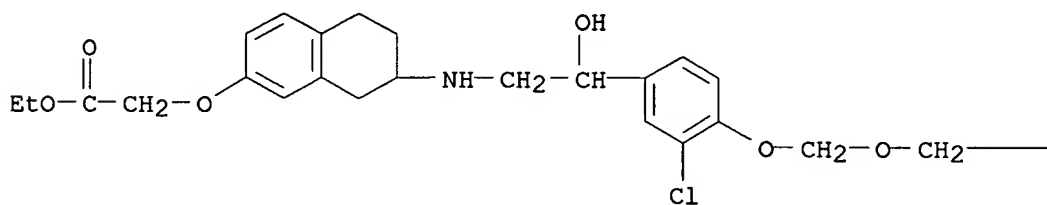
CN Acetic acid, [[7-[[2-[3-chloro-4-[(2-methoxyethoxy)methoxy]phenyl]-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 145959-47-3

CMF C26 H34 Cl N O7

PAGE 1-A

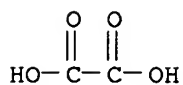


—CH₂—OMe

CM 2

CRN 144-62-7

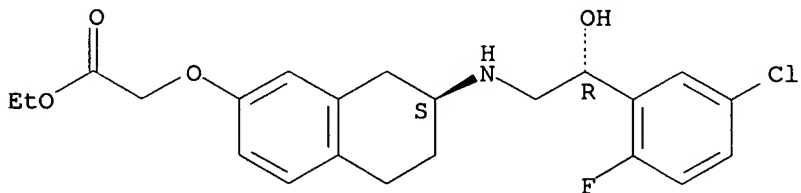
CMF C2 H2 O4



RN 145959-72-4 CAPLUS

CN Acetic acid, [[7-[[2-(5-chloro-2-fluorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



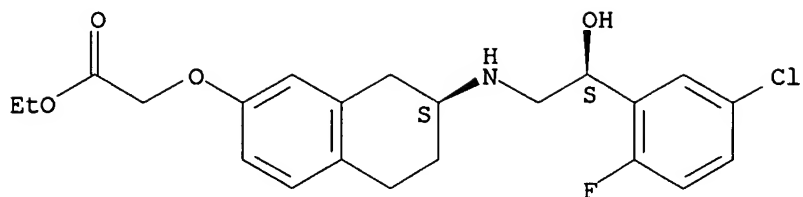
● HCl

RN 145959-73-5 CAPLUS

CN Acetic acid, [[7-[[2-(5-chloro-2-fluorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

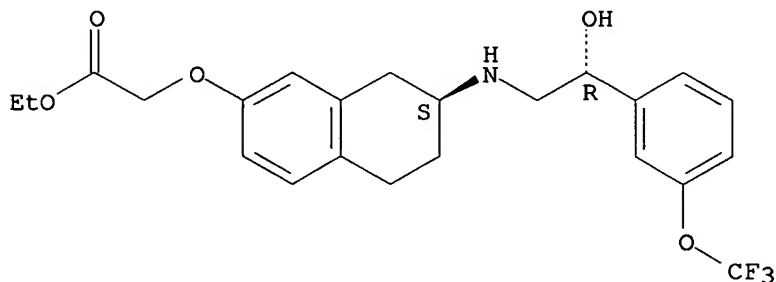


● HCl

RN 145959-74-6 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[3-(trifluoromethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



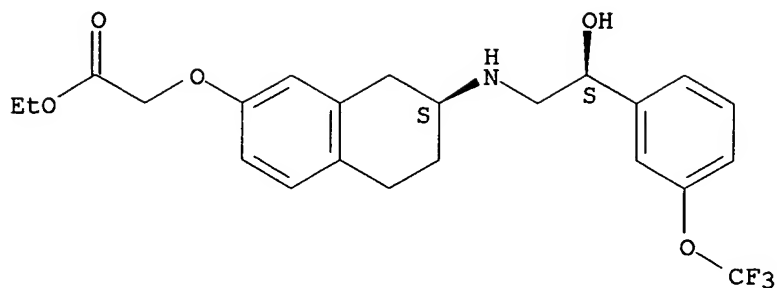
● HCl

RN 145959-75-7 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-[3-(trifluoromethoxy)phenyl]ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

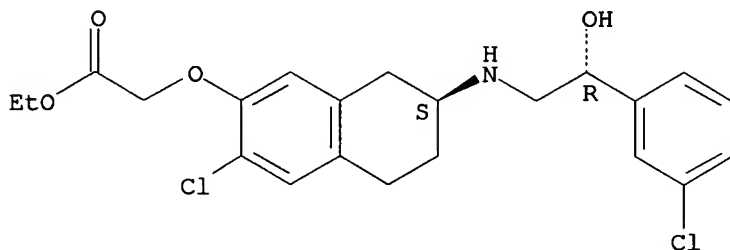


● HCl

RN 145959-76-8 CAPLUS

CN Acetic acid, [[3-chloro-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



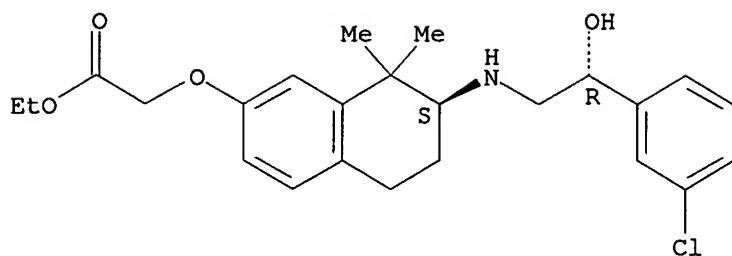
● HCl

RN 145959-78-0 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-8,8-dimethyl-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

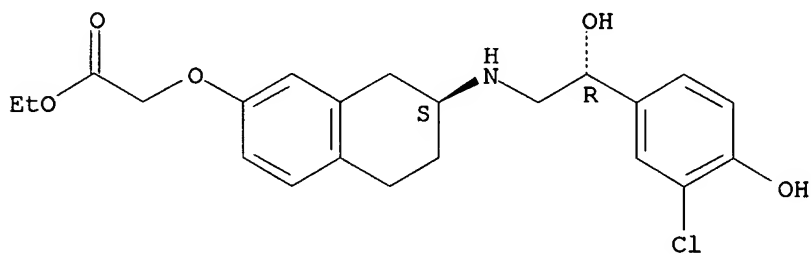
10/009,008



● HCl

RN 145959-79-1 CAPLUS
CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

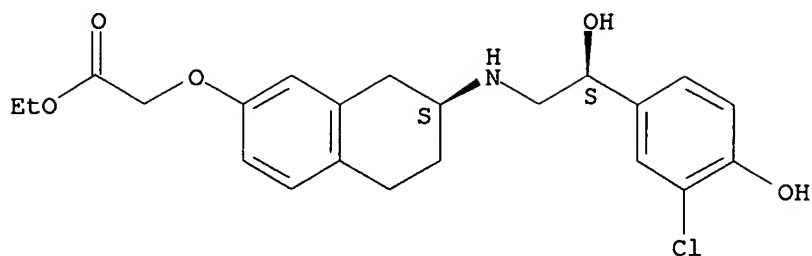


● HCl

RN 145959-80-4 CAPLUS
CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

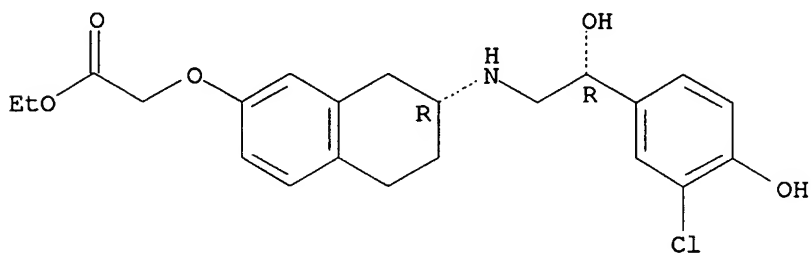
10/009,008



● HCl

RN 145959-81-5 CAPLUS
CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

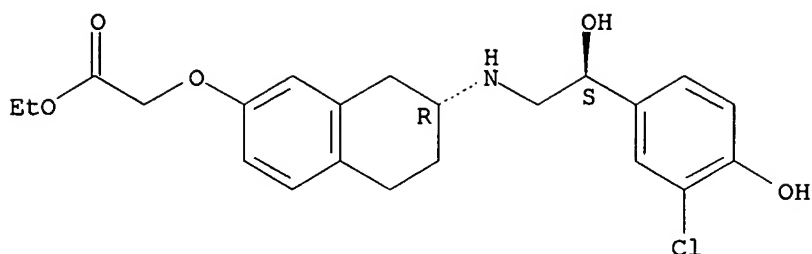


● HCl

RN 145959-82-6 CAPLUS
CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

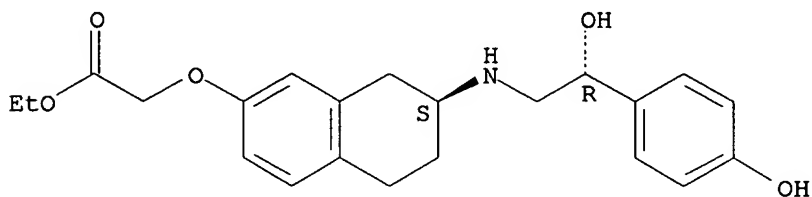
10/009,008



● HCl

RN 145959-83-7 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

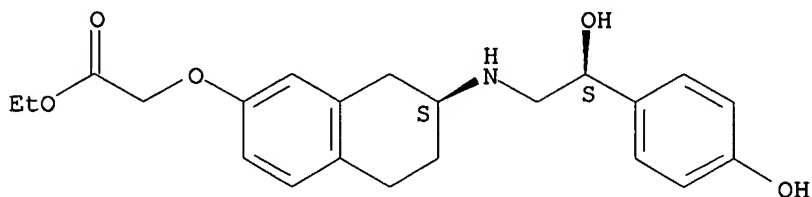
Absolute stereochemistry.



● HCl

RN 145959-84-8 CAPLUS
CN Acetic acid, [[5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 145959-85-9 CAPLUS

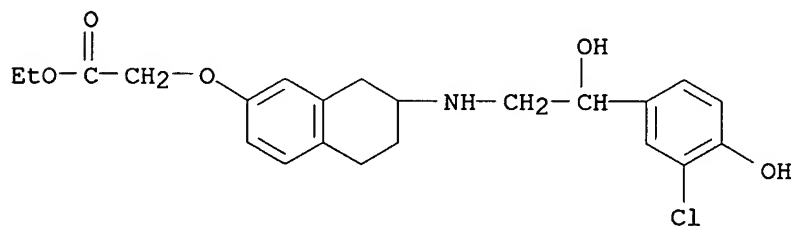
10/009,008

CN Acetic acid, [[7-[[2-(3-chloro-4-hydroxyphenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 145959-48-4

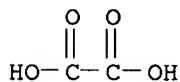
CMF C22 H26 Cl N O5



CM 2

CRN 144-62-7

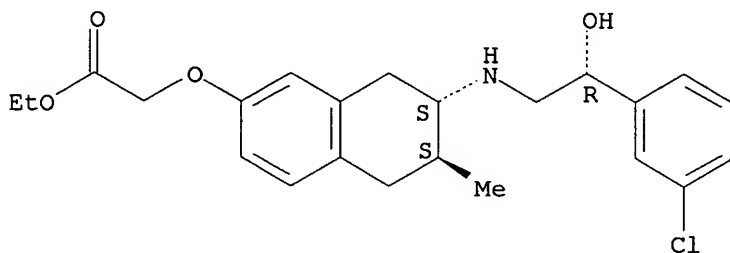
CMF C2 H2 O4



RN 145959-86-0 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-6-methyl-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [6S-[6.alpha.,7.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

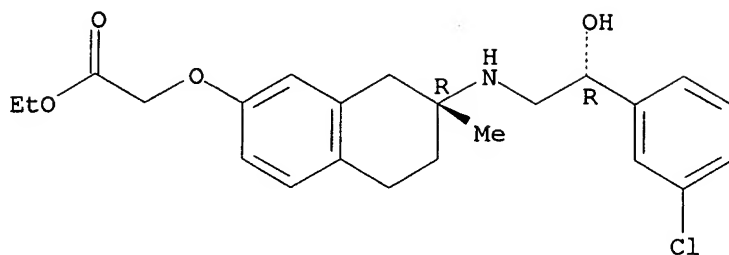
RN 145959-87-1 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-7-methyl-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI)

10/009,008

(CA INDEX NAME)

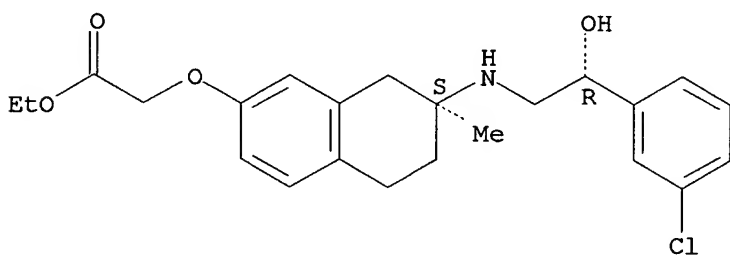
Absolute stereochemistry.



RN 145959-88-2 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-7-methyl-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 145959-89-3 CAPLUS

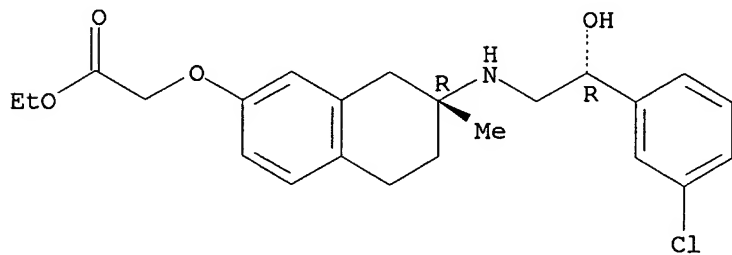
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-7-methyl-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,R*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 145959-87-1

CMF C23 H28 Cl N O4

Absolute stereochemistry.

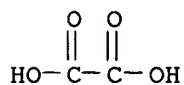


10/009,008

CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 145959-90-6 CAPLUS

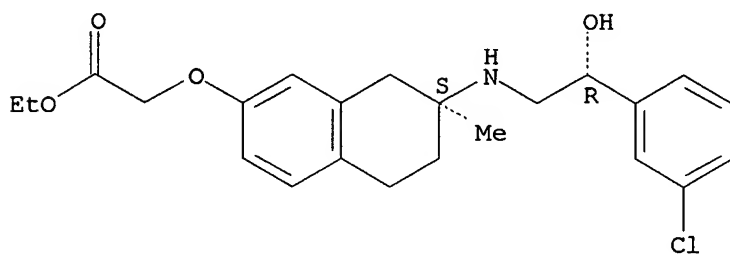
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-7-methyl-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,S*)]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 145959-88-2

CMF C23 H28 Cl N O4

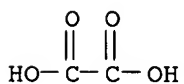
Absolute stereochemistry.



CM 2

CRN 144-62-7

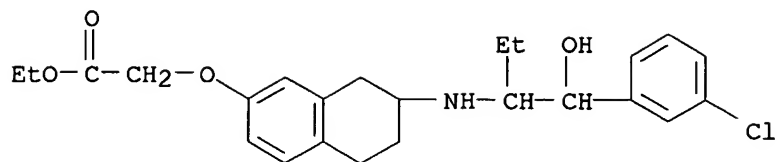
CMF C2 H2 O4



RN 145959-91-7 CAPLUS

CN Acetic acid, [[7-[[1-[(3-chlorophenyl)hydroxymethyl]propyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

10/009,008



RN 145959-92-8 CAPLUS

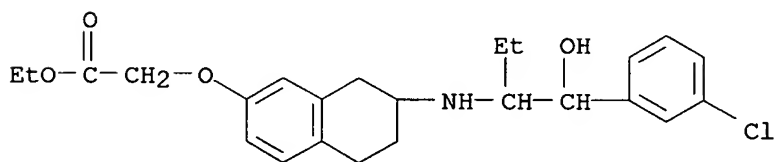
CN Acetic acid,

[[7-[[1-[(3-chlorophenyl)hydroxymethyl]propyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 145959-91-7

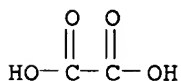
CMF C24 H30 Cl N O4



CM 2

CRN 144-62-7

CMF C2 H2 O4

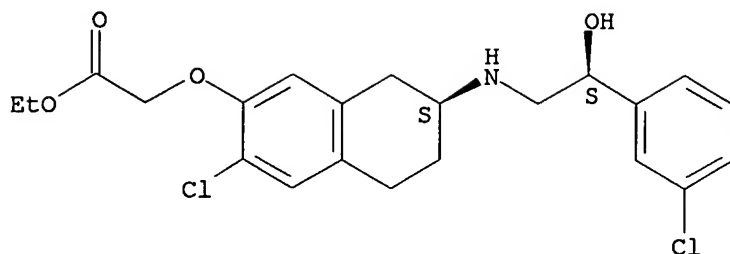


RN 145995-82-0 CAPLUS

CN Acetic acid, [[3-chloro-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

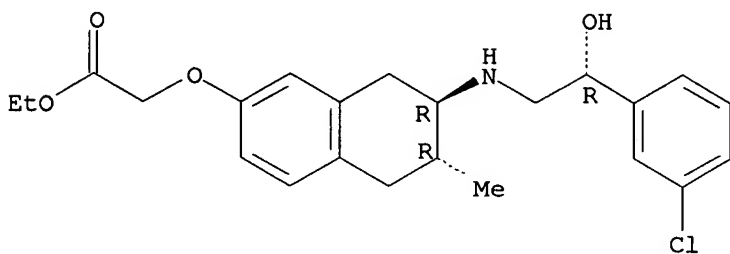
10/009,008



● HCl

RN 146075-29-8 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-6-methyl-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

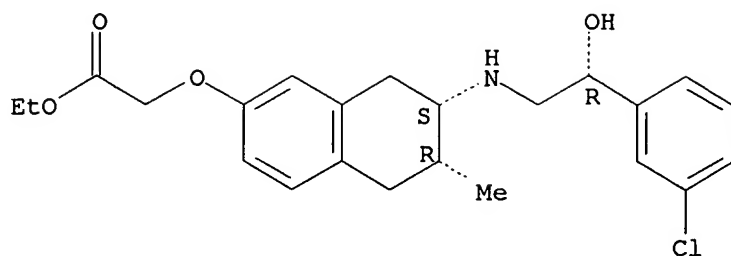


● HCl

RN 146075-30-1 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-6-methyl-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [6R-[6.alpha.,7.alpha.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

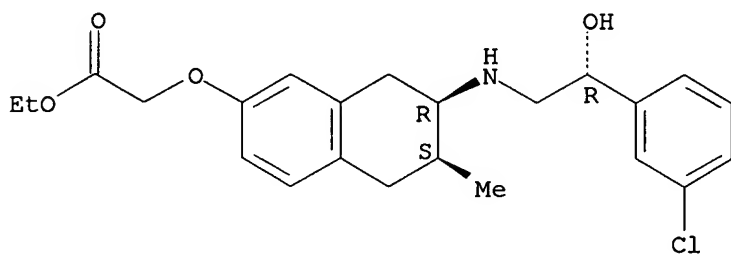
10/009,008



● HCl

RN 146075-31-2 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-6-methyl-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [6S-[6.alpha.,7.alpha.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

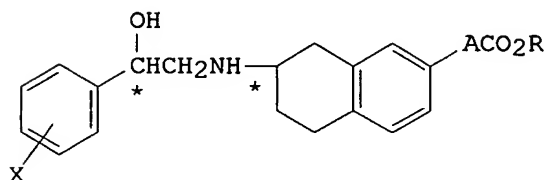


● HCl

10/009,008

L4 ANSWER 156 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1993:101672 CAPLUS
DN 118:101672
TI Preparation of antidepressant (phenylethanolamino)tetralins and their intermediates.
IN Badone, Domenico; Guzzi, Umberto
PA Midy S.p.A, Italy
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 499755	A1	19920826	EP 1991-400415	19910218
	R: IT				
	CA 2061311	AA	19920819	CA 1992-2061311	19920217
	EP 500443	A1	19920826	EP 1992-400416	19920217
	EP 500443	B1	19950426		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	HU 61718	A2	19930301	HU 1992-475	19920217
	HU 212268	B	19960429		
	AT 121723	E	19950515	AT 1992-400416	19920217
	ES 2074343	T3	19950901	ES 1992-400416	19920217
	HU 73189	A2	19960628	HU 1995-3323	19920217
	AU 9211017	A1	19920820	AU 1992-11017	19920218
	AU 650096	B2	19940609		
	JP 05065254	A2	19930319	JP 1992-30888	19920218
	US 5210276	A	19930511	US 1992-836253	19920218
	US 5401879	A	19950328	US 1993-12388	19930202
	US 5449813	A	19950912	US 1994-358380	19941219
PRAI	EP 1991-400415		19910218		
	HU 1992-475		19920217		
	US 1992-836253		19920218		
	US 1993-12388		19930202		
OS	MARPAT 118:101672				
GI					



AB The title compds. [I; X = H, halo, alkyl, CF₃; A = bond, alkylene, alkenylene, etc.; R = H, alkyl] and their salts are prepd.
2-Amino-7-(2-ethoxycarbonyl)tetralin (prepn. given) was heated at 80.degree. for 24 h with 3-chlorostyrene oxide in Me₂SO to give I [A = bond, X = 3-Cl, R = Et]. I at 0.03-1 mg/kg i.p. antagonized the hypothermic effect of apomorphine (16 mg/kg s.c.) in mice.
IT 145822-36-2P 145822-37-3P 145822-38-4P

10/009,008

145822-39-5P 145822-40-8P 145822-41-9P

145822-42-0P 145822-43-1P 145822-44-2P

145850-36-8P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

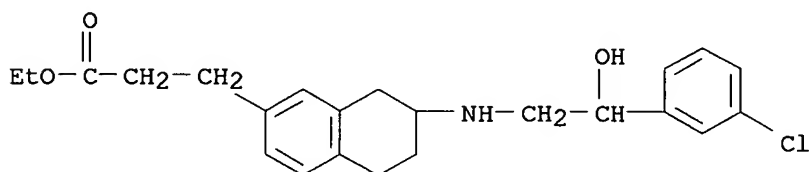
(prepn. of, as antidepressant)

RN 145822-36-2 CAPLUS

CN 2-Naphthalenepropanoic acid,

7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-

5,6,7,8-tetrahydro-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

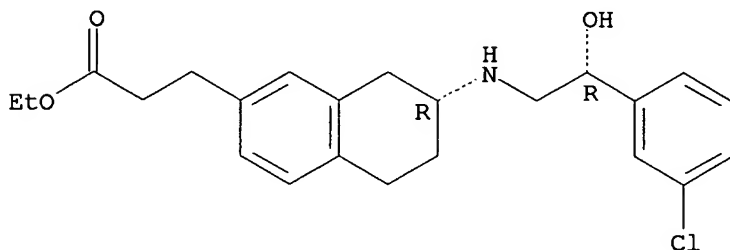
RN 145822-37-3 CAPLUS

CN 2-Naphthalenepropanoic acid,

7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-

5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 145822-38-4 CAPLUS

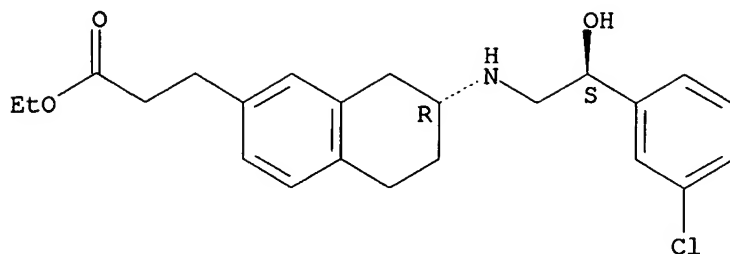
CN 2-Naphthalenepropanoic acid,

7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-

5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

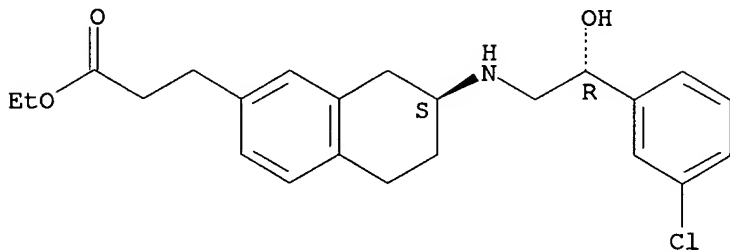
10/009,008



● HCl

RN 145822-39-5 CAPLUS
CN 2-Naphthalenepropanoic acid,
7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

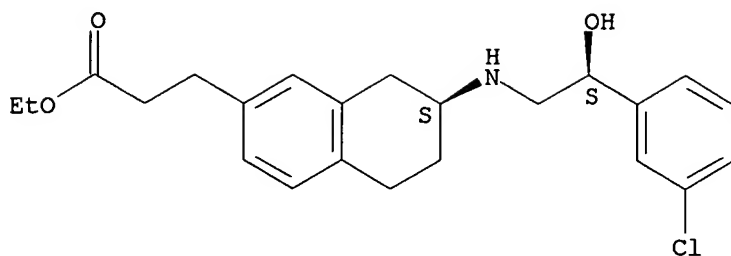


● HCl

RN 145822-40-8 CAPLUS
CN 2-Naphthalenepropanoic acid,
7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-, ethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA
INDEX NAME)

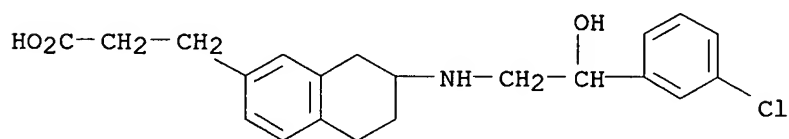
Absolute stereochemistry.

10/009,008



● HCl

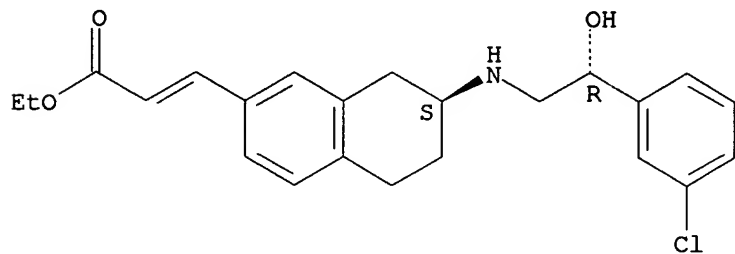
RN 145822-41-9 CAPLUS
CN 2-Naphthalenepropanoic acid,
7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 145822-42-0 CAPLUS
CN 2-Propenoic acid,
3-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-
tetrahydro-2-naphthalenyl]-, ethyl ester, hydrochloride, [R-(R*,S*)]]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

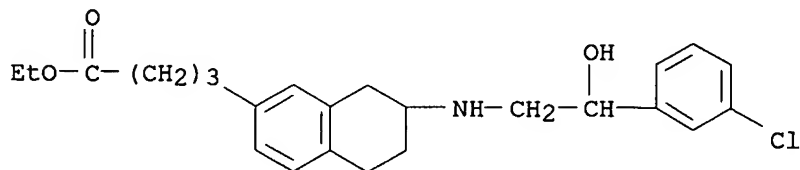


HCl

10/009,008

RN 145822-43-1 CAPLUS

CN 2-Naphthalenebutanoic acid, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

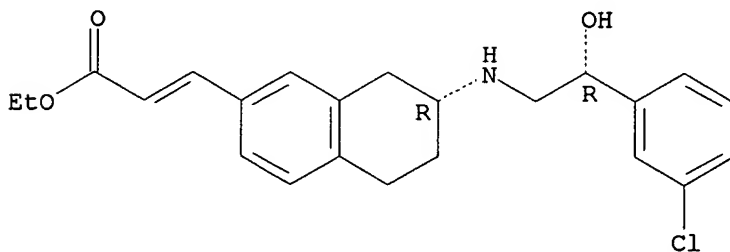


● HCl

RN 145822-44-2 CAPLUS

CN 2-Propenoic acid, 3-[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-, ethyl ester, hydrochloride, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

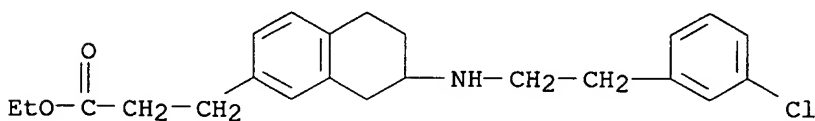
Absolute stereochemistry.
Double bond geometry unknown.



● HCl

RN 145850-36-8 CAPLUS

CN 2-Naphthalenepropanoic acid, 7-[[2-(3-chlorophenyl)ethyl]amino]-5,6,7,8-tetrahydro-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

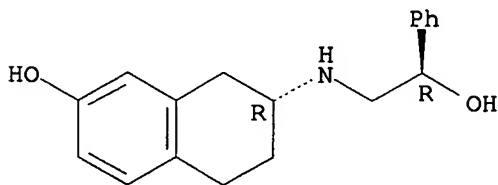
10/009,008

L4 ANSWER 157 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1992:585365 CAPLUS
DN 117:185365
TI Phenylethanolaminotetralines compete with [3H]dihydroalprenolol binding
to rat colon membranes without evidencing atypical .beta.-adrenergic sites
AU Landi, Marco; Bianchetti, Alberto; Croci, Tiziano; Manara, Luciano
CS Res. Cent., Sanofi-Midy S.p.A., Milan, 20137, Italy
SO Biochemical Pharmacology (1992), 44(4), 665-72
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB [3H]Dihydroalprenolol ([3H]DHA)-specific binding (detd. by the difference
in the presence and absence of 20 .mu.M (-)isoprenaline) to rat colon
membranes was saturable (Bmax = 39.6 fmol/mg protein), of high affinity
(Kd = 0.87 nM), and stereospecific (IC50 330 and 3510 nM for (-)- and
(+)isoprenaline, resp.); the Hill coeff. was close to one, indicating
binding homogeneity. [3H]DHA (0.6 nM) specific binding was potently
inhibited (Ki range 1.9-3.3 nM) by the non-selective .beta.-adrenoceptor
antagonists pindolol, alprenolol, and propranolol, but not by the
nonadrenergic compds. 5-hydroxytryptamine,
8-hydroxydipropylaminotetraline
, methylsergide, dopamine, and verapamil (Ki >10,000 nM). The selective
.beta.1- and .beta.2-adrenoceptor antagonists CGP 20,712A and ICI 118,551
resulted in biphasic competition binding curves, whose low and high
affinity components were compatible with two populations of binding sites
accounting for about 75 (.beta.2) and 25% (.beta.1) of total sites. The
relative competing potencies of ref. adrenergic agonists also suggested a
prevalence of .beta.2-adrenergic sites. The new agonists
phenylethanolaminotetralines (PEATs), highly selective for the atypical
.beta.-adrenoceptors whose abundance in rat colon has been confirmed by
comprehensive functional studies, had variable affinity for the
[3H]DHA-labeled sites depending on chirality, but with no substantial
correlation with their pharmacol. potency. Only 40% of [3H]DHA binding,
at a concn. about 10 times its Kd for high affinity sites (.beta.1 and
.beta.2), was prevented by satg. concns. of isoprenaline. Under this
condition, the representative PEAT, SR 58611A, highly potent and
selective
for atypical .beta.-adrenoceptors in functional tests, and its pharmacol.
inactive enantiomer, both inhibited the residual binding equipotently.
In
conclusion, [3H]DHA binding did not detect atypical .beta.-adrenoceptor
sites in rat colon membranes, most probably because of its weaker
affinity
for them than for the coexisting .beta.1 and .beta.2 sites. PEAT
stereoisomers proved essential for assessing both the stereospecificity
and the functional significance of this atypical binding and to compare
their affinity for [3H]DHA-labeled sites and pharmacol. potency.
IT 107758-36-1, SR 58375A 107758-37-2, SR 58374A
107758-39-4, SR 58373A 107758-41-8, SR 58372
120839-53-4, SR 58572A 121216-30-6, SR 58590
121216-31-7, SR 58589 121216-32-8, SR 58575A
121524-09-2, SR 58611A 121524-10-5, SR 58612A
121524-11-6, SR 58613A 129831-97-6, SR 58825A
RL: BIOL (Biological study)
(dihydroalprenolol binding by colon membranes displacement by)
RN 107758-36-1 CAPLUS

10/009,008

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

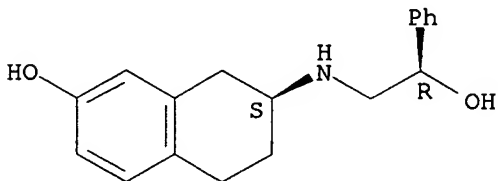


● HCl

RN 107758-37-2 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

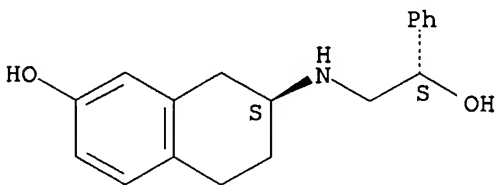


● HCl

RN 107758-39-4 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



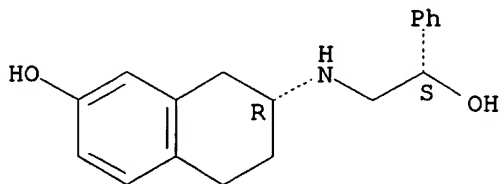
● HCl

RN 107758-41-8 CAPLUS

10/009,008

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

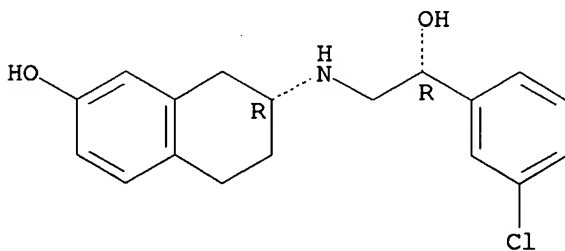
Absolute stereochemistry.



RN 120839-53-4 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

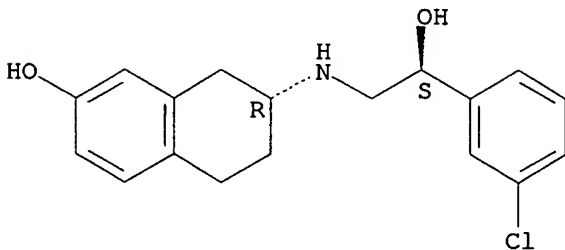


● HCl

RN 121216-30-6 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

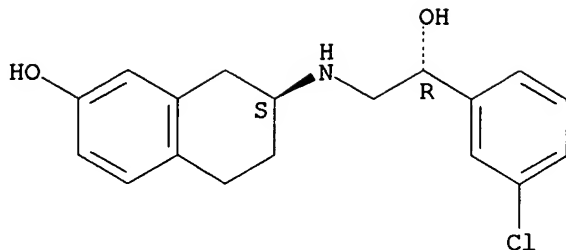


RN 121216-31-7 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

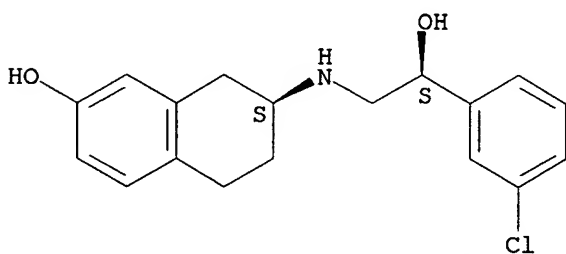
10/009,008



RN 121216-32-8 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

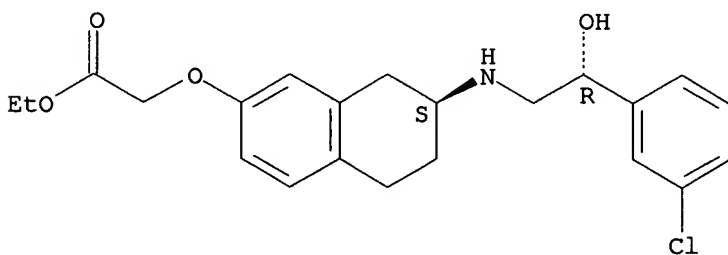


● HCl

RN 121524-09-2 CAPLUS

CN Acetic acid, [[[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

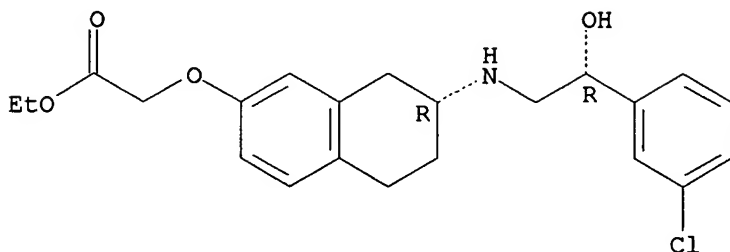
RN 121524-10-5 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-

10/009,008

tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

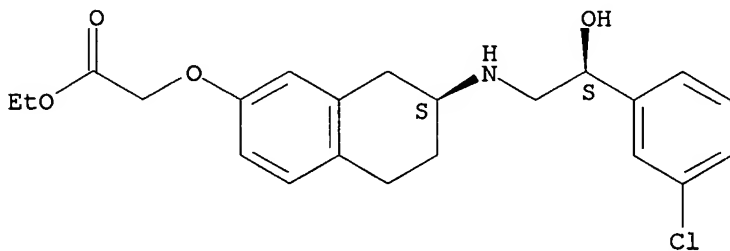


● HCl

RN 121524-11-6 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



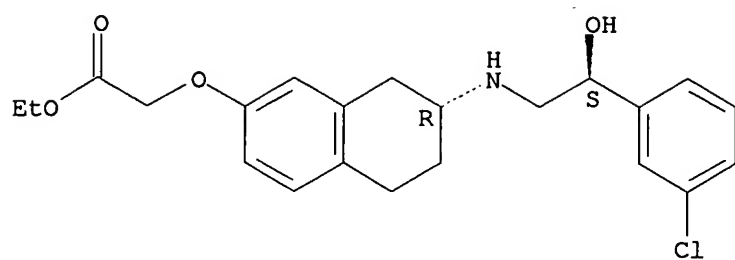
● HCl

RN 129831-97-6 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,S*)]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008



● HCl

10/009,008

L4 ANSWER 158 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1992:584788 CAPLUS

DN 117:184788

TI Antidepressant profile in rodents of SR 58611A, a new selective agonist for atypical .beta.-adrenoceptors

AU Simiand, Jacques; Keane, Peter E.; Guitard, Josette; Langlois, Xavier; Gonalons, Nadine; Martin, Patrick; Bianchetti, Alberto; Le Fur, Gerard; Soubrie, Philippe

CS Sanofi Rech., Toulouse, 31036, Fr.

SO European Journal of Pharmacology (1992), 219(2), 193-201

CODEN: EJPHAZ; ISSN: 0014-2999

DT Journal

LA English

AB .beta.2-Adrenoceptor agonists possess antidepressant-like activity in animals and man, but their peripheral side-effects prevent their therapeutic use. Atypical .beta.-adrenoceptors have not been found in the

central nervous system, but exist in peripheral tissues such as the rat colon. The antidepressant-like effects of SR 58611A were studied in mice and rats. SR 58611A was active with minimal EDs of 0.1-0.3 mg/kg i.p. in several models (antagonism of hypothermia induced by apomorphine and reserpine, potentiation of yohimbine toxicity, reversal of learned helplessness), but was inactive in the tests of reserpine-induced ptosis and behavioral despair. The antidepressant-like effect of SR 58611A was not antagonized by selective .beta.1- or .beta.2-adrenergic receptor antagonists, but was blocked by high doses of the non-selective .beta.-adrenoceptor antagonists propranolol and alprenolol. Unlike .beta.2-adrenoceptor agonists, SR 58611A did not reduce the locomotor activity or increase the water intake at doses up to 10 mg/kg. SR 58611A is a prototype of a new class of antidepressant compds.

IT 121524-09-2

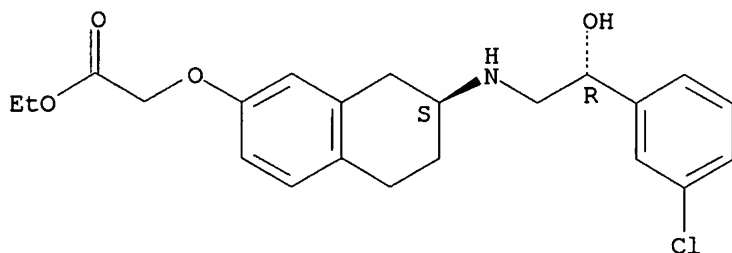
RL: BIOL (Biological study)

(antidepressant pharmacol. of, atypical .beta.-adrenergic receptors role in)

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



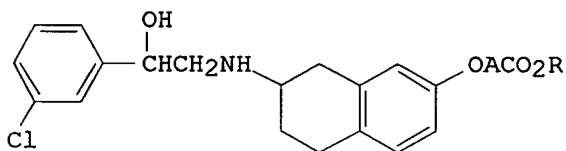
● HCl

10/009,008

10/009,008

L4 ANSWER 159 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1992:563882 CAPLUS
DN 117:163882
TI Phenylethanolaminotetralins as antidepressant and antistress agents
IN Keane, Peter Eugene; Bianchetti, Alberto; Simiand, Jacques; Croci, Tiziano
PA Elf Sanofi, Fr.
SO Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 489640	A1	19920610	EP 1991-403263	19911203
	EP 489640	B1	19961002		
	R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
	FR 2669821	A1	19920605	FR 1990-15171	19901204
	FR 2669821	B1	19941209		
	AT 143592	E	19961015	AT 1991-403263	19911203
	CA 2056906	AA	19920605	CA 1991-2056906	19911204
	CA 2056906	C	19980428		
	AU 9188395	A1	19920611	AU 1991-88395	19911204
	AU 653968	B2	19941020		
	HU 59595	A2	19920629	HU 1991-3800	19911204
	HU 207793	B	19930628		
	JP 05025040	A2	19930202	JP 1991-320532	19911204
	US 5270341	A	19931214	US 1991-804580	19911204
PRAI	FR 1990-15171		19901204		
OS	MARPAT 117:163882				
GI					



I

AB The title compds. I (A = C1-4 alkylene; R = H, C1-4 alkyl) are drugs for the prevention and treatment of depression and stress. Oral administration of

N-[(2S)-7-ethoxycarbonylmethoxy-1,2,3,4-tetrahydronaphth-2-yl]-(2R)-2-hydroxy-2-(3-chlorophenyl)ethanamine-HCl (2 mg/kg) lowered the myoelec. activity of the proximal colon in rats under immobilization stress.

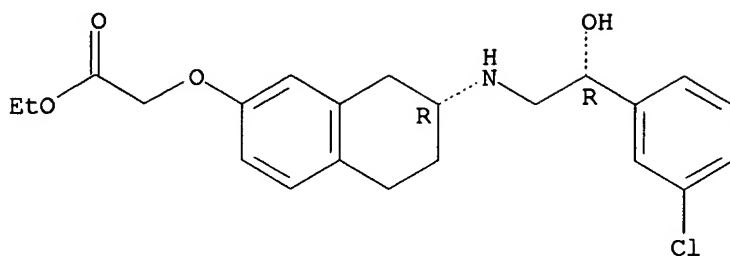
IT 121524-10-5 121524-11-6 129831-97-6
135025-87-5 143554-26-1 143554-27-2
RL: BIOL (Biological study)
(antidepressant and antistress agent)

RN 121524-10-5 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

10/009,008

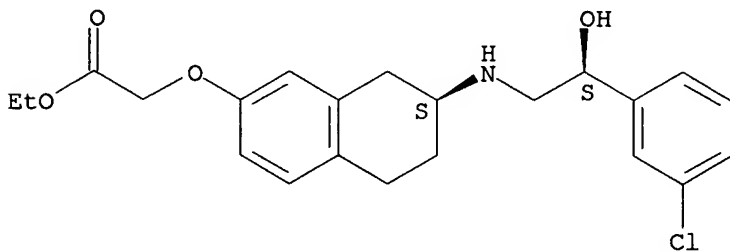
Absolute stereochemistry.



● HCl

RN 121524-11-6 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

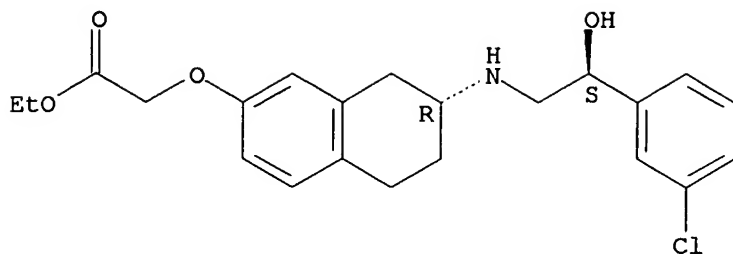


● HCl

RN 129831-97-6 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

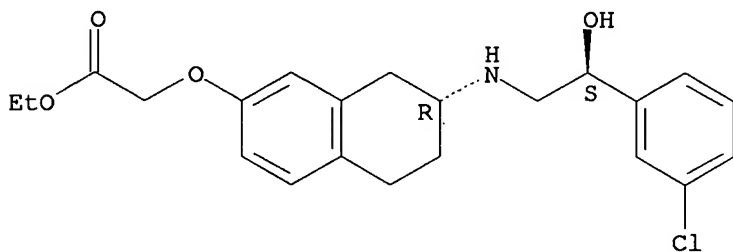
10/009,008



● HCl

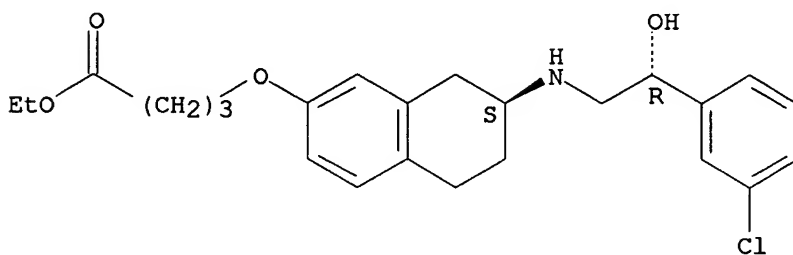
RN 135025-87-5 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 143554-26-1 CAPLUS
CN Butanoic acid, 4-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



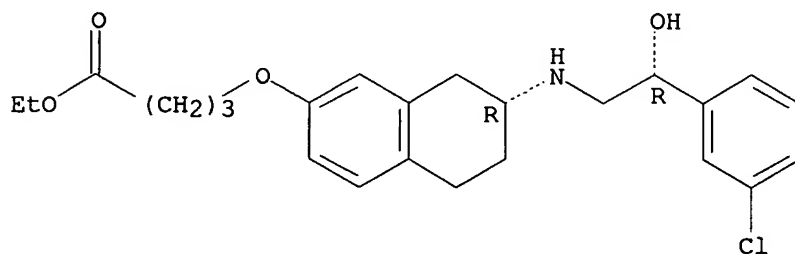
● HCl

RN 143554-27-2 CAPLUS

10/009,008

CN Butanoic acid, 4-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



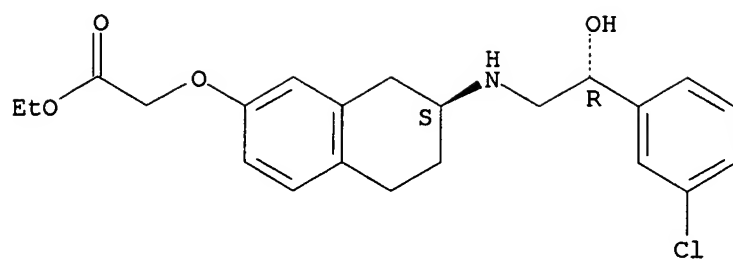
● HCl

10/009,008

L4 ANSWER 160 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1992:484024 CAPLUS
DN 117:84024
TI .beta.-Adrenoceptor agonist stimulation of acid secretion by rat stomach
in vitro is mediated by 'atypical' .beta.-adrenoceptors
AU Canfield, Paul; Paraskeva, Paraskevas
CS Med. Sch., St Mary's Hosp., London, W2 1PG, UK
SO British Journal of Pharmacology (1992), 106(3), 583-6
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
AB A previous study showed .beta.-adrenoceptor agonists stimulated acid
secretion by rat stomach in vitro. The receptors could not be classed as
either the .beta.1- or .beta.2-subtype. This study examines the effect
of
2 atypical .beta.-agonists on acid secretion. Basal and
isoprenaline-stimulated acid secretion were compared in tissues bathed in
either HEPES/O or HCO₃-/CO₂ buffer. Basal secretion was underestimated
in
HCO₃- by an amt. equal to the rate of base secretion. Tissues responded
well in HEPES buffer and there was no base secretion following acid
inhibition with SCH 28080. HEPES was used for the study. SR 85611A
stimulated acid in a concn.-related way (0.1-5 .mu.M). Max. response at
1
.mu.M was equal to the response to a maximal concn. of isoprenaline. BRL
37344 (1 .mu.M) also stimulated to the same extent. Responses to
isoprenaline (5 .mu.M) and SR 58611A (1 .mu.M) were reduced by
propranolol
(10 .mu.M) but not by alprenolol (10 .mu.M) or by practolol (12.5 .mu.M)
plus ICI 118551 (1 .mu.M). Exposure to SR 58611A (1 .mu.M) led to
desensitization to isoprenaline but not to bethanecol (1 .mu.M) or
histamine (50 .mu.M). Thus, a HEPES/O buffer is advantageous when
measuring gastric acid secretion in vitro, and the stimulatory effect of
.beta.-adrenoceptor agonists is mediated by atypical receptors.
IT 121524-09-2, SR 58611A
RL: BIOL (Biological study)
(acid secretion by stomach stimulation by, .beta.-adrenergic receptor
subtype in relation to)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[(7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/009,008



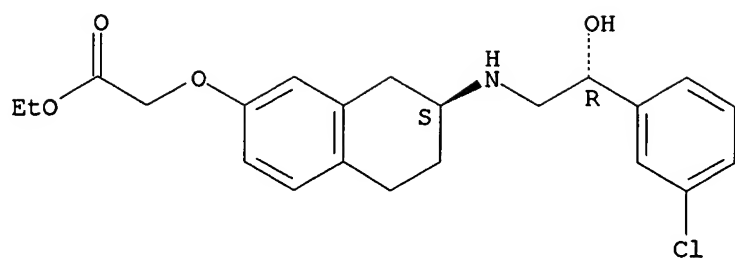
● HCl

10/009,008

L4 ANSWER 161 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1992:483203 CAPLUS
DN 117:83203
TI Stimulation of bicarbonate secretion by atypical .beta.-receptor agonists
in rat cecum in vitro
AU Canfield, Paul; Abdul-Ghaffar, Tarik
CS Med. Sch., St. Mary's Hosp., London, W2 1PG, UK
SO European Journal of Pharmacology (1992), 216(2), 293-7
CODEN: EJPHAZ; ISSN: 0014-2999
DT Journal
LA English
AB This study examd. the effects of .beta.-adrenoceptor agonists on
bicarbonate secretion by the rat cecum in vitro. Isoprenaline, the
.beta.2-selective agonist salbutamol and the 'atypical' .beta.-agonist
SR58611A stimulated bicarbonate secretion in a concn. related manner.
Another atypical agonist, BRL 37344, also stimulated. Responses to
isoprenaline were antagonized by alprenolol and propranolol (both 20
.mu.M) but not the selective antagonists practolol (10 .mu.M) or ICI
1185511 (1 .mu.M). Responses to Sr 58611A were only antagonized by
alprenolol. Replacement of Cl⁻ by NO₃⁻ on the mucosal surface reduced
basal secretion and abolished the response to isoprenaline. Exposure to
a single concn. of atypical agonist resulted in desensitization to a second
application and to isoprenaline. There was no evidence of
desensitization with isoprenaline or salbutamol. The results show that
.beta.-adrenoceptor agonists stimulated bicarbonate secretion in contrast
to the previously described inhibitory effect of cholinergic drugs in
this tissue. Stimulation was mediated by .beta.-adrenoreceptors, which had
properties consistent with the atypical receptors described in gut smooth
muscle and in adipose tissue. Both adrenergic and cholinergic drugs may
act on the same mechanism of secretion which may involve an exchange of
HCO₃⁻ for mucosal Cl⁻.
IT 121524-09-2, SR 58611A
RL: BIOL (Biological study)
(cecum bicarbonate secretion response to)
RN 121524-09-2 CAPLUS
CN Acetic acid, [[[7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-
5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/009,008

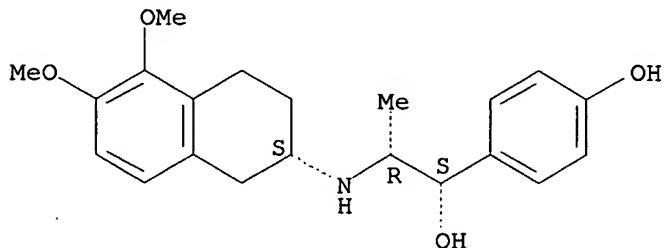


● HCl

10/009,008

L4 ANSWER 162 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1992:469534 CAPLUS
DN 117:69534
TI Crystal and molecular structure of a new aminotetralinic derivative:
5,6-dimethoxy-2-[3'-(p-hydroxyphenyl)-3'-hydroxypropyl-2'-amino]-1,2,3,4
tetrahydronaphthalene hydrochloride
AU Pelizzi, Giancarlo; Redenti, Enrico; Bovis, Giovanni; Ventura, Paolo
CS Ist. Chim. Gen. Inorg., CNR, Parma, I-43100, Italy
SO Farmaco (1992), 47(3), 397-403
CODEN: FRMCE8; ISSN: 0014-827X
DT Journal
LA English
AB The crystal structure of the title compd. is reported.
IT **134523-99-2**
RL: PRP (Properties)
(crystal and mol. structure of)
RN 134523-99-2 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, hydrochloride, [2S-[2R*[S*(R*)]]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

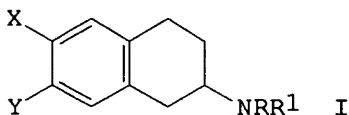


● HCl

10/009,008

L4 ANSWER 163 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1992:235268 CAPLUS
DN 116:235268
TI Preparation of 6,7-disubstituted-2-aminotetralines as immunomodulators
IN Foresta, Piero; Marzi, Mauro; Tinti, Maria Ornella
PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SO Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	EP 466662	A2	19920115	EP 1991-830261	19910613
	EP 466662	A3	19921209		
	EP 466662	B1	19951018		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 129232	E	19951115	AT 1991-830261	19910613
	ES 2078497	T3	19951216	ES 1991-830261	19910613
	JP 04230247	A2	19920819	JP 1991-143211	19910614
	JP 2875061	B2	19990324		
	US 5637614	A	19970610	US 1996-639431	19960429
	US 5962525	A	19991005	US 1997-871050	19970609
PRAI	IT 1990-48066		19900615		
	US 1991-714851		19910613		
	US 1996-639431		19960429		
OS	MARPAT 116:235268				
GI					



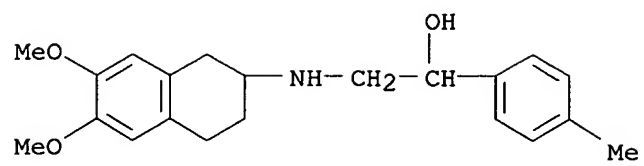
AB Title compds. I [X, Y = MeO, AcO, F; R, R1 = H, Et, Pr, cyclopropylmethyl, CH2CHOHPh, 4-MeC6H4CHOHCH2, 4-MeOC6H4OCH2CHOHCH2], some of which are novel, were prepd. as immunomodulators. Thus, 2-(propylamino)-6,7-dimethoxytetralin was refluxed in 47% HBr overnight to give 2-(propylamino)-6,7-dihydroxytetralin.HBr. This was treated with AcCl in CF3CO2H to give 2-(propylamino)-6,7-diacetoxytetralin.HCl (II). The in vitro-ex vivo effect of II on the phagocytic activity of exudate peritoneal cells was tested.

IT **140914-55-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(immunomodulating activity of)

RN 140914-55-2 CAPLUS

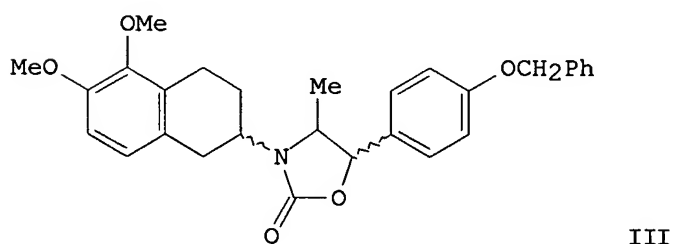
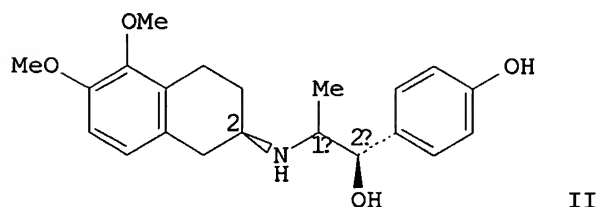
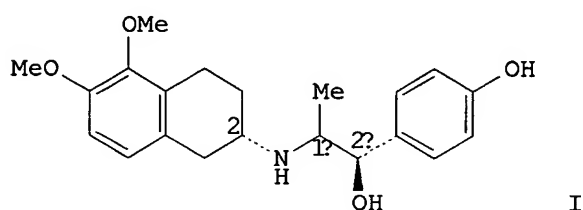
CN Benzenemethanol, 4-methyl-.alpha.-[[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)amino]methyl]- (9CI) (CA INDEX NAME)

10/009,008



10/009,008

L4 ANSWER 164 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1992:193529 CAPLUS
DN 116:193529
TI Differentiation of diastereomeric aminotetralins by metastable ion
spectra
of 2-oxazolidinone derivatives upon electron-impact ionization
AU Selva, Antonio; Redenti, Enrico; Amari, Gabriele; Ventura, Paolo
CS Cent. Stud. Sostanze Org. Nat., CNR, Milan, I-20133, Italy
SO Organic Mass Spectrometry (1992), 27(1), 63-5
CODEN: ORMSBG; ISSN: 0030-493X
DT Journal
LA English
GI



AB Derivatization of epimers I and II by benzylation of the phenolic group followed by reaction with phosgene leads to the corresponding diastereomeric O-benzyl oxazolidin-2-ones which can be distinguished by mass spectrometry. These derivs., on EI ionization, show metastable mol. ion MIKE spectra with well-reproducible differences of the relative intensities of the peaks. Minimized conformations of derivatized neutral epimers (III) calcd. (PCMODEL Version 4.0) from crystallog. data were in agreement with mass spectral data.

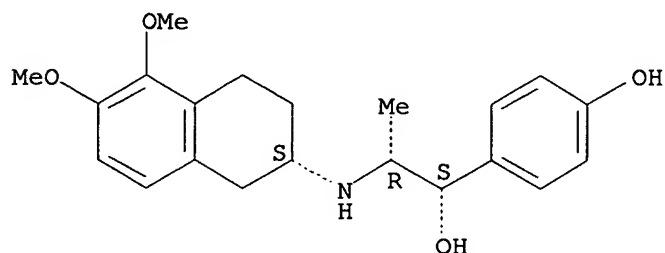
IT 134622-85-8 134622-86-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzylation of phenolic group followed by reaction with phosgene,

MIKE

10/009,008

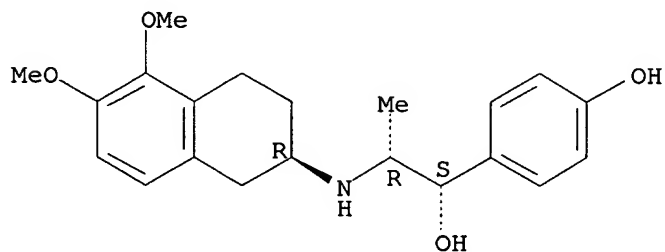
spectra of epimer from)
RN 134622-85-8 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, [2S-[2R*[S*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 134622-86-9 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, [2R-[2R*[R*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

L4 ANSWER 165 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1992:59926 CAPLUS

DN 116:59926

TI Steric hindrance influence on the enantio recognition ability of tyrosine-derived chiral stationary phases

AU Siret, L.; Tambute, A.; Begos, A.; Rouden, J.; Caude, M.

CS Lab. Chim. Analy., Ec. Super. Phys. Chim. Ind., Paris, Fr.

SO Chirality (1991), 3(5), 427-35

CODEN: CHRLEP; ISSN: 0899-0042

DT Journal

LA English

AB Four chiral stationary phases 3,5-(O₂N)₂C₆H₃CO-Tyr[(CH₂)₃S(CH₂)₃P]-NHR (I;

R = Me, Et, CHMe₂, CMe₃; P = silica support) derived from N-(3,5-dinitrobenzoyl)tyrosine have been synthesized. The enantiomer recognition ability of I was evaluated with 10 racemates. For the majority of them, the stereoselectivity increases with the steric hindrance of the substituent. The enantiomeric sepn. on I has evidenced

a reversal of elution order only for I (R = CMe₃), suggesting a change in its conformation.

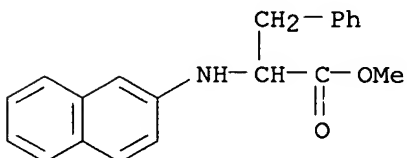
IT 135088-68-5

RL: PROC (Process)

(resoln. of, by liq. chromatog. on (dinitrobenzoyl)tyrosine chiral stationary phases)

RN 135088-68-5 CAPLUS

CN Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)



IT 135213-13-7 135213-14-8

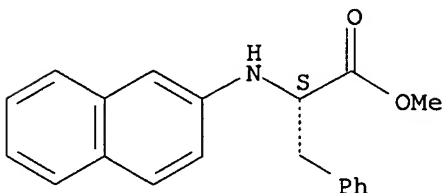
RL: PROC (Process)

(sepn. of, from enantiomer by liq. chromatog. on (dinitrobenzoyl)tyrosine stationary phases)

RN 135213-13-7 CAPLUS

CN L-Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

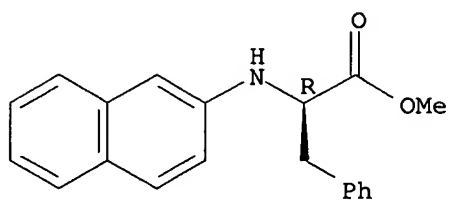


RN 135213-14-8 CAPLUS

CN D-Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)

10/009,008

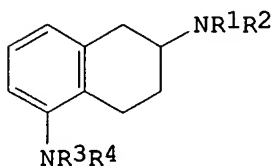
Absolute stereochemistry.



10/009,008

L4 ANSWER 166 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1991:471161 CAPLUS
DN 115:71161
TI Preparation of 2,5-diaminotetralins as dopamine antagonists
IN Grauert, Matthias; Merz, Herbert; Mierau, Joacim; Schingnitz, Guenter;
Schneider, Claus
PA Boehringer Ingelheim K.-G., Germany; Boehringer Ingelheim International
G.m.b.H.
SO Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 402923	A2	19901219	EP 1990-111244	19900613
	EP 402923	A3	19910807		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 3919624	A1	19901220	DE 1989-3919624	19890615
	JP 03031244	A2	19910212	JP 1990-156623	19900614
	US 5196454	A	19930323	US 1990-539093	19900615
PRAI	DE 1989-3919624		19890615		
OS	CASREACT 115:71161; MARPAT 115:71161				
GI					



AB The title compds. [I; R1 = H, C1-12 alkyl, C3-12 alkenyl, C3-12 alkynyl, (CH2)a cycloalkyl, aralkyl; R2 = C1-12 alkyl, C3-12 alkenyl, C3-12 alkynyl, (CH2)b cycloalkyl, (CH2)cPh, (CH2)m heteroaryl, acyl, etc; R3 = H, C1-12 alkyl, C3-12 alkynyl, formyl, acyl, alkylcarbonyl, CONR5R6, aralkyl, etc.; R4 = H, C1-12 alkyl; R5, R6 = alkyl; a, b, c = 1-12; m = 1-6] and their acid addn. salts, useful for the treatment of schizophrenia, Parkinson disease, prolactin hyperfunction, and hypertension, were prepd. by reductive amination of 5-amino-2-tetralones, e.g., with amines R1NH2 (provisos are given). Thus, 5-acetylamino-2-[(3-phenylpropyl)amino]tetralin HCl salt was prepd. in 75.2 yield by reductive amination of 5-acetylamino-2-tetralone with PhCH2CH2CH2NH2, and deacetylated in 91.4% yield by refluxing for 2.5 h with 6N HCl to give title compd. I (R1 = PhCH2CH2CH2, R2 = R3 = R4 = H) as 2HCl salt. In a test on (unspecified) animals by using 0.9% NaCl soln. as a control, the latter at 10 mg/kg s.c. gave 45.5% inhibition of .gamma.-butyrolactone-stimulated accumulation of DOPA in the corpus striatum.

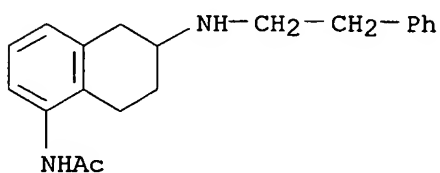
IT 135012-74-7P 135012-75-8P 135012-95-2P
135012-96-3P 135012-97-4P 135012-98-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of dopamine antagonists)

10/009,008

RN 135012-74-7 CAPLUS

CN Acetamide,

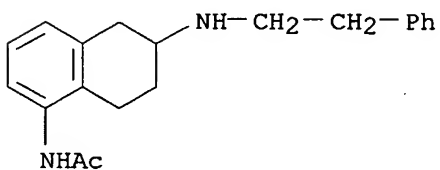
N-[5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-1-naphthalenyl]-
(9CI) (CA INDEX NAME)



RN 135012-75-8 CAPLUS

CN Acetamide,

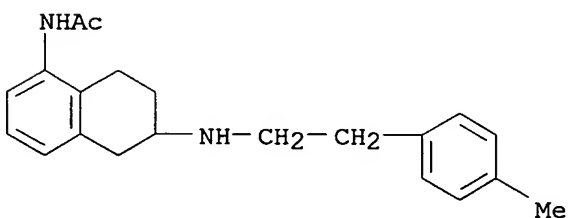
N-[5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-1-naphthalenyl]-
, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 135012-95-2 CAPLUS

CN Acetamide, N-[5,6,7,8-tetrahydro-6-[[2-(4-methylphenyl)ethyl]amino]-1-naphthalenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

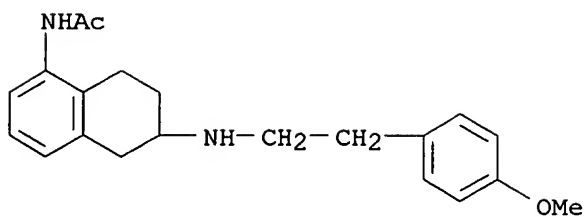


● HCl

RN 135012-96-3 CAPLUS

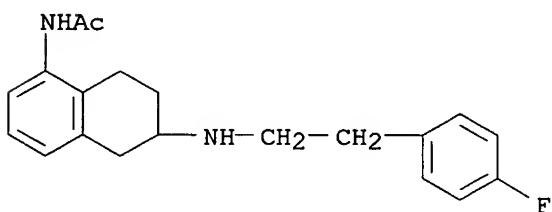
CN Acetamide, N-[5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)ethyl]amino]-1-naphthalenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008



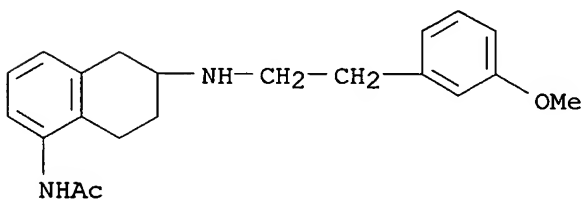
● HCl

RN 135012-97-4 CAPLUS
CN Acetamide, N-[6-[[2-(4-fluorophenyl)ethyl]amino]-5,6,7,8-tetrahydro-1-naphthalenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

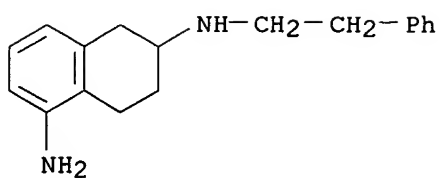
RN 135012-98-5 CAPLUS
CN Acetamide, N-[5,6,7,8-tetrahydro-6-[[2-(3-methoxyphenyl)ethyl]amino]-1-naphthalenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

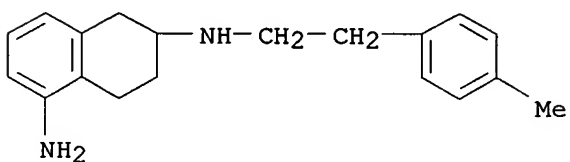
IT 135011-54-0P 135011-71-1P 135011-72-2P
135011-73-3P 135011-74-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as dopamine antagonist)
RN 135011-54-0 CAPLUS
CN 1,6-Naphthalenediamine, 5,6,7,8-tetrahydro-N6-(2-phenylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

10/009,008



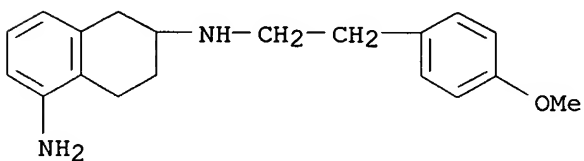
●2 HCl

RN 135011-71-1 CAPLUS
CN 1,6-Naphthalenediamine, 5,6,7,8-tetrahydro-N6-[2-(4-methylphenyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

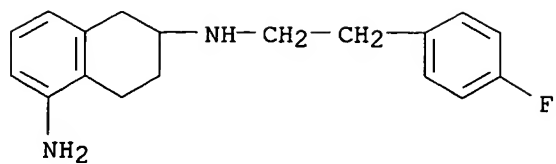
RN 135011-72-2 CAPLUS
CN 1,6-Naphthalenediamine, 5,6,7,8-tetrahydro-N6-[2-(4-methoxyphenyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

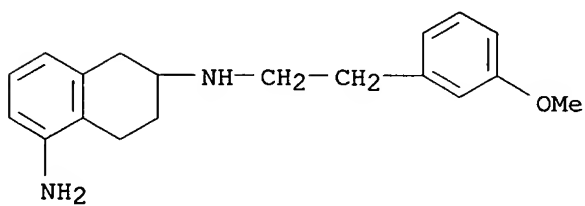
RN 135011-73-3 CAPLUS
CN 1,6-Naphthalenediamine, N6-[2-(4-fluorophenyl)ethyl]-5,6,7,8-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)

10/009,008



●2 HCl

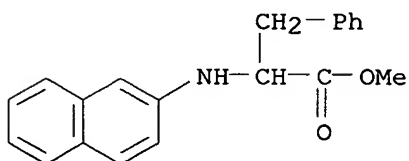
RN 135011-74-4 CAPLUS
CN 1,6-Naphthalenediamine,
5,6,7,8-tetrahydro-N6-[2-(3-methoxyphenyl)ethyl]-,
dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

10/009,008

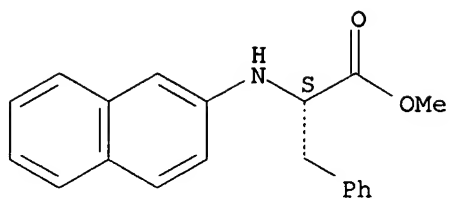
L4 ANSWER 167 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1991:470844 CAPLUS
DN 115:70844
TI Chiral recognition mechanisms on chiral stationary phases derived from tyrosine. Specific influence of the nature of the asymmetric center vicinal functional group
AU Siret, L.; Tambute, A.; Caude, M.; Rosset, R.
CS Lab. Chim. Anal., Ec. Super. Phys. Chim. Ind. Paris, Paris, 75231, Fr.
SO Journal of Chromatography (1991), 540(1-2), 129-43
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
AB Four chiral stationary phases (CSPs) derived from N-(3,5-dinitrobenzoyl)tyrosine were synthesized. They differ in only one potential site of interaction: the functional group directly bound to the asym. center. This was evaluated in terms of its dominant character according to the selectivity parameters .chi.c, .chi.d, and .chi.n of an equiv. solvent. For this purpose, the enantiomeric sepn. of nine racemates using liq. and subcrit. fluid chromatog. modes were performed on the four CSPs. The chromatog. data allowed the detn. of the nature of the interaction occurring at the modular site of interaction, depending on the solute structure. The influence of the nature of the polar modifier on this interaction was also investigated.
IT **135088-68-5**
RL: PROC (Process)
(chromatog. resoln. of, on stationary phases from (dinitrobenzoyl)tyrosine)
RN 135088-68-5 CAPLUS
CN Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)



IT **135213-13-7 135213-14-8**
RL: PRP (Properties)
(chromatog. sepn. of, using chiral stationary phase)
RN 135213-13-7 CAPLUS
CN L-Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

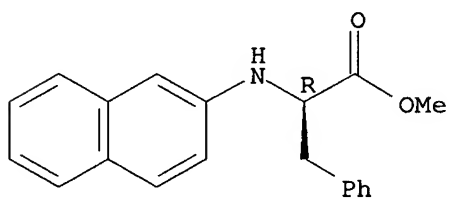
10/009,008



RN 135213-14-8 CAPLUS

CN D-Phenylalanine, N-2-naphthalenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/009,008

(2R)-2-(3-chlorophenyl)-2-hydroxyethanamine HCl (I) 1.0, NaH₂PO₄ 10.4, Na₂HPO₄ 2.4, chlorobutanol 5.0, hydroxypropylmethyl cellulose 5.0 mg, 1N NaOH to pH 7.4, and water to 1.0 mL. I was tested in an exptl. (rabbit) glaucoma model.

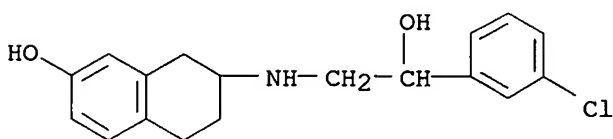
IT 107758-23-6 121216-30-6 121489-39-2
121489-40-5 121524-07-0 121524-08-1
129831-97-6 132990-67-1 132990-74-0
135025-85-3 135025-86-4 135025-87-5
135025-88-6 135025-89-7

RL: BIOL (Biological study)

(ophthalmic pharmaceutical contg., for glaucoma treatment)

RN 107758-23-6 CAPLUS

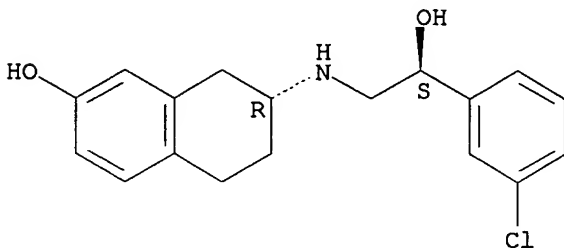
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 121216-30-6 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

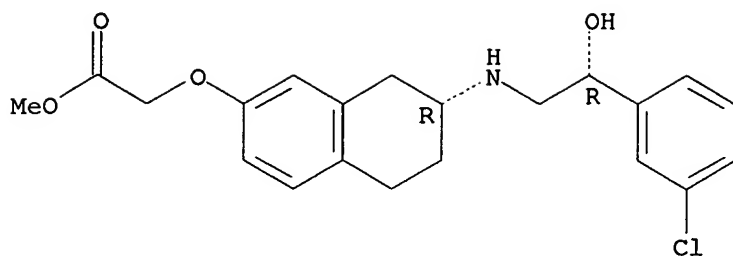


RN 121489-39-2 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, methyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

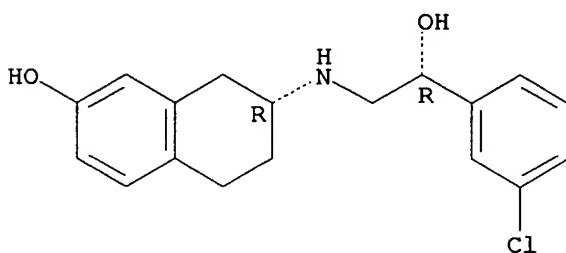


● HCl

RN 121489-40-5 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

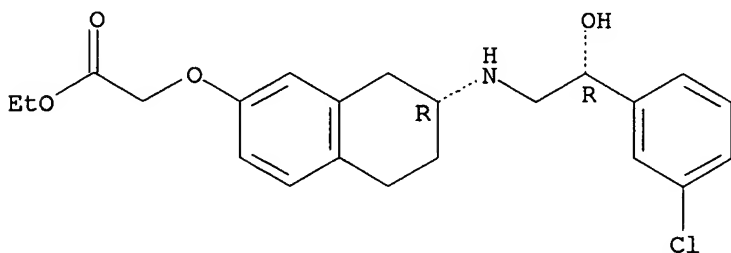
Absolute stereochemistry.



RN 121524-07-0 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

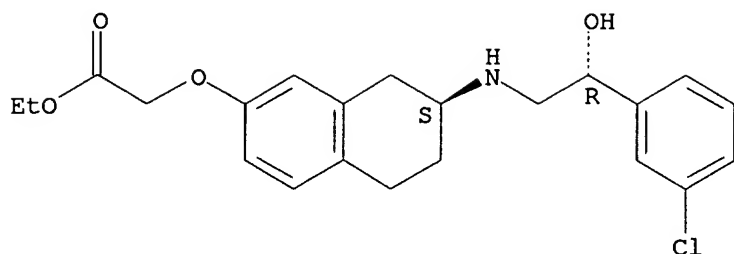


RN 121524-08-1 CAPLUS

CN Acetic acid, [[(2S)-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

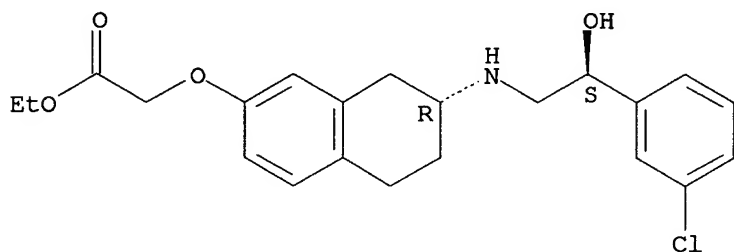
10/009,008



RN 129831-97-6 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,S*)]-(9CI) (CA INDEX NAME)

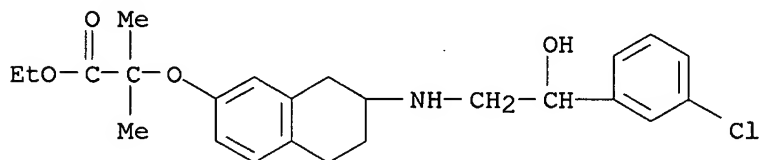
Absolute stereochemistry.



● HCl

RN 132990-67-1 CAPLUS

CN Propanoic acid, 2-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methyl-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)

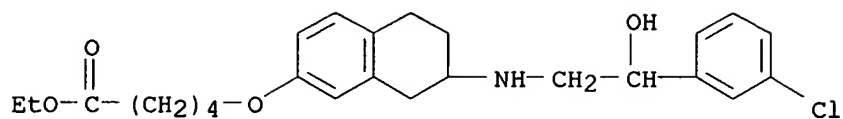


● HCl

RN 132990-74-0 CAPLUS

CN Pentanoic acid, 5-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

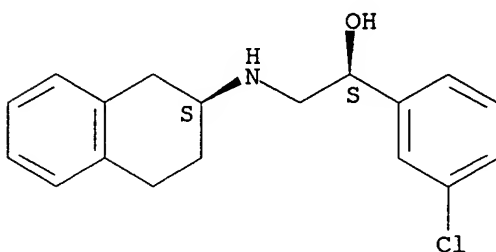
10/009,008



RN 135025-85-3 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

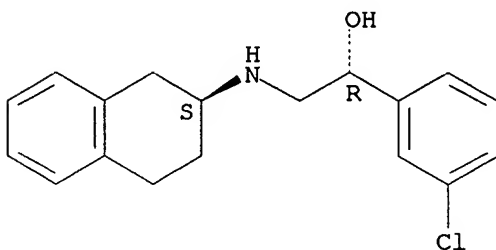
Absolute stereochemistry.



RN 135025-86-4 CAPLUS

CN Benzenemethanol, 3-chloro-.alpha.-[[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

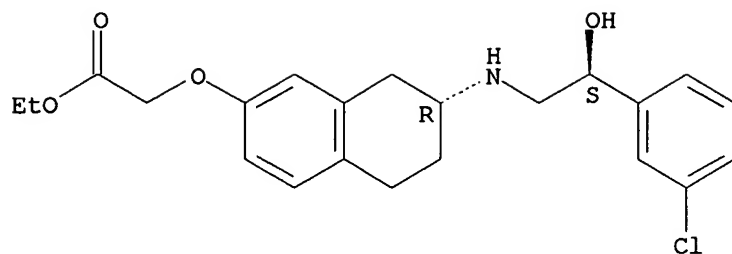


RN 135025-87-5 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

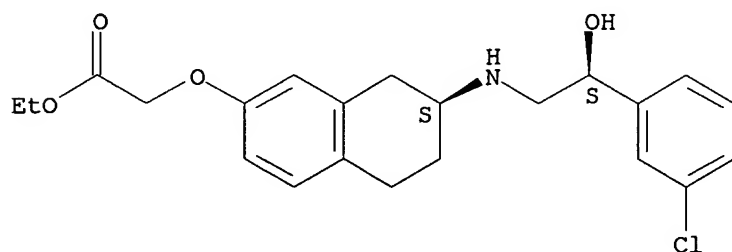
10/009,008



RN 135025-88-6 CAPLUS

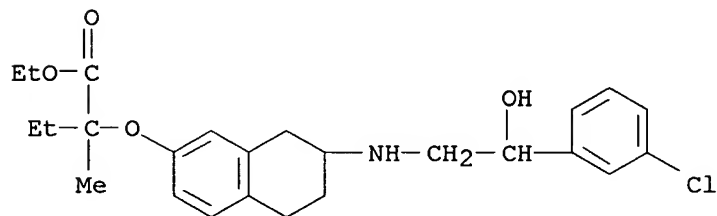
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 135025-89-7 CAPLUS

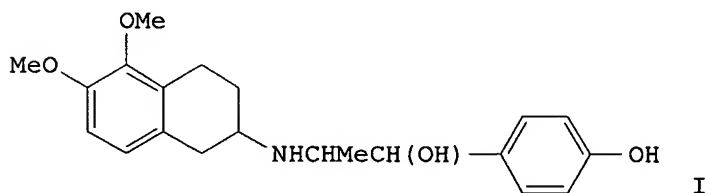
CN Butanoic acid, 2-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 169 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1991:428925 CAPLUS
DN 115:28925
TI Preparation of 5,6-dimethoxy-2-[[2-(4-hydroxyphenyl)-2-hydroxy-1-methylethyl]amino]-1,2,3,4-tetrahydronaphthalene isomers as cardiovascular agents
IN Chiesi, Paolo; Bongrani, Stefano; Delcanale, Maurizio; Servadio, Vittorino
PA Chiesi Farmaceutici S.p.A., Italy
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 405344	A2	19910102	EP 1990-111831	19900622
	EP 405344	A3	19910206		
	EP 405344	B1	19930901		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 93837	E	19930915	AT 1990-111831	19900622
	CA 2019828	AA	19901227	CA 1990-2019828	19900626
	NO 9002838	A	19901228	NO 1990-2838	19900626
	NO 173542	B	19930920		
	NO 173542	C	19931229		
	AU 9057832	A1	19910110	AU 1990-57832	19900626
	AU 633931	B2	19930211		
	JP 03063253	A2	19910319	JP 1990-168153	19900626
	ZA 9004962	A	19910424	ZA 1990-4962	19900626
	HU 58276	A2	19920228	HU 1990-3990	19900626
	US 5096929	A	19920317	US 1990-544201	19900626
PRAI	IT 1989-20996		19890627		
	EP 1990-111831		19900622		
OS	MARPAT 115:28925				
GI					



AB Isomers of the title compd. (I) were prepd. A soln. of (+-)-4-hydroxynorephedrine-HCl in MeOH was adjusted to pH 7 and slowly added to 5,6-dimethoxy-2-tetralone in MeOH, the reaction mixt. was cooled to 12.degree., stirred under N for 20 h at room temp., treated with NaBH₂CN and Bu₄NBH₃CN, acidified to pH 1, and evapd. to dryness to give, after workup, erythro-I.HCl as a mixt. of 4 stereoisomers. The inotropic and chronotropic activity was demonstrated.

IT 134406-69-2P 134523-99-2P 134524-00-8P
134524-01-9P 134524-02-0P 134524-03-1P

10/009,008

134524-04-2P 134524-05-3P 134524-06-4P
134524-07-5P 134622-84-7P 134622-85-8P
134622-86-9P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

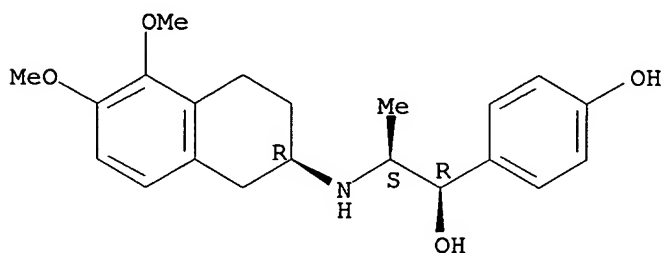
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)

RN 134406-69-2 CAPLUS

CN Benzenemethanol,

4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, hydrochloride, [2R-[2R*[S*(R*)]]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



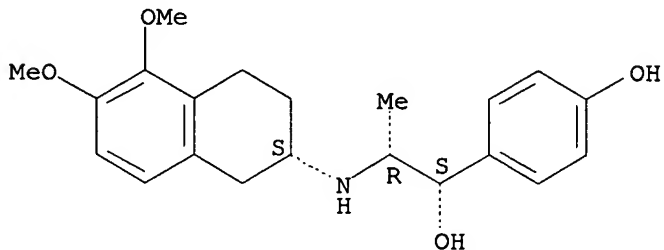
● HCl

RN 134523-99-2 CAPLUS

CN Benzenemethanol,

4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, hydrochloride, [2S-[2R*[S*(R*)]]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



● HCl

RN 134524-00-8 CAPLUS

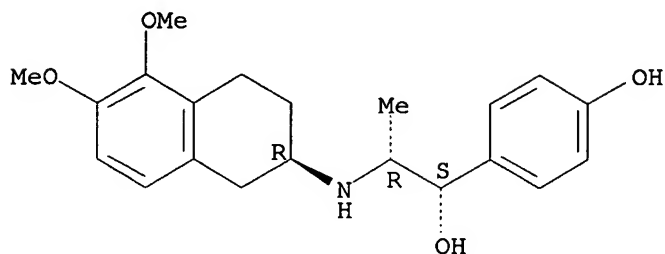
CN Benzenemethanol,

4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-

10/009,008

naphthalenyl)amino]ethyl]-, hydrochloride, [2R-[2R*[R*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



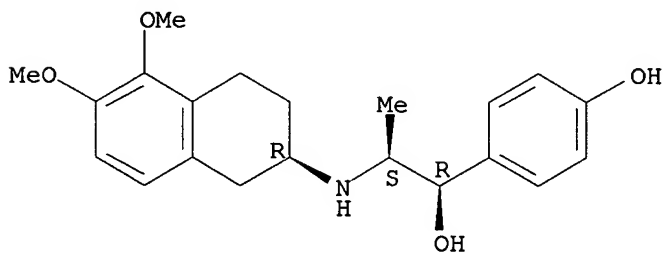
● HCl

RN 134524-01-9 CAPLUS

CN Benzenemethanol,

4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]-, [2R-[2R*[S*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

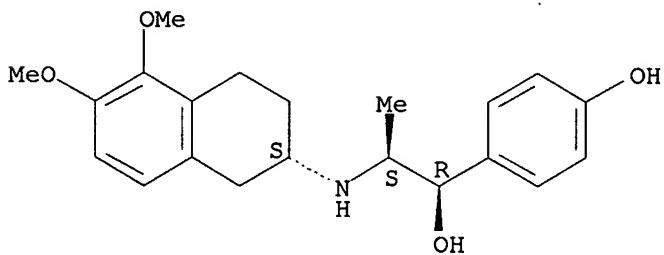


RN 134524-02-0 CAPLUS

CN Benzenemethanol,

4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]-, [2S-[2R*[R*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

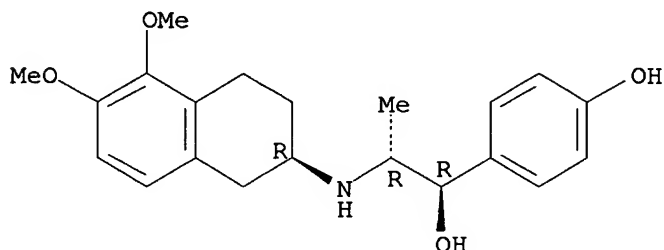


RN 134524-03-1 CAPLUS

10/009,008

CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, hydrochloride, [2R-[2R*[R*(R*)]]]- (9CI) (CA
INDEX NAME)

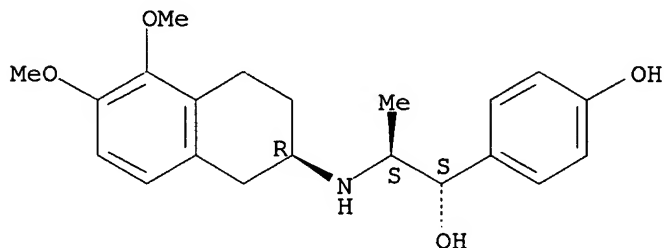
Absolute stereochemistry.



● HCl

RN 134524-04-2 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, hydrochloride, [2R-[2R*[S*(S*)]]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

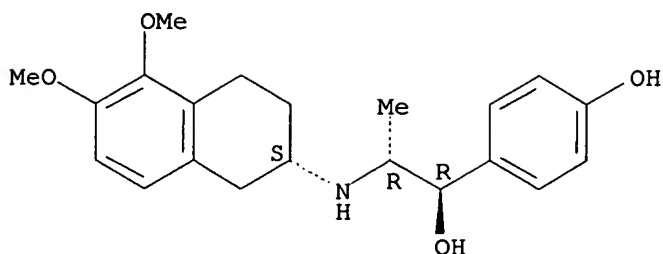


● HCl

RN 134524-05-3 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-
naphthalenyl)amino]ethyl]-, hydrochloride, [2S-[2R*[S*(S*)]]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

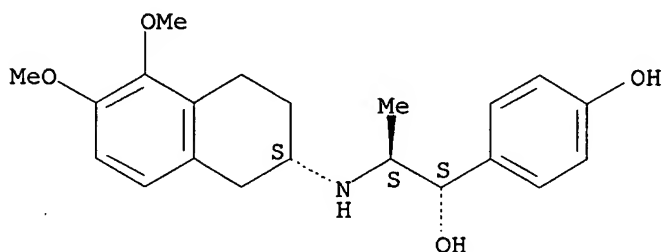
10/009,008



● HCl

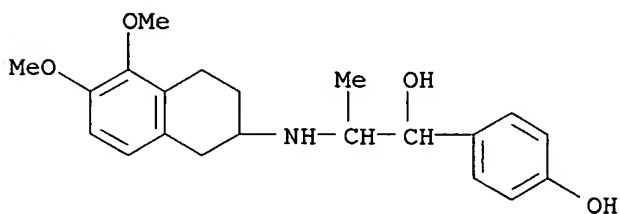
RN 134524-06-4 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]-, hydrochloride, [2S-[2R*[R*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 134524-07-5 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

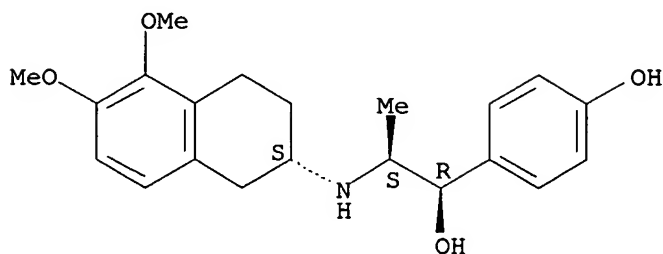


RN 134622-84-7 CAPLUS
CN Benzenemethanol,
4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-

10/009,008

naphthalenyl)amino]ethyl]-, hydrochloride, [2S-[2R*[R*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



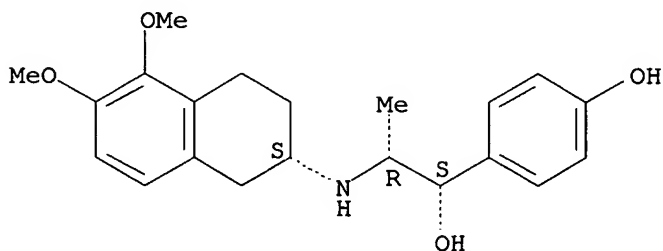
● HCl

RN 134622-85-8 CAPLUS

CN Benzenemethanol,

4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]-, [2S-[2R*[S*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

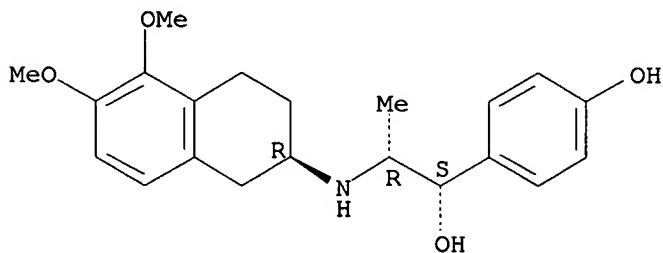


RN 134622-86-9 CAPLUS

CN Benzenemethanol,

4-hydroxy-.alpha.-[1-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]ethyl]-, [2R-[2R*[R*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

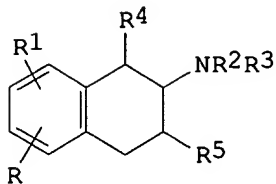


10/009,008

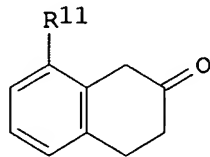
10/009,008

L4 ANSWER 170 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1991:428924 CAPLUS
DN 115:28924
TI Preparation of therapeutically useful 2-aminotetralin derivatives from
2-tetralones
IN Lin, Chiu Hong; Haadsma, Susanne R.; Piercey, Montford F.; Romero, Arthur
Glenn; Darlington, William H.
PA Upjohn Co., USA
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9015047	A1	19901213	WO 1990-US2726	19900522
	W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	CA 2051399	AA	19901201	CA 1990-2051399	19900522
	AU 9058221	A1	19910107	AU 1990-58221	19900522
	AU 654653	B2	19941117		
	EP 476016	A1	19920325	EP 1990-909279	19900522
	EP 476016	B1	19981028		
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	JP 04505618	T2	19921001	JP 1990-508734	19900522
	JP 2785879	B2	19980813		
	HU 61719	A2	19930301	HU 1990-5095	19900522
	RU 2086535	C1	19970810	RU 1990-5010446	19900522
	AT 172712	E	19981115	AT 1990-909279	19900522
	ES 2123500	T3	19990116	ES 1990-909279	19900522
	NO 9104714	A	19911129	NO 1991-4714	19911129
	NO 176437	B	19941227		
	NO 176437	C	19950405		
	US 6331636	B1	20011218	US 1992-850136	19920312
PRAI	US 1989-360190	A2	19890531		
	WO 1990-US2726	A	19900522		
	US 1990-596923	B1	19901015		
	US 1991-768915	B2	19910917		
	WO 1991-US6863	A2	19910926		
OS	CASREACT 115:28924; MARPAT 115:28924				
GI					



I



II

10/009,008

AB Therapeutically useful 2-aminotetralins and pharmaceutically acceptable acid addn. salts thereof of formula I [R = H, halogen, R1 = H, OR6, SR6, CONR7R8, CN, heterocycle, carbonyl-heterocycle, CF3, SO2NR7R8, 5-oxazolyl;

R2 = R3 = H, C1-8 alkyl, C3-5 alkenyl, C3-8 alkynyl, (CH2)m-03-8 cycloalkyl, (CH2)m-cycloalkenyl, (CH2)m-acyl, Me3SiCH2, R3 = (CH2)m-indole

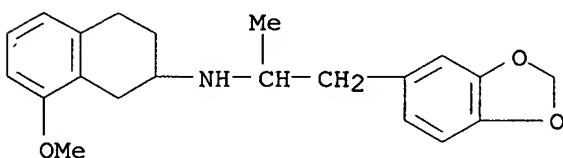
(N-R10-substituted, ring R9 substituted) etc., when R2R3 = ring, R4, R5 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, (CH2)m aryl, (CH2)m CO2R6, (CH2)m OR6, R6, R7, R8 = H, C1-4 alkyl, C1-4 alkenyl, C3-8 cycloalkyl, R9 = H, OR6, SR6, R10 = H, aryl, C1-4 alkyl, C1-4 alkylaryl, Acyl, Aryl, m = 0-4, etc.] were prepd. from 2-tetralone, e.g. II (R11 = OMe, CO2Me, Br) via reductive amination. Thus, II (R11 = CONH2) was treated with PrNH2 and NaBH3CN in AcOH-MeOH to give I (R = R2 = R4 = R5 = H, R1 = 8-CONH2, . I are useful in treatment of central nervous system disorders, hypertension, diabetes, sexual impotence, and to control appetite.

IT **134466-47-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as aminotetralin pharmaceutical)

RN 134466-47-0 CAPLUS

CN 1,3-Benzodioxole-5-ethanamine, .alpha.-methyl-N-(1,2,3,4-tetrahydro-8-methoxy-2-naphthalenyl)-, hydrochloride (9CI) (CA INDEX NAME)

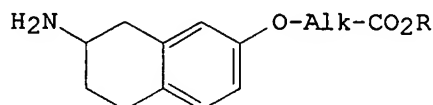


● HCl

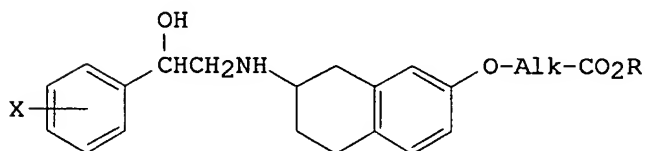
10/009,008

L4 ANSWER 171 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1991:185045 CAPLUS
DN 114:185045
TI Preparation of 2-amino-7-hydroxytetralin carboxyalkyl ethers as
intermediates for spasmolytic phenylethanaminotetralins
IN Guzzi, Umberto; Cecchi, Roberto
PA SANOFI, Fr.; Midy S.p.A.
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 383686	A1	19900822	EP 1990-400405	19900214
	EP 383686	B1	19930804		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
	FR 2643076	A1	19900817	FR 1989-1910	19890214
	FR 2643076	B1	19910621		
	CA 2009992	AA	19900814	CA 1990-2009992	19900214
	AU 9049786	A1	19900823	AU 1990-49786	19900214
	AU 642402	B2	19931021		
	ZA 9001121	A	19901128	ZA 1990-1121	19900214
	JP 03014548	A2	19910123	JP 1990-33584	19900214
	JP 2852681	B2	19990203		
	AT 92470	E	19930815	AT 1990-400405	19900214
	ES 2060079	T3	19941116	ES 1990-400405	19900214
PRAI	FR 1989-1910		19890214		
	EP 1990-400405		19900214		
OS	CASREACT 114:185045; MARPAT 114:185045				
GI					



I



II

AB Aminohydroxytetralin ethers I (Alk = C3-5 straight or branched alkylene;
R
= H, C1-4 alkyl) were prep'd. as intermediates for spasmolytic (no data)
phenylethanaminotetralins II (X = H, halo, C1-4 alkyl, CF₃). For
example, alkylation of 2-benzylamino-7-hydroxytetralin by $\text{Br(CH}_2)_5\text{CO}_2\text{Et}$
using NaH in PhMe, followed by salification with HCl(g) in Me₂CHOH, and
then hydrogenolysis over Pd/C in EtOH at 60.degree., gave I.HCl [Alk =
(CH₂)₅, R = Et]. This was neutralized and coupled with 3-chlorostyrene
oxide in Me₂SO in the presence of N-(trimethylsilyl)acetamide at
80.degree. to give, after chromatog. and salification, II.HCl (Alk and R
as above; X = 3-Cl).

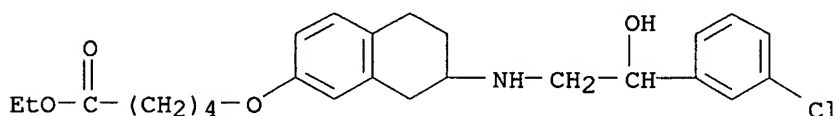
10/009,008

IT 132990-64-8P 132990-65-9P 132990-66-0P
132990-67-1P 132990-68-2P 132990-69-3P
132990-74-0P 132990-75-1P 132990-76-2P
132990-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as spasmolytic)

RN 132990-64-8 CAPLUS

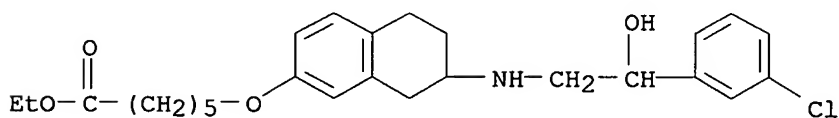
CN Pentanoic acid, 5-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 132990-65-9 CAPLUS

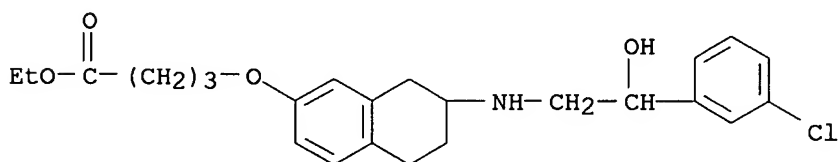
CN Hexanoic acid, 6-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 132990-66-0 CAPLUS

CN Butanoic acid, 4-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

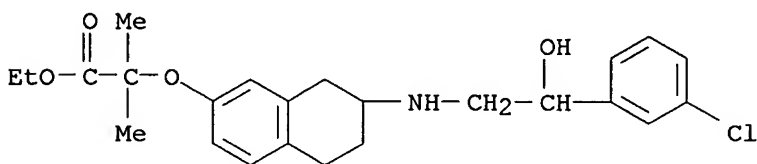


● HCl

RN 132990-67-1 CAPLUS

10/009,008

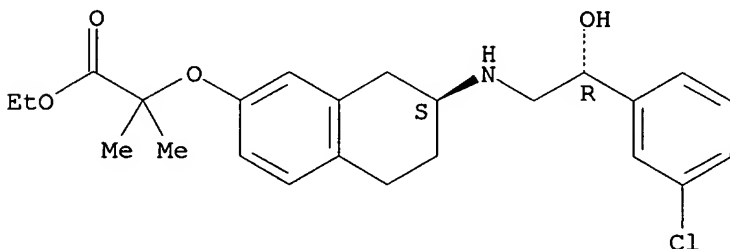
CN Propanoic acid, 2-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methyl-, ethyl ester, hydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 132990-68-2 CAPLUS
CN Propanoic acid, 2-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methyl-, ethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

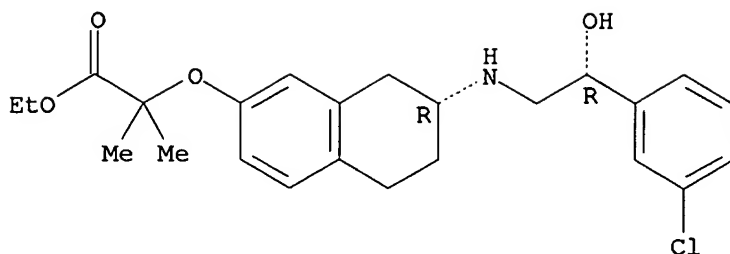


● HCl

RN 132990-69-3 CAPLUS
CN Propanoic acid, 2-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methyl-, ethyl ester, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

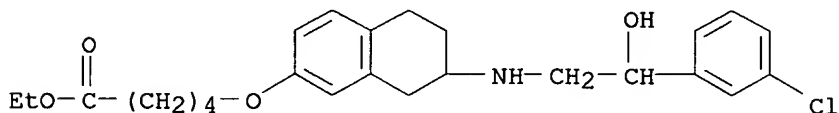
10/009,008



● HCl

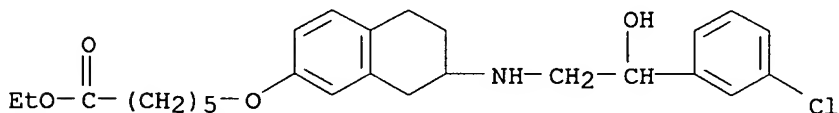
RN 132990-74-0 CAPLUS

CN Pentanoic acid, 5-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



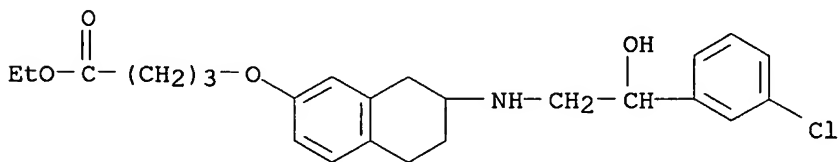
RN 132990-75-1 CAPLUS

CN Hexanoic acid, 6-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 132990-76-2 CAPLUS

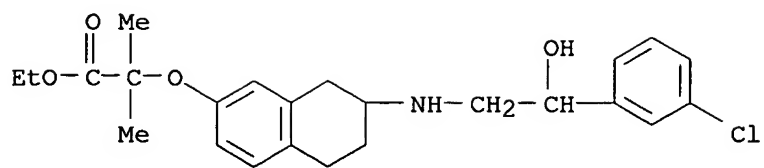
CN Butanoic acid, 4-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 132990-77-3 CAPLUS

CN Propanoic acid, 2-[[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

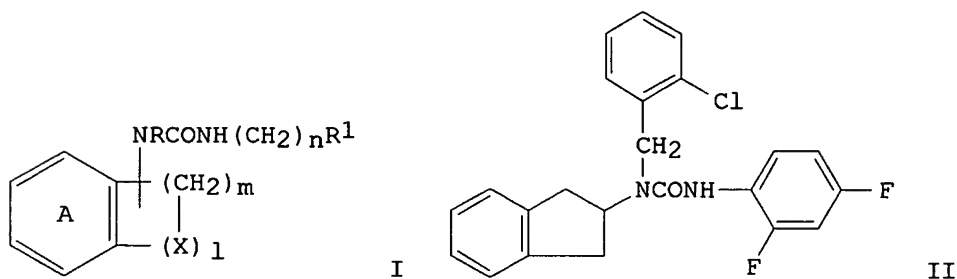
10/009,008



10/009,008

L4 ANSWER 172 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1991:185041 CAPLUS
DN 114:185041
TI Preparation of N-aryl-N'-indanylureas and analogs as acyl-CoA:cholesterol
acyl transferase inhibitors
IN Tawada, Hiroyuki; Meguro, Kanji; Ikeda, Hitoshi
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	EP 399422	A1	19901128	EP 1990-109570	19900519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AU 9055188	A1	19901129	AU 1990-55188	19900518
	AU 632809	B2	19930114		
	ZA 9003906	A	19920226	ZA 1990-3906	19900521
	NO 9002279	A	19901126	NO 1990-2279	19900523
	NO 172575	B	19930503		
	NO 172575	C	19930811		
	CA 2017444	AA	19901125	CA 1990-2017444	19900524
	JP 03261755	A2	19911121	JP 1990-136331	19900524
	CN 1047859	A	19901219	CN 1990-103797	19900525
	HU 54112	A2	19910128	HU 1990-3196	19900525
	HU 206195	B	19920928		
PRAI	JP 1989-134321		19890525		
	JP 1990-9264		19900117		
OS	MARPAT 114:185041				
GI					



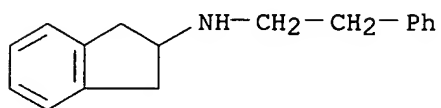
AB The title compds. [I; R = H, (un)substituted hydrocarbyl; R1 = (un)substituted aryl; X = O, S; ring A may be substituted; l = 0, 1; m = 3-6; n = 0-2] were prepd. Thus, 2-indanone was reductively aminated by 2-ClC6H4CH2NH2 and the product condensed with 2,4-F2C6H4NCO to give title compd. II which reduced plasma cholesterol levels from 266 (control) to 128 mg/dL in cholesterol-loaded rats at 8.5 mg/kg/day in feed.

IT **133275-82-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of hypocholesteremic agents)

RN 133275-82-8 CAPLUS

10/009,008

CN 1H-Inden-2-amine, 2,3-dihydro-N-(2-phenylethyl)-, hydrochloride (9CI)
(CA INDEX NAME)



● HCl

10/009,008

L4 ANSWER 173 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1991:181405 CAPLUS

DN 114:181405

TI Design of compounds having an enhanced tumor uptake, using serum albumin as a carrier. Part I

AU Sinn, H.; Schrenk, H. H.; Friedrich, E. A.; Schilling, U.; Maier-Borst, W.

CS Inst. Radiol. Pathophysiol., Dtsch. Krebsforschungszent., Heidelberg, D-6900, Germany

SO Nuclear Medicine and Biology (1990), 17(8), 819-27
CODEN: NMBIEO; ISSN: 0883-2897

DT Journal

LA English

AB To identify those parameters which influence the tumor uptake and storage,

a series of compds. having different chem. and physicochem. properties was

investigated. Unbound, small mol. wt. compds. were rapidly eliminated from the circulatory system. They have a prolonged biol. half life if linked to serum albumin (SA), esp. when derivatized with deoxysorbitol. Parallel with the prolongation of the biol. half-life a remarkable increase in tumor uptake was obsd., which was not accompanied by increased

liver activity. Furthermore, without thyroid blockade, significant radioiodine uptake in this organ was not detected after 24 or 72 h. This is due to the particular coupling mechanism, which may be relevant for other (radio)iodinated pharmaceuticals used in medicine. Glucose and arom. amines, as well as arom. aldehydes and glucamine react to form deoxysorbitol derivs., which then have similar biokinetics after linkage to serum albumin. Thus, a new approach in tumor detection and possibly

in tumor therapy may be possible when SA is used as a carrier mol., using the described labeling procedure.

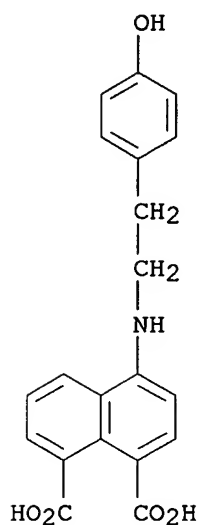
IT **133368-64-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and radioiodination of, tumor uptake in relation to)

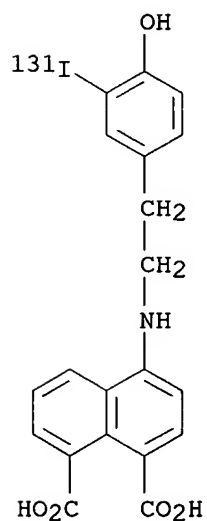
RN 133368-64-6 CAPLUS

CN 1,8-Naphthalenedicarboxylic acid, 4-[[2-(4-hydroxyphenyl)ethyl]amino]-(9CI) (CA INDEX NAME)

10/009,008

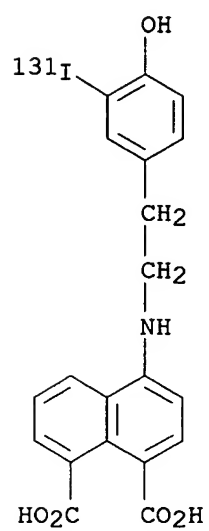


IT **133368-67-9DP**, reaction products with cyanuric chloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for tumor targeting)
RN 133368-67-9 CAPLUS
CN 1,8-Naphthalenedicarboxylic acid, 4-[[2-[4-hydroxy-3-(iodo-
131I)phenyl]ethyl]amino]- (9CI) (CA INDEX NAME)



IT **133368-67-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for tumor targeting with serum albumin as carrier)
RN 133368-67-9 CAPLUS
CN 1,8-Naphthalenedicarboxylic acid, 4-[[2-[4-hydroxy-3-(iodo-
131I)phenyl]ethyl]amino]- (9CI) (CA INDEX NAME)

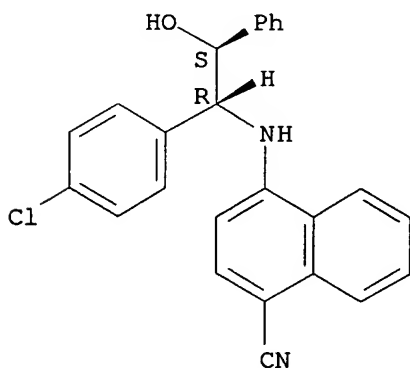
10/009,008



10/009,008

L4 ANSWER 174 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1991:111674 CAPLUS
DN 114:111674
TI Exciplex emission and photofragmentation reactions of contact ion pairs generated via quenching of cyanoaromatic singlets by amino alcohols
AU Ci, Xiaohong; Whitten, David G.
CS Dep. Chem., Univ. Rochester, Rochester, NY, 14627, USA
SO Journal of Physical Chemistry (1991), 95(5), 1988-93
CODEN: JPCHAX; ISSN: 0022-3654
DT Journal
LA English
AB Intermol. quenching of singlet cyanoaroms. by 1,2-amino alcs. in nonpolar solvents results in an oxidative fragmentation of the amino alc. donor concurrent with two-electron redn. of the cyanoarom. In several cases the reactive pairs exhibit weak exciplex fluorescence which can be closely correlated with the fragmentation; the attenuation of exciplex fluorescence as well as the photofragmentation as solvent polarity is increased for the intermol. reaction indicates that a contact ion pair exciplex is the reactive intermediate. Mols. contg. both an electron acceptor and an amino alc. exhibit strong exciplex fluorescence and enhanced photofragmentation efficiencies in nonpolar solvents; both exciplex fluorescence and fragmentation persist in these cases as solvent polarity increases. An increase in fragmentation and decrease in fluorescence yields is obsd. as solvent polarity or base strength is increased, again indicating that the reactive state is an emissive ion-pair exciplex.
IT **131545-73-8**
RL: USES (Uses)
(photolysis of system contg. cyanoanthracenes and, fluorescence quenching and exciplex emission in)
RN 131545-73-8 CAPLUS
CN 1-Naphthalenecarbonitrile, 4-[[1-(4-chlorophenyl)-2-hydroxy-2-phenylethyl]amino]-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



10/009,008

L4 ANSWER 175 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1990:565225 CAPLUS

DN 113:165225

TI In vitro inhibition of intestinal motility by
phenylethanolaminotetralines: evidence of atypical .beta.-adrenoceptors
in rat colon

AU Bianchetti, Alberto; Manara, Luciano

CS Res. Cent., Sanofi-Midy S.p.A., Milan, 20137, Italy

SO British Journal of Pharmacology (1990), 100(4), 831-9

CODEN: BJPCBM; ISSN: 0007-1188

DT Journal

LA English

AB The new compds. phenylethanolaminotetralines (PEAT), unlike the ref.
.beta.-adrenoceptor agonists isoprenaline (Iso), ritodrine (Ri) and
salbutamol (Sal), produced half-maximal inhibition of spontaneous
motility

of rat isolated proximal colon at substantially lower concns. (EC50
2.7-30

nM) than those inducing .beta.2-adrenoceptor-mediated responses
(relaxation of guinea-pig isolated trachea and rat uterus) and had
virtually no chronotropic action (EC50 >3 .times. 10⁻⁵ M) on the
guinea-pig isolated atrium (a .beta.1-adrenoceptor-mediated response).
The nonselective .beta.-adrenoceptor antagonists alprenolol and
propranolol prevented the inhibition of rat colon motility by the PEAT
with low and different potencies (pA2 values around 7.5 and 6.5 resp.).
Conversely alprenolol and propranolol had a higher and similar potency
(pA2 values around 9.0) in preventing typical .beta.1- or
.beta.2-responses (increase in atrial frequency by Iso or tracheal
relaxation by Ri or Sal). The selective .beta.-adrenoceptor antagonists
CGP 20712A (.beta.1) and ICI 118,551 (.beta.2) either alone or in
combination, did not prevent rat colon motility inhibition by the
representative PEAT SR 58611A, which was also fully resistant to
.alpha.-adrenoceptor, acetylcholine, dopamine, histamine, opioid and
5-hydroxytryptamine antagonists. These results indicate that the PEAT
are

a new class of .beta.-adrenoceptor agonists and suggest that their
preferential intestinal action may be accounted for by selectivity for
atypical .beta.-adrenoceptors, abundant in the rat colon and distinct
from

the currently recognized .beta.1 and .beta.2 subtypes.

IT 107758-36-1, SR 58375A 107758-39-4, SR 58373A

107758-41-8, SR 58372 107758-42-9, SR 58374

120839-53-4, SR 58572A 120839-55-6D, derivs.

121216-30-6, SR 58590 121216-31-7, SR 58589

121216-32-8, SR 58575A 121524-09-2, SR 58611A

121524-10-5, SR 58612A 121524-11-6, SR 58613A

129831-97-6, SR 58825A

RL: BIOL (Biological study)

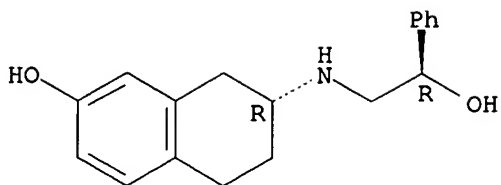
(as atypical .beta.-adrenergic agonists, in colon)

RN 107758-36-1 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-,
hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

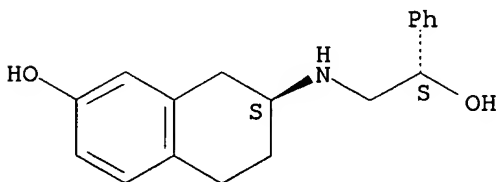
10/009,008



● HCl

RN 107758-39-4 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

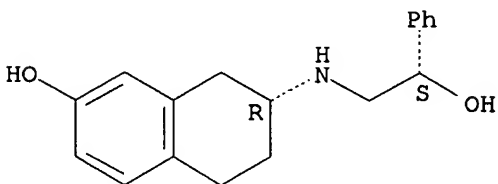
Absolute stereochemistry.



● HCl

RN 107758-41-8 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

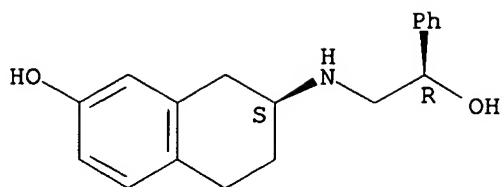
Absolute stereochemistry.



RN 107758-42-9 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

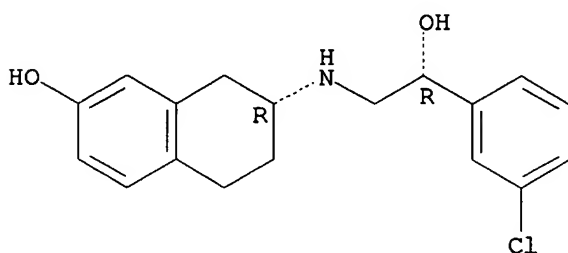
10/009,008



RN 120839-53-4 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

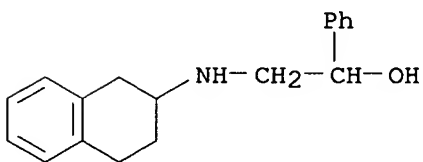
Absolute stereochemistry.



● HCl

RN 120839-55-6 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]- (9CI) (CA INDEX NAME)

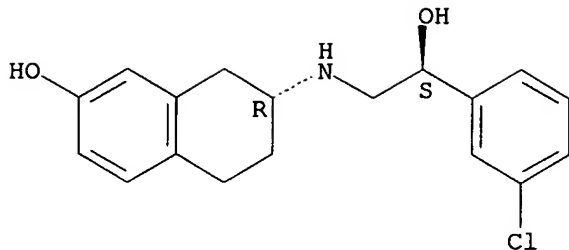


RN 121216-30-6 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

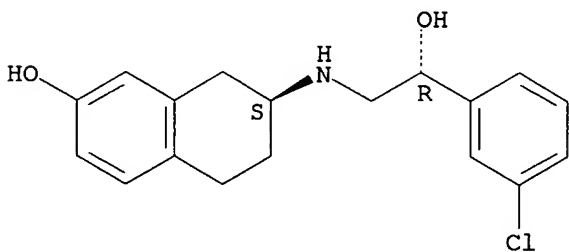
10/009,008



RN 121216-31-7 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

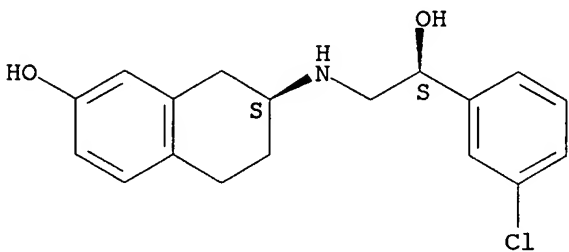
Absolute stereochemistry.



RN 121216-32-8 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



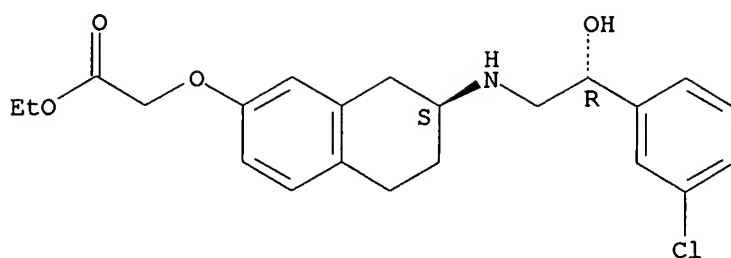
● HCl

RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

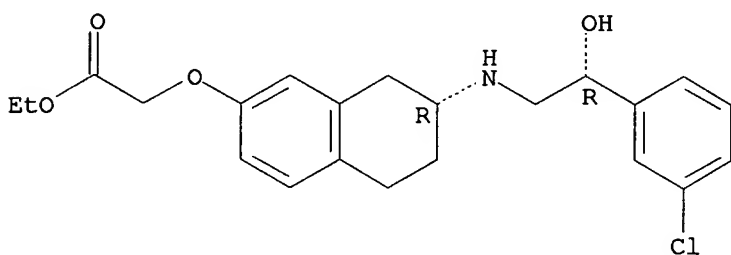
10/009,008



● HCl

RN 121524-10-5 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

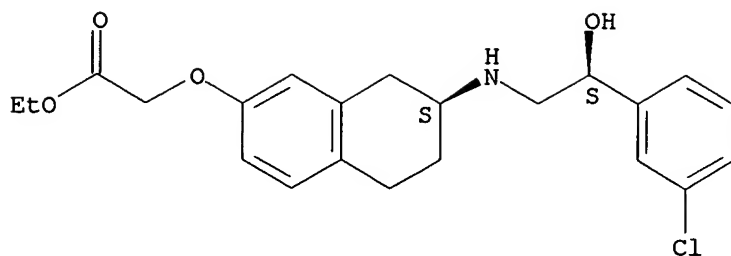


● HCl

RN 121524-11-6 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

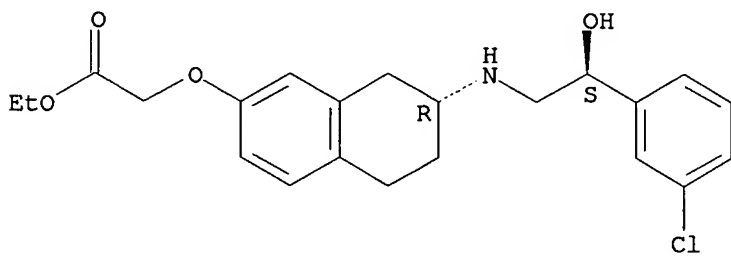
10/009,008



● HCl

RN 129831-97-6 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,S*)]-(9CI) (CA INDEX NAME)

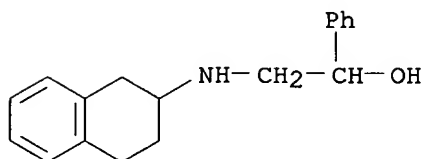
Absolute stereochemistry.



● HCl

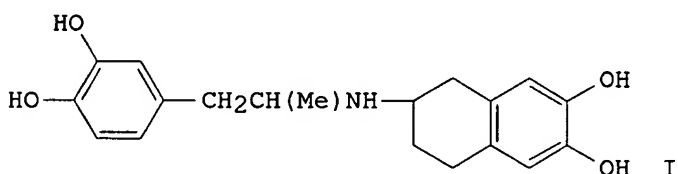
10/009,008

L4 ANSWER 176 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1990:470515 CAPLUS
DN 113:70515
TI Further heterogeneity of the .beta.-adrenoceptor. The
phenylethanolaminotetralines: new selective agonists for atypical
.beta.-adrenoceptors
AU Manara, Luciano; Bianchetti, Alberto
CS Res. Cent., Sanofi-Midy S.p.A., Milan, 20137, Italy
SO Trends in Pharmacological Sciences (1990), 11(6), 229-30
CODEN: TPHSDY; ISSN: 0165-6147
DT Journal; General Review
LA English
AB A review with 12 refs. providing further information related to the
identification of addnl. subtypes of .beta.-adrenoceptors, derived from
work in developing the phenylethanolaminotetralines; these compds are
selective agonsts for atypical .beta.-adrenoceptors (non-.beta.1,
non-.beta.2) which are abundant in rat colon and have significant
pharmacol. homologies with those in adipocytes.
IT **120839-55-6D**, derivs.
RL: BIOL (Biological study)
(as .beta.-adrenoceptor atypical agonists, subtype selectivity of)
RN 120839-55-6 CAPLUS
CN Benzenemethanol, .alpha.-[[1,2,3,4-tetrahydro-2-
naphthalenyl)amino]methyl]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 177 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1989:608889 CAPLUS
DN 111:208889
TI Chronotropic and inotropic responses to ASL-7022 on isolated rat atria
AU Gende, Oscar A.; Camilion de Hurtado, Maria C.
CS Fac. Cienc. Med., Univ. Nac. La Plata, La Plata, 1900, Argent.
SO Acta Physiologica et Pharmacologica Latinoamericana (1989), 39(2), 119-26
CODEN: APPLEF; ISSN: 0326-6656
DT Journal
LA English
GI

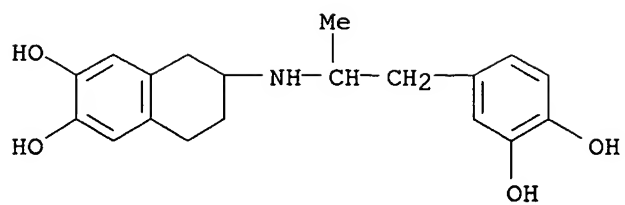


AB ASL-7022 (I) is a new synthetic catecholamine with .alpha. and .beta. adrenoceptor stimulating activity having inotropic selectivity in vivo.- On the isolated rat atria, I produced concn.-dependent increases in spontaneous rate, developed tension (DT) and maximal velocity of contraction (+T). The maximal chronotropic and inotropic responses to I were similar to those obtained with isoproterenol, although the compd. was less potent than isoproterenol. The inotropic and chronotropic effects of I were sensitive to propranolol blockade and were not affected by prazosin blockade. When the inotropic selectivity of I was analyzed, it was found that, like isoproterenol, each concn. of the agonist produced greater chronotropic than inotropic effect. These results indicate the lack of an .alpha.1-mediated component in the chronotropic and inotropic effects of I and the absence of inotropic selectivity in vitro.

IT 75305-17-8, ASL 7022
RL: PRP (Properties)
(chronotropic and inotropic effects of, on atria)

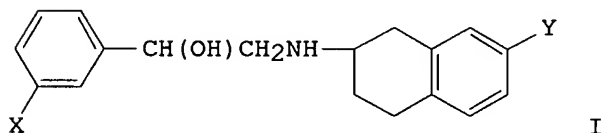
RN 75305-17-8 CAPLUS
CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

10/009,008



10/009,008

L4 ANSWER 178 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1989:546279 CAPLUS
DN 111:146279
TI New developments in .beta.-adrenergic-mediated control of intestinal
motility: gut-specific phenylethanolaminotetralines
AU Manara, Luciano; Bianchetti, Alberto; Croci, Tiziano; Giudice, Antonia
CS Res. Cent., Midy S.p.A., Milan, 20137, Italy
SO Fidia Research Foundation Symposium Series (1989), 2 (Neurochem.
Pharmacol.-Tribute B. B. Brodie), 131-47
CODEN: FRFSEL; ISSN: 1040-0451
DT Journal
LA English
GI



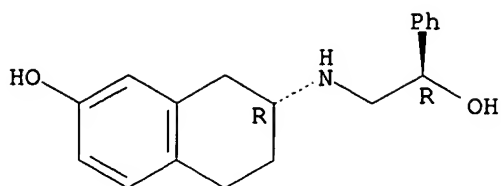
AB The pharmacol. of the title compds. (I; X = H or Cl; Y = OH or OCH2CO2Et) was studied in lab. animals and in in vitro preps. These compds. inhibit spontaneous motility of the rat colon in vitro and in vivo through an atypical .beta.-adrenergic mechanism and, unlike ref. .beta.-adrenoceptor agonists, are gut-specific. Structure-activity relations are discussed.

IT 107758-36-1, SR 58375A 107758-39-4, SR 58373A
107758-41-8, SR 58372 107758-42-9, SR 58374
120839-53-4, SR 58572A 120839-54-5, SR 58539B
120839-55-6D, derivs. 121216-30-6, SR 58590
121216-31-7, SR 58589 121216-32-8, SR 58575A
121489-36-9, SR 58538B
RL: BIOL (Biological study)
(intestinal motility inhibition by, .beta.-adrenergic mechanism in, structure in relation to)

RN 107758-36-1 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

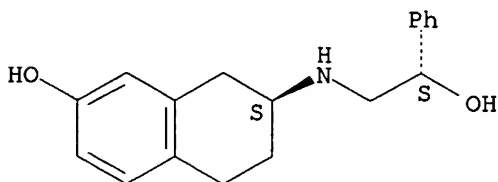


● HCl

RN 107758-39-4 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

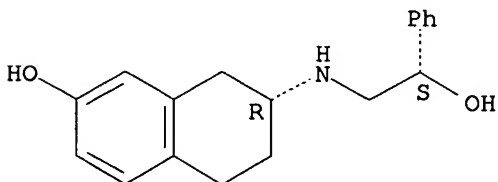


● HCl

RN 107758-41-8 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

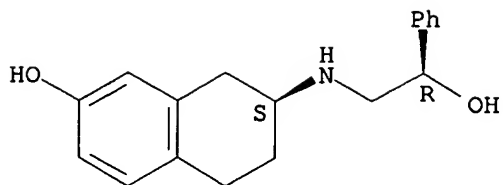


RN 107758-42-9 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

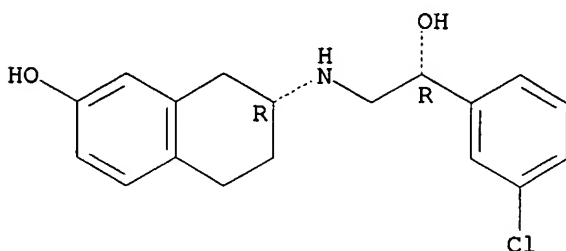
10/009,008



RN 120839-53-4 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

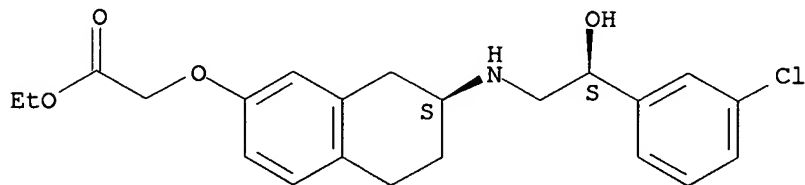


● HCl

RN 120839-54-5 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

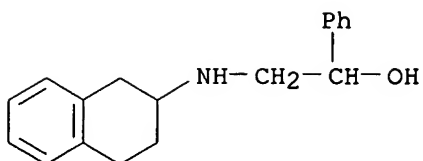


● HCl

RN 120839-55-6 CAPLUS

CN Benzenemethanol, .alpha.-[[[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]- (9CI) (CA INDEX NAME)

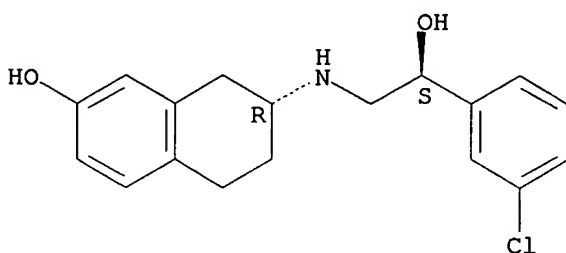
10/009,008



RN 121216-30-6 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

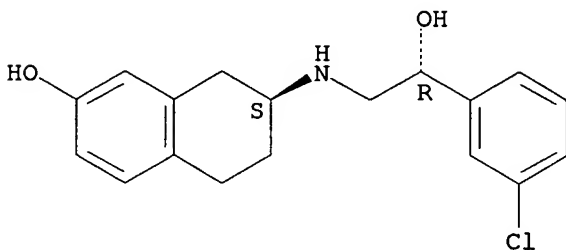
Absolute stereochemistry.



RN 121216-31-7 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

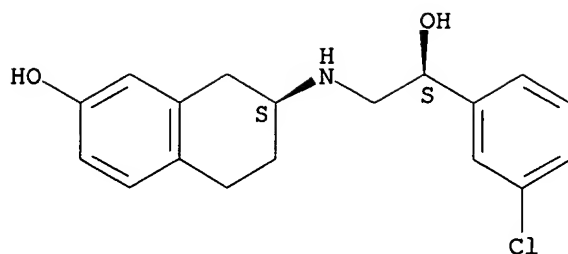


RN 121216-32-8 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

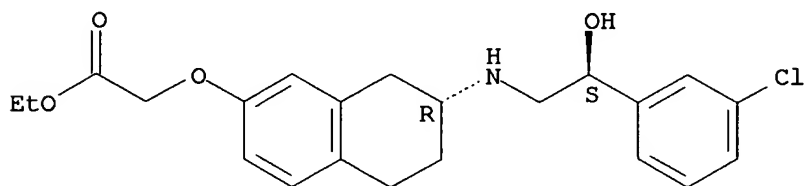


● HCl

RN 121489-36-9 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, (R*,S*)-(9CI) (CA INDEX NAME)

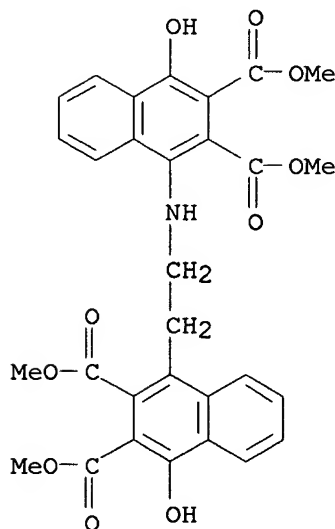
Relative stereochemistry.



● HCl

10/009,008

L4 ANSWER 179 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1989:522709 CAPLUS
DN 111:122709
TI Electrochemical reduction of diphthalimidoalkanes
AU Troll, T.; Schmid, K.
CS Inst. Org. Chem., Univ. Regensburg, Regensburg, Fed. Rep. Ger.
SO DECHEMA Monographien (1989), 112(Org. Elektrochem.--Angew.
Elektrothermie), 89-96
CODEN: DMDGAG; ISSN: 0070-315X
DT Journal
LA German
AB Diphthalimidoalkanes have 2 electroactive groups which can accept 2 electrons each under aprotic conditions. Cyclic voltammograms in MeCN show 2 reversible 1 electron waves with 0, 1 or 2 methylene groups sepg. the phthalic imide units. At a longer distance these 1st 2 waves merge into a reversible 2 electron wave. Further redn. to higher charged ions is irreversible due to protonation in MeCN. Preparative redn. at the dianion stage and in the presence of di-Me sulfate leads to cyclic products formed by intramol. radical coupling. With an excess of chlorotrimethylsilane 4 electrons/mol. are consumed and N,N'-bis(isoindolo)alkanes are formed, which can be trapped by cycloaddn. reactions with suitable dienophiles. Bis(isoindolo)methane leads to a tandem cycloadduct upon reaction with acetylenic ester and to an intramol. (4+4) .pi.-adduct upon photolysis.
IT **122482-43-3P**
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, from bisisoindole, decompn. of fumaric acid Me ester in relation to)
RN 122482-43-3 CAPLUS
CN 2,3-Naphthalenedicarboxylic acid, 1-hydroxy-4-[2-[[4-hydroxy-2,3-bis(methoxycarbonyl)-1-naphthalenyl]amino]ethyl]-, dimethyl ester (9CI)
(CA INDEX NAME)

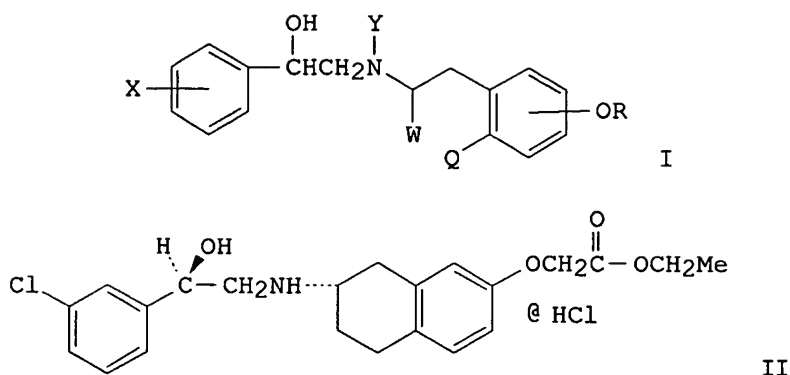


10/009,008

10/009,008

L4 ANSWER 180 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1989:439023 CAPLUS
DN 111:39023
TI O-alkylation process for N-(hydroxyaralkyl)phenylethanamines useful as
drug intermediates, and the N-protected intermediates thereof
IN Boigegrain, Robert; Cecchi, Roberto; Boveri, Sergio
PA SANOFI, Fr.; Midy S.p.A.
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 303546	A2	19890215	EP 1988-402095	19880811
	EP 303546	A3	19901017		
	EP 303546	B1	19941228		
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	FR 2619379	A1	19890217	FR 1987-11498	19870812
	FR 2619379	B1	19900112		
	FR 2632637	A1	19891215	FR 1988-7948	19880614
	FR 2632637	B1	19901012		
	US 4927955	A	19900522	US 1988-230860	19880811
	ES 2067483	T3	19950401	ES 1988-402095	19880811
	JP 01066152	A2	19890313	JP 1988-202621	19880812
	JP 2611816	B2	19970521		
	JP 09110811	A2	19970428	JP 1996-145620	19880812
	DK 8902938	A	19891215	DK 1989-2938	19890614
	DK 172256	B1	19980209		
	EP 347313	A2	19891220	EP 1989-401661	19890614
	EP 347313	A3	19901219		
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	AT 98628	E	19940115	AT 1989-401661	19890614
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	US 5041606	A	19910820	US 1990-488137	19900305
	US 5159103	A	19921027	US 1992-825841	19920128
	US 5202466	A	19930413	US 1992-922486	19920731
	US 5347037	A	19940913	US 1993-114190	19930901
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	US 1988-230860		19880811		
	JP 1988-202621		19880812		
	US 1989-365853		19890613		
	EP 1989-401661		19890614		
	US 1990-488137		19900305		
	US 1991-698087		19910510		
	US 1992-825841		19920128		
	US 1992-909315		19920706		
OS	CASREACT 111:39023; MARPAT 111:39023				
GI					



AB Title amines I (X = H, halo, CF₃, alkyl; W = Me and Q = H; or WQ = CH₂CH₂;

R = Y = H) undergo N-protection at Y, O-alkylation by Hal-CH₂CO₂R₁ (Hal = Cl, Br, iodo; R₁ = alkyl), and deblocking at Y to give I (Y = H, R = CH₂CO₂R₁), which show spasmolytic activity. 2-Amino-7-methoxytetralin underwent resolu. by (+)- and (-)-mandelic acids, the latter giving the salt of (-)-amine, and then demethylation by 48% HBr to give (S)-(-)-2-amino-7-hydroxytetralin. This was condensed with (R)-3-chloromandelic acid to give the amide, which was reduced by

BH₃.SMe₂

to give N-[(2S)-7-hydroxy-1,2,3,4-tetrahydronaphth-2-yl]-(2R)-2-(3-chlorophenyl)-2-hydroxyethanamine. This compd. underwent quant.

N-protection by di-tert-Bu dicarbonate in DMF, and the N-tert-butoxycarbonyl deriv. underwent O-alkylation of 7-OH by BrCH₂CO₂Et and K₂CO₃ in refluxing Me₂CO, deprotection by CF₃CO₂H in CH₂Cl₂, and salification in EtOH to give 22%

(ethoxycarbonylmethoxytetrahydronaphthyl)

(chlorophenyl)hydroxyethanamine-HCl II. The IC₅₀ of II for inhibition of spontaneous motility of the rat colon in vitro was 3.5 .times. 10⁻⁹ M.

IT 120839-53-4P 121216-30-6P 121216-31-7P

121216-32-8P 121251-85-2P 121312-24-1P

121489-40-5P 123816-54-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

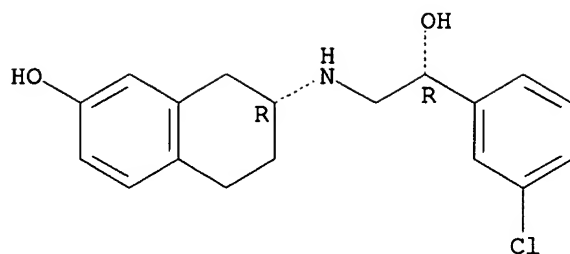
(prepn. and reaction of, in prepn. of phenylethanolamine drugs)

RN 120839-53-4 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

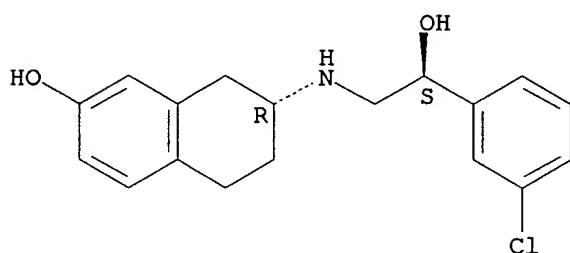
10/009,008



● HCl

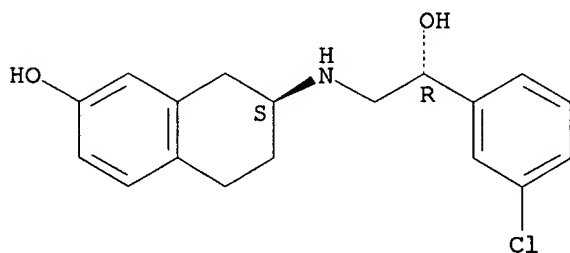
RN 121216-30-6 CAPLUS
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 121216-31-7 CAPLUS
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

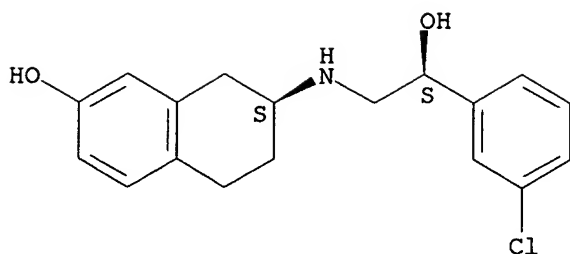
Absolute stereochemistry.



RN 121216-32-8 CAPLUS
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

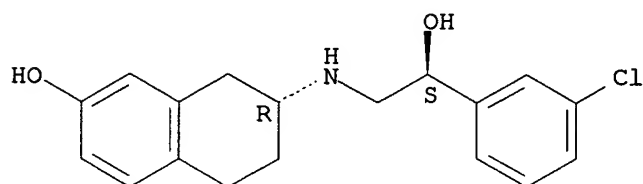


● HCl

RN 121251-85-2 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, (R*,S*)- (9CI) (CA INDEX NAME)

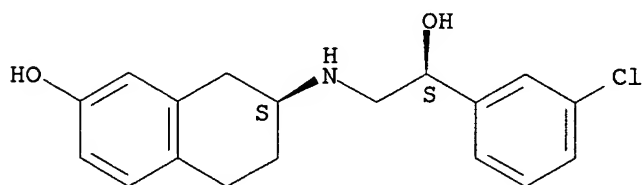
Relative stereochemistry.



RN 121312-24-1 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

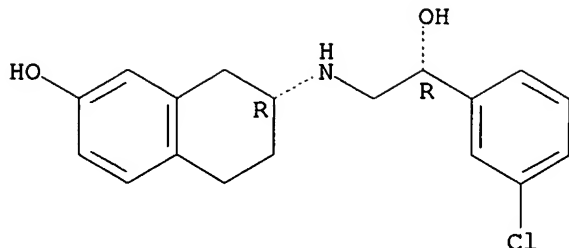


RN 121489-40-5 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

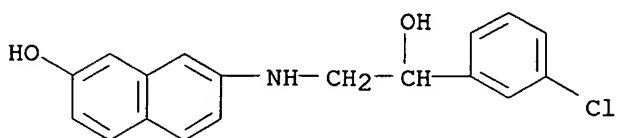
Absolute stereochemistry.

10/009,008



RN 123816-54-6 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 120839-54-5P 121489-31-4P 121489-33-6P
121489-35-8P 121489-36-9P 121489-39-2P
121524-07-0P 121524-08-1P 121524-09-2P
121524-10-5P 121524-11-6P

RL: BAC (Biological activity or effector, except adverse); BSU

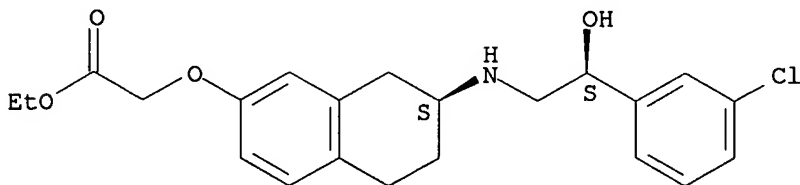
(Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as drug)

RN 120839-54-5 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, (R*,R*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

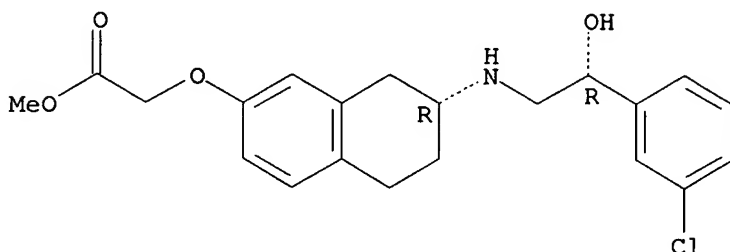


HCl

10/009,008

RN 121489-31-4 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, methyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

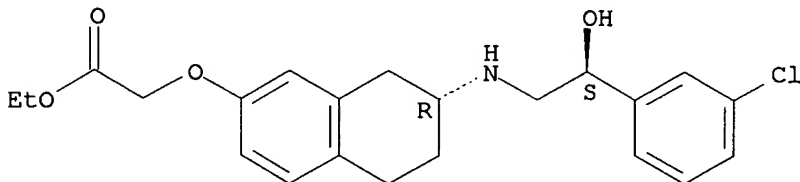


RN 121489-33-6 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, (R*,S*)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

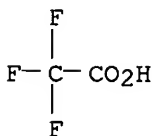
CRN 121489-32-5
CMF C22 H26 Cl N O4

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 121489-35-8 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, (R*,R*)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

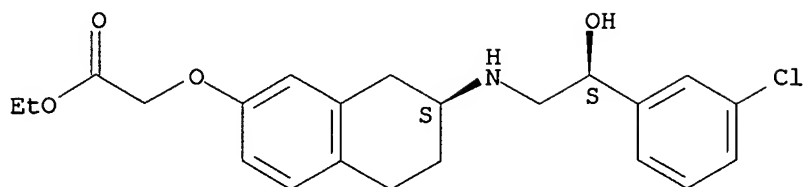
10/009,008

CM 1

CRN 121489-34-7

CMF C22 H26 Cl N O4

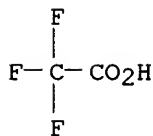
Relative stereochemistry.



CM 2

CRN 76-05-1

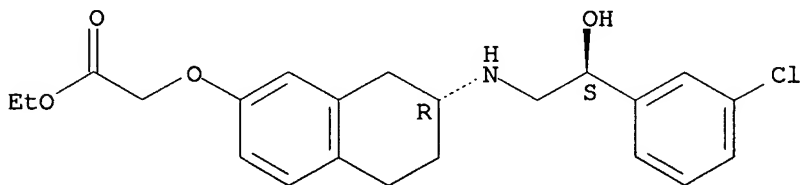
CMF C2 H F3 O2



RN 121489-36-9 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, (R*,S*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



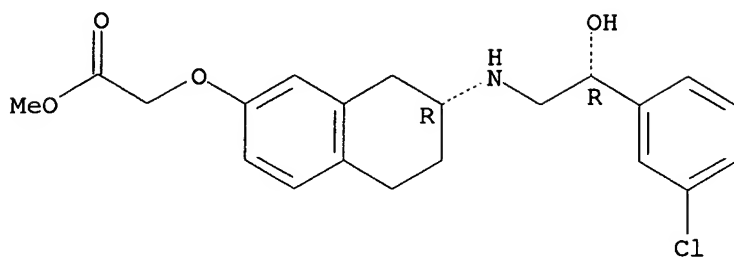
● HCl

RN 121489-39-2 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, methyl ester, hydrochloride, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

10/009,008

Absolute stereochemistry.

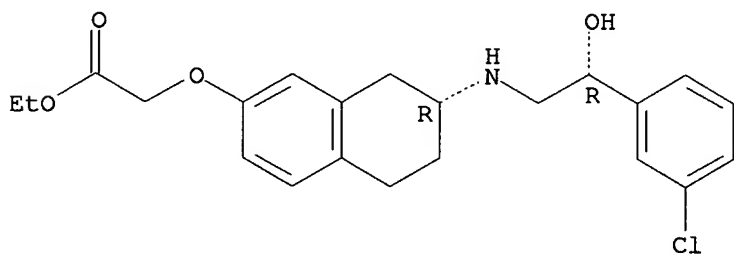


● HCl

RN 121524-07-0 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

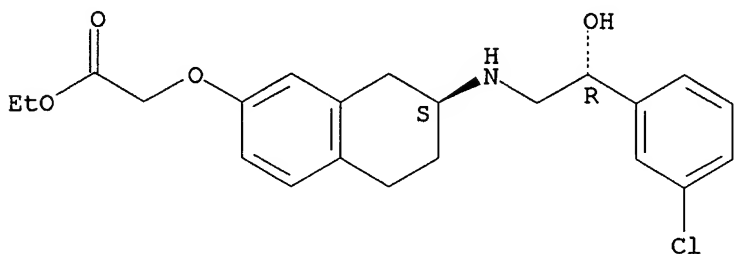
Absolute stereochemistry.



RN 121524-08-1 CAPLUS

CN Acetic acid, [[(2S)-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

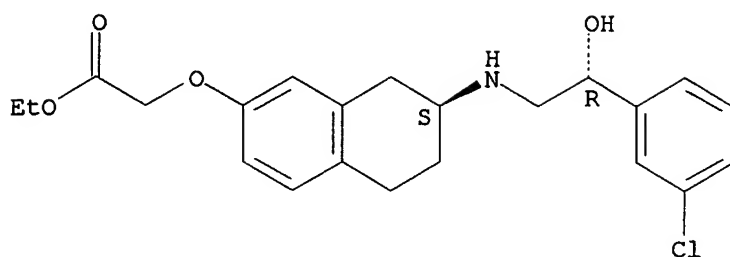


RN 121524-09-2 CAPLUS

CN Acetic acid, [[(7S)-7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

10/009,008

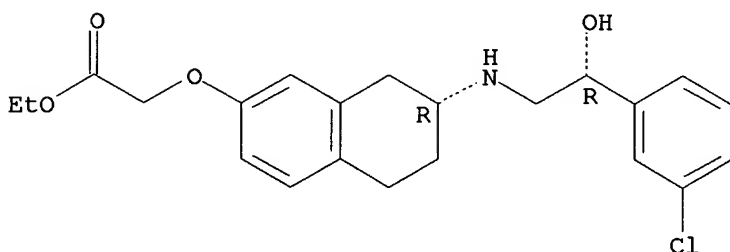
Absolute stereochemistry.



● HCl

RN 121524-10-5 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

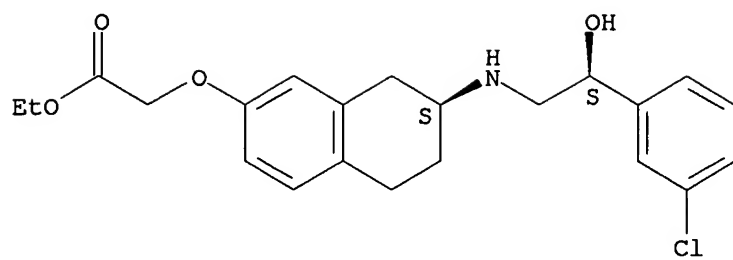


● HCl

RN 121524-11-6 CAPLUS
CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/009,008

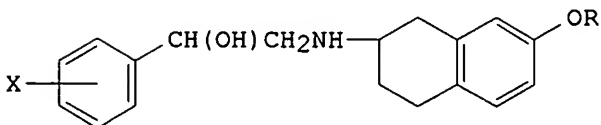


● HCl

10/009,008

L4 ANSWER 181 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1989:423206 CAPLUS
DN 111:23206
TI Process for the preparation of phenylethanolaminotetralins as drugs
IN Boigegrain, Robert; Cecchi, Roberto; Boveri, Sergio
PA SANOFI, Fr.; Midy S.p.A.
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 303545	A2	19890215	EP 1988-402094	19880811
	EP 303545	A3	19890524		
	EP 303545	B1	19920617		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2619378	A1	19890217	FR 1987-11497	19870812
	FR 2619378	B1	19891215		
	FR 2629453	A1	19891006	FR 1988-4219	19880330
	FR 2629453	B1	19901005		
	FR 2632636	A1	19891215	FR 1988-7947	19880614
	FR 2632636	B1	19910322		
	AT 77364	E	19920715	AT 1988-402094	19880811
	ES 2045164	T3	19940116	ES 1988-402094	19880811
	JP 01066149	A2	19890313	JP 1988-202622	19880812
	JP 2731913	B2	19980325		
	US 5198586	A	19930330	US 1990-603247	19901025
	US 5235103	A	19930810	US 1992-990762	19921215
PRAI	FR 1987-11497		19870812		
	FR 1988-4219		19880330		
	FR 1988-7947		19880614		
	EP 1988-402094		19880811		
	US 1988-231374		19880811		
	US 1990-603247		19901025		
OS	MARPAT 111:23206				
GI					



I

AB The title compds. I (X = H, halo, CF₃, lower alkyl; R = H, Me group substituted with CO₂H, carbalkoxy) and pharmaceutically acceptable salts thereof, useful as drugs (no data), were prepd. Amidation of 3-chloromandelic acid with 2-amino-7-hydroxytetralin, followed by redn. by LiAlH₄, gave N-(7-hydroxy-1,2,3,4-tetrahydronaphth-2-yl)-2-(3-chlorophenyl)-2-hydroxyethanamine.

IT 107758-16-7P 107758-23-6P 107758-43-0P

10/009,008

120839-53-4P 121216-29-3P 121216-30-6P

121216-31-7P 121216-32-8P 121216-37-3P

121216-38-4P 121251-85-2P 121312-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

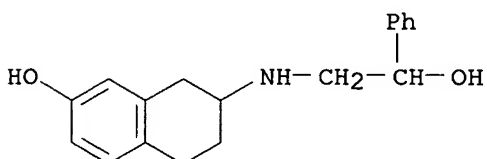
study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

(prepn. of, as drug)

RN 107758-16-7 CAPLUS

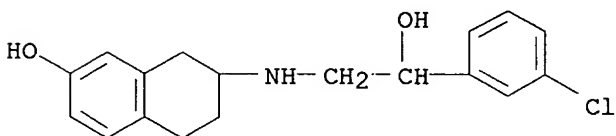
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

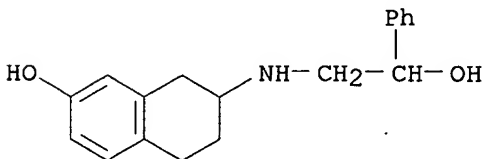
RN 107758-23-6 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 107758-43-0 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

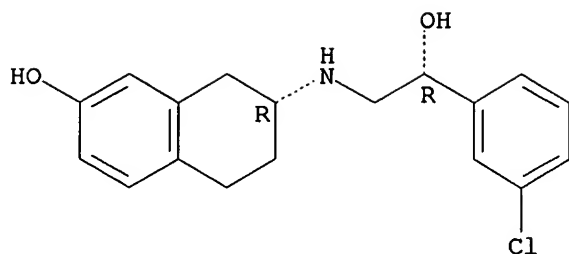


RN 120839-53-4 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

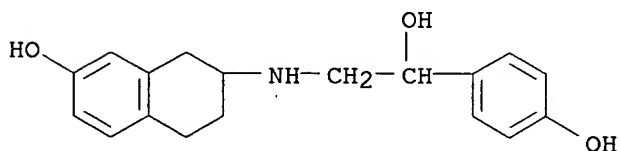
Absolute stereochemistry.

10/009,008



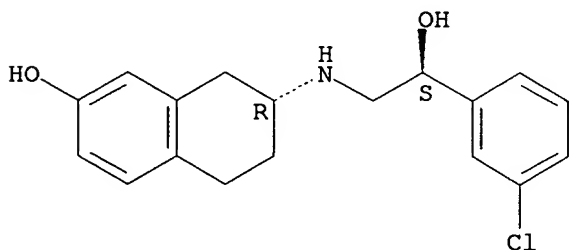
● HCl

RN 121216-29-3 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 121216-30-6 CAPLUS
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

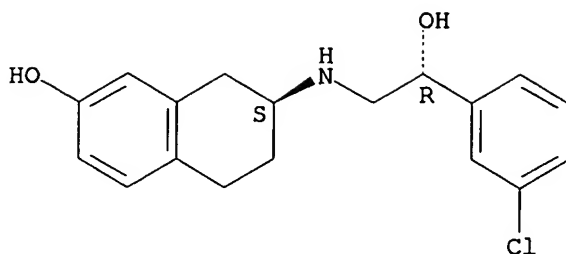
Absolute stereochemistry.



RN 121216-31-7 CAPLUS
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

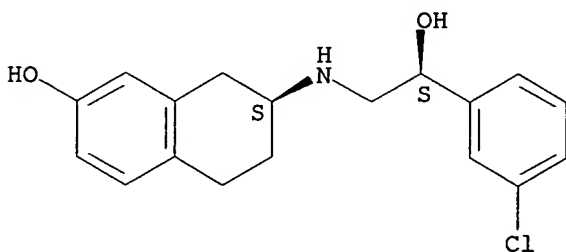
10/009,008



RN 121216-32-8 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

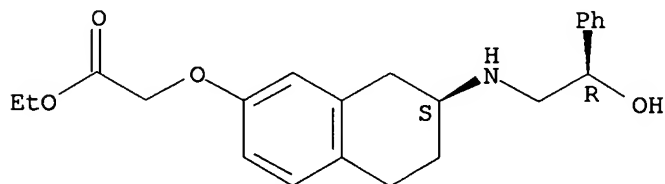


● HCl

RN 121216-37-3 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-2-naphthalenyl]oxy]-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

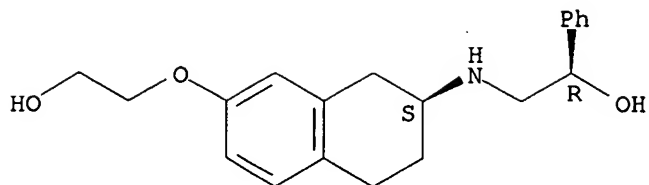


RN 121216-38-4 CAPLUS

CN Benzenemethanol, .alpha.-[[[1,2,3,4-tetrahydro-7-(2-hydroxyethoxy)-2-naphthalenyl]amino]methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

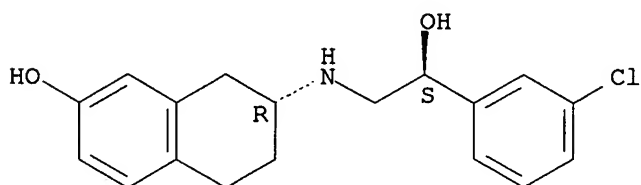
10/009,008



RN 121251-85-2 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, (R*,S*)- (9CI) (CA INDEX NAME)

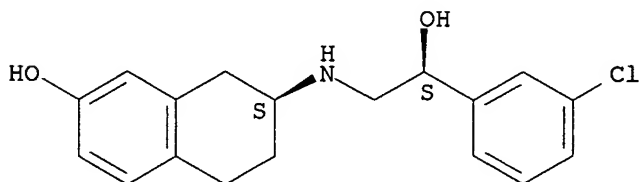
Relative stereochemistry.



RN 121312-24-1 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, (R*,R*)- (9CI) (CA INDEX NAME)

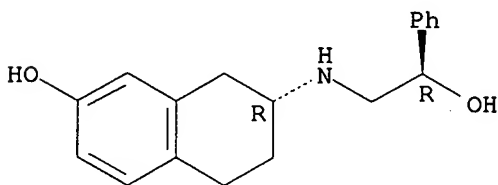
Relative stereochemistry.



10/009,008

L4 ANSWER 182 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1989:225302 CAPLUS
DN 110:225302
TI Inhibition of rat colonic motility and cardiovascular effects of new
gut-specific beta-adrenergic phenylethanolaminotetralines
AU Giudice, Antonina; Croci, Tiziano; Bianchetti, Alberto; Manara, Luciano
CS Res. Cent., MIDY S.p.A., Milan, 20137, Italy
SO Life Sciences (1989), 44(19), 1411-17
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
AB The ability of the new putative .beta.-adrenergic agonists, the
phenylethanolaminotetralines (PEATs), to inhibit intestinal motility was
studied in relation to their cardiovascular effects in anesthetized rats.
The representative PEATs SR 58375A, SR 58572A, and SR 58539B and the ref.
.beta.-adrenergic agonists isoproterenol, salbutamol, and ritodrine
caused
dose-related inhibition of proximal colon spontaneous motility: ED50 210,
92, and 19; 5.6, 176, and 201 .mu.g/kg, i.v., resp. This inhibition was
prevented by the .beta.-adrenergic antagonist alprenolol, but not by
desipramine (which prevented the inhibition of clonic motility by
tyramine
and enhanced that by norepinephrine). The minimal EDs (MED) of
isoproterenol, salbutamol, and ritodrine raising heart rate and (or)
lowering blood pressure (by 10-20%), was substantially lower (about 1/10
to 1/150) than their ED50 for inhibition of colonic motility. The MED
raising heart rate of the 3 PEATs, on the other hand, was .apprx.2 (SR
58375A and SR 58572A) to 5 (SR 58539B) times their ED50 for inhibition of
colonic motility. None of the PEATs lowered blood pressure up to the top
tested dose. Therefore the PEATs may prove preferable to the currently
best tolerated .beta.-adrenoceptor agonists, because they appear less
liable to induce cardiovascular side effects. This supports the
prospective therapeutic interest of PEATs for intestinal hypermotility
disorders.
IT 107758-36-1 120839-53-4 120839-54-5
120839-55-6D, derivs.
RL: BIOL (Biological study)
(intestine motility decrease by, cardiovascular effect in relation to)
RN 107758-36-1 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-,
hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



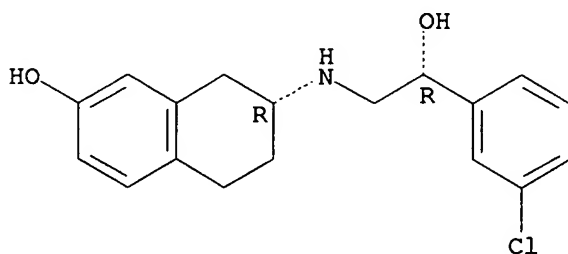
HCl

10/009,008

RN 120839-53-4 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

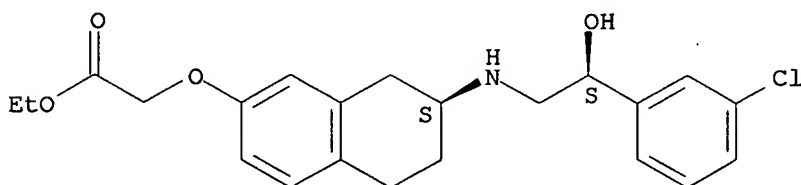


● HCl

RN 120839-54-5 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, hydrochloride, (R*,R*)-(9CI) (CA INDEX NAME)

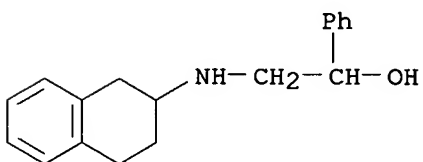
Relative stereochemistry.



● HCl

RN 120839-55-6 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]methyl]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 183 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1989:192408 CAPLUS

DN 110:192408

TI Azophilic addition of alkyllithium reagents to fluorenimines. The synthesis of secondary amines

AU Dai, Wei; Srinivasan, Rajgopal; Katzenellenbogen, John A.

CS Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA

SO Journal of Organic Chemistry (1989), 54(9), 2204-8

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 110:192408

AB N-Alkyl- and N-arylfluorenimines undergo azophilic addn. with BuLi to give

N-butyl-N-alkyl- or N-butyl-N-aryl-9-aminofluorene systems. The fluorenyl

group can then be hydrogenolyzed, furnishing the secondary amine. The selectivity for azophilic (vs. carbophilic) addn. ranges from 80 to 100% for the N-alkylfluorenimines to 24-29% for the N-arylfluorenimines. The decreased azophilic selectivity of the N-arylfluorenimines can be rationalized on the basis of frontier MO interactions as well as steric effects. Other related imines, that would appear to provide an inverse polarization similar to that of the fluorenimines, do not give satisfactory yields of azophilic addn. products.

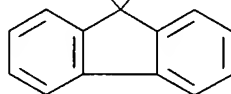
IT **119437-46-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 119437-46-6 CAPLUS

CN 9H-Fluoren-9-amine, 9-butyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

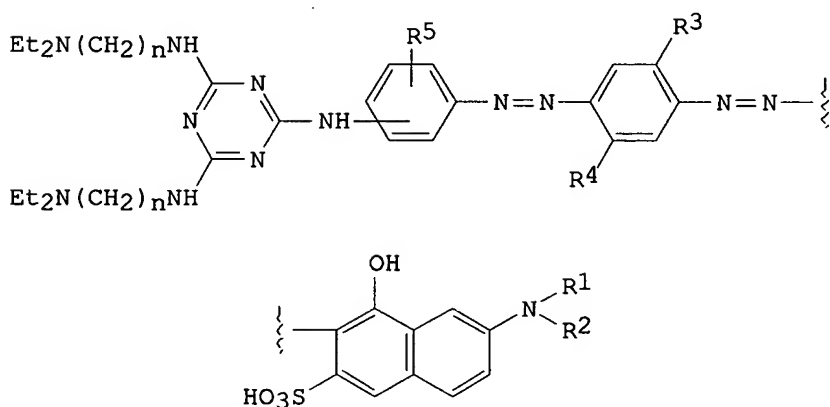
Ph-CH₂-CH₂-NH Bu-n



10/009,008

L4 ANSWER 184 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1989:77493 CAPLUS
DN 110:77493
TI Black disazo dyes
IN Hiraki, Masahiro; Urushama, Takeo; Aoki, Kisuke
PA Nippon Kayaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63059486	A2	19880315	JP 1986-195293	19860822
	JP 06096827	B4	19941130		
PRAI	JP 1986-195293		19860822		
OS	MARPAT 110:77493				
GI					



I

AB Dyes I (R1, R2 = H, C1-3 alkyl with or without C1-4 alkoxy, Ph, PhO, OH, CN substituent; R3 = H, Cl, Me, MeO, EtO; R4 = H, Cl, Me, MeO, EtO, AcNH, EtCONH, ureido; R5 = H, Cl, Me, MeO; n = 2-3) are prepd. and used for dyeing paper, cotton, and leather in a deep black shade.

4-[[2,4-Bis[3-(diethylamino)propylamino]-s-triazin-6-yl]amino]aniline was diazotized and coupled with 5-acetamido-2-methoxyaniline, and the product was diazotized and coupled with 7-methylamino-3-sulfo-1-naphthol to give

I

(para bonding of triazinylamino group; n = 3; R1 = R5 = H; R2 = Me; R3 = OMe; R4 = NHAc) as the Na salt.

IT 118815-85-3

RL: USES (Uses)

(dye, black, for paper, cotton, and leather)

RN 118815-85-3 CAPLUS

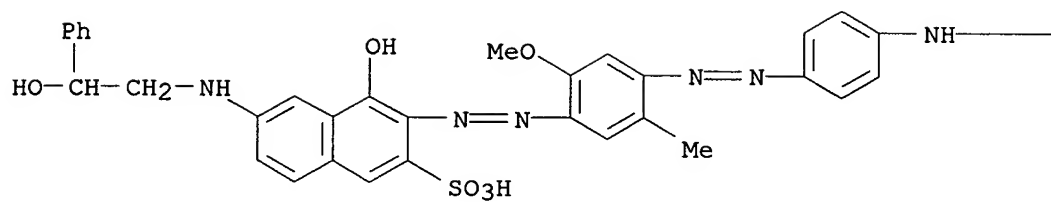
CN 2-Naphthalenesulfonic acid, 3-[[4-[[4-[[4,6-bis[[3-

(diethylamino)propyl]amino]-1,3,5-triazin-2-yl]amino]phenyl]azo]-2-methoxy-5-methylphenyl]azo]-4-hydroxy-6-[(2-hydroxy-2-phenylethyl)amino]- (9CI)

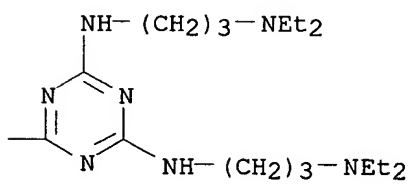
10/009,008

(CA INDEX NAME)

PAGE 1-A

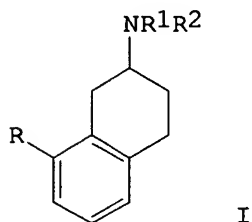


PAGE 1-B

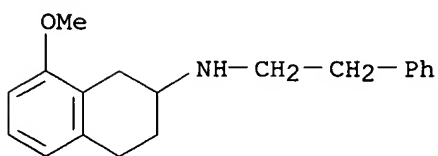


10/009,008

L4 ANSWER 185 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1989:38709 CAPLUS
DN 110:38709
TI 2-(Alkylamino)tetralin derivatives: interaction with 5-HT1A serotonin binding sites
AU Naiman, Noreen; Lyon, Robert A.; Bullock, Amy E.; Rydelek, Laura T.; Titeler, Milt; Glennon, Richard A.
CS Med. Coll. Virginia, Virginia Commonw. Univ., Richmond, VA, 23298-0581, USA
SO Journal of Medicinal Chemistry (1989), 32(1), 253-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 110:38709
GI

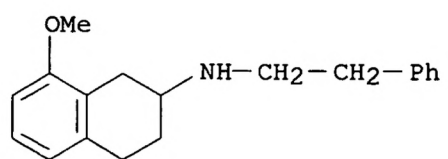


AB (Alkylamino)tetralin derivs. I (R = H, MeO, OH; R1 = H, Me, Pr; R2 = H, Pr, (CH2)nPh; n = 1-4] were prepd. by reductive amination of tetralones. All of I displayed significant affinity for 5-HT1A serotonin binding sites.
IT **117145-82-1P 117145-91-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and binding of, with serotonergic 5A receptor)
RN 117145-82-1 CAPLUS
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-8-methoxy-N-(2-phenylethyl)- (9CI)
(CA INDEX NAME)



RN 117145-91-2 CAPLUS
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-8-methoxy-N-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

10/009,008

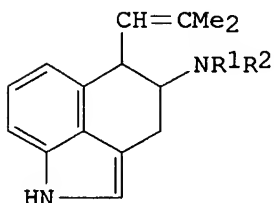


● HCl

10/009,008

L4 ANSWER 186 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1988:570698 CAPLUS
DN 109:170698
TI Preparation of 1,3,4,5-tetrahydrobenz[cd]indoles as dopaminergic
stimulants and prolactin secretion inhibitors
IN Somei, Masanori
PA Kissei Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63154661	A2	19880627	JP 1986-299708	19861216
	JP 03014019	B4	19910225		
PRAI	JP 1986-299708		19861216		
OS	MARPAT 109:170698				
GI					



AB The title compds. I (R1 = H, aralkyl, alkoxycarbonylalkyl; R2 = aralkyl, alkoxycarbonylalkyl, hydroxyalkyl, phenylcarbonyl) having dopamine receptor stimulating activity, useful as drugs for Parkinsonism and Huntington's chorea and as prolactin secretion inhibitors (no data), were prepd. A soln. of 4,5-trans-4-amino-5-(2-methyl-1-propen-1-yl)-1,3,4,5-tetrahydrobenz[cd]indole in CH2Cl2 was treated with Me acrylate and Et3N under reflux for 30 h to give 60.0% trans-I (R1 = H, R2 = CH2CH2CO2Me).

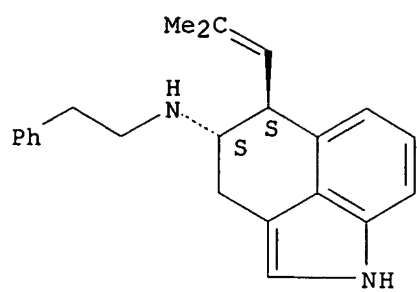
IT **117052-39-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as dopaminergic stimulant and prolactin secretion inhibitor)

RN 117052-39-8 CAPLUS

CN Benz[cd]indol-4-amine, 1,3,4,5-tetrahydro-5-(2-methyl-1-propenyl)-N-(2-phenylethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

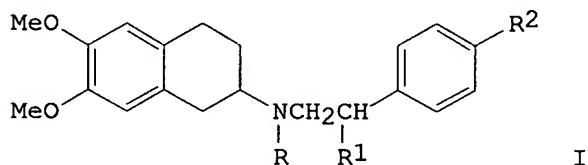
10/009,008



10/009,008

L4 ANSWER 187 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1988:549106 CAPLUS
DN 109:149106
TI N-alkyl derivatives of 2-amino-6,7-dimethoxytetralin, a process for their preparation, and their pharmaceutical compositions having antihypertensive activity
IN Marzi, Mauro; Tinti, Maria Ornella; Di Fabio, Romano; Misiti, Domenico
PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 273017	A2	19880629	EP 1987-830449	19871221
	EP 273017	A3	19890301		
	EP 273017	B1	19920916		
	R: AT, BE, CH, DE, ES, FR, GB, GR, LI, LU, NL, SE				
	US 5047433	A	19910910	US 1987-135335	19871221
	AT 80608	E	19921015	AT 1987-830449	19871221
	ES 2035105	T3	19930416	ES 1987-830449	19871221
	JP 63190858	A2	19880808	JP 1987-326631	19871223
	JP 2545105	B2	19961016		
PRAI	IT 1986-48779		19861223		
	EP 1987-830449		19871221		
OS	MARPAT 109:149106				
GI					



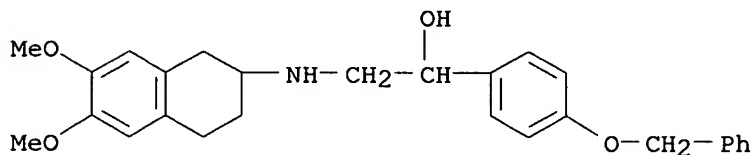
AB Title amines I (R = H, Et, Pr, cyclopropylmethyl; R1 = H, OH, OR3; R2 = H, Me, OH, CF3, F, OMe; R3 = Me, Et, Pr) are prepd. for use as antihypertensives. Amidation of cyclopropanecarboxylic acid chloride with 2-amino-6,7-dimethoxytetralin in Me2CO gave 98% amide, which was reduced by BH3 in THF to give, after acidification, 92% 2-[N-(cyclopropylmethyl)amino]-6,7-dimethoxytetralin.HCl (II.HCl). Amidation of 4-MeOC6H4CH(OAc)COCl with II in Me2CO gave 95% amide, which was reduced and acidified as above to give I.HCl (R = cyclopropylmethyl, R1 = OH, R2 = OMe). At 1-4 mg/kg (i.v.) in anesthetized normotensive cats, the similarly prepd. I.HCl (R = H, R1 = OH, R2 = Me) reduced blood pressure by 18-46 mm Hg for >30 min.
IT 116680-91-2P

10/009,008

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and hydrogenation of)

RN 116680-91-2 CAPLUS

CN Benzenemethanol, 4-(phenylmethoxy)-.alpha.-[[(1,2,3,4-tetrahydro-6,7-
dimethoxy-2-naphthalenyl)amino]methyl]- (9CI) (CA INDEX NAME)

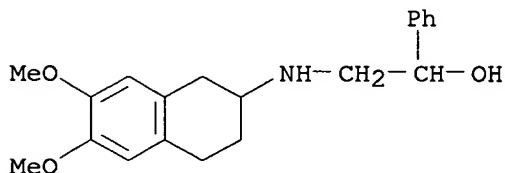


IT 116680-69-4P 116680-72-9P 116680-74-1P
116680-75-2P 116680-76-3P 116680-78-5P
116680-79-6P

RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)

RN 116680-69-4 CAPLUS

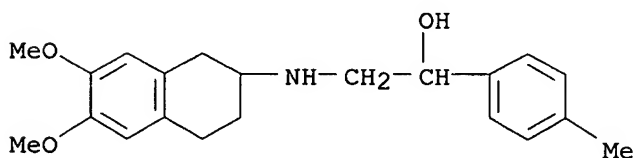
CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-
naphthalenyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 116680-72-9 CAPLUS

CN Benzenemethanol, 4-methyl-.alpha.-[[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-
naphthalenyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

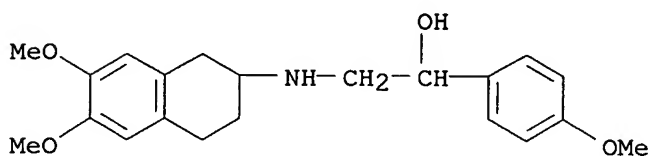


HCl

10/009,008

RN 116680-74-1 CAPLUS

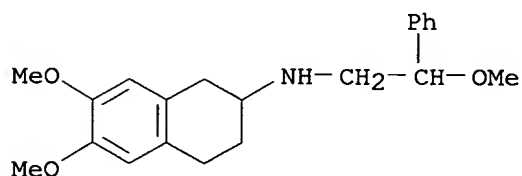
CN Benzenemethanol, 4-methoxy-.alpha.-[[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 116680-75-2 CAPLUS

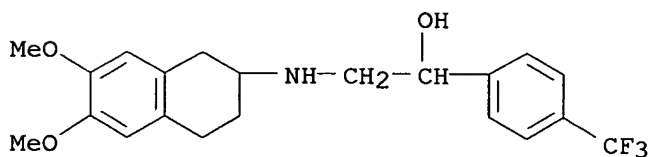
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-6,7-dimethoxy-N-(2-methoxy-2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 116680-76-3 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)amino]methyl]-4-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

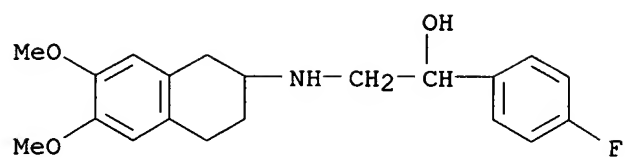


● HCl

RN 116680-78-5 CAPLUS

CN Benzenemethanol, 4-fluoro-.alpha.-[[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

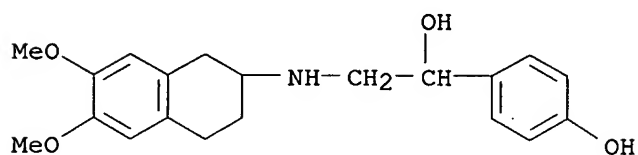
10/009,008



● HCl

RN 116680-79-6 CAPLUS

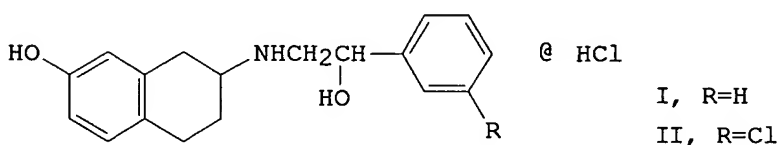
CN Benzenemethanol, 4-hydroxy-.alpha.-[[[(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

10/009,008

L4 ANSWER 188 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1988:198231 CAPLUS
DN 108:198231
TI Inhibition of rat colon motility by stimulation of atypical
beta-adrenoceptors with new gut-specific agents
AU Croci, Tiziano; Cecchi, Roberto; Tarantino, Antonio; Aureggi, Giulio;
Bianchetti, Alberto; Boigegrain, Robert; Manara, Luciano
CS Res. Cent., MIDY S.p.A., Milan, 20137, Italy
SO Pharmacological Research Communications (1988), 20(2), 147-51
CODEN: PLRCAT; ISSN: 0031-6989
DT Journal
LA English
GI

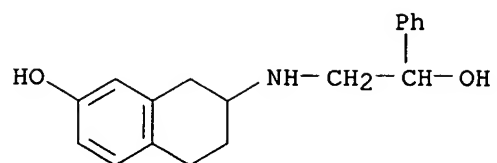


AB The new putative .beta.-adrenergic agonists SR 58306A (I) and SR 58339A (II) were studied in vitro in comparison with ref. compds. I and II, unlike isoprenaline and the .beta.2-selective adrenergic agonists salbutamol and ritodrine, potently inhibited rat colon spontaneous contractions. They did not increase guinea pig atrium frequency or relax guinea pig trachea. The nonselective .beta.-adrenergic antagonists alprenolol, pindolol, and propranolol competitively antagonized the action of I on the colon, whereas the selective antagonists atenolol (.beta.1-) and ICI 118551 (.beta.2-) did not. In the same prepn. only alprenolol competitively antagonized isoprenaline; the antagonism by either pindolol or propranolol was not competitive. These results suggest that in the rat colon isoprenaline interacts with different .beta.-receptor subclasses, whereas the 2 new gut-specific compds. inhibit colonic motility by selectively stimulating atypical .beta.-adrenoceptors.

IT 107758-16-7 107758-24-7
RL: BIOL (Biological study)
(intestine motility inhibition by, atypical .beta.-adrenergic receptors in relation to)

RN 107758-16-7 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)

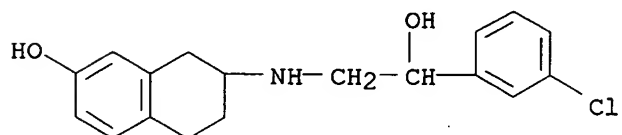
10/009,008



● HCl

RN 107758-24-7 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

10/009,008

L4 ANSWER 189 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1988:112259 CAPLUS

DN 108:112259

TI Preparation of 1,2,3,4,6,7,8,9-octahydrobenzo[g]quinolin-2-one derivatives

as antiinflammatories, analgesics, and blood platelet aggregation inhibitors

IN Nakao, Tatsu; Saito, Tadamasa; Terasawa, Michio; Imayoshi, Tomonori

PA Yoshitomi Pharmaceutical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 8 pp.

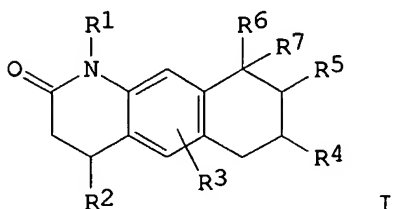
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62120367	A2	19870601	JP 1985-262236	19851120
PRAI	JP 1985-262236		19851120		
OS	CASREACT 108:112259				
GI					



AB The title compds. (I; R1, R2, R4, R5 = H, alkyl; R3 = H, alkyl, halo; R6 =

amino, alkylamino, cyclic alkylamino, aralkylamino, acylamino, when R7 = H; R6R7 = NOH), useful as blood platelet aggregation inhibitors (no data),

analgesics, and antiinflammatories, are prepd. Hydrogenation of 1.9 g 1,8-dimethyl-9-hydroxyimino-1,2,3,4,6,7,8,9-octahydrobenzo[g]quinolin-2-one in 50 mL MeOH in the presence of small amts. of Raney nickel at 60 H atm and 100.degree. for 4 h gave 1.5 g I.HCl (R1 = R5 = Me; R2 = R3 = R4

R7 = H; R6 = NH2) (II) after treatment with HCl/iso-PrOH. II at 100 mg/kg

p.o. showed 64% antiinflammatory activity in rats pretreated with 0.05 mL 1% carrageenin.

IT 112514-27-9P 112514-30-4P

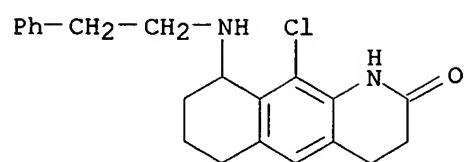
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as antiinflammatory, analgesic, and blood platelet aggregation inhibitor)

RN 112514-27-9 CAPLUS

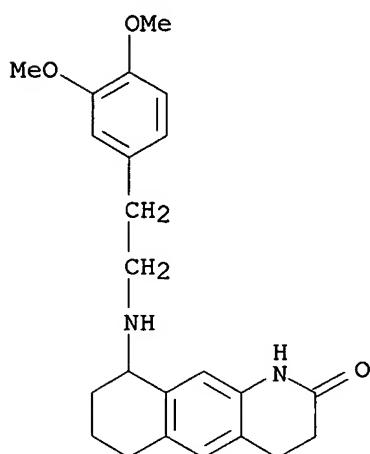
CN Benzo[g]quinolin-2(1H)-one, 10-chloro-3,4,6,7,8,9-hexahydro-9-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

10/009,008



RN 112514-30-4 CAPLUS

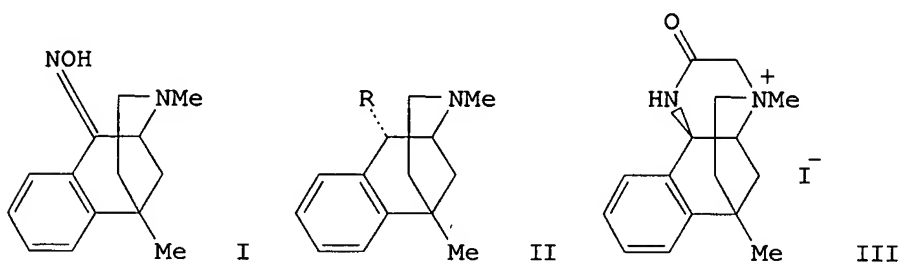
CN Benzo[g]quinolin-2(1H)-one, 9-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-3,4,6,7,8,9-hexahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

10/009,008

L4 ANSWER 190 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1988:112200 CAPLUS
DN 108:112200
TI Synthesis of 1.alpha.- and 1.beta.-(acylamino)- and (alkylamino)-
1,2,3,4,5,6-hexahydro-2,6-methano-3-benzazocines and related compounds
AU Hirani, Shabir K.; Parfitt, Robert T.
CS Sch. Pharm. Pharmacol., Univ. Bath, Bath, BA2 7AY, UK
SO Journal of Heterocyclic Chemistry (1987), 24(2), 489-94
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 108:112200
GI



AB Redn. of benzomorphane oxime I with Raney Ni or hydrogenation over Pt gave 1.alpha. amine II (R = NH₂) in 69 and 74% yields, resp. Redn. of I with LiAlH₄ gave the C-1 epimer 1.beta.-II (R = NH₂) in 64% yield. Condensation of II and 1.beta.-II (R = NH₂) with R₁COCl (R₁ = Me, PhCH₂, CH₂Cl, cyclopropyl) gave amides II and 1.beta.-II (R = NHCOR₁) in 53-71% yields. Redn. of the amides with LiAlH₄ gave amines II and 1.beta.-II (R = NHCH₂R₁) in 18-43% yields. Intramol. quaternization of 1.beta.-II (R = NHCOR₁) in the presence of NaI gave salt III in 51% yield. Similar condensations of R₁COCl with 1.alpha.-aminomethyl deriv. II (R = CH₂NH₂) gave the corresponding amides II (R = CH₂NHCOR₁) in 53-81% yields. Redn. with LiAlH₄ gave amines II (R = CH₂NHCH₂R₁) in 15-31% yields.

IT 113142-99-7P 113216-17-4P

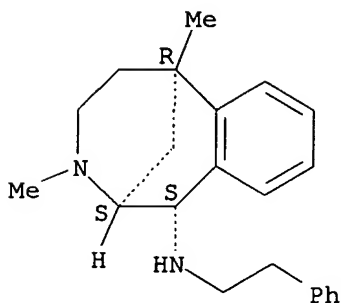
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrochloride formation of)

RN 113142-99-7 CAPLUS

CN 2,6-Methano-3-benzazocin-1-amine,
1,2,3,4,5,6-hexahydro-3,6-dimethyl-N-(2-
phenylethyl)-, (1.alpha.,2.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

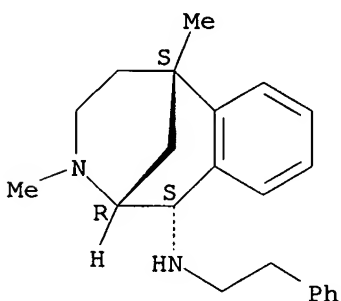
10/009,008



RN 113216-17-4 CAPLUS

CN 2,6-Methano-3-benzazocin-1-amine,
1,2,3,4,5,6-hexahydro-3,6-dimethyl-N-(2-
phenylethyl)-, (1.alpha.,2.beta.,6.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 113216-24-3P 113299-18-6P

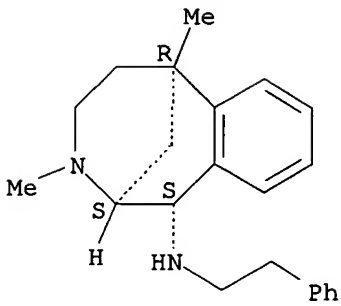
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 113216-24-3 CAPLUS

CN 2,6-Methano-3-benzazocin-1-amine,
1,2,3,4,5,6-hexahydro-3,6-dimethyl-N-(2-
phenylethyl)-, dihydrochloride, (1.alpha.,2.alpha.,6.alpha.)- (9CI) (CA
INDEX NAME)

Relative stereochemistry.

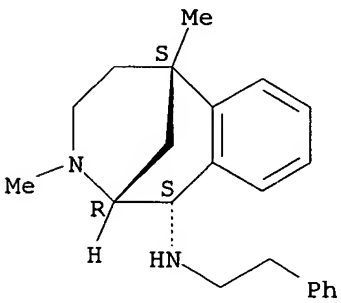
10/009,008



● 2 HCl

RN 113299-18-6 CAPLUS
CN 2,6-Methano-3-benzazocin-1-amine,
1,2,3,4,5,6-hexahydro-3,6-dimethyl-N-(2-
phenylethyl)-, dihydrochloride, (1.alpha.,2.beta.,6.beta.)- (9CI) (CA
INDEX NAME)

Relative stereochemistry.



● 2 HCl

10/009,008

L4 ANSWER 191 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1987:407603 CAPLUS

DN 107:7603

TI (3,4-Dihydroxyphenyl)serine derivatives

PA Sumitomo Pharmaceuticals Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.

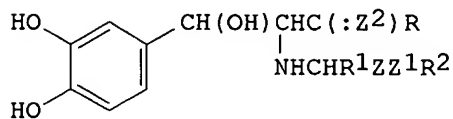
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61145148	A2	19860702	JP 1985-136581	19850621
	JP 05050499	B4	19930729		
	US 4695580	A	19870922	US 1984-683430	19841219
PRAI	US 1984-683430		19841219		
	JP 1983-241601		19831220		
GI					



AB The title compds. I [R = (cyclo)alkoxy, (un)substituted carbamoyloxy, substituted methoxy, substituted amino; R1 = H, alkyl, Ph; R2 = H, (cyclo)alkyl, (un)substituted aryl, heteroaryl, ferrocenyl; Z = a bond, (un)substituted alkylene; Z1 = a bond, O, S, CONH, alkylimino or Z1R2 = 1,4-benzodioxanyl or CHR1ZZ1R2 = cycloalkyl, tetrahydronaphthyl; Z2 = H2, O, dialkyl], useful as antiallergic and antiinflammatory agents for prophylaxis and treatment of heart and brain diseases caused by ischemia, were prepd. Thus, a mixt. of L-threo-3-(3,4-dihydroxyphenyl)-N-(benzyloxycarbonyl)serine pyrrolidinamide and PhCH2CH2COMe in MeOH contg. NaBH3CN and mol. sieve 3A was allowed to react in an ice bath for 1 h and then at room temp. for 2 days to give, after hydrogenolysis over 5% Pd/C and treatment with aq. HCl soln., I (R = 1-pyrrolidinyl, CHR1ZZ1R2 = CHMeCH2CH2Ph, Z2 = O). I were inhibitors of leukotriene biosynthesis and antagonists of SRS-A (slow reacting substance of anaphylaxis).

IT **108467-21-6P 108509-96-2P**

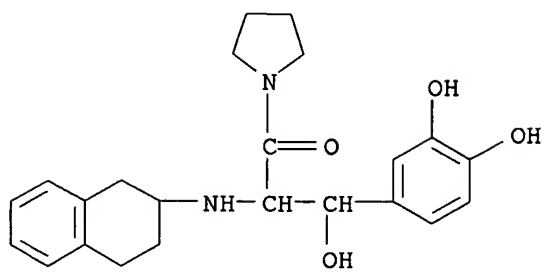
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as antiallergic, antiasthmatic, and antiinflammatory agent)

RN 108467-21-6 CAPLUS

CN Pyrrolidine, 1-[3-(3,4-dihydroxyphenyl)-3-hydroxy-1-oxo-2-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008



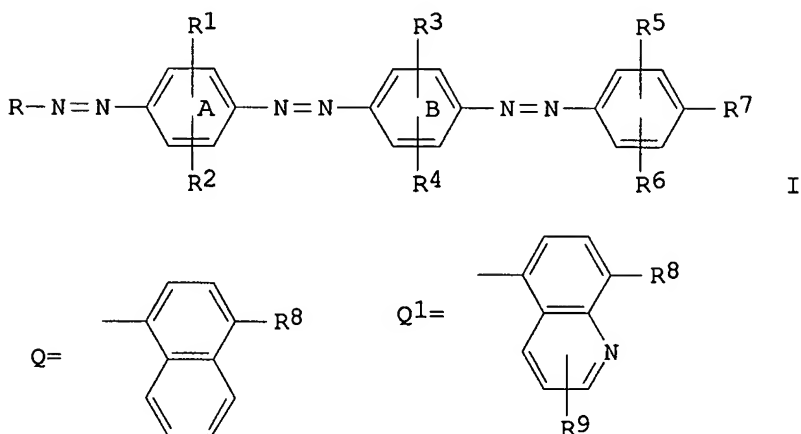
● HCl

RN 108509-96-2 CAPLUS

10/009,008

L4 ANSWER 192 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1987:178105 CAPLUS
DN 106:178105
TI Unsymmetrical trisazo dyes for liquid crystal materials
IN Etzbach, Karl Heinz
PA BASF A.-G. , Fed. Rep. Ger.
SO Ger. Offen., 8 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3529988	A1	19870226	DE 1985-3529988	19850822
	US 4721779	A	19880126	US 1986-893268	19860805
	CH 669203	A	19890228	CH 1986-3244	19860813
	JP 62045664	A2	19870227	JP 1986-192227	19860819
	GB 2179362	A1	19870304	GB 1986-20339	19860821
	GB 2179362	B2	19890802		
PRAI	DE 1985-3529988		19850822		
GI					



AB The title compds. I [R = Q, Q1; R8, R7 = C1-24 alkoxy, PhCH2O, Ph(CH2)2O, mono-C1-24-alkylamino, PhNMe, PhNEt, PhNH, bis(C1-24 alkyl)amino, ; R9 = H, Me; R1-R6 = H, Me, MeO, Cl; rings A and B can be condensed benzene ring systems], useful for coloring liq. crystal media, as well as for dyeing synthetic polymers or fibers, are prepd. 4-Amino-4'-nitroazobenzene was diazotized and coupled with m-cresol, the disazo intermediate reacted with n-C8H17Br, the product reduced with Na2S, the amino-contg. disazo intermediate diazotized and coupled with HQ (R8 = NHet), forming I (R = Q, R8 = NHet, R1-R5 = H, R6 = Me ortho to N:N, R7 = OC8H17-n) (II), having .lambda.max (CH2Cl2) 532 nm. II had 2.1% soly. (room temp.) in ZLI 2452, and dichroic ratio 0.84.

10/009,008

IT 108006-12-8P

RL: PREP (Preparation)

(manuf. of, as dye for liq. crystal display devices and synthetic polymers)

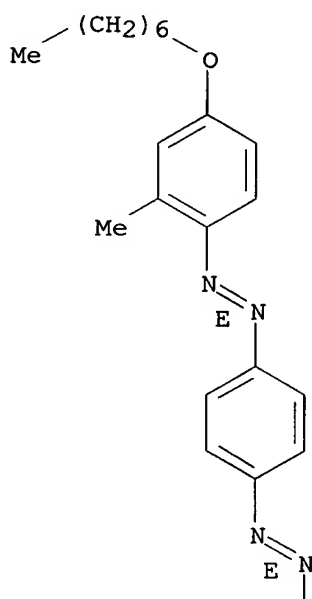
RN 108006-12-8 CAPLUS

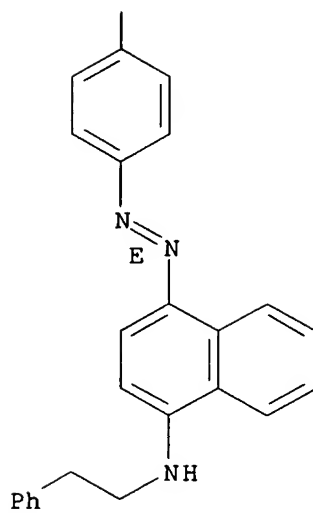
CN 1-Naphthalenamine, 4-[[4-[[4-[[4-(heptyloxy)-2-methylphenyl]azo]phenyl]azo]phenyl]azo]-N-(2-phenylethyl)-, (E,E,E)-(9CI)

(CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

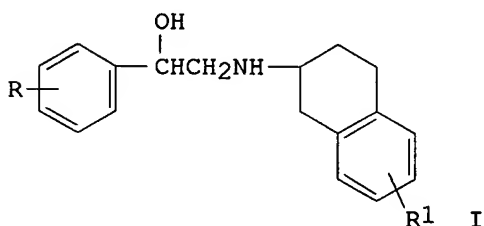




10/009,008

L4 ANSWER 193 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1987:156084 CAPLUS
DN 106:156084
TI Phenylethanolaminotetralins, a process for their preparation, and
pharmaceutical compositions containing them
IN Cecchi, Roberto; Boigegrain, Robert; Bianchetti, Alberto; Poggesi, Elena;
Croci, Tiziano
PA SANOFI, Fr.; Midy S.p.A.
SO Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 211721	A1	19870225	EP 1986-401494	19860704
	EP 211721	B1	19891004		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	FR 2584712	A1	19870116	FR 1985-10559	19850710
	FR 2584712	B1	19871127		
	FR 2598410	A1	19871113	FR 1986-6626	19860507
	FR 2598410	B1	19880916		
	IL 79323	A1	19900319	IL 1986-79323	19860702
	ES 2002717	A6	19881001	ES 1986-121	19860704
	AT 46900	E	19891015	AT 1986-401494	19860704
	ZA 8605082	A	19870325	ZA 1986-5082	19860708
	CA 1260493	A1	19890926	CA 1986-513357	19860708
	NO 8602773	A	19870112	NO 1986-2773	19860709
	NO 165190	B	19901001		
	NO 165190	C	19910109		
	AU 8659889	A1	19870115	AU 1986-59889	19860709
	AU 596976	B2	19900524		
	FI 8602907	A	19870111	FI 1986-2907	19860710
	FI 85692	B	19920214		
	FI 85692	C	19920525		
	DK 8603285	A	19870111	DK 1986-3285	19860710
	DK 167353	B1	19931018		
	JP 62063549	A2	19870320	JP 1986-163514	19860710
	JP 05071581	B4	19931007		
	US 4707497	A	19871117	US 1986-883961	19860710
PRAI	FR 1985-10559		19850710		
	FR 1986-6626		19860507		
	EP 1986-401494		19860704		
OS	CASREACT 106:156084				
GI					

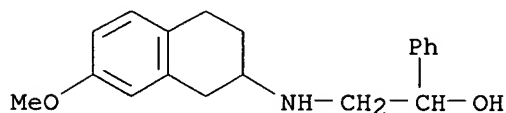


AB The title compds. [I; R = H, halo, alkyl, CF₃; R₁ = OH, (substituted) alkoxy] and their salts, useful as lipolytic agents, are prepd. A MeOH soln. of 0.8 g 2-amino-1-phenylethanol and 1 g 7-methoxy-2-tetralone was reacted at 35.degree. over 4 h in the presence of H₂ and PtO₂ to give 37% I.HCl (R = H, R₁ = 7-MeO) which (30 mg) was the active ingredient in a sterile parenteral soln. also contg. 5 mg NaCl and 2 mL distd. H₂O. The title compds. show strong lipolytic activity both in vitro and in vivo in brown and white adipose tissue.

IT 107758-10-1P 107758-11-2P 107758-12-3P
 107758-13-4P 107758-14-5P 107758-15-6P
 107758-16-7P 107758-18-9P 107758-19-0P
 107758-20-3P 107758-21-4P 107758-22-5P
 107758-23-6P 107758-24-7P 107758-25-8P
 107758-26-9P 107758-27-0P 107758-28-1P
 107758-29-2P 107758-30-5P 107758-31-6P
 107758-32-7P 107758-33-8P 107758-34-9P
 107758-35-0P 107758-36-1P 107758-37-2P
 107758-38-3P 107758-39-4P 107758-40-7P
 107758-41-8P 107758-42-9P 107758-43-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antiobesity agent)

RN 107758-10-1 CAPLUS

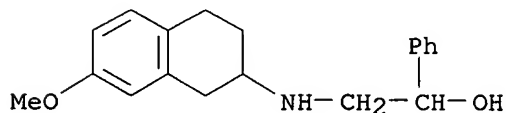
CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl) amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 107758-11-2 CAPLUS

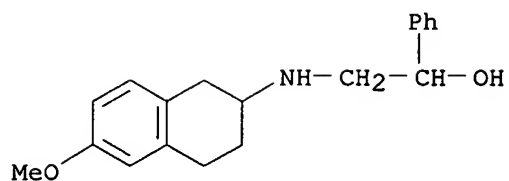
CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl) amino]methyl]- (9CI) (CA INDEX NAME)



RN 107758-12-3 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl) amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

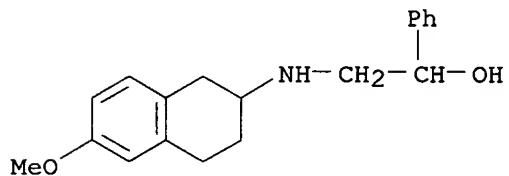
10/009,008



● HCl

RN 107758-13-4 CAPLUS

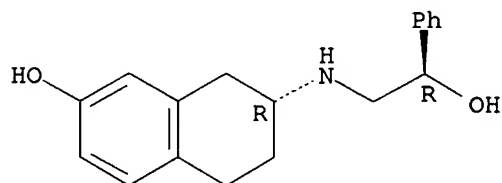
CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 107758-14-5 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

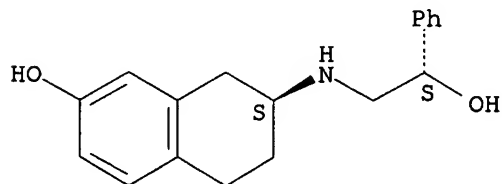
Absolute stereochemistry.



RN 107758-15-6 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

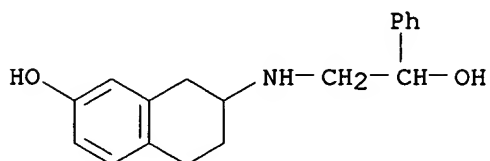
Absolute stereochemistry.



RN 107758-16-7 CAPLUS

10/009,008

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

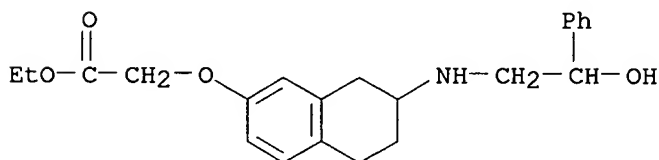
RN 107758-18-9 CAPLUS

CN Acetic acid, [[5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-2-naphthalenyl]oxy]-, ethyl ester, ethanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 107758-17-8

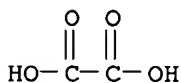
CMF C22 H27 N O4



CM 2

CRN 144-62-7

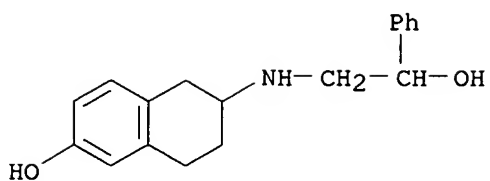
CMF C2 H2 O4



RN 107758-19-0 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-6-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)

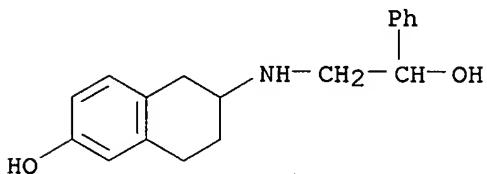
10/009,008



● HCl

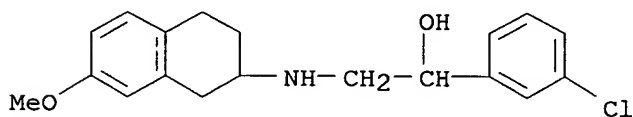
RN 107758-20-3 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-6-[(2-hydroxy-2-phenylethyl)amino]-
(9CI) (CA INDEX NAME)



RN 107758-21-4 CAPLUS

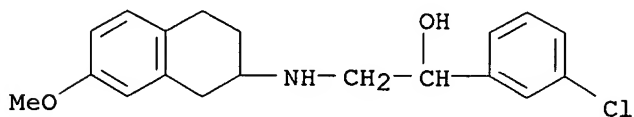
CN Benzenemethanol, 3-chloro-.alpha.-[[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 107758-22-5 CAPLUS

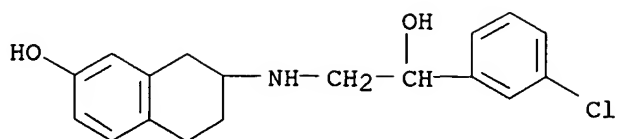
-CN Benzenemethanol, 3-chloro-.alpha.-[[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 107758-23-6 CAPLUS

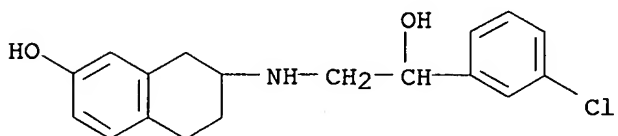
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

10/009,008



RN 107758-24-7 CAPLUS

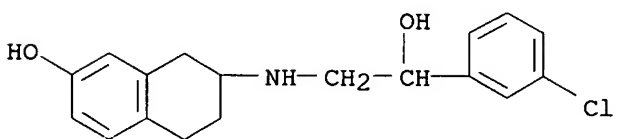
CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 107758-25-8 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

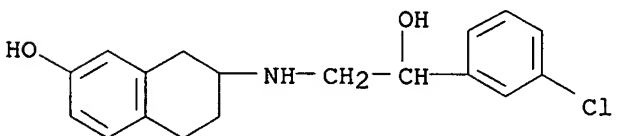
RN 107758-26-9 CAPLUS

CN 2-Naphthalenol, 7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 107758-23-6

CMF C18 H20 Cl N O2



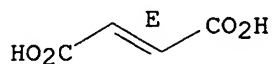
10/009,008

CM 2

CRN 110-17-8

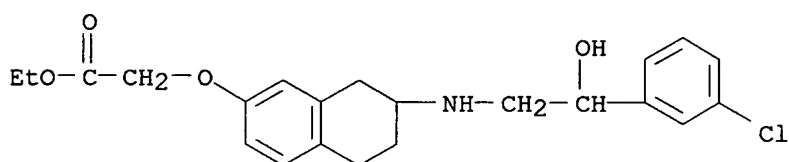
CMF C4 H4 O4

Double bond geometry as shown.



RN 107758-27-0 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



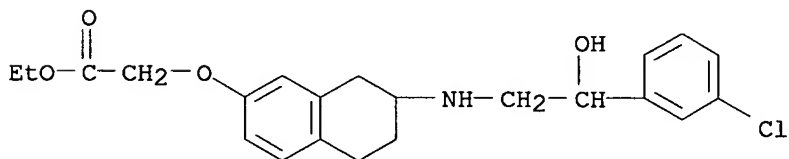
RN 107758-28-1 CAPLUS

CN Acetic acid, [[7-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-, ethyl ester, ethanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 107758-27-0

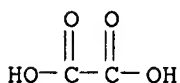
CMF C22 H26 Cl N O4



CM 2

CRN 144-62-7

CMF C2 H2 O4



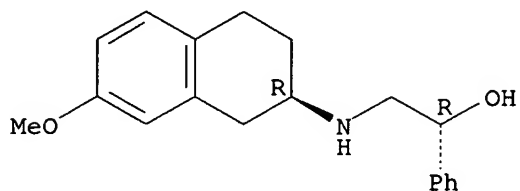
RN 107758-29-2 CAPLUS

CN Benzenemethanol, .alpha.-[[1,2,3,4-tetrahydro-7-methoxy-2-

10/009,008

naphthalenyl)amino]methyl]-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

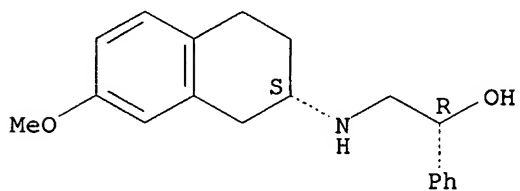


● HCl

RN 107758-30-5 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]-, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

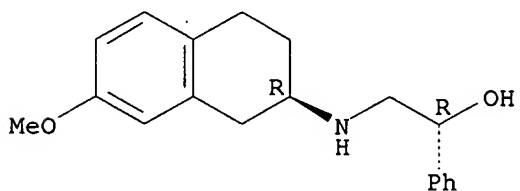


● HCl

RN 107758-31-6 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

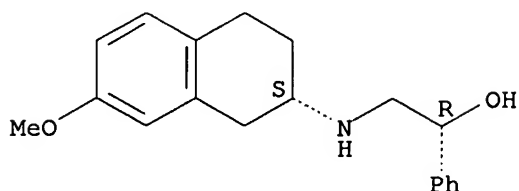


RN 107758-32-7 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

10/009,008

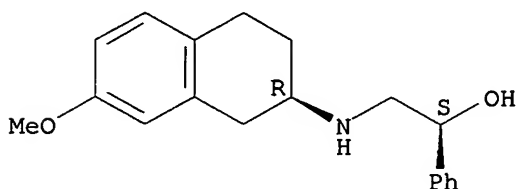
Absolute stereochemistry.



RN 107758-33-8 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]-, hydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

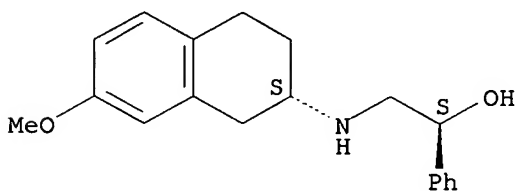


● HCl

RN 107758-34-9 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



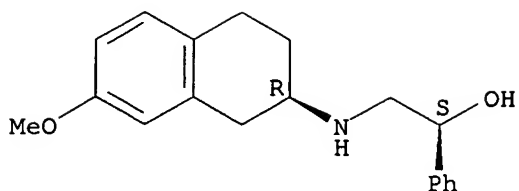
● HCl

RN 107758-35-0 CAPLUS

CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

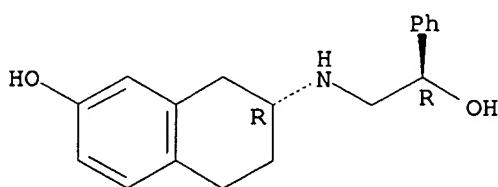
10/009,008



RN 107758-36-1 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

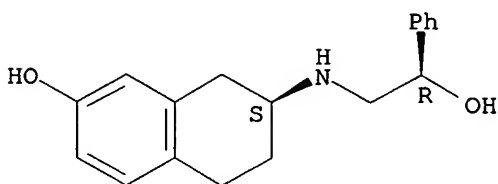


● HCl

RN 107758-37-2 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



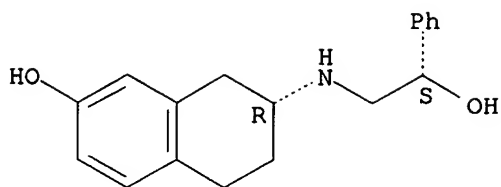
● HCl

RN 107758-38-3 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

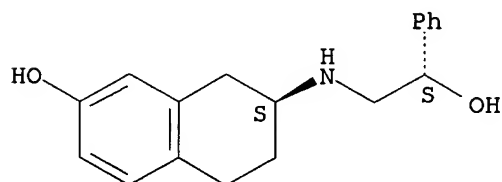
10/009,008



● HCl

RN 107758-39-4 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

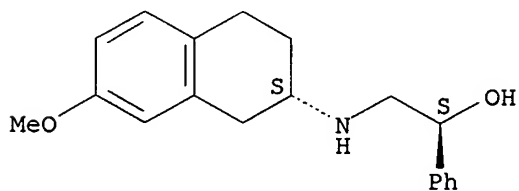
Absolute stereochemistry.



● HCl

RN 107758-40-7 CAPLUS
CN Benzenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]methyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

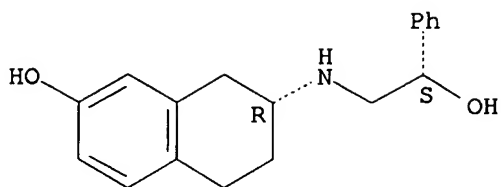
Absolute stereochemistry.



RN 107758-41-8 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

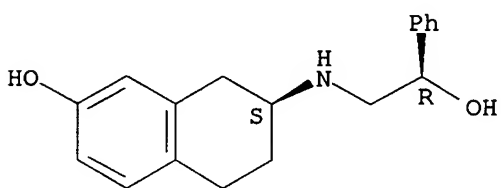
10/009,008



RN 107758-42-9 CAPLUS

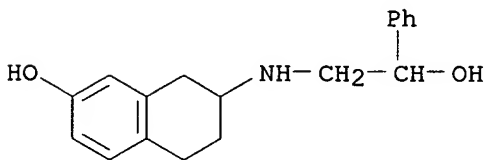
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



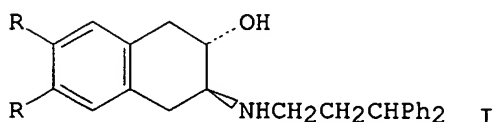
RN 107758-43-0 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-7-[(2-hydroxy-2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



10/009,008

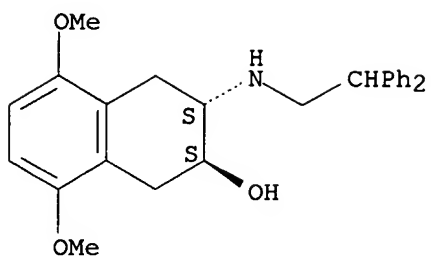
L4 ANSWER 194 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1987:138050 CAPLUS
DN 106:138050
TI Substituted 1,2,3,4-tetrahydroaminonaphthols: antihypertensive agents, calcium channel blockers, and adrenergic receptor blockers with catecholamine-depleting effects
AU Atwal, Karnail S.; O'Reilly, Brian C.; Ruby, Eric P.; Turk, Chester F.; Aberg, Gunnar; Asaad, Magdi M.; Bergey, James L.; Moreland, Suzanne; Powell, James R.
CS Squibb Inst. Med. Res., Princeton, NJ, 08513-4000, USA
SO Journal of Medicinal Chemistry (1987), 30(4), 627-35
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 106:138050
GI



AB Title compds., e.g., I (R = H, MeO, OH, Me; R₂ = OCH₂O), were prepd. and found to have the title activities. Structure-activity studies showed that no clear correlation emerged between the in vitro Ca channel blocking activity and the acute antihypertensive activity in cannulated spontaneously hypertensive rats. I (R = MeO) was as active as the structurally related compd., verapamil, a clin. useful Ca channel blocker.
IT **101333-54-4P 106359-26-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., antihypertensive, and calcium and .beta.-adrenergic blocking activities of)
RN 101333-54-4 CAPLUS
CN 2-Naphthalenol, 3-[(2,2-diphenylethyl)amino]-1,2,3,4-tetrahydro-5,8-dimethoxy-, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/009,008



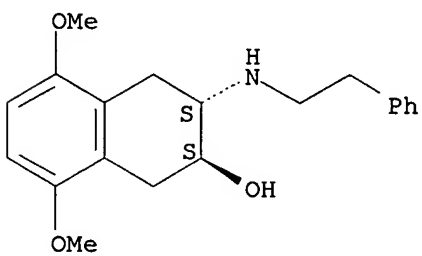
● HCl

RN 106359-26-6 CAPLUS

CN 2-Naphthalenol,

1,2,3,4-tetrahydro-5,8-dimethoxy-3-[(2-phenylethyl)amino]-
, trans- (9CI) (CA INDEX NAME)

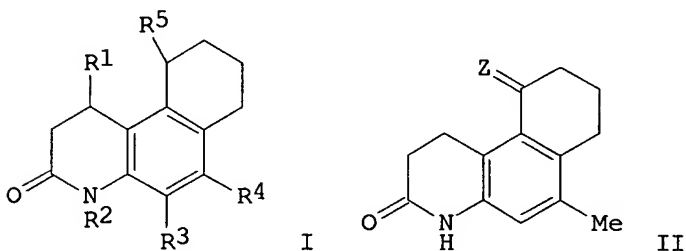
Relative stereochemistry.



10/009,008

L4 ANSWER 195 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1987:119702 CAPLUS
DN 106:119702
TI Benzo[f]quinoline compounds and their medicinal compositions
IN Nakao, Toru; Saito, Tadamasu; Terasawa, Michio; Tahara, Tetsuya
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----		-----	-----	-----
PI	WO 8604896	A1	19860828	WO 1986-JP55	19860210
	W: US				
	RW: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	JP 61186365	A2	19860820	JP 1985-26835	19850214
	JP 01038783	B4	19890816		
PRAI	JP 1985-26835		19850214		
GI					



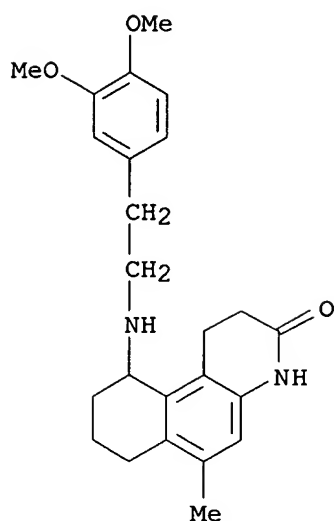
AB Benzo[f]quinoline derivs. (I; R1, R2 = H, C1-4 alkyl; R3, R4 = H, halo, NO2, NH2, C1-4 alkyl, etc., R5 = HON, NH2, C1-5 alkyl), effective analgesics at 3 mg/kg p.o. in mice and antiinflammatory agents at 100 mg/kg p.o. in rats, are prepd. Thus, refluxing a mixt. of dione (II) (Z = O) 1.5, H2NOH.HCl 0.68, and NaHCO3 0.82 g in EtOH gave 1.0 g oxime II (Z = HON), which (50 g) was reduced over Raney Ni at 100.degree./60 atm H to give 43 g I (R1-3 = H, R4 = Me, R5 = NH2).

IT **106486-97-9P 106487-21-2P 106487-22-3P 106487-24-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as analgesic and antiinflammatory agent)

RN 106486-97-9 CAPLUS

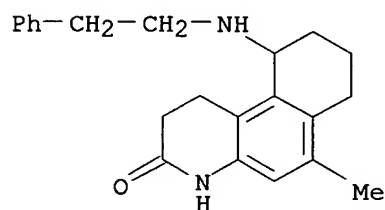
CN Benzo[f]quinolin-3(2H)-one, 10-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-1,4,7,8,9,10-hexahydro-6-methyl- (9CI) (CA INDEX NAME)

10/009,008



RN 106487-21-2 CAPLUS

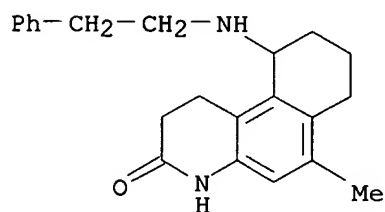
CN Benzo[f]quinolin-3(2H)-one, 1,4,7,8,9,10-hexahydro-6-methyl-10-[(2-phenylethyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 106487-22-3 CAPLUS

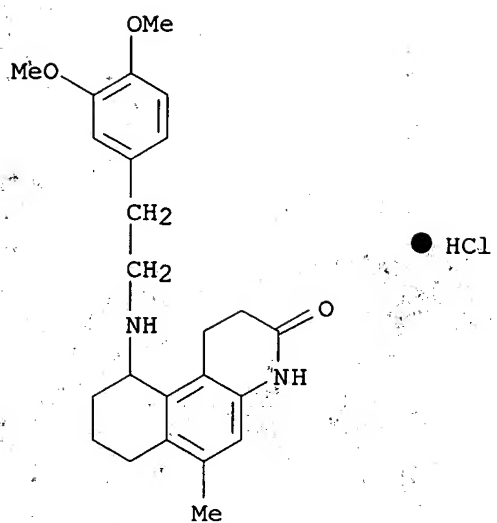
CN Benzo[f]quinolin-3(2H)-one, 1,4,7,8,9,10-hexahydro-6-methyl-10-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 106487-24-5 CAPLUS

CN Benzo[f]quinolin-3(2H)-one, 10-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-1,4,7,8,9,10-hexahydro-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008

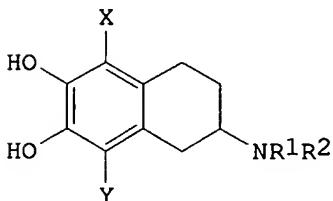


10/009,008

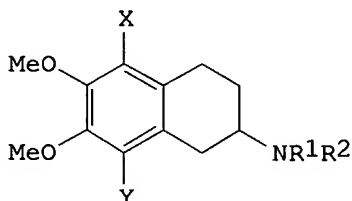
L4 ANSWER 196 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1987:119474 CAPLUS
DN 106:119474
TI Benz-trisubstituted 2-aminotetralins as dopaminergic agents, their
pharmaceutical formulations, and a process for their preparation
IN Gaitanopoulos, Dimitri; Weinstock, Joseph
PA SmithKline Beckman Corp., USA
SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 209275	A1	19870121	EP 1986-304916	19860625
	EP 209275	B1	19881019		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 38029	E	19881115	AT 1986-304916	19860625
	JP 62004250	A2	19870110	JP 1986-151346	19860626
PRAI	US 1985-749030		19850626		
	EP 1986-304916		19860625		

GI



I



II

AB Aminotetralins I (R1, R2 = H, Pr, Bu, 4-HOC6H4CH2CH2; X or Y = H, other = halo) are prepd. as dopaminergic agents. Dimethoxyaminotetralin II (R1 = R2 = X = H, Y = Cl) (prepn. given) was stirred in concd. HBr at 110.degree. for 2.5 h to give 90% I.HBr (R1 = R2 = X = H, Y = Cl) (III). Capsules contg. III 250, lactose 100, and Mg stearate 2 mg were prepd.

for

use in natriuretic or antihypertensive therapy. III showed 80:1 selectivity for D1 receptors, based on competitive binding assays with spiroperidol and fenoldopam.

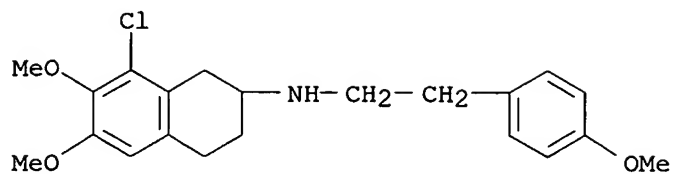
IT 103347-01-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation of, with propionyl chloride)

RN 103347-01-9 CAPLUS

CN 2-Naphthalenamine, 8-chloro-1,2,3,4-tetrahydro-6,7-dimethoxy-N-[2-(4-methoxyphenyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

10/009,008



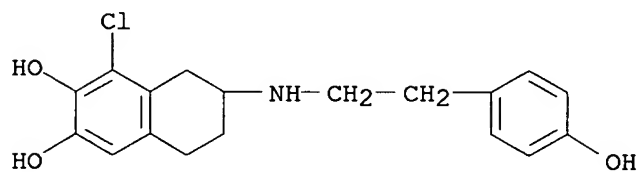
● HCl

IT 103347-42-8P 103347-63-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as dopaminergic agent)

RN 103347-42-8 CAPLUS

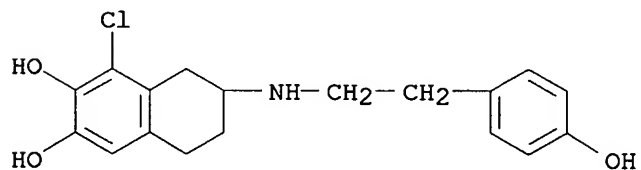
CN 2,3-Naphthalenediol, 1-chloro-5,6,7,8-tetrahydro-7-[[2-(4-hydroxyphenyl)ethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

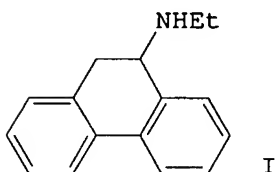
RN 103347-63-3 CAPLUS

CN 2,3-Naphthalenediol, 1-chloro-5,6,7,8-tetrahydro-7-[[2-(4-hydroxyphenyl)ethyl]amino]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 197 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1987:119368 CAPLUS
DN 106:119368
TI Photochemical reactions of aromatic compounds. 43. Direct
photoamination
of arenes with ammonia and primary amines in the presence of electron
acceptors
AU Yasuda, Masahide; Yamashita, Toshiaki; Shima, Kensuke; Pac, Chyongjin
CS Fac. Eng., Miyazaki Univ., Miyazaki, 880, Japan
SO Journal of Organic Chemistry (1987), 52(5), 753-9
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 106:119368
GI



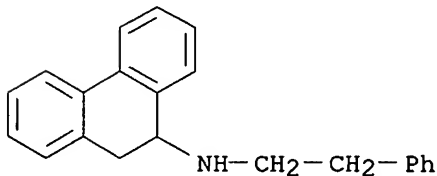
AB Direct photoamination of phenanthrene, 9-methoxyphenanthrene, anthracene, naphthalene, and several substituted naphthalenes with NH_3 or primary amines in the presence of $m\text{-(NC)}_2\text{C}_6\text{H}_4$ gave aminated dihydroarenes in fairly good yields. Thus, irradiation of a solution of phenanthrene, EtNH_2 and $m\text{-(NC)}_2\text{C}_6\text{H}_4$ in MeCN and water gave 95% (ethylamino)dihydrophenanthrene I. In the absence of $m\text{-(NC)}_2\text{C}_6\text{H}_4$, no I was obtained. $m\text{-(MeO)}_2\text{C}_6\text{H}_4$ and biphenyl were photoaminated in lower yields. A suggested mechanism for the amination involves the nucleophilic attack of NH_3 and amines on aromatic cation radicals generated by photochemical electron-transfer to $m\text{-(NC)}_2\text{C}_6\text{H}_4$. This photoamination was applied to direct introduction of various functionalized primary amines including the vinyl, cyano, hydroxy, acetylamino, and ethoxycarbonyl groups.

IT **106469-13-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 106469-13-0 CAPLUS

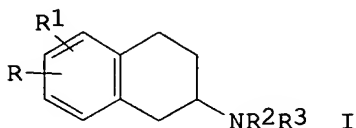
CN 9-Phenanthrenamine, 9,10-dihydro-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



10/009,008

10/009,008

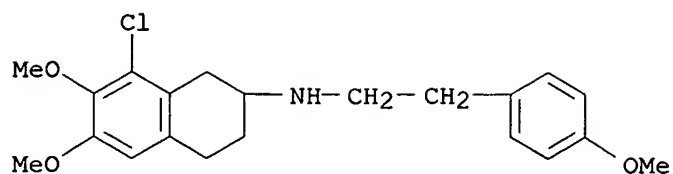
L4 ANSWER 198 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1986:572006 CAPLUS
DN 105:172006
TI Synthesis and dopaminergic activity of some halogenated mono- and dihydroxylated 2-aminotetralins
AU Weinstock, Joseph; Gaitanopoulos, Dimitri; Oh, Hye Ja; Pfeiffer, Francis R.; Karash, Carole B.; Venslavsky, Joseph W.; Sarau, Henry M.; Flaim, Kathryn E.; Hieble, J. Paul; Kaiser, Carl
CS Res. Dev. Div., Smith Kline and French Lab., Philadelphia, PA, 19101, USA
SO Journal of Medicinal Chemistry (1986), 29(9), 1615-27
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 105:172006
GI



AB The title compds. I [R = 6-HO, 7-HO, 6,7-(HO)2, R1 = 5-, 6-, 7-, 8-Cl, 6,8-Cl2, 6-F; R2 = H, Pr, Me, p-HOC6H4CH2CH2, R3 = H, Me, Pr] were prepd. by several methods and evaluated for dopaminergic properties in D-1 and D-2 receptor-related tests. I [R = 6,7-(HO)2, R1 = 8-F, R2 = R3 = H] was prepd. in 7 steps from 2,3,4-F(MeO)2C6H2CH2CO2,H. Introduction of a chloro substituent into the 8-position of the prototype of this series, i.e. 2-amino-6,7-dihydroxytetralin (ADTN), resulted in a compd. with a high degree of selectivity for the D-1 subpopulation of dopamine receptors; it was equally or more potent than ADTN in the D-1 receptor-related tests with greatly decreased effectiveness in the tests involving D-2 receptors. A similar effect was obsd. with 8-fluoro-ADTN. Conversely, introduction of a chloro substituent into the 5-position of ADTN markedly decreased D-1 receptor affinity and efficacy. This effect was not seen with the related 5-fluoro deriv., suggesting D-1 receptors are more sensitive to bulk in the 5-position of ADTN than are the D-2 receptors.

IT **103347-01-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and demethylation of)
RN 103347-01-9 CAPLUS
CN 2-Naphthalenamine, 8-chloro-1,2,3,4-tetrahydro-6,7-dimethoxy-N-[2-(4-methoxyphenyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

10/009,008



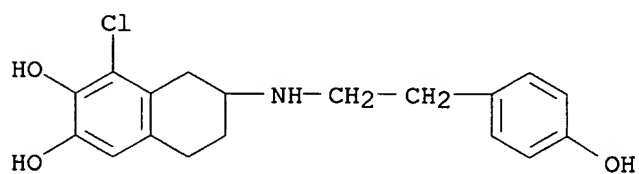
● HCl

IT 103347-42-8P 103347-63-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and dopaminergic activity of)

RN 103347-42-8 CAPLUS

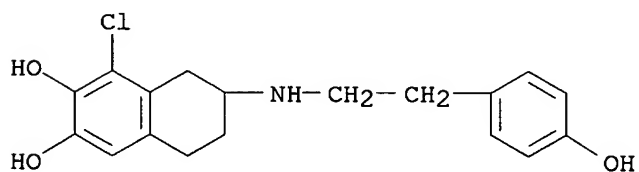
CN 2,3-Naphthalenediol, 1-chloro-5,6,7,8-tetrahydro-7-[[2-(4-hydroxyphenyl)ethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

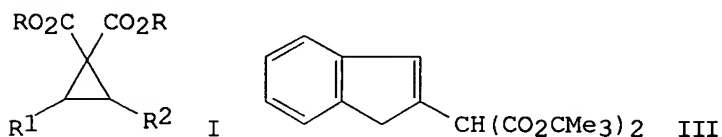
RN 103347-63-3 CAPLUS

CN 2,3-Naphthalenediol, 1-chloro-5,6,7,8-tetrahydro-7-[[2-(4-hydroxyphenyl)ethyl]amino]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 199 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1986:168011 CAPLUS
DN 104:168011
TI Diethylaluminum chloride-amine complex mediated aminolysis of activated cyclopropanes
AU Blanchard, Louis A.; Schneider, Josef A.
CS Res. Dep., Ciba-Geigy Corp., Summit, NJ, 07901, USA
SO Journal of Organic Chemistry (1986), 51(8), 1372-4
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 104:168011
GI



AB Ring opening of the cyclopropanedicarboxylates I [R = CMe₃, R₁ = 4-MeOC₆H₄, vinyl, Et, Me₂, R₂ = H; R = CMe₃, R₁R₂ = o-C₆H₄(CH₂)_n, n = 1, 2; R = Me, R₁R₂ = C₆H₂(OMe)₂OCH₂-4,5,2] with R₃H [R₃ = pyrrolidino, NEt₂, NH₄Et, NHCH₂CH₂C₆H₃(OMe)₂-3,4, NH₂] in the presence of Et₂AlCl gave 30-91% R₁R₂CHCHR₂CH(CO₂R)₂ (II). II (R = CMe₃, R₁R₂ = o-C₆H₄CH₂, R₃ = pyrrolidino) was accompanied by 16% III.

IT 100839-29-0P

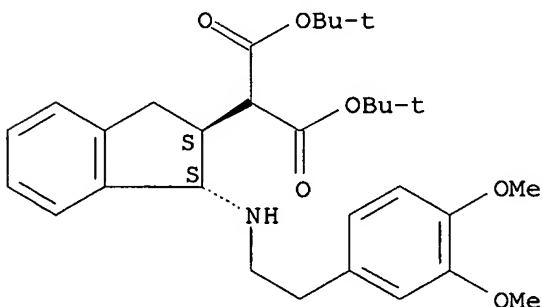
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 100839-29-0 CAPLUS

CN Propanedioic acid,

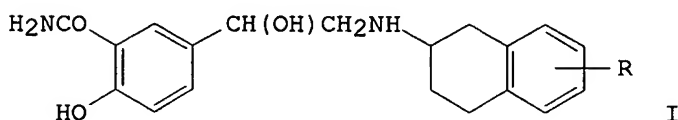
[1-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-2,3-dihydro-1H-inden-2-yl]-, bis(1,1-dimethylethyl) ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

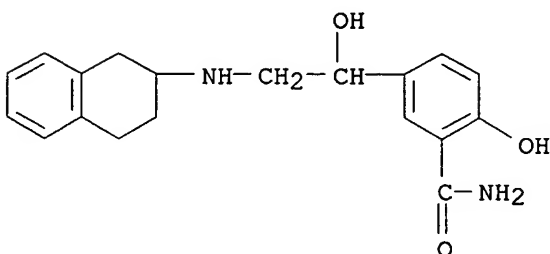


10/009,008

L4 ANSWER 200 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1986:161536 CAPLUS
DN 104:161536
TI Antihypertensive aminotetralins related to labetalol and medroxalol
AU Clark, Robin D.; Caroon, Joan M.; Repke, David B.; Strosberg, Arthur M.;
Whiting, Roger L.; Brown, Christine M.
CS Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304, USA
SO Journal of Pharmaceutical Sciences (1986), 75(1), 80-2
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
GI

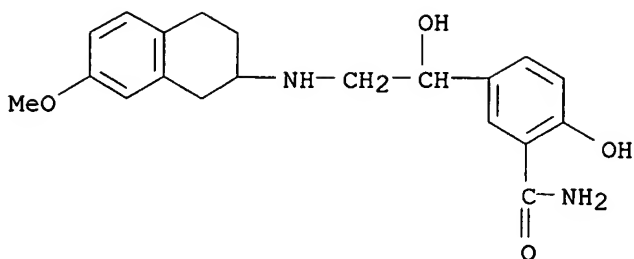


AB A series of aminotetraline (I; R = 7-OMe, 6-OMe, 6,7-OCH2O, and
5,6-OCH2O)
was prepd. and evaluated for antihypertensive activity in spontaneously
hypertensive rats and for .alpha.- and .beta.-adrenoceptor affinity. I,
R
= 6-OMe [101625-41-6] and I; R = 6,7-OCH2O [101625-42-7] were at least as active as labetalol in lowering
hypertension. These compds. were as active as labetalol as
.alpha.1-antagonists, but were substantially weaker .beta.1-antagonists.
Structure-activity relationship of these compds. is discussed.
IT 101625-32-5P 101625-33-6P 101625-34-7P
101625-41-6P 101625-42-7P 101625-43-8P
101625-44-9P 101625-45-0P 101625-46-1P
101625-47-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antihypertensive activity and adrenoceptor affinity of,
structure in relation to)
RN 101625-32-5 CAPLUS
CN Benzamide, 2-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-2-
naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 101625-33-6 CAPLUS
CN Benzamide, 2-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-
naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

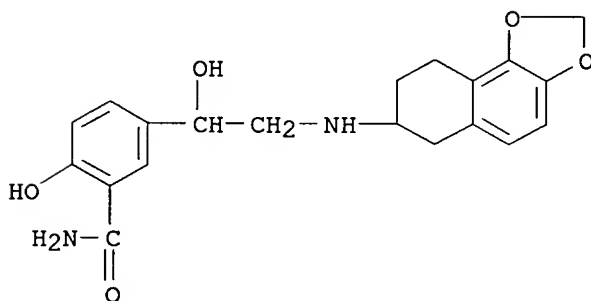
10/009,008



RN 101625-34-7 CAPLUS

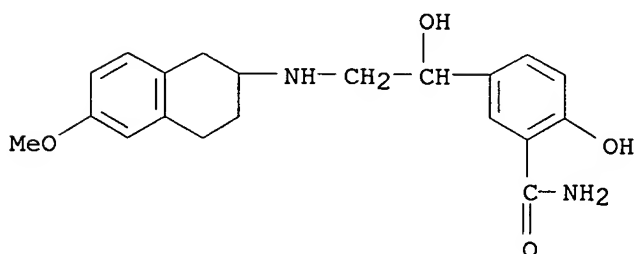
CN Benzamide,

2-hydroxy-5-[1-hydroxy-2-[(6,7,8,9-tetrahydronaphtho[1,2-d]-1,3-dioxol-7-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 101625-41-6 CAPLUS

CN Benzamide, 2-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

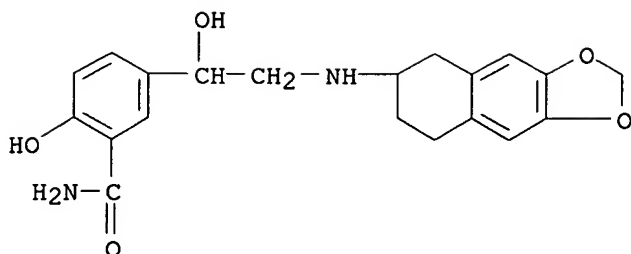


RN 101625-42-7 CAPLUS

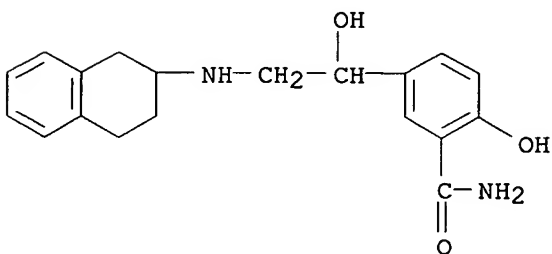
CN Benzamide,

2-hydroxy-5-[1-hydroxy-2-[(5,6,7,8-tetrahydronaphtho[2,3-d]-1,3-dioxol-6-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

10/009,008

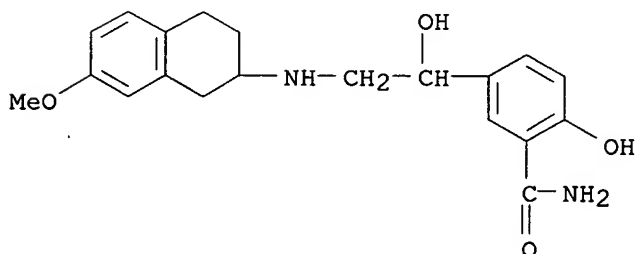


RN 101625-43-8 CAPLUS
CN Benzamide, 2-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

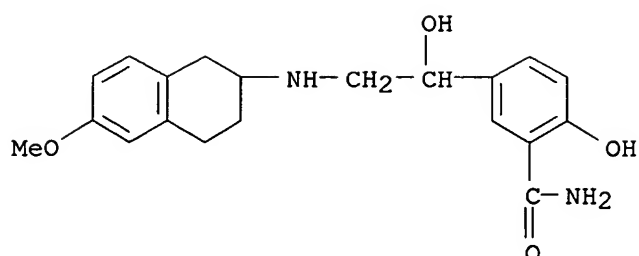
RN 101625-44-9 CAPLUS
CN Benzamide, 2-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

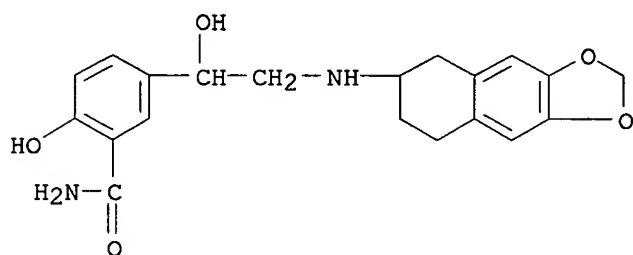
RN 101625-45-0 CAPLUS
CN Benzamide, 2-hydroxy-5-[1-hydroxy-2-[(1,2,3,4-tetrahydro-6-methoxy-2-naphthalenyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008



● HCl

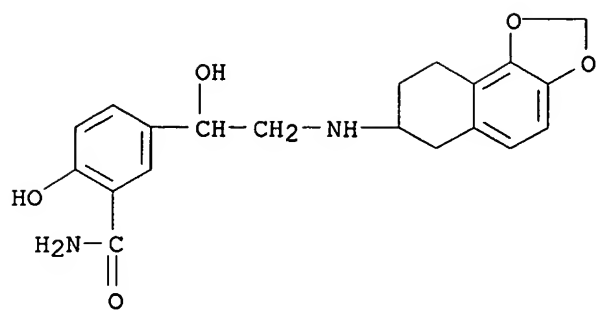
RN 101625-46-1 CAPLUS
CN Benzamide,
2-hydroxy-5-[1-hydroxy-2-[(5,6,7,8-tetrahydronaphtho[2,3-d]-1,3-
dioxol-6-yl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 101625-47-2 CAPLUS
CN Benzamide,
2-hydroxy-5-[1-hydroxy-2-[(6,7,8,9-tetrahydronaphtho[1,2-d]-1,3-
dioxol-7-yl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008

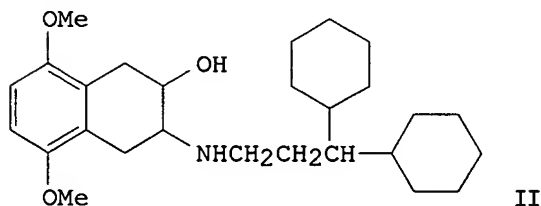
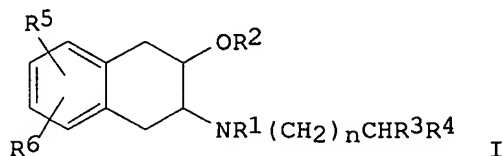


● HCl

10/009,008

L4 ANSWER 201 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1986:148570 CAPLUS
DN 104:148570
TI Tetrahydronaphthalenols for the treatment of hypertension
IN Atwal, Karnail S.
PA Squibb, E. R., and Sons, Inc. , USA
SO Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 163458	A1	19851204	EP 1985-303472	19850517
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AU 8542547	A1	19851121	AU 1985-42547	19850516
	AU 573828	B2	19880623		
	DK 8502198	A	19851118	DK 1985-2198	19850517
	FI 8501969	A	19851118	FI 1985-1969	19850517
	JP 60260546	A2	19851223	JP 1985-106806	19850517
	ZA 8503759	A	19860129	ZA 1985-3759	19850517
	HU 38298	A2	19860528	HU 1985-1872	19850517
	ES 543242	A1	19861116	ES 1985-543242	19850517
	IL 75224	A1	19881115	IL 1985-75224	19850517
	CN 85104453	A	19861210	CN 1985-104453	19850611
	ES 552087	A1	19870501	ES 1986-552087	19860217
PRAI	US 1984-611258		19840517		
GI					



AB Aminohydroxytetralin derivs. I (R1 = H, Me; R2 = H, alkyl, alkanoyl, arylcarbonyl; R3 and R4 = aryl, cycloalkyl; R3= H, alkyl, R4 = cycloalkyl, 9H-fluoren-9-yl, heteroaryl; R5, R6 = H, OH, alkoxy, alkanoyl; n = 1-4) were prepd. as antihypertensives (no data). Thus, 3,3-dicyclohexylpropylamine in CH2Cl2 was treated with Et3Al and then with 6,7-epoxy-5,6,7,8-tetrahydro-1,4-dimethoxynaphthalene to give aminotetrahydronaphthalenol trans-II.

10/009,008

IT 101333-54-4P 101333-69-1P 101333-83-9P

101333-91-9P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

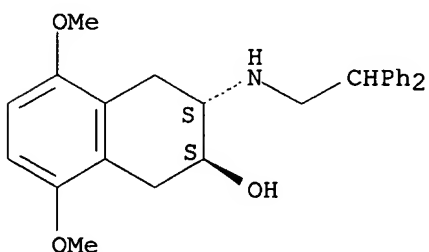
BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as antihypertensive)

RN 101333-54-4 CAPLUS

CN 2-Naphthalenol, 3-[(2,2-diphenylethyl)amino]-1,2,3,4-tetrahydro-5,8-dimethoxy-, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

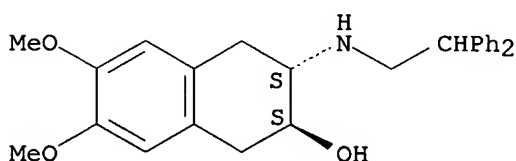


● HCl

RN 101333-69-1 CAPLUS

CN 2-Naphthalenol, 3-[(2,2-diphenylethyl)amino]-1,2,3,4-tetrahydro-6,7-dimethoxy-, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



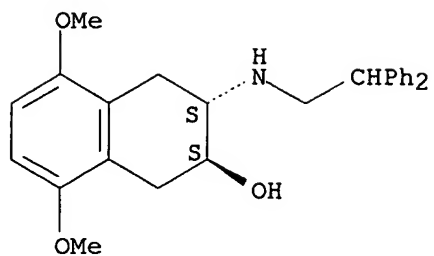
● HCl

RN 101333-83-9 CAPLUS

CN 2-Naphthalenol, 3-[(2,2-diphenylethyl)amino]-1,2,3,4-tetrahydro-5,8-dimethoxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

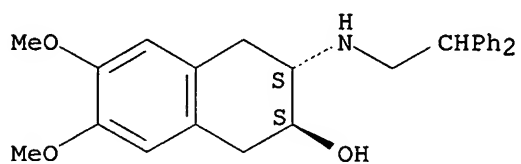
10/009,008



RN 101333-91-9 CAPLUS

CN 2-Naphthalenol, 3-[(2,2-diphenylethyl)amino]-1,2,3,4-tetrahydro-6,7-dimethoxy-, trans- (9CI) (CA INDEX NAME)

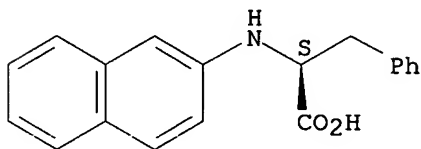
Relative stereochemistry.



10/009,008

L4 ANSWER 202 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1986:88946 CAPLUS
DN 104:88946
TI Preparation of N-(2-naphthyl)-2-amino acids and esters of high enantiomeric purity
AU Pirkle, William H.; Pochapsky, Thomas C.
CS Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA
SO Journal of Organic Chemistry (1986), 51(1), 102-5
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 104:88946
AB A variation on the classical Bucherer reaction was used to prep. enantiomerically pure N-(2-naphthyl) .alpha.-amino acids from the corresponding amino acid and 2-naphthol. These compds. are promising precursors for the prepn. of chiral stationary phases for the chromatog. sepn. of enantiomers. Also described are methods of prepg. racemates of N-(2-naphthyl) amino acid esters and subsequent preparative chromatog. sepn. of their enantiomers on chiral stationary phases derived from N-(3,5-dinitrobenzoyl) amino acids.
IT **99631-83-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 99631-83-1 CAPLUS
CN L-Phenylalanine, N-2-naphthalenyl- (9CI) (CA INDEX NAME)

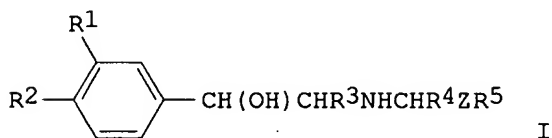
Absolute stereochemistry.



10/009,008

L4 ANSWER 203 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1986:68607 CAPLUS
DN 104:68607
TI Phenylserine derivatives
IN Ohashi, Naohito; Nagata, Shoji; Nakatsuka, Masashi; Ishizumi, Kikuo;
Katsube, Sumimoto; Aono, Shunji; Sakurama, Teruo
PA Sumitomo Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 60132935	A2	19850716	JP 1983-241601	19831220
	ES 538847	A1	19860601	ES 1984-538847	19841219
	US 4695580	A	19870922	US 1984-683430	19841219
	EP 185814	A2	19860702	EP 1984-308997	19841220
	EP 185814	A3	19880330		
	EP 185814	B1	19900829		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	CA 1226283	A1	19870901	CA 1984-470710	19841220
	AT 55981	E	19900915	AT 1984-308997	19841220
PRAI	JP 1983-241601		19831220		
	EP 1984-308997		19841220		
OS	CASREACT 104:68607				
GI					



AB Phenylserine derivs. (I; R1, R2 = H, OH; R3 = CO2H, alkoxycarbonyl, CH2OH, etc.; R4 = H, alkyl, aryl, etc.; R5 = H, alkyl, cycloalkyl, aryl; Z = alkylene), effective slow-reacting substance anaphylaxis antagonists and 5-lipoxygenase inhibitors, were prepd. Thus, 26.9 g PhCH2CH2COMe and

13.7

g NaB(CN)H3 were added to a soln. of 35.0 g
threo-PhCH(OH)CH(NH2)CO2Me.HCl
in MeOH at room temp. to give 30.0 g threo-I (R1 = R2 = H, R3 = CO2Me, R4 = Me, R5 = Ph, Z = CH2).

IT **99723-54-3P**

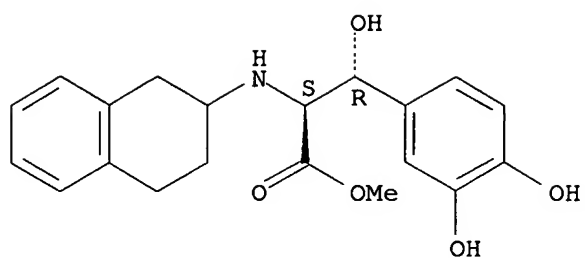
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic and lipoxygenase inhibitor)

RN 99723-54-3 CAPLUS

CN Tyrosine, .beta.,3-dihydroxy-N-(1,2,3,4-tetrahydro-2-naphthalenyl)-, methyl ester, threo- (9CI) (CA INDEX NAME)

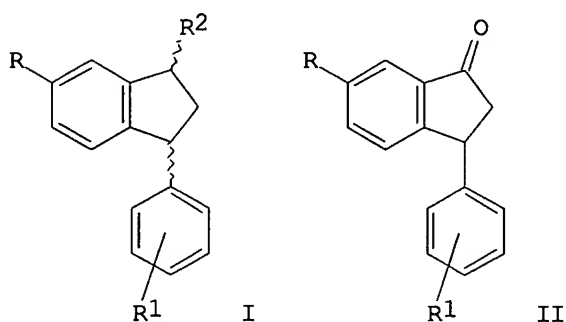
Relative stereochemistry.

10/009,008



10/009,008

L4 ANSWER 204 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1986:33821 CAPLUS
DN 104:33821
TI 3-Phenyl-1-indanamines. Potential antidepressant activity and potent inhibition of dopamine, norepinephrine, and serotonin uptake
AU Bogeso, Klaus P.; Christensen, A. Vibeke; Hyttel, John; Liljefors, Tommy
CS Dep. Pharmacol. Toxicol., H. Lundbeck A/S, Copenhagen, DK-2500, Den.
SO Journal of Medicinal Chemistry (1985), 28(12), 1817-28
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 104:33821
GI



AB The 3-phenyl-1-indanamines I (R = H, MeO, HO, F3C; R2 = H, 4-F, 4-Cl, 3,4-F2, 3,4-Cl2, etc.; R2 = MeNH, Me2N, pyrrolidino, piperidino, etc.) were prepd. from the indanones II by 4 methods, usually via I (R2 = Cl). I were tested for potential antidepressant activity and for inhibition of dopamine (DA), norepinephrine (NE), and serotonin (5-HT) uptake. Trans isomers were generally potent inhibitors of DA, NE, and 5-HT uptake,

while

for cis isomers preferentially inhibited the uptake of 5-HT. The affinity

the DA-uptake site was very dependent on the arom. substitution pattern where highest potency was found for trans-I (R = H, R1 = 3,4-Cl2, R2 = MeNH).

IT 98465-53-3P 98465-54-4P 98465-55-5P

98466-06-9P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and antidepressant activity of)

RN 98465-53-3 CAPLUS

RN 98465-54-4 CAPLUS

RN 98465-55-5 CAPLUS

CN 1H-Inden-1-amine, 3-(3,4-dichlorophenyl)-2,3-dihydro-N-(2-phenylethyl)-, cis-, acetate (9CI) (CA INDEX NAME)

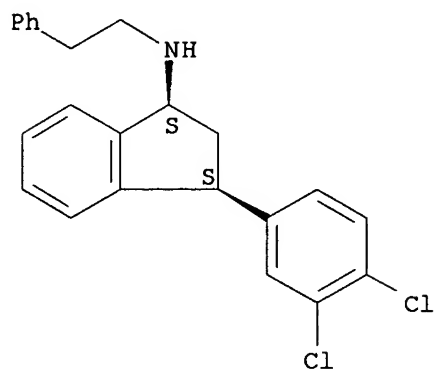
CM 1

CRN 86945-58-6

10/009,008

CMF C23 H21 Cl2 N

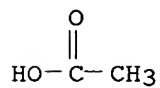
Relative stereochemistry.



CM 2

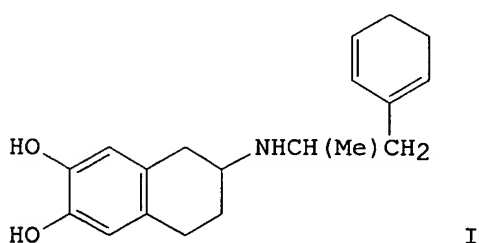
CRN 64-19-7

CMF C2 H4 O2



RN 98466-06-9 CAPLUS

L4 ANSWER 205 OF 323 CAPLUS COPYRIGHT 2003 ACS
 AN 1985:197744 CAPLUS
 DN 102:197744
 TI Cardiovascular pharmacology of ASL-7022. III. Peripheral vascular adrenergic mechanisms
 AU Gorczynski, Richard J.; Reynolds, Robert D.
 CS Dep. Pharm. Res., Am. Crit. Care, McGaw Park, IL, USA
 SO Journal of Pharmacology and Experimental Therapeutics (1985), 232(3), 629-35
 CODEN: JPETAB; ISSN: 0022-3565
 DT Journal
 LA English
 GI



AB The peripheral vascular actions of i.v. administered ASL-7022 (I) [75305-17-8], a synthetic catecholamine, were investigated in anesthetized, open-chest dogs and in isolated hindlimbs of normal, acute baroreceptor-denervated and spinal dogs. ASL-7022 decreased diastolic arterial blood pressure in open-chest dogs, an effect which was inhibited by ganglion blockade (hexamethonium bromide, 10 mg/kg i.v.). In hindlimbs from control animals, ASL-7022 produced vasodilation. Propranolol (1.0 mg/kg i.v.) reduced but did not eliminate vasodilation in these preps. but combined .beta.-adrenergic and ganglion blockade converted responses to vasoconstriction. ASL-7022 induced greater vasodilation in hindlimbs from acute baroreceptor-denervated animals than in control animals. In acute baroreceptor-denervated preps. ganglion blockade eliminated vasodilation, propranolol partially blocked vasodilation and combined .beta.-adrenergic and ganglion blockade converted responses to vasoconstriction. In spinal dogs, i.v. infusion of low doses of ASL-7022 induced small increases in perfusion pressure; higher doses produced small decreases in perfusion pressure. The compd. caused only vasoconstriction in propranolol-pretreated hindlimbs and caused only vasodilation in phentolamine-pretreated hindlimbs. ASL-7022 also dose dependently inhibited vasoconstrictor responses to elec. stimulation of the lumbar sympathetic chain and to exogenously administered norepinephrine. Apparently, ASL-7022 blocks sympathetic vasoconstriction by either inhibiting the sympathetic nervous system or by inducing postsynaptic .alpha.-adrenoceptor blockade. The compd. also produces .beta.-adrenoceptor-mediated vasodilation and, under appropriate pharmacol. conditions, can be demonstrated to produce .alpha.-adrenoceptor-mediated vasoconstriction.

10/009,008

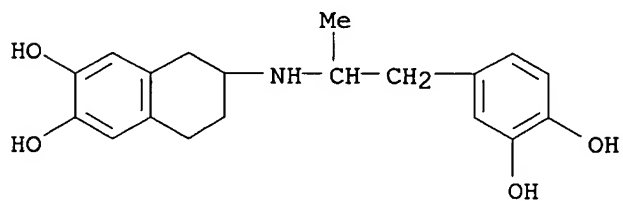
IT 75305-17-8

RL: BIOL (Biological study)

(vasoconstriction and vasodilation from, in peripheral vascular system, adrenergic mechanism in)

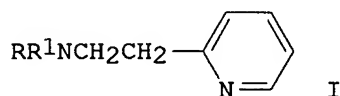
RN 75305-17-8 CAPLUS

CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

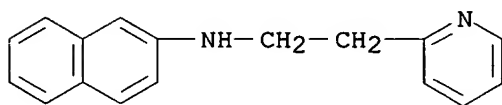


10/009,008

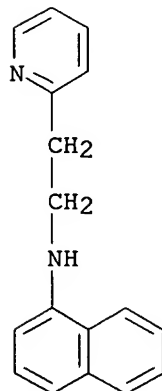
L4 ANSWER 206 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1985:95103 CAPLUS
DN 102:95103
TI Mechanism for the formation of the mass spectra of N-2-(2-pyridyl)ethyl-
and N,N-bis[2-(2-pyridyl)ethyl]aniline derivatives
AU Fang, Yiwei; Lu, Zichun; Yuan, Guoqing; Chen, Rongyao
CS Inst. Chem., Acad. Sin., Beijing, Peop. Rep. China
SO Fenxi Huaxue (1984), 12(11), 965-70
CODEN: FHHHDT; ISSN: 0253-3820
DT Journal
LA Chinese
GI



AB The fragmentation mechanism of 22 title aniline derivs. (I; R = H, Ph, pyridylethyl; R1 = aryl) was studied by high-resoln. mass spectroscopy, D labeling, and measurement of metastable ions. Under electron-ionization conditions, mol.-ion peaks and other basic peaks were identified.
IT **92733-89-6 92733-90-9**
RL: PRP (Properties)
(mass spectrum of)
RN 92733-89-6 CAPLUS
CN 2-Pyridineethanamine, N-2-naphthalenyl- (9CI) (CA INDEX NAME)



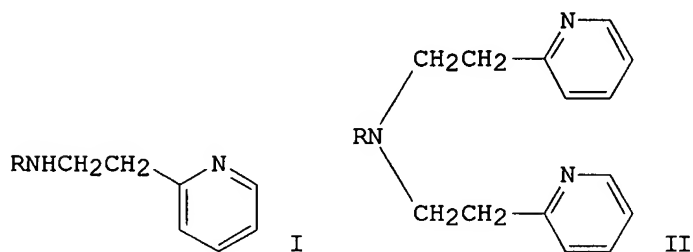
RN 92733-90-9 CAPLUS
CN 2-Pyridineethanamine, N-1-naphthalenyl- (9CI) (CA INDEX NAME)



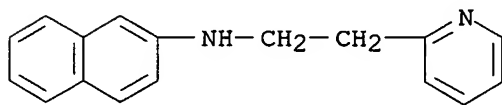
10/009,008

10/009,008

L4 ANSWER 207 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1984:591640 CAPLUS
DN 101:191640
TI N-Pyridylethylation and N,N-dipyridylethylation of primary aromatic amines
AU Yuan, Guoqing; Chen, Rongyao
CS Inst. Chem., Acad. Sin., Beijing, Peop. Rep. China
SO Huaxue Xuebao (1984), 42(6), 583-6
CODEN: HHHPA4; ISSN: 0567-7351
DT Journal
LA Chinese
OS CASREACT 101:191640
GI

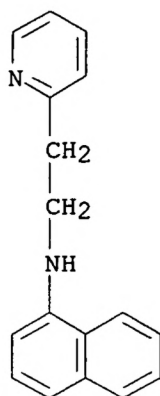


AB (Pyridiylethyl)amines I and II [$\text{R} = (\text{substituted})\text{phenyl}$, naphthyl, etc.] were prep'd. in 20-39% yields by addn. reaction of 2-vinylpyridine with the corresponding amines in the presence of $\text{F}_3\text{CCO}_2\text{H}$.
IT **92733-89-6P 92733-90-9P**
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 92733-89-6 CAPLUS
CN 2-Pyridineethanamine, N-2-naphthalenyl- (9CI) (CA INDEX NAME)



RN 92733-90-9 CAPLUS
CN 2-Pyridineethanamine, N-1-naphthalenyl- (9CI) (CA INDEX NAME)

10/009,008



10/009,008

L4 ANSWER 208 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1984:564261 CAPLUS

DN 101:164261

TI Interactions of three inotropic agents, ASL-7022, dobutamine and dopamine,

with .alpha.- and .beta.-adrenoceptors in vitro

AU Ruffolo, Robert R., Jr.; Messick, Karen; Horng, J. S.

CS Lilly Res. Lab., Eli Lilly Co., Indianapolis, IN, 46285, USA

SO Naunyn-Schmiedeberg's Archives of Pharmacology (1984), 326(4), 317-26
CODEN: NSAPCC; ISSN: 0028-1298

DT Journal

LA English

AB The inotropic agents ASL-7022 [75305-17-8], dobutamine [34368-04-2], and dopamine [51-61-6] were evaluated for their .alpha.- and .beta.-adrenoceptor-mediated effects in vitro in a variety of isolated

organs and in radioligand binding studies. All compds. were .alpha.1-adrenoceptor agonists in rat and guinea pig aortas, but the rank orders of potency were exactly opposite in these 2 tissues. Only the

rank potency order of dobutamine > ASL-7022 > dopamine obtained in rat aorta was consistent with the results obtained in radioligand binding studies

to .alpha.1-adrenoceptors in rat cerebral cortex. ASL-7022 was a potent .alpha.2-adrenoceptor agonist in field-stimulated guinea pig ileum and

was .apprx.10-fold more potent than dobutamine in this respect, which was

also confirmed by radioligand binding studies to .alpha.2-adrenoceptors in rat cerebral cortex. The .beta.1-adrenoceptor-mediated effects of these compds. were evaluated in guinea pig atria, where the rank order of potency was dobutamine > ASL-7022 > dopamine. An identical rank order of affinity was established by displacement of 3H-labeled dihydroalprenolol [60106-89-0] from .beta.1-adrenoceptors in rat cerebral cortex. A major component of the .beta.1-adrenoceptor-mediated tachycardia produced by dopamine, but not that of dobutamine or ASL-7022, in guinea pig atria was indirect as evidenced by the marked attenuation in potency that occurred following catecholamine depletion with reserpine. All 3 compds. elicited .beta.2-adrenoceptor-mediated inhibition of tone in rat uterus, with the rank order of potency being ASL-7022 > dobutamine > dopamine. This rank order of was also reflected in displacement of 3H-dihydroalprenolol from .beta.2-adrenoceptors in rat cerebellum. Evidently, for .alpha.-adrenoceptors, dobutamine is a selective .alpha.1-adrenoceptor agonist, ASL-7022 is a selective .alpha.2-adrenoceptor agonist, and dopamine is a nonselective .alpha.-adrenoceptor agonist. For .beta.-adrenoceptor-mediated effects, ASL-7022 is a selective .beta.2-adrenoceptor agonist, whereas dobutamine and dopamine are nonselective .beta.-adrenoceptor agonists. The complex inotropic and hemodynamic activities of ASL-7022, dobutamine, and dopamine probably result from the sum of their individual effects at the .alpha.- and .beta.-adrenoceptor subtypes.

IT 75305-17-8

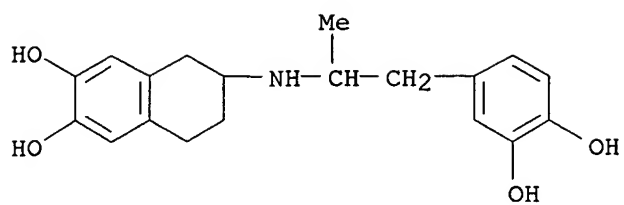
RL: BIOL (Biological study)

(inotropic response to, adrenergic receptor mechanism for)

RN 75305-17-8 CAPLUS

CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

10/009,008



L4 ANSWER 209 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1984:418027 CAPLUS

DN 101:18027

TI Interaction of the novel inotropic agent, ASL-7022, with alpha and beta adrenoceptors in the cardiovascular system of the pithed rat: comparison of dobutamine and dopamine

AU Ruffolo, Robert R., Jr.; Morgan, Emily L.

CS Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA

SO Journal of Pharmacology and Experimental Therapeutics (1984), 229(2), 364-71

CODEN: JPETAB; ISSN: 0022-3565

DT Journal

LA English

AB ASL-7022 [75305-17-8], dobutamine [34368-04-2], and dopamine [51-61-6] were evaluated for their effects at .alpha.- and .beta.-receptors in the cardiovascular system of the pithed rat. ASL-7022, dobutamine and dopamine were equipotent as pressor agents in propranolol- and reserpine-pretreated pithed rats; however, the

mechanisms

involved in their .alpha.-adrenoceptor-mediated pressor effects were markedly different. The pressor response of ASL-7022 was mediated entirely by postsynaptic vascular .alpha.2-adrenoceptors, whereas the pressor response of dobutamine was mediated exclusively by postsynaptic vascular .alpha.1-adrenoceptors. The pressor response of dopamine was mediated by both postsynaptic vascular .alpha.1- and .alpha.2-adrenoceptors. All 3 compds. elicited .beta.2-adrenoceptor-mediated vasodepressor responses in pithed rats when vascular tone was elevated by a const. infusion of angiotensin II. In contrast to the equal

vasopressor

potencies of these compds., the vasodepressor activities varied by >2 orders of magnitude with ASL-7022 being the most potent and dopamine the least potent. Based on ratios of relative potencies for .alpha.-adrenoceptor-mediated vasopressor effects and

.beta.2-adrenoceptor-

mediated vasodepressor effects, it appears that dobutamine possesses an equal balance between its vasopressor and vasodepressor potencies, such that the net effect in the vasculature is a physiol. antagonism with little or no change in blood pressure, consistent with clin. observations and expts. in animals. In contrast, the vasopressor potency of dopamine exceeds its potency as a depressor agent, such that the net effect is vasoconstriction, consistent with clin. and animal studies. The vasopressor/vasodepressor balance of ASL-7022, in marked contrast to dopamine, lies far in the direction of its vasodepressor activity, such that marked hypotension occurs, consistent with animal studies. The .beta.1-adrenoceptor-mediated pos. chronotropic effects of ASL-7022 and dobutamine were direct in nature, whereas the chronotropic effect of dopamine was markedly attenuated by reserpine pretreatment, indicating a major contribution from an indirect action. The relative potencies of these compds. for .beta.1-adrenoceptor-mediated pos. chronotropic effects are: dobutamine > ASL-7022 > dopamine. The complex cardiovascular activities of ASL-7022, dobutamine, and dopamine result from the sum of their individual effects at .alpha.- and .beta.-adrenoceptors.

IT 75305-17-8

RL: PRP (Properties)

(cardiovascular effects of, .alpha.- and .beta.-adrenoceptors

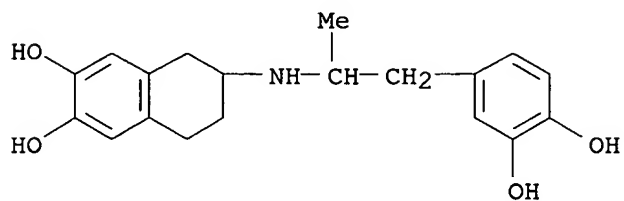
mediation

of)

10/009,008

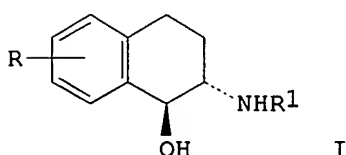
RN 75305-17-8 CAPLUS

CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-
5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



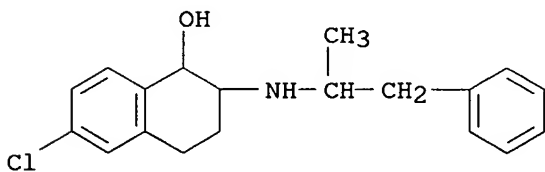
10/009,008

L4 ANSWER 210 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1984:406778 CAPLUS
DN 101:6778
TI Synthesis and .beta.-adrenergic blocking activity of 2-(N-substituted amino)-1,2,3,4-tetrahydronaphthalen-1-ol derivatives
AU Itoh, Katsumi; Miyake, Akio; Tada, Norio; Hirata, Minoru; Oka, Yoshikazu
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (1984), 32(1), 130-51
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI



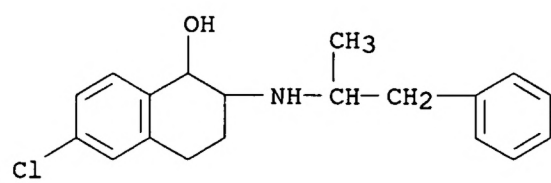
AB The title compds. I (R = alkoxy, alkylthio, substituted amino, cyano aryl, halo, etc., R1 = CHMe2, CHMeCH2CH2Ph, CHPh2 cyclohexyl) were prepd. from 3,4-dihydro-1(2H)-naphthaleneones. I were tested in vitro for .beta.-adrenergic activity. I (R = 6-Cl, R1 = CHPh2) at 10⁻⁶ M inhibited isoproterenol-induced tachycardia by 22%.

IT **90400-43-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and .beta.-adrenergic activity of)
RN 90400-43-4 CAPLUS
CN 1-Naphthalenol, 6-chloro-1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



IT **90400-68-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(.beta.-adrenergic activity of)
RN 90400-68-3 CAPLUS
CN 1-Naphthalenol, 6-chloro-1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

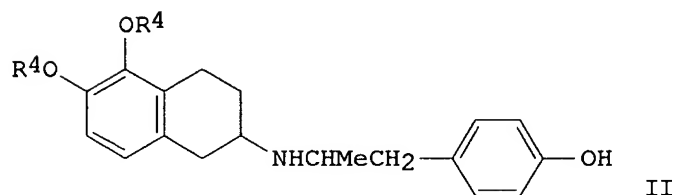
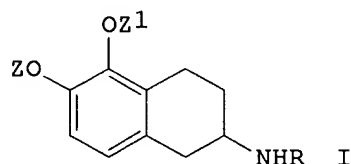
10/009,008



10/009,008

L4 ANSWER 211 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1984:191603 CAPLUS
DN 100:191603
TI 1,2,3,4-Tetrahydronaphthalene derivatives and compositions containing them
IN Chiesi, Paolo; Villani, Flavio
PA Chiesi Farmaceutici S.p.A., Italy
SO Ger. Offen., 33 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3320936	A1	19831222	DE 1983-3320936	19830609
	DE 3320936	C2	19921119		
	GB 2123410	A1	19840201	GB 1983-15673	19830608
	GB 2123410	B2	19860828		
	FR 2528422	A1	19831216	FR 1983-9626	19830610
	FR 2528422	B1	19871030		
PRAI	IT 1982-21801		19820610		
OS	CASREACT 100:191603				
GI					



AB Tetrahydronaphthalenes I [R = H, C1-4 alkyl, C4-7 cycloalkyl, CR1R2(CH2)nPh; R1, R2 = H, C1-4 alkyl; n = 1, 2; the Ph (un)substituted by 1 or several halo, OH, MeO, OCH2O; Z, Z1 = H, C1-4 alkyl, C3-7 cycloalkyl, Z2R3; Z2 = CO, SO2; R3 = C1-15 alkyl, Ph (un)substituted by C1-4 alkyl] and their physiol. tolerable salts, having sympathomimetic activity and useful as uterus relaxants, vasoconstrictors, parkinsonism inhibitors (no data), and bronchodilators, were prepd. Condensing 5,6-dimethoxy-3,4-dihydro-2(1H)-naphthalenone with 4-HOC6H4CH2CH(NH2)Me.HBr in MeOH contg. K2CO3 and reducing the product in situ with NaBH3CN gave II (R4 = Me), isolated as the HCl salt. This diether was cleaved with HBr to give 82% II (R4 = H).HBr which had ID50 of 1.6 nmol/kg (guinea pigs) against histamine-induced bronchospasm.

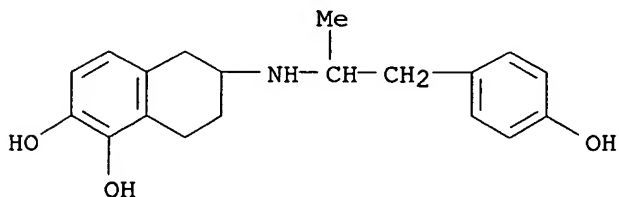
IT 90060-12-1P 90060-18-7P 90060-24-5P

10/009,008

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and bronchodilator activity of)

RN 90060-12-1 CAPLUS

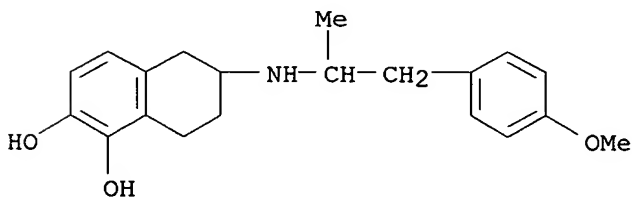
CN 1,2-Naphthalenediol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 90060-18-7 CAPLUS

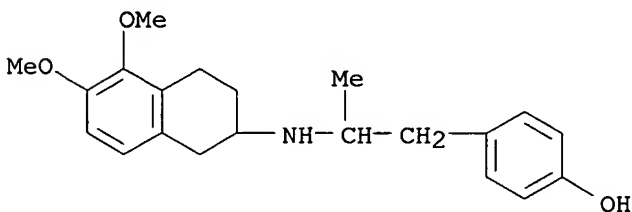
CN 1,2-Naphthalenediol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 90060-24-5 CAPLUS

CN Phenol, 4-[2-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)amino]propyl]- (9CI) (CA INDEX NAME)



IT 90060-09-6P

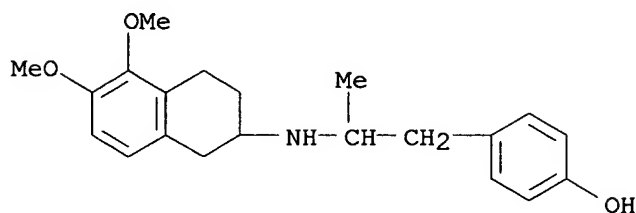
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and ether cleavage and bronchodilator activity of)

RN 90060-09-6 CAPLUS

CN Phenol, 4-[2-[(1,2,3,4-tetrahydro-5,6-dimethoxy-2-

10/009,008

naphthalenyl)amino]propyl]-, hydrochloride (9CI) (CA INDEX NAME)



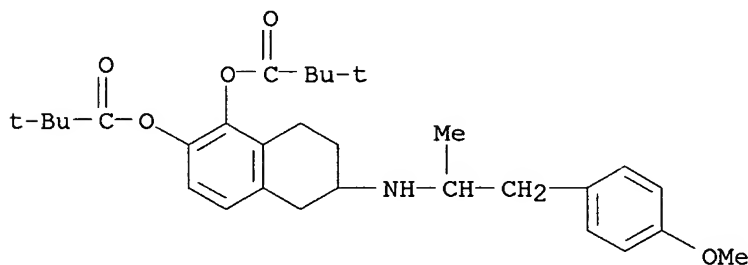
● HCl

IT 90060-15-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 90060-15-4 CAPLUS

CN Propanoic acid, 2,2-dimethyl-,
5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-
1-methylethyl]amino]-1,2-naphthalenediyl ester, hydrochloride (9CI) (CA
INDEX NAME)



● HCl

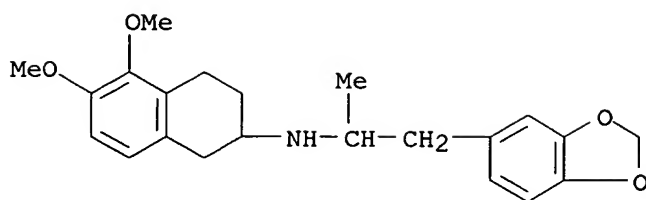
IT 90060-10-9 90060-16-5 90060-17-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. as sympathomimetic)

RN 90060-10-9 CAPLUS

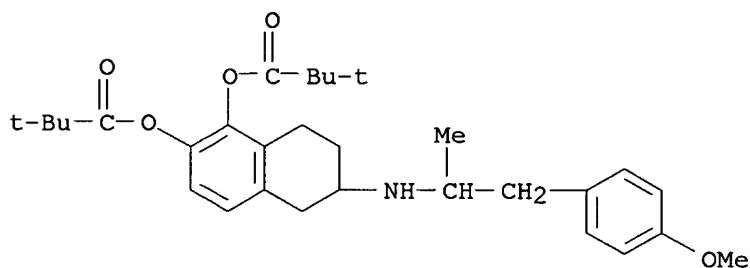
CN 1,3-Benzodioxole-5-ethanamine, .alpha.-methyl-N-(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)-, hydrochloride (9CI) (CA INDEX NAME)

10/009,008

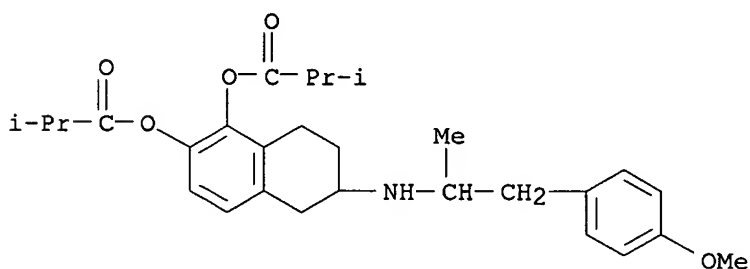


● HCl

RN 90060-16-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-,
5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-
1-methylethyl]amino]-1,2-naphthalenediyl ester (9CI) (CA INDEX NAME)



RN 90060-17-6 CAPLUS
CN Propanoic acid, 2-methyl-, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-1,2-naphthalenediyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

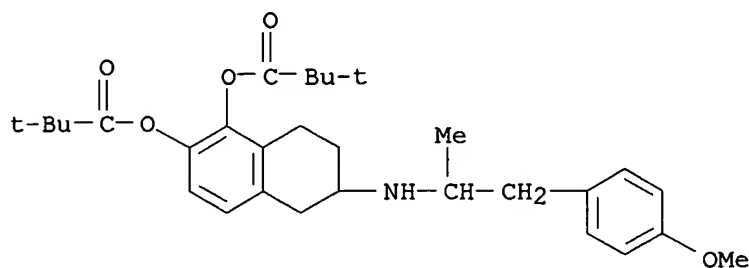
IT 90060-16-5P 90060-25-6P 90060-28-9P
90060-29-0P 90060-35-8P 90060-36-9P
90060-37-0P 90060-38-1P 90060-39-2P
90060-40-5P 90069-13-9P
RL: SPN (Synthetic preparation); PREP (Preparation)

10/009,008

(prepn. of)

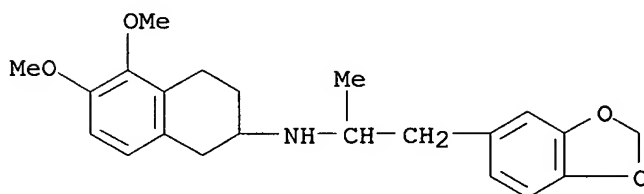
RN 90060-16-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-,
5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-
1-methylethyl]amino]-1,2-naphthalenediyl ester (9CI) (CA INDEX NAME)



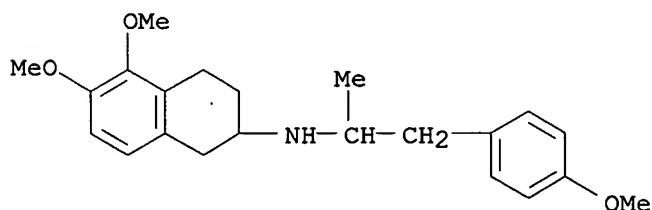
RN 90060-25-6 CAPLUS

CN 1,3-Benzodioxole-5-ethanamine, .alpha.-methyl-N-(1,2,3,4-tetrahydro-5,6-dimethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 90060-28-9 CAPLUS

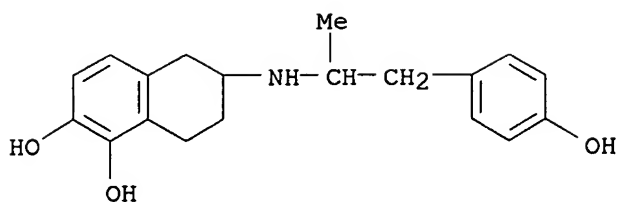
CN 2-Naphthalenamine,
1,2,3,4-tetrahydro-5,6-dimethoxy-N-[2-(4-methoxyphenyl)-
1-methylethyl]- (9CI) (CA INDEX NAME)



RN 90060-29-0 CAPLUS

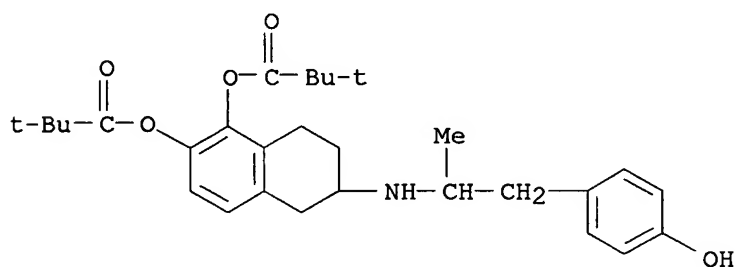
CN 1,2-Naphthalenediol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

10/009,008



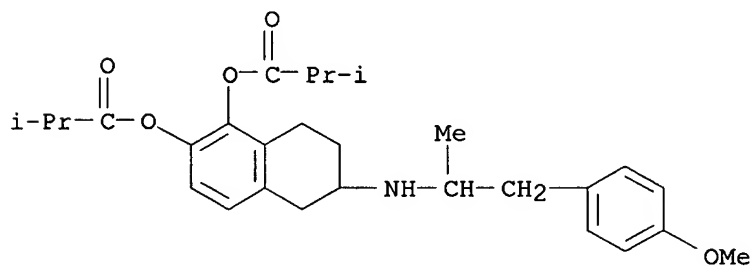
RN 90060-35-8 CAPLUS

CN Propanoic acid, 2,2-dimethyl-,
5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-
1-methylethyl]amino]-1,2-naphthalenediyl ester (9CI) (CA INDEX NAME)



RN 90060-36-9 CAPLUS

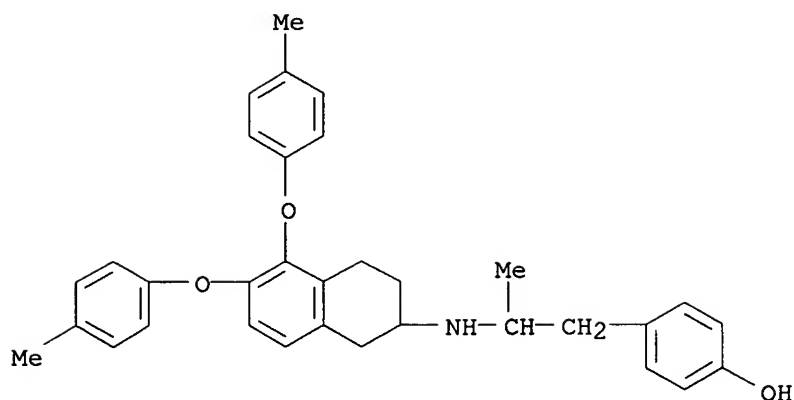
CN Propanoic acid, 2-methyl-, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-1,2-naphthalenediyl ester (9CI) (CA INDEX NAME)



RN 90060-37-0 CAPLUS

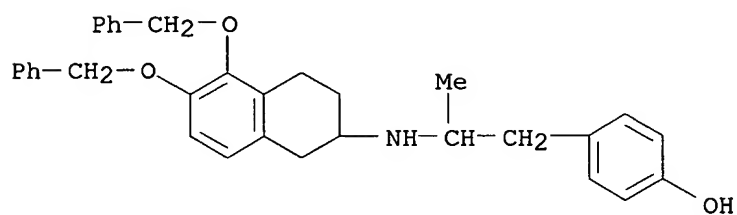
CN Phenol, 4-[2-[[1,2,3,4-tetrahydro-5,6-bis(4-methylphenoxy)-2-naphthalenyl]amino]propyl]- (9CI) (CA INDEX NAME)

10/009,008



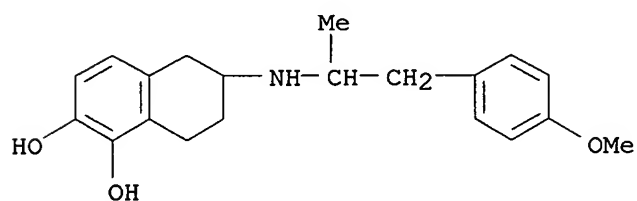
RN 90060-38-1 CAPLUS

CN Phenol, 4-[2-[[1,2,3,4-tetrahydro-5,6-bis(phenylmethoxy)-2-naphthalenyl]amino]propyl]- (9CI) (CA INDEX NAME)



RN 90060-39-2 CAPLUS

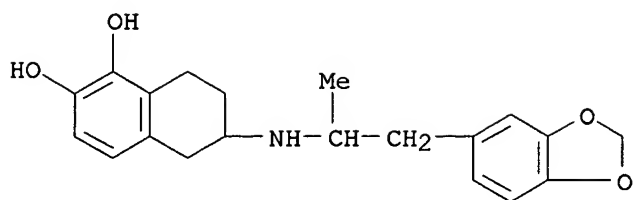
CN 1,2-Naphthalenediol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)



RN 90060-40-5 CAPLUS

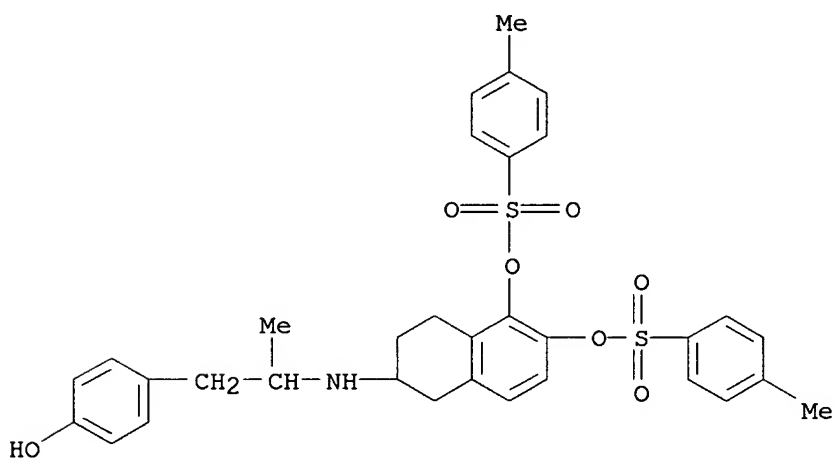
CN 1,2-Naphthalenediol, 6-[[2-(1,3-benzodioxol-5-yl)-1-methylethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

10/009,008



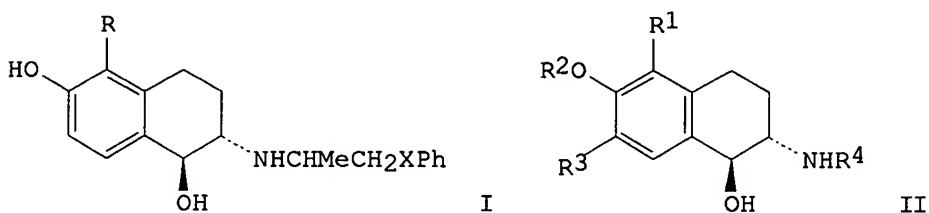
RN 90069-13-9 CAPLUS

CN 1,2-Naphthalenediol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, 1,2-bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 212 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1984:138723 CAPLUS
DN 100:138723
TI Synthesis of 2-(N-substituted amino)-6-hydroxy-1,2,3,4-tetrahydronaphthalen-1-ol derivatives
AU Miyake, Akio; Itoh, Katsumi; Tada, Norio; Tanabe, Masao; Hirata, Minoru; Oka, Yoshikazu
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (1983), 31(7), 2329-48
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 100:138723
GI



AB Naphthols I (R = H, X = CH₂, O; R = CONH₂, X = CH₂) were prepd. as part of
of a search for useful cardiovascular agents. II [R₁ = H, CONH₂, NO₂, NH₂, NMe₂, CO₂Me; R₂, R₄ = (un)substituted alkyl; R₃ = H, NO₂, NH₂] were prepd.

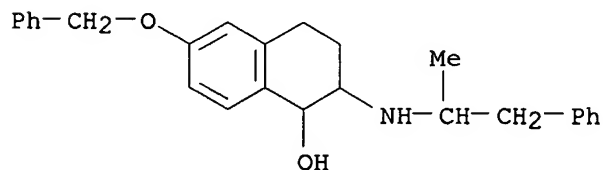
in 5 steps from 3,4-dihydro-1(2H)-naphthalenones. N-Substituted 2-amino-1-indanols and 6-amino-2-hydroxy-6,7,8,9-tetrahydro-5H-benzocyclohepten-5-ols were prepd. by reductive alkylation of the corresponding amino alcs. with carbonyl compds. Some of these N-substituted alcs. have vasodilating and .beta.-blocking activity.

IT **88627-65-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 88627-65-0 CAPLUS

CN 1-Naphthalenol, 1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]-6-(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)



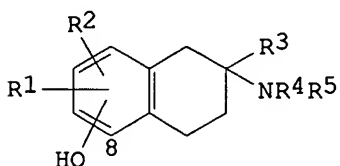
HCl

10/009,008

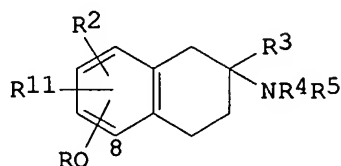
10/009,008

L4 ANSWER 213 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1984:51307 CAPLUS
DN 100:51307
TI 2-Aminotetralins
IN Pless, Janos; Seiler, Max Peter
PA Sandoz A.-G., Switz.
SO Patentschrift (Switz.), 6 pp.
CODEN: SWXXAS
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 637363	A	19830729	CH 1977-14396	19771124
	CH 637373	A	19830729	CH 1982-2531	19820426
	CH 637364	A	19830729	CH 1982-2532	19820426
PRAI	CH 1977-14396		19771124		
OS	CASREACT 100:51307				
GI					



I



II

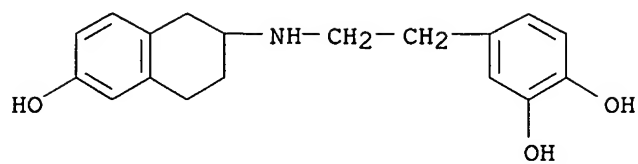
AB Aminotetralins I [R1 = H, OH, halo, C1-4 alkyl, alkylaminosulfonyl, F3CSO2NH, Cl3CSO2NH, CH2OH, CH2O2C(CH2)nR6, CH2O2CR7 [R6 = C6H3R9R10 (R9, R10 independently = H, halo, C1-4 alkyl, alkoxy; R9R10 = OCH2O); R7 = H, C1-19 alkyl; n = 0-5]; R2 = H, Cl; R3 = H, CH2OH, CH2O2CR7, CH2O2C(CH2)nR6; R4 = H, C1-4 alkyl, C3-8 cycloalkyl, (CH2)nR8 [R8 = C6H2R12R13R14 (R12, R13, R14 = R9, OH; R12R13 = OCH2O)]; R5 = H, C1-4 alkyl; R4R5 = (CH2)m (m = 4-6)] and their acid addn. salts, stimulators for .alpha.- and .beta.-adrenoreceptors and dopamine receptors and thus useful in treating heart arrest and infarction, elevated blood pressure, and parkinson's disease (no data), were prepd. by ether cleavage of II (R = C1-4 alkyl, PhCH2; R11 = R1, C1-4 alkoxy, PhCH2O). 8-Methoxy-2-tetralone in Me2CHOH contg. (NH4)2CO3 cyclized with KCN to give 8-methoxy-2-spirohydantoin-tetralin, cleavage of which in propylene glycol contg. 40% aq. NaOH gave II (R = 8-Me, R2 = R4 = R5 = R11 = H, R3 = CO2H). This was reduced to the corresponding II (R3 = CH2OH) with diborane in THF and the product HCl salt ether-cleaved with BBr3 in CH2Cl2 to give I (R1 = R2 = R4 = R5 = H, R3 = CH2OH, 8-OH).

IT **67544-65-4 67544-66-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. as adrenoreceptor and dopamine receptor stimulant)

RN 67544-65-4 CAPLUS

CN 1,2-Benzenediol, 4-[2-[(1,2,3,4-tetrahydro-6-hydroxy-2-naphthalenyl)amino]ethyl]-, hydrobromide (9CI) (CA INDEX NAME)

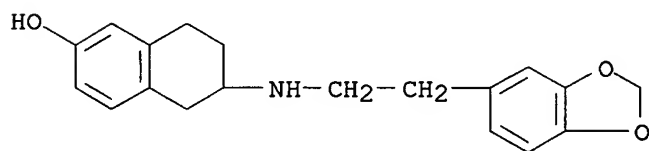
10/009,008



● HBr

RN 67544-66-5 CAPLUS

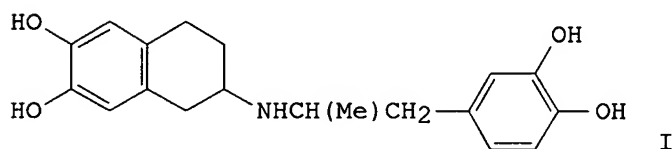
CN 2-Naphthalenol, 6-[[2-(1,3-benzodioxol-5-yl)ethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

10/009,008

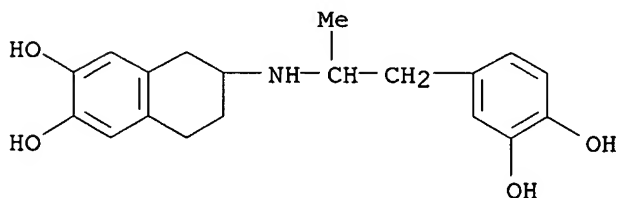
L4 ANSWER 214 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1984:409 CAPLUS
DN 100:409
TI Selective .alpha.2-adrenoceptor agonist activity of the novel inotropic agent, ASL-7022: comparison with dobutamine
AU Ruffolo, Robert R., Jr.; Yaden, Emily L.
CS Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA
SO European Journal of Pharmacology (1983), 93(1-2), 117-20
CODEN: EJPHAZ; ISSN: 0014-2999
DT Journal
LA English
GI



AB Following .beta.-adrenoceptor blockade, ASL-7022 (I) [75305-17-8] and dobutamine [34368-04-2] increased diastolic blood pressure in pithed rats, with both compds. being equal in potency. The pressor activity of I was selectively antagonized by yohimbine (1 mg/kg, i.v.) and was unaffected by prazosin (0.1 mg/kg, i.v.) whereas the converse was true for dobutamine. Apparently, the pressor effects of I and dobutamine are mediated by different populations of postjunctional vascular .alpha.-adrenoceptors in pithed rats, with I selectively stimulating .alpha.2-adrenoceptors and dobutamine selectively activating .alpha.1-adrenoceptors.

IT **75305-17-8**
RL: BIOL (Biological study)
(cardiovascular response to, .alpha.2-adrenergic receptor selectivity of)

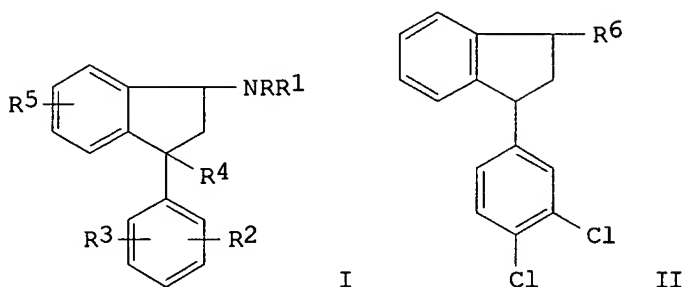
RN 75305-17-8 CAPLUS
CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 215 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1983:522072 CAPLUS
DN 99:122072
TI 3-Phenyl-1-indanamines and pharmaceutical compositions containing them
IN Bogeso, Klaus Peter
PA Kefalas A/S, Den.
SO Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 76669	A1	19830413	EP 1982-305244	19821001
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ZA 8206924	A	19830831	ZA 1982-6924	19820921
	FI 8203360	A	19830406	FI 1982-3360	19821001
	DK 8204384	A	19830406	DK 1982-4384	19821004
	NO 8203344	A	19830406	NO 1982-3344	19821004
	AU 8288982	A1	19830414	AU 1982-88982	19821004
	ES 516184	A1	19831001	ES 1982-516184	19821004
	JP 58077846	A2	19830511	JP 1982-174106	19821005
PRAI	GB 1981-30009		19811005		
GI					



AB Phenylindanamines I [R, R1 = H, (un)substituted alkyl, alkenyl, cycloalkyl, (un)substituted Ph; R2, R3, R5 = H, halogen, alkyl, alkoxy, OH, alkylmercapto, cyano, CF3, (un)substituted amino, NO2, acyloxy; R4 = H, OH] were prep'd. Thus indanol II (R6 = OH) was chlorinated and aminated

to give II (R6 = NHMe). trans-II (R6 = NHMe) had an ED50 of 3.9 .mu.mol/kg i.p. in mice for the tetrabenazine ptosis test, and did not have anticholinergic effects.

IT 86945-56-4P 86945-57-5P 86945-58-6P
86945-59-7P

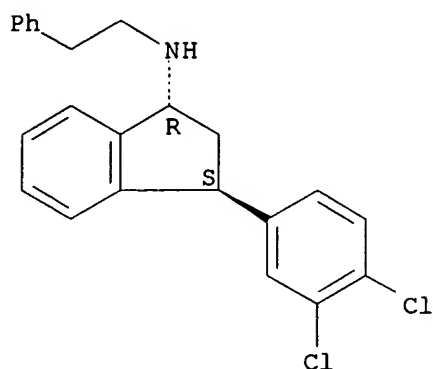
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and antidepressant activity of)

RN 86945-56-4 CAPLUS

CN 1H-Inden-1-amine, 3-(3,4-dichlorophenyl)-2,3-dihydro-N-(2-phenylethyl)-, trans- (9CI) (CA INDEX NAME)

10/009,008

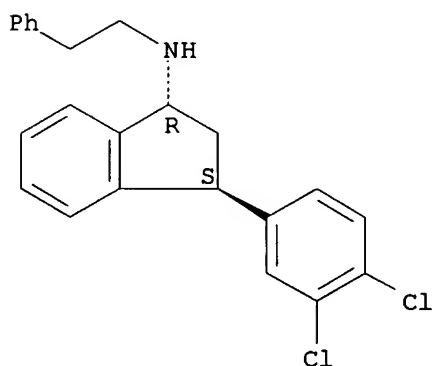
Relative stereochemistry.



RN 86945-57-5 CAPLUS

CN 1H-Inden-1-amine, 3-(3,4-dichlorophenyl)-2,3-dihydro-N-(2-phenylethyl)-, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



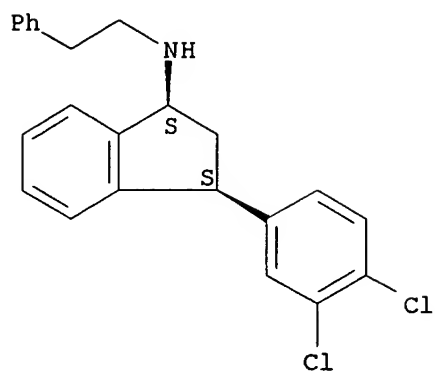
● HCl

RN 86945-58-6 CAPLUS

CN 1H-Inden-1-amine, 3-(3,4-dichlorophenyl)-2,3-dihydro-N-(2-phenylethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/009,008

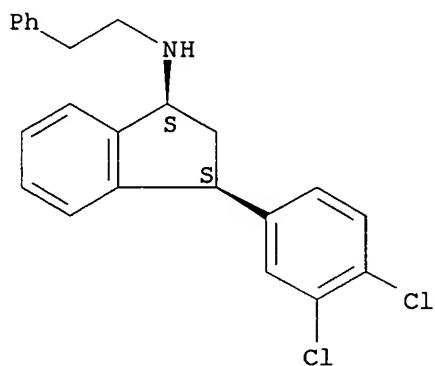


RN 86945-59-7 CAPLUS
CN 1H-Inden-1-amine, 3-(3,4-dichlorophenyl)-2,3-dihydro-N-(2-phenylethyl)-,
cis-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

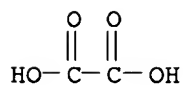
CRN 86945-58-6
CMF C23 H21 Cl2 N

Relative stereochemistry.



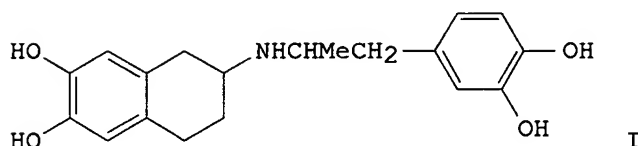
CM 2

CRN 144-62-7
CMF C2 H2 O4



10/009,008

L4 ANSWER 216 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1983:296 CAPLUS
DN 98:296
TI Cardiovascular pharmacology of ASL-7022. II. Mechanisms of inotropic selectivity
AU Gorczynski, R. J.; Wroble, R. W.
CS Dep. Pharm. Res., Am. Crit. Care, McGaw Park, IL, 60085, USA
SO Journal of Pharmacology and Experimental Therapeutics (1982), 223(1), 12-19
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
GI



AB The effect of ganglionic blockade (GB, hexamethonium, 10 mg/kg i.v.) upon the inotropic/chronotropic actions of ASL-7022 (I) [75305-17-8] was examd. in anesthetized, vagotomized, open chest dogs instrumented for measurement of blood pressure, heart rate (HR) and right ventricular contractile force. In a sep. series of expts., the effect of ASL-7022 upon sympathetic neurotransmission to the sinoatrial node was also examd. ASL-7022 preferentially increased contractile force at doses which were smaller than required to increase HR. HR decreased slightly over most of the inotropic dose range. The compd. also caused a marked decline in blood pressure. GB converted the neg. chronotropic effect to pos. chronotropic action but had no effect on the inotropic dose-response relation. Thus, the inotropic selectivity of the compd. was reduced by GB, but was still similar to that of dobutamine under conditions of GB. ASL-7022 also produced a dose-dependent inhibition of the pos. chronotropic effect of sympathetic nerve stimulation and this action was blocked by phentolamine. These results demonstrate that the inotropic selectivity of ASL-7022 is related in part to the neg. chronotropic

action of the compd. However, the compd. does possess intrinsic inotropic selectivity in the absence of its neg. chronotropic action, i.e., in the presence of GB. The HR lowering effect is most likely due to sympathoinhibition due to action at inhibitory .alpha.-adrenergic receptors located at ganglionic and/or presynaptic sites.

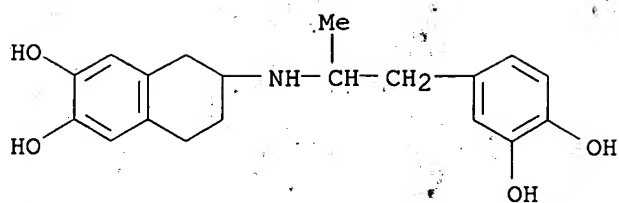
IT 75305-17-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (cardiovascular system response to, .alpha.-adrenergic neurotransmission in relation to)

RN 75305-17-8 CAPLUS

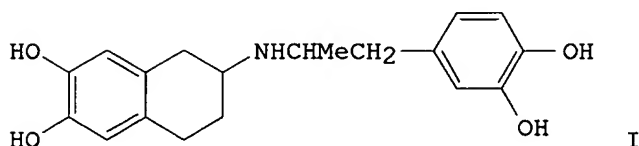
CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

10/009,008



10/009,008

L4 ANSWER 217 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1983:295 CAPLUS
DN 98:295
TI Cardiovascular pharmacology of ASL-7022, a novel catecholamine. I.
Inotropic, chronotropic and pressor actions
AU Gorczynski, R. J.
CS Dep. Pharm. Res., Am. Crit. Care, McGaw Park, IL, 60085, USA
SO Journal of Pharmacology and Experimental Therapeutics (1982), 223(1),
7-11
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
GI

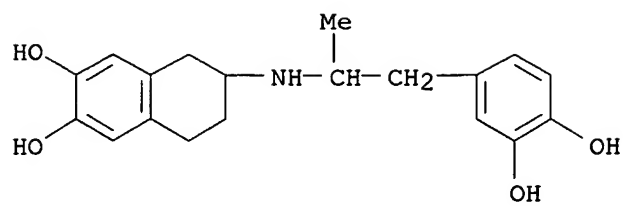


AB ASL-7022 (I) [75305-17-8] was examd. for inotropic, chronotropic and blood pressure activity in pentobarbital-anesthetized, vagotomized dogs instrumented for measurement of right ventricular contractile force, blood pressure and heart rate. The compd. produced a dose-dependent increase in contractile force accompanied by bradycardia and hypotension. At high doses, the compd. increased heart rate. At doses which increased contractile force by 100%, ASL-7022 produced no significant increase in heart rate, whereas dopamine and dobutamine produced small but significant increase in cardiac rate. ASL-7022 was therefore found to be more inotropic selective with respect to cardiac action than dopamine or dobutamine. .beta.-Blockade reduced the pos. inotropic, pos. chronotropic and depressor action of the compd. and also eliminated the neg. chronotropic effect. ASL-7022 appears to be a .beta.-adrenergic receptor agonist which possesses a unique spectrum of cardiovascular action.

IT **75305-17-8**
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); BIOL (Biological study)
(cardiovascular system response to, .beta.-sympathomimetic activity in relation to)

RN 75305-17-8 CAPLUS
CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

10/009,008



10/009,008

L4 ANSWER 218 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1982:217418 CAPLUS

DN 96:217418

TI N-Aralkyl substitution of 2-amino-5,6- and -6,7-dihydroxy-1,2,3,4-tetrahydronaphthalenes. 2. Derivatives of a hypotensive-positive inotropic agent

AU Stout, David M.; Gorczynski, Richard J.

CS Am. Crit. Care Div., Am. Hosp. Supply Corp., McGaw Park, IL, 60085, USA

SO Journal of Medicinal Chemistry (1982), 25(3), 326-8

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Seven derivs. of 2-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-6,7-dihydroxy-1,2,3,4-tetrahydronaphthalene (I), an inotropic agent which also

causes a decrease in blood pressure, were prepd. The derivs. were designed to explore whether catechol moieties and rigid rotamers of dopamine are necessary for the activity which was found in I. The

derivs.

had phenolic functions in place of catechols, and they had phenethylamine in place of the tetrahydronaphthalene moiety. In no case was the profile of activity of I duplicated in the deriv.

IT **81861-27-0P 81861-31-6P 81861-33-8P**

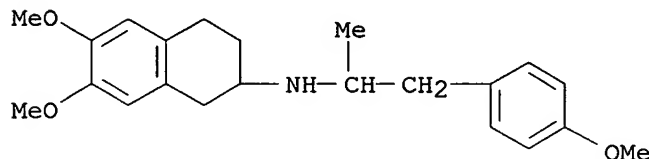
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis of)

RN 81861-27-0 CAPLUS

CN 2-Naphthalenamine,

1,2,3,4-tetrahydro-6,7-dimethoxy-N-[2-(4-methoxyphenyl)-1-methylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

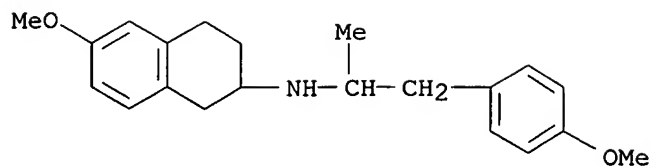


● HCl

RN 81861-31-6 CAPLUS

CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-6-methoxy-N-[2-(4-methoxyphenyl)-1-methylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

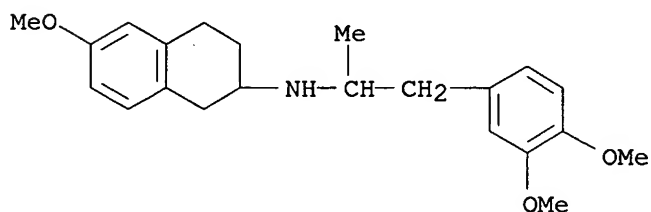
10/009,008



● HCl

RN 81861-33-8 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)-1-methylethyl]-1,2,3,4-tetrahydro-6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 75305-17-8P 81861-28-1P 81861-32-7P

81861-34-9P

RL: BAC (Biological activity or effector, except adverse); BSU

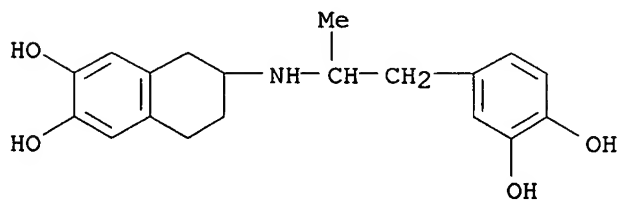
(Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and pharmacol. activity of)

RN 75305-17-8 CAPLUS

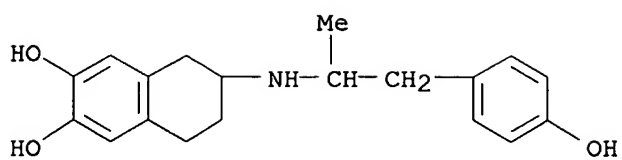
CN 2,3-Naphthalenediol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)



RN 81861-28-1 CAPLUS

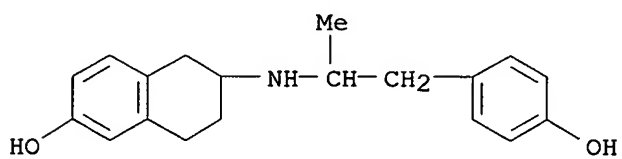
CN 2,3-Naphthalenediol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

10/009,008



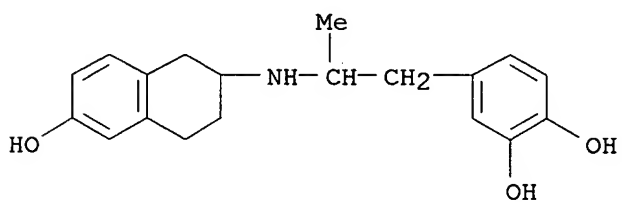
RN 81861-32-7 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)



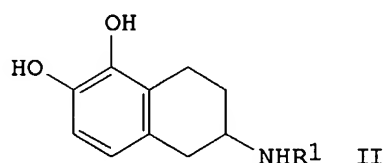
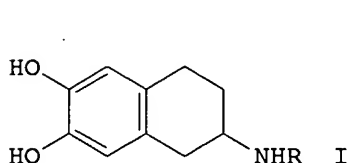
RN 81861-34-9 CAPLUS

CN 1,2-Benzenediol, 4-[2-[(1,2,3,4-tetrahydro-6-hydroxy-2-naphthalenyl)amino]propyl]- (9CI) (CA INDEX NAME)



10/009,008

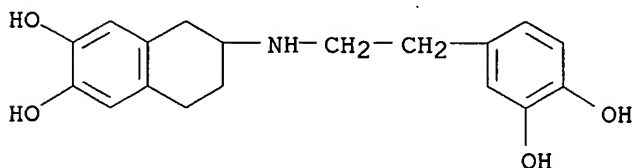
L4 ANSWER 219 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1981:417993 CAPLUS
DN 95:17993
TI N-Aralkyl substitution of 2-amino-5,6- and -6,7-dihydroxy-1,2,3,4-tetrahydronaphthalenes. 1. Cardiac and pressor/depressor activities
AU Gorczynski, Richard J.; Anderson, William G.; Stout, David M.
CS Dep. Pharm. Res., Am. Critical Care, McGaw Park, IL, 60085, USA
SO Journal of Medicinal Chemistry (1981), 24(7), 835-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



AB Eleven title compds. I and II (R = H, CHMe₂, CHMeCH₂CH₂C₆H₄OH-4, etc.) were synthesized and tested in dogs for cardiac inotropic/chronotropic and blood pressure-affecting activities. II derivs. were strong vasodepressants devoid of inotropic selectivity. I derivs. tended to be vasopressor agents, although strong depressor activity was assocd. with I [R = CHMeCH₂CH₂C₆H₃(OH)_{2-3,4}] [77741-98-1], which was also an inotropic selective compd. The CHMeCH₂CH₂C₆H₄OH-4 moiety of dobutamine was not effective in reducing peripheral vascular action when combined with the rigid forms of dopamine (I and II) and was also ineffective in imparting inotropic selectivity when combined with II. Structure-activity relations are discussed.

IT 77741-88-9P 77741-91-4P 77741-98-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and circulation response to, structure in relation to)

RN 77741-88-9 CAPLUS
CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)ethyl]amino]-5,6,7,8-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)

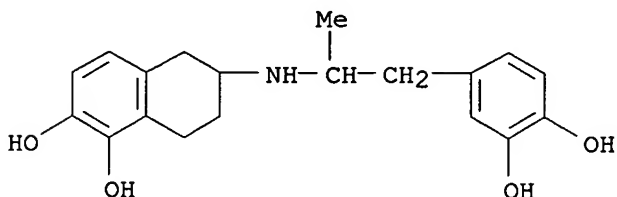


HBr

10/009,008

RN 77741-91-4 CAPLUS

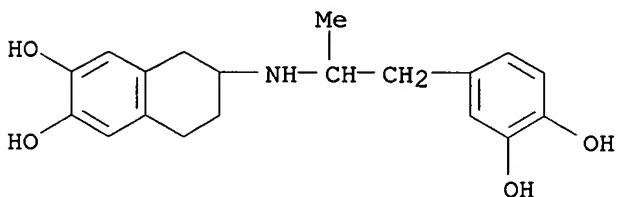
CN 1,2-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 77741-98-1 CAPLUS

CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)



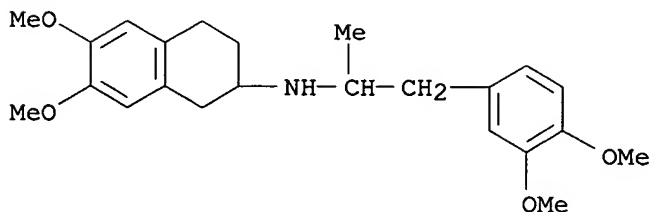
● HBr

IT 75305-18-9P 77741-93-6P 77741-96-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and demethylation of)

RN 75305-18-9 CAPLUS

CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)-1-methylethyl]-1,2,3,4-tetrahydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)

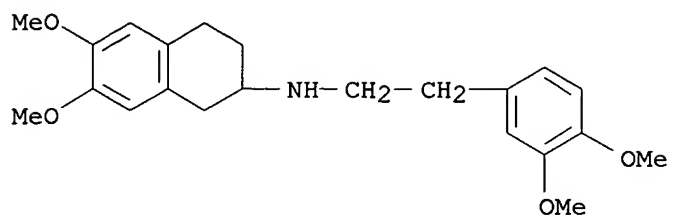


RN 77741-93-6 CAPLUS

CN 2-Naphthalenamine,
N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6,7-

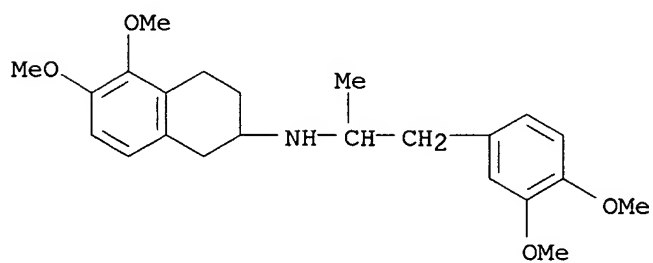
10/009,008

dimethoxy- (9CI) (CA INDEX NAME)



RN 77741-96-9 CAPLUS

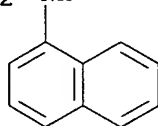
CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)-1-methylethyl]-1,2,3,4-tetrahydro-5,6-dimethoxy- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 220 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1981:156599 CAPLUS
DN 94:156599
TI Alkylation of aromatic hydrocarbons and amines with styrene in a
superbasic medium
AU Malkhasyan, A. Ts.; Dzhandzhulyan, Zh. L.; Mirakyan, S. M.; Martirosyan,
G. T.
CS Nauchno-Prizvod. Ob'edin. "Nairit", Yerevan, USSR
SO Armyanskii Khimicheskii Zhurnal (1980), 33(9), 728-32
CODEN: AYKZAN; ISSN: 0515-9628
DT Journal
LA Russian
AB Alkylation of indene, fluorene, PhNH₂, 4-MeC₆H₄NH₂, 2-C₁₀H₇NH₂, indole,
Bu₂NH, and piperidine with styrene was carried out in Me₂SO in the
presence of excess KOH. Indene and fluorene gave mono- and dialkylation
products; the others gave monoalkylation products only. The arom.
hydrocarbons gave higher yields than did the amines.
IT **65021-64-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 65021-64-9 CAPLUS
CN 1-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

Ph-CH₂-CH₂-NH



10/009,008

L4 ANSWER 221 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1981:65925 CAPLUS
DN 94:65925
TI Morphine derivatives
IN Kobylecki, Ruszard J.; Guest, Ian G.; Lewis, John W.; Kirby, Gordon W.
PA UK
SO Ger. (East), 44 pp.
CODEN: GEXXA8
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DD 135081	C	19790411	DD 1978-204355	19780322
	DD 135081	D	19790411		
	GB 1587831	A	19810408	GB 1977-12342	19770323
	ZA 7801525	A	19790425	ZA 1978-1525	19780315
	US 4241067	A	19801223	US 1978-886834	19780315
	AU 7834356	A1	19790927	AU 1978-34356	19780321
	AU 518614	B2	19811008		
	HU 19977	O	19810528	HU 1978-RE627	19780321
	HU 177722	P	19811228		
	SU 856381	A3	19810815	SU 1978-2595495	19780321
	BE 865182	A1	19780922	BE 1978-186173	19780322
	SE 7803329	A	19780924	SE 1978-3329	19780322
	SE 436132	B	19841112		
	SE 436132	C	19850221		
	DK 7801299	A	19780924	DK 1978-1299	19780322
	DK 149753	B	19860922		
	DK 149753	C	19870706		
	NL 7803084	A	19780926	NL 1978-3084	19780322
	FR 2384775	A1	19781020	FR 1978-8405	19780322
	FR 2384775	B1	19810430		
	JP 53121798	A2	19781024	JP 1978-33545	19780322
	JP 62059112	B4	19871209		
	ES 468170	A1	19790101	ES 1978-468170	19780322
	AT 7802043	A	19800615	AT 1978-2043	19780322
	AT 360664	B	19810126		
	CS 199522	P	19800731	CS 1978-1847	19780322
	CA 1089455	A1	19801111	CA 1978-299533	19780322
	CH 630629	A	19820630	CH 1978-3159	19780322
PRAI	GB 1977-12342		19770323		

GI For diagram(s), see printed CA Issue.

AB The morphine derivs. I [R = Me, (un)substituted phenylalkyl; R1 = H, alkenyl, cycloalkylalkyl, (un)substituted phenylalkyl, alkenyl; R2 = H, alkyl, COR6(R6 = H, alkyl, alkenyl, (un)substituted Ph, (un)substituted phenylalkenyl, cycloalkyl, cycloalkylalkyl; R3 = H, R4 = OH, R3R4 = O, R5 = H, R52 = bond] were prepd. Thus, 14.beta.-nitrocodeinone di-Me ketal was reduced with Zn and the 14.beta.-amino deriv. treated with ClCO2Et followed by redn. and hydrolysis to give 14.beta.-(methylamino)codeinone, which was demethylated with BBr3 to give 14.beta.-(methylamino)morphinone.

I had central nervous sytem activity (no data).

IT 68616-19-3P

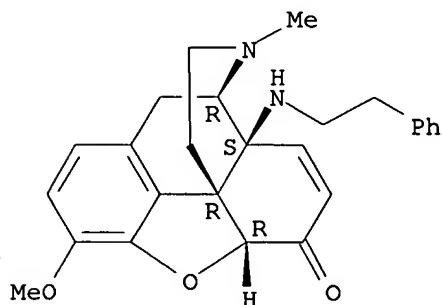
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and demethylation of)

10/009,008

RN 68616-19-3 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-[(2-phenylethyl)amino]-, (5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



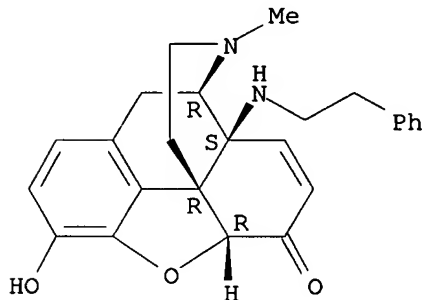
IT 68616-87-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 68616-87-5 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-14-[(2-phenylethyl)amino]-, (5.alpha.)- (9CI) (CA INDEX NAME)

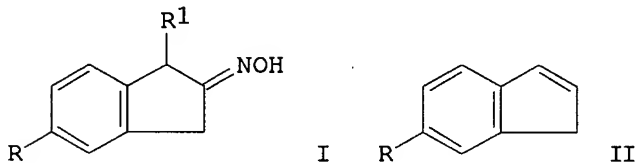
Absolute stereochemistry.



10/009,008

L4 ANSWER 222 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1981:65379 CAPLUS
DN 94:65379
TI Antiinflammatory indans
PA Toray Industries, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55087757	A2	19800702	JP 1978-159318	19781226
PRAI	JP 1978-159318		19781226		
GI					



AB Fifteen I.HCl (R = H, cyclohexyl; R1 = NHCHMe2, NHCH2CHMe2, cyclohexylamino, NHMe, NHCHMeEt, etc.) were prepd. by reaction of II with NOCl to give I (R = as above, R1 = Cl), followed by reaction with the corresponding amines. Thus, 0.09 mol NOCl was introduced to 0.1 mol II

(R = H) in SO2 with stirring at -40.degree., the mixt. kept 3 h at -40.degree. to give 13.4 g I-dimer (R = H, R1 = Cl), which (0.025 mol)

was refluxed 1 h with 0.055 mol H2NCHMe2 in C6H6 to give I.HCl (R = H, R1 = NHCHMe2). I were effective antiinflammatants at 50 mg/kg and bactericides at 125-250 ppm.

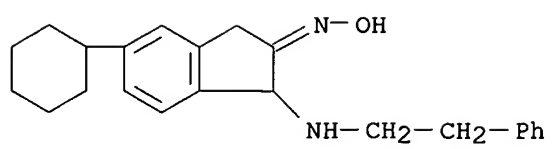
IT 76454-23-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and antiinflammatory activity of)

RN 76454-23-4 CAPLUS

CN 2H-Inden-2-one, 5-cyclohexyl-1,3-dihydro-1-[(2-phenylethyl)amino]-, oxime, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008

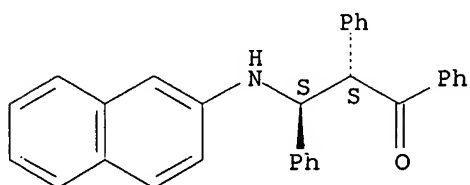


● HCl

10/009,008

L4 ANSWER 223 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1981:64982 CAPLUS
DN 94:64982
TI Proton NMR spectra and stereochemistry of diastereomeric aryl-substituted
.beta.-amino ketones
AU Spassov, S. L.; Panajotova, B.; Spassov, A.
CS Inst. Org. Chem., Sofia, Bulg.
SO Journal fuer Praktische Chemie (Leipzig) (1980), 322(4), 701-3
CODEN: JPCEAO; ISSN: 0021-8383
DT Journal
LA English
AB The configuration and conformations of PhCH(NHR)CHPhCOR1 (R = Ph,
p-MeC6H4, .beta.-naphthyl; R1 = Ph, Me, Bu, PhCH2) were detd. by 1H NMR
spectroscopy. The lower-melting .alpha.-isomers possess threo
configurations; the higher-melting .gamma.-isomers possess erythro
configurations. Conformers with antiperiplanar H atoms are strongly
favored for both diastereomers.
IT **76335-33-6**
RL: PRP (Properties)
(configuration and conformation of, NMR in relation to)
RN 76335-33-6 CAPLUS
CN 1-Propanone, 3-(2-naphthalenylamino)-1,2,3-triphenyl-, (R*,R*)- (9CI)
(CA
INDEX NAME)

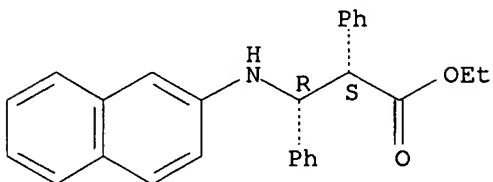
Relative stereochemistry.



10/009,008

L4 ANSWER 224 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1981:30065 CAPLUS
DN 94:30065
TI NMR study of the stereochemistry of 3-arylamino-2,3-diphenyl propionic acid esters
AU Spasov, S.; Panaiotova, B.; Spasov, A.
CS Med. Acad., Sofia, Bulg.
SO Doklady Bolgarskoi Akademii Nauk (1980), 33(5), 651-3
CODEN: DBANAD; ISSN: 0366-8681
DT Journal
LA English
AB ¹H NMR data showed that the conformation with antiperiplanar H atoms is almost solely represented in erythro- RNH(CHPh)₂CO₂R₁ (R = Ph, R₁ = Pr, Bu, PhCH₂; R = 2-naphthyl, o-anisyl(I), R₁ = Et), while in the Threo-isomer of I it predominates. The relative configurations of the esters were confirmed.
IT **76095-29-9**
RL: PRP (Properties)
(conformation of, NMR in relation to)
RN 76095-29-9 CAPLUS
CN Benzenepropanoic acid, .beta.-(2-naphthalenylamino)-.alpha.-phenyl-, ethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

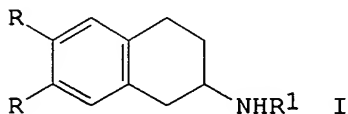
Absolute stereochemistry.



10/009,008

L4 ANSWER 225 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1980:586039 CAPLUS
DN 93:186039
TI 2-Amino-6,7-dihydroxytetrahydro naphthalene (ADTN) derivatives
IN Stout, David Michael
PA American Hospital Supply Corp., USA
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8000251	A1	19800221	WO 1979-US434	19790618
	W: BR, JP, SE				
	RW: DE, FR, GB				
	JP 55500419	T2	19800710	JP 1979-501198	19790618
	JP 63009500	B4	19880229		
	EP 16148	A1	19801001	EP 1979-900876	19790618
	EP 16148	B1	19830713		
	R: DE, FR, GB				
	US 4314082	A	19820202	US 1980-114531	19800123
	EP 33789	A1	19810819	EP 1980-300272	19800130
	EP 33789	B1	19840307		
	R: AT, BE, CH, IT, LU, NL, SE				
	AT 6500	E	19840315	AT 1980-300272	19800130
	CA 1150302	A1	19830719	CA 1980-344975	19800204
	AU 537591	B2	19840705	AU 1980-55326	19800207
	AU 8055326	A1	19810813		
PRAI	US 1978-924763		19780714		
	WO 1979-US434		19790618		
	EP 1980-300272		19800130		
GI					



AB The naphthylamines I [R = OH, C1-10 alkoxy, C1-10 hydroxyalkyl, acyloxy;
R¹ = arylalkyl (aryl = benzyl, substituted benzyl, Ph, substituted Ph)
and

their salts were prepd. by condensation of aldehydes or ketones and I (R¹ = H) followed by treatment with NaCNBH₃. Thus, I (R = MeO, R¹ = H), prepd. by condensation of MeONH₂.HCl and 6,7-dimethoxy-2-tetralone followed by treatment with H₃B-THF, was condensed with 4-HOC₆H₄CH₂CH₂COME and then treated with NaCNBH₃ under N to give 91% I (R = MeO, R¹ = 4-HOC₆H₄CH₂CH₂CHMe). These compds. exhibit inotropic activity at 10.0 .mu.g/kg in dogs.

IT 75305-16-7P 75305-17-8P 75305-18-9P

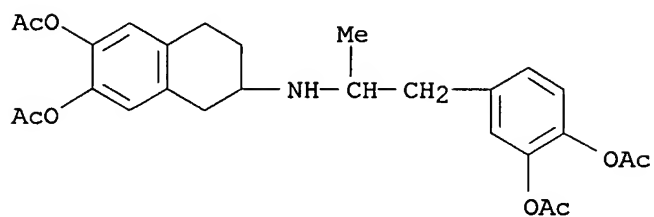
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 75305-16-7 CAPLUS

CN 2,3-Naphthalenediol,
6-[[2-[3,4-bis(acetyloxy)phenyl]-1-methylethyl]amino]-

10/009,008

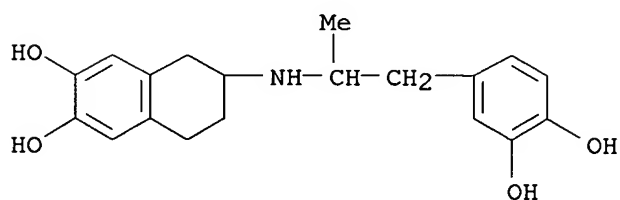
5,6,7,8-tetrahydro-, diacetate (ester), hydrobromide (9CI) (CA INDEX NAME)



● HBr

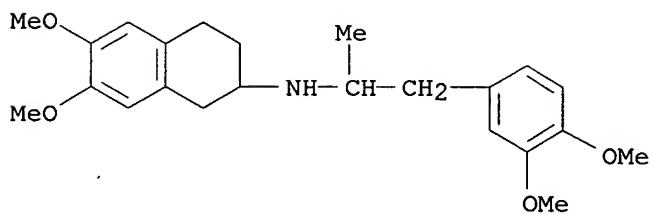
RN 75305-17-8 CAPLUS

CN 2,3-Naphthalenediol, 6-[[2-(3,4-dihydroxyphenyl)-1-methylethyl]amino]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 75305-18-9 CAPLUS

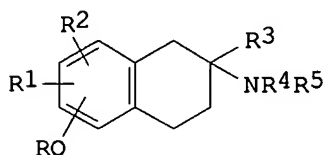
CN 2-Naphthalenamine, N-[2-(3,4-dimethoxyphenyl)-1-methylethyl]-1,2,3,4-tetrahydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 226 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1980:22303 CAPLUS
DN 92:22303
TI Tetralin derivatives
IN Pless, Janos; Seiler, Max Peter
PA Sandoz-Patent-G.m.b.H., Switz.
SO Ger. Offen., 30 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2803582	A1	19790802	DE 1978-2803582	19780127
PRAI	DE 1978-2803582		19780127		
GI					



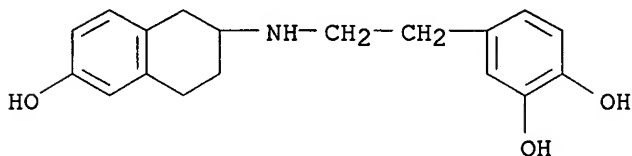
I

AB Approx. 50 aminotetralins I [R = H, alkanoyl or phenylalkanoyl; R1 = H, OH, acyloxy, CH2OH, alkanesulfonamido, etc.; R2 = H or Cl; R3 = H, CH2OH or alkanoyloxymethyl; R4 = H, alkyl, cycloalkyl, or phenylalkyl; R5 = H or alkyl, or R4R5 = (CH2)4-6], useful as .alpha.- and .beta.-sympatholytics (no data), were prepd. Thus, 8-methoxy-2-tetralone was treated with KCN and (NH4)2CO3 and the resultant 8-methoxy-2-spirohydantointetralin was hydrolyzed, reduced, and demethylated to give I.HCl (RO = 8-HO, R1 = R2 = R4 = R5 = H, R3 = CH2OH).

IT **67544-65-4P 67544-66-5P**
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 67544-65-4 CAPLUS

CN 1,2-Benzenediol, 4-[2-[(1,2,3,4-tetrahydro-6-hydroxy-2-naphthalenyl)amino]ethyl]-, hydrobromide (9CI) (CA INDEX NAME)

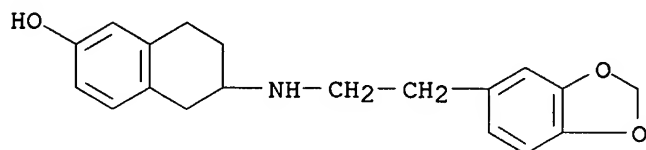


HBr

RN 67544-66-5 CAPLUS

10/009,008

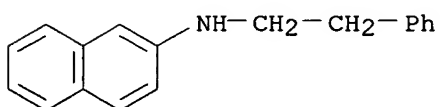
CN 2-Naphthalenol, 6-[[2-(1,3-benzodioxol-5-yl)ethyl]amino]-5,6,7,8-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



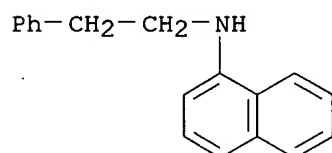
● HCl

10/009,008

L4 ANSWER 227 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1979:594393 CAPLUS
DN 91:194393
TI Synthesis and antioxidative properties of
N-(2'-phenylethyl)naphthylamines
AU Malkhasyan, A. Ts.; Dzhandzhulyan, Zh. L.; Petrosyan, R. A.; Ordukhanyan,
K. A.; Bagdasaryan, E. I.
CS Nauchno-Proizvod. Ob'edin. "Nairit", Yerevan, USSR
SO Armyanskii Khimicheskii Zhurnal (1979), 32(4), 276-81
CODEN: AYKZAN; ISSN: 0515-9628
DT Journal
LA Russian
AB N-(2'-Phenylethyl)-1-naphthylamine (I) [65021-64-9] and
N-(2'-phenylethyl)-2-naphthylamine (II) [63458-19-5] were
prepd. in 50-5% yields by alkylation of 1-naphthylamine [134-32-7] or
2-naphthylamine [91-59-8], resp., by styrene [100-42-5] in Me2SO or
hexamethylphosphortriamide at 85-120.degree.. I and II can be used as
effective heat and light stabilizers of chloroprene rubber. The
protective effect of the 2 antioxidants decreases in the order: Neozone D
.apprx.II .gtoreq. I.
IT **63458-19-5P 65021-64-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for antioxidants and heat stabilizers for neoprene rubber)
RN 63458-19-5 CAPLUS
CN 2-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



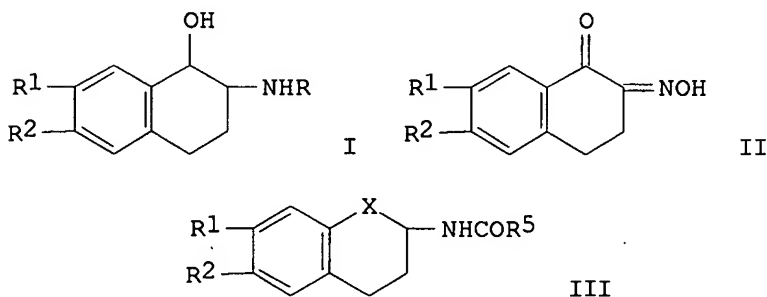
RN 65021-64-9 CAPLUS
CN 1-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 228 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1979:439192 CAPLUS
DN 91:39192
TI Cyclic amino-alcohols
IN Hiraoka, Katsuyuki; Fukami, Hideo; Fukumori, Bin; Mizusawa, Eiho;
Fujiwara, Hiroshi; Yasui, Bonpei
PA Funai Pharmaceutical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 34 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 54005959	A2	19790117	JP 1977-70330	19770614
	JP 62000140	B4	19870106		
PRAI	JP 1977-70330		19770614		
GI					



AB Cyclic aminoalcs. I (R = C1-10 alkyl, aralkyl, aralkenyl; R1 = aryloxy, aralkyl, aryl, alicyclic, alkyl; R2 = H, alkyl, halo; or R1R2 = alkylene), which increased the coronary blood flow by 21-56% and inhibited the myocardial constriction by 17-76%, are prepd. by redn. of II in the presence of R3R4CO (R3 = H, alkyl, R4 = alkyl, aralkyl, aryl, arylalkenyl) or by redn. of III (R5 = H, C1-9 alkyl, aralkyl, aryl, aralkenyl; X = CO, CHO), and by redn. of I (R = H) in the presence of R3R4CO. Thus, 0.5 g cis-I (R = H, R1 = PhO, R2 = H) was dissolved in a mixt. of 10 mL Me2CO and 15 mL EtOH, and reduced with H2, adding 50 mg Pt oxide to give cis-I (R = CHMe2, R1 = PhO, R2 = H).HCl. Similarly prepd. as HCl salts were: (R, R1, R2 given) trans, CHMe2, PhO, H; cis and trans, CHMe2, PhCH2, H; PhCH2CMeH, R1R2 = (CH2)4; BuMeCH, PhO, H; cis, PrO, PhO, H; trans, iso-PrO, R1R2 = (CH2)4; cis and trans, Et, PhO, H; cis, PhCH:CMech2, R1R2 = (CH2)4; cis and trans, .alpha.-(4-nitrophenyl)ethyl, PhO, H; iso-PrO, PhCH2, H; iso-PrO, PhO, H.

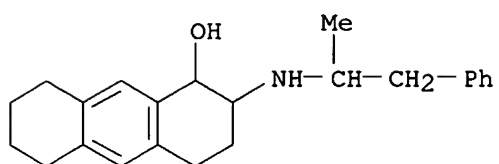
IT **70240-20-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 70240-20-9 CAPLUS

CN 1-Anthracenol,
1,2,3,4,5,6,7,8-octahydro-2-[(1-methyl-2-phenylethyl)amino]-

10/009,008

, hydrochloride (9CI) (CA INDEX NAME)



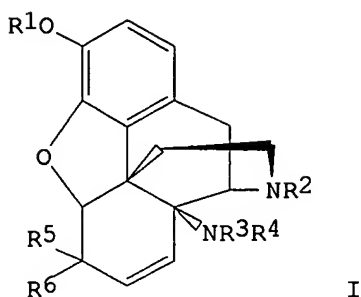
● HCl

10/009,008

L4 ANSWER 229 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1979:87709 CAPLUS
DN 90:87709
TI Morphine derivatives
IN Kobylecki, Ryszard Jurek; Guest, Ian Geoffrey; Lewis, John William;
Kirby,
Gordon William
PA Reckitt and Colman Products Ltd., UK
SO Ger. Offen., 44 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2812580	A1	19781005	DE 1978-2812580	19780322
	GB 1593191	A	19810715	GB 1977-12325	19770323
	ZA 7801527	A	19790425	ZA 1978-1527	19780315
	US 4241066	A	19801223	US 1978-886833	19780315
	FI 7800882	A	19780924	FI 1978-882	19780321
	FI 68236	B	19850430		
	FI 68236	C	19850812		
	AU 7834357	A1	19790927	AU 1978-34357	19780321
	AU 519643	B2	19811217		
	HU 20972	O	19810928	HU 1978-RE628	19780321
	HU 178699	B	19820628		
	SU 921467	A3	19820415	SU 1978-2595556	19780321
	BE 865183	A1	19780922	BE 1978-186174	19780322
	DK 7801300	A	19780924	DK 1978-1300	19780322
	DK 149858	B	19861013		
	DK 149858	C	19870629		
	SE 7803328	A	19780924	SE 1978-3328	19780322
	SE 436131	B	19841112		
	SE 436131	C	19850221		
	NL 7803083	A	19780926	NL 1978-3083	19780322
	JP 53119899	A2	19781019	JP 1978-33546	19780322
	JP 01012755	B4	19890302		
	FR 2384774	A1	19781020	FR 1978-8404	19780322
	FR 2384774	B1	19811016		
	DD 133950	C	19790131	DD 1978-204349	19780322
	ES 468173	A1	19790916	ES 1978-468173	19780322
	AT 7802042	A	19800715	AT 1978-2042	19780322
	AT 361139	B	19810225		
	CS 200542	P	19800915	CS 1978-1846	19780322
	CA 1089456	A1	19801111	CA 1978-299532	19780322
	PL 114723	B1	19810228	PL 1978-205498	19780322
	CH 629809	A	19820514	CH 1978-3160	19780322
PRAI	GB 1977-12325		19770323		
GI					

10/009,008



AB Morphines and 7,8-dihydro I [R1 = H, C1-3 alkyl; R2 = H, cycloalkylalkyl, propargyl; R3 = H, alkyl, alkenyl, cycloalkylalkyl, phenylalkyl, phenylalkenyl; R4 = H, C1-8 alkyl, COR7 (R7 = H, alkyl, alkenyl, Ph, phenylalkyl, aralkenyl, cycloalkyl, cycloalkenylalkyl, alkoxy, aroxy) R5

=

H, R6 = HO, R5R6 = O] were prepd. Thus, N-cyclopropylmethylnorthebaine was treated with C(NO2)4 to give 67% 14-.beta.-nitro-N-cyclopropylmethylnorcodeinone di-Me ketal, which was reduced and hydrolyzed to give 14-.beta.-amino-N-cyclopropylmethylnorcodeinone. The

I

(R1 = R3 = H; R2 = cyclopropylmethyl, R4 = COC5H11, R5R6 = O) has an opiate antagonist ED50 of 0.0036 mg/kg s.c.

IT 68730-38-1P 68730-72-3P.

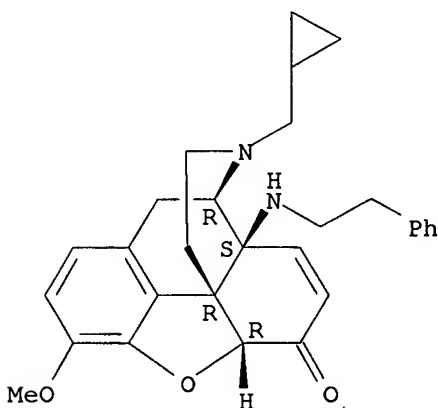
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 68730-38-1 CAPLUS

CN Morphinan-6-one,

17-(cyclopropylmethyl)-7,8-didehydro-4,5-epoxy-3-methoxy-
14-[(2-phenylethyl)amino]-, (5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



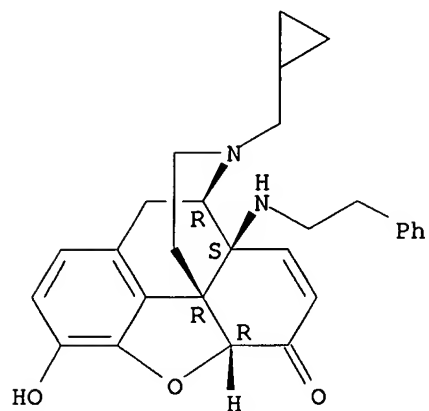
RN 68730-72-3 CAPLUS

CN Morphinan-6-one,

17-(cyclopropylmethyl)-7,8-didehydro-4,5-epoxy-3-hydroxy-
14-[(2-phenylethyl)amino]-, (5.alpha.)- (9CI) (CA INDEX NAME)

10/009,008

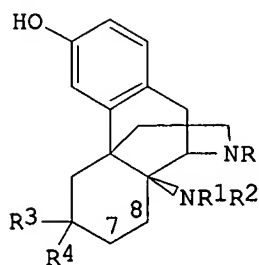
Absolute stereochemistry.



10/009,008

L4 ANSWER 230 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1979:39100 CAPLUS
DN 90:39100
TI Morphine derivatives
IN Kobylecki, Ryszard Jurek; Guest, Ian Geoffrey; Lewis, John William;
Kirby,
Gordon William
PA Reckitt and Colman Products Ltd., UK
SO Ger. Offen., 43 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2812581	A1	19780928	DE 1978-2812581	19780322
	GB 1587831	A	19810408	GB 1977-12342	19770323
	ZA 7801525	A	19790425	ZA 1978-1525	19780315
	US 4241067	A	19801223	US 1978-886834	19780315
	AU 7834356	A1	19790927	AU 1978-34356	19780321
	AU 518614	B2	19811008		
	HU 19977	O	19810528	HU 1978-RE627	19780321
	HU 177722	P	19811228		
	SU 856381	A3	19810815	SU 1978-2595495	19780321
	BE 865182	A1	19780922	BE 1978-186173	19780322
	SE 7803329	A	19780924	SE 1978-3329	19780322
	SE 436132	B	19841112		
	SE 436132	C	19850221		
	DK 7801299	A	19780924	DK 1978-1299	19780322
	DK 149753	B	19860922		
	DK 149753	C	19870706		
	NL 7803084	A	19780926	NL 1978-3084	19780322
	FR 2384775	A1	19781020	FR 1978-8405	19780322
	FR 2384775	B1	19810430		
	JP 53121798	A2	19781024	JP 1978-33545	19780322
	JP 62059112	B4	19871209		
	ES 468170	A1	19790101	ES 1978-468170	19780322
	AT 7802043	A	19800615	AT 1978-2043	19780322
	AT 360664	B	19810126		
	CS 199522	P	19800731	CS 1978-1847	19780322
	CA 1089455	A1	19801111	CA 1978-299533	19780322
	CH 630629	A	19820630	CH 1978-3159	19780322
PRAI	GB 1977-12342		19770323		
GI					



10/009,008

AB Morphines I [R = Me, phenyl-C1-5-alkyl; R1 = H, C1-12. alkyl, C3-8-alkenyl, C3-7-cycloalkyl-C1-4-alkyl, phenyl-C1-5-alkyl, phenyl-C3-5-alkenyl; R2 = H, C1-8 alkyl, COR5 (R5 = H, C1-11 alkyl, C2-7-alkenyl, Ph, phenyl-C1-5-alkyl, phenyl-C2-5-alkenyl, C3-8 cycloalkyl, C3-8-cycloalkyl-C1-3-alkyl; R3 = H, R4 = HO; R3R4 = O] and 7,8-didehydro

derivs. (153 compds.) were prepd. Thus, redn. of

14-.beta.-nitrocodeinone di-Me ketal gave 14-.beta.-aminocodeinone. The I (R = Me, R1 = H, R2 = PhCH:CHCO, R3R4 = O) had an analgesic ED50 0.00053 mg/kg s.c. whereas morphine had an ED50 0.66 mg/kg.

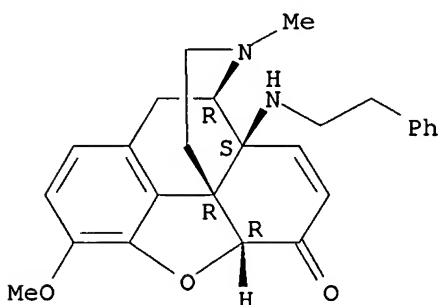
IT 68616-19-3P 68616-87-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 68616-19-3 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-14-[(2-phenylethyl)amino]-, (5.alpha.)- (9CI) (CA INDEX NAME)

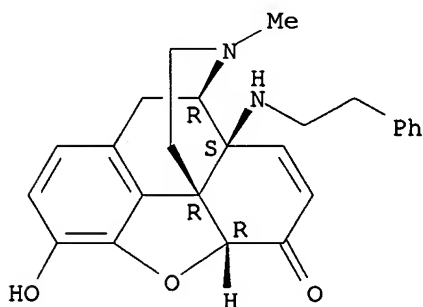
Absolute stereochemistry.



RN 68616-87-5 CAPLUS

CN Morphinan-6-one, 7,8-didehydro-4,5-epoxy-3-hydroxy-17-methyl-14-[(2-phenylethyl)amino]-, (5.alpha.)- (9CI) (CA INDEX NAME)

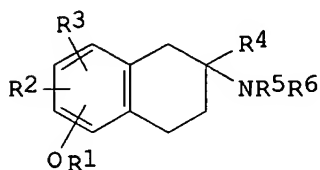
Absolute stereochemistry.



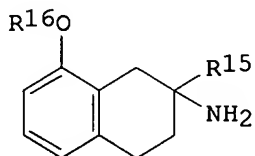
10/009,008

L4 ANSWER 231 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:546658 CAPLUS
DN 89:146658
TI Tetralin derivatives
IN Iess, Janos; Seiler, Max Peter
PA Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 30 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2752659	A1	19780608	DE 1977-2752659	19771125
	FI 7703595	A	19780608	FI 1977-3595	19771128
	DK 7705278	A	19780608	DK 1977-5278	19771128
	SE 7713471	A	19780608	SE 1977-13471	19771129
	NL 7713364	A	19780609	NL 1977-13364	19771202
	BE 861516	A1	19780605	BE 1977-183183	19771205
	ES 464747	A1	19790101	ES 1977-464747	19771205
	GB 1597140	A	19810903	GB 1977-50477	19771205
	IL 53533	A1	19820730	IL 1977-53533	19771205
	FR 2373513	A1	19780707	FR 1977-36662	19771206
	FR 2373513	B1	19800822		
	JP 53084955	A2	19780726	JP 1977-146485	19771206
	JP 62014540	B4	19870402		
	CA 1105475	A1	19810721	CA 1977-292457	19771206
	AT 7708719	A	19810815	AT 1977-8719	19771206
	AT 366361	B	19820413		
	SU 927110	A3	19820507	SU 1977-2549950	19771206
	AU 7731328	A1	19790614	AU 1977-31328	19771207
	AU 520088	B2	19820114		
	ZA 7707295	A	19790725	ZA 1977-7295	19771207
	AT 7905901	A	19810615	AT 1979-5901	19790907
	AT 365558	B	19820125		
	AT 7905902	A	19820815	AT 1979-5902	19790907
	AT 370409	B	19830325		
	FI 8400470	A	19840206	FI 1984-470	19840206
	FI 8400471	A	19840206	FI 1984-471	19840206
PRAI	CH 1976-15353		19761207		
	CH 1977-82		19770105		
	FI 1977-3595		19771128		
	AT 1977-8719		19771206		
GI					



I

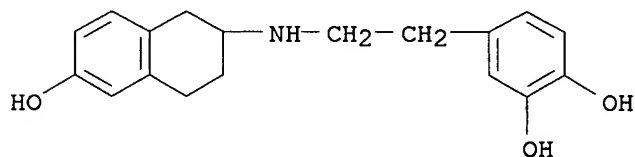


II

AB Tetralins I [R1 = H, C1-20 alkanoyl, CO(CH2)nR7 [n = 0-5, R7 = C6H3R8R9 (R8, R9 = H, halo, C1-4 alkyl, alkoxy, R8R9 = OCH2O)]; R2 = H, OH, C1-20

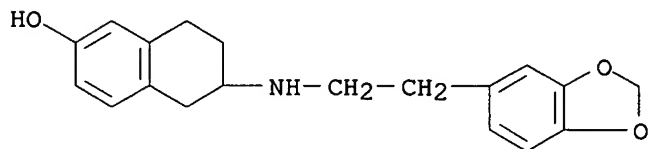
10/009,008

alkanoyloxy, $\text{O}_2\text{C}(\text{CH}_2)_n\text{R}_7$, halo, C1-4 alkyl, alkanesulfonamido, $\text{CF}_3\text{SO}_2\text{NH}$, $\text{CCl}_3\text{SO}_2\text{NH}$, CH_2OH , $\text{CH}_2\text{O}_2\text{C}(\text{CH}_2)_n\text{R}_7$, $\text{CH}_2\text{O}_2\text{CR}_{10}$ ($\text{R}_{10} = \text{H}$, C1-19 alkyl); $\text{R}_3 =$
H
if $\text{R}_2 = \text{Cl}$, also Cl; $\text{R}_4 = \text{H}$, CH_2OH , $\text{CH}_2\text{O}_2\text{CR}_{10}$, $\text{CH}_2\text{O}_2\text{C}(\text{CH}_2)_n\text{R}_7$; $\text{R}_5 = \text{H}$,
C1-4 alkyl, C3-8 cycloalkyl, $(\text{CH}_2)_n\text{R}_{11}$ [$\text{R}_{11} = \text{C}_6\text{H}_2\text{R}_{12}\text{R}_{13}\text{R}_{14}$ ($\text{R}_{12}, \text{R}_{13}, \text{R}_{14}$
=
H, halo, C1-4 alkyl, alkoxy, OH, O_2CR_{10} , $\text{O}_2\text{C}(\text{CH}_2)_n\text{R}_7$; $\text{R}_{12}\text{R}_{13} = \text{OCH}_2\text{O}$)];
R6
= H, C1-4 alkyl; $\text{R}_5\text{R}_6 = (\text{CH}_2)_n$ ($n = 4, 5, 6$)] and their acid addn. salts,
useful as stimulators of .alpha.- and .beta.-adrenoreceptors and dopamine
receptors (no data), were prepd. by 3 methods. Thus, 8-methoxy-2-
tetralone was stirred with KCN and $(\text{NH}_4)_2\text{CO}_3$ in Me_2CHOH 20 h at
60.degree., and the product 8-methoxy-2-spirohydantointetralin in
propylene glycol was cleaved with 40% NaOH at 190.degree. 24 h to give II
($\text{R}_{15} = \text{CO}_2\text{H}$, $\text{R}_{16} = \text{Me}$), which was reduced with B_2H_6 in THF to give II
(R_{15}
= CH_2OH , $\text{R}_{16} = \text{Me}$) and II ($\text{R}_{15} = \text{CH}_2\text{OH}$, $\text{R}_{16} = \text{H}$) by subsequent ether
cleavage.
IT **67544-65-4P 67544-66-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 67544-65-4 CAPLUS
CN 1,2-Benzenediol, 4-[2-[(1,2,3,4-tetrahydro-6-hydroxy-2-
naphthalenyl)amino]ethyl]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 67544-66-5 CAPLUS
CN 2-Naphthalenol, 6-[[2-(1,3-benzodioxol-5-yl)ethyl]amino]-5,6,7,8-
tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)

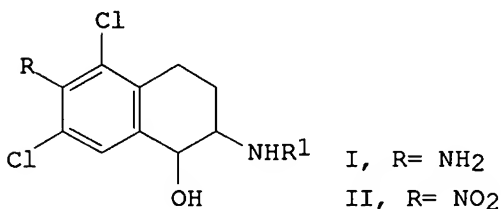


● HCl

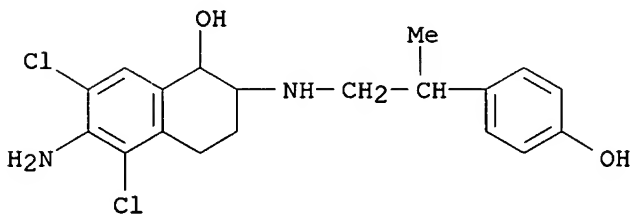
10/009,008

L4 ANSWER 232 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:508826 CAPLUS
DN 89:108826
TI Tetralol compounds
IN Motohashi, Michio; Nishikawa, Masao; Mino, Yasushi
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 53046947	A2	19780427	JP 1976-121137	19761007
PRAI	JP 1976-121137		19761007		
GI					



AB Tetralols I [R1 = Me₂CH, 4-HOC₆H₄CHMeCH₂ (as HCl salt), cyclobutyl (as trans isomer)], useful as bronchodilating agents (no data), were prepd.
by redn. of II. Thus, H was fed to a mixt. of II (R1 = Me₂CH) and 5% Pd/C
in EtOH at room temp. and 1 atm to give I (R1 = Me₂CH) (no yield given).
IT **67446-48-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 67446-48-4 CAPLUS
CN 1-Naphthalenol, 6-amino-5,7-dichloro-1,2,3,4-tetrahydro-2-[[2-(4-hydroxyphenyl)propyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

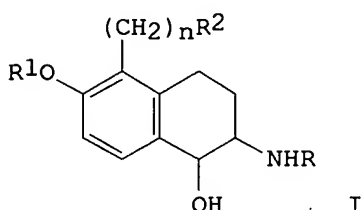
10/009,008

10/009,008

L4 ANSWER 233 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:475331 CAPLUS
DN 89:75331
TI Tetralol compounds
IN Sugiwar, Hirosada
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 64 pp.
CODEN: JKXXAF
DT Patent
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 52083826	A2	19770713	JP 1976-1290	19760101
PRAI	JP 1976-1290		19760101		
GI					



AB Tetralols I (R = H, acyl, hydrocarbon residues, R1 = H or OH-protecting group; R2 = H, acyl, protected OH, NH2, NO2, CN, halo; n = 0,1,2) and their salts were prepd. I were effective in treating arrhythmia at 1-100 mg/day orally in adults. Thus, 0.3 g I.HCl (R = Me2CH, R1 = PhCH2, R2 = Cl, n = 0) in CF3CO2H was heated 1 h at 80.degree. to give 0.1 g I.HCl (R = Me2CH, R1 = H, R2 = Cl, n = 0). Similarly prepd. were 159 addnl. I and 117 intermediates.

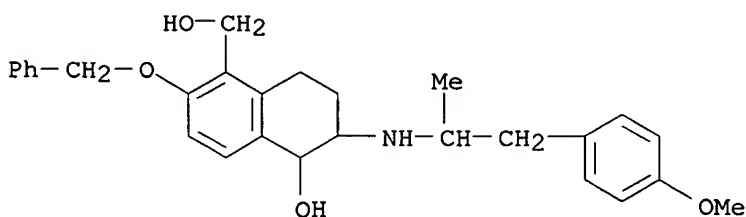
IT 59605-08-2P 59605-09-3P 65860-27-7P

65860-43-7P 66153-40-0P 66153-41-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 59605-08-2 CAPLUS

CN 1-Naphthalenemethanol, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

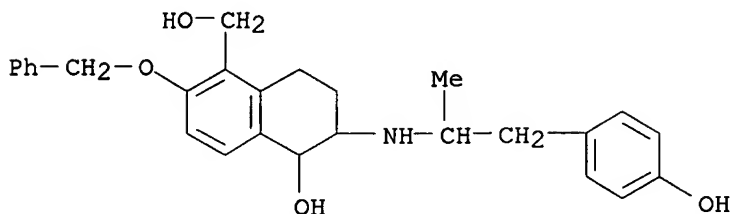


RN 59605-09-3 CAPLUS

CN 1-Naphthalenemethanol, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-

10/009,008

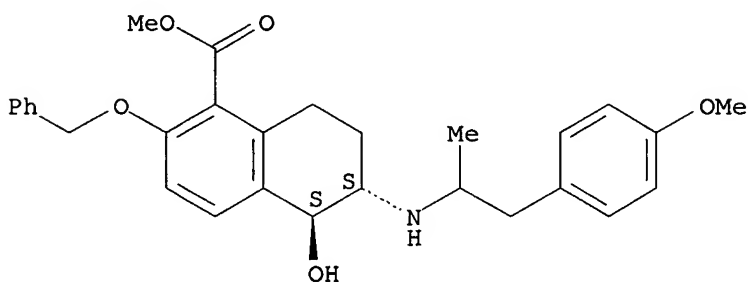
hydroxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 65860-27-7 CAPLUS

CN 1-Naphthalenecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 65860-43-7 CAPLUS

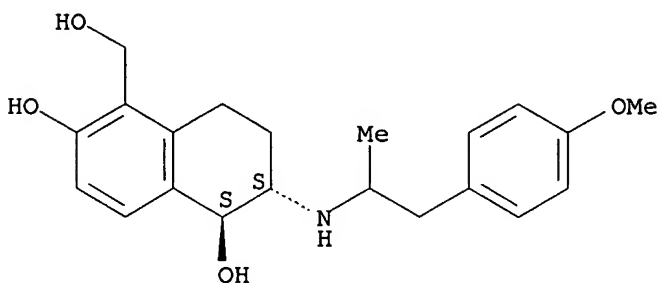
CN 1,6-Naphthalenediol, 1,2,3,4-tetrahydro-5-(hydroxymethyl)-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-, trans-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 65860-42-6

CMF C21 H27 N O4

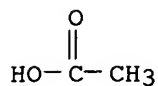
Relative stereochemistry.



10/009,008

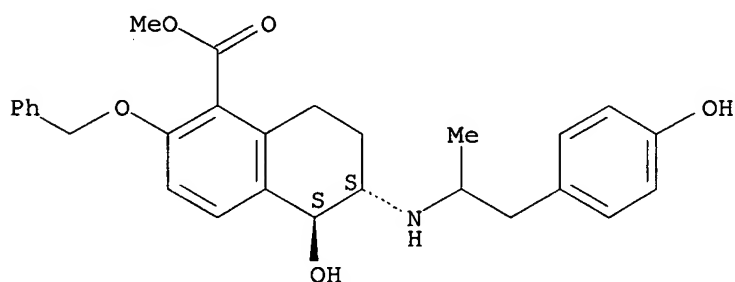
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 66153-40-0 CAPLUS
CN 1-Naphthalenecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

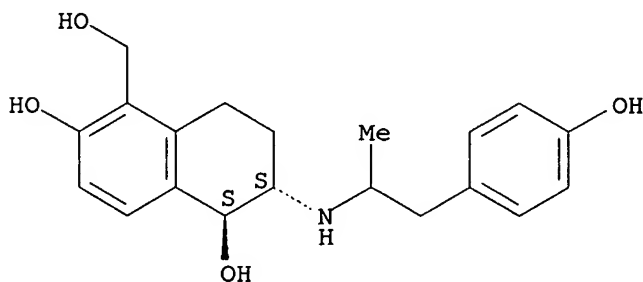


RN 66153-41-1 CAPLUS
CN 1,6-Naphthalenediol, 1,2,3,4-tetrahydro-5-(hydroxymethyl)-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, trans-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 65912-57-4
CMF C20 H25 N O4

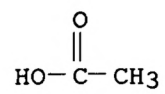
Relative stereochemistry.



CM 2

10/009,008

CRN 64-19-7
CMF C2 H4 O2



10/009,008

L4 ANSWER 234 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1978:442894 CAPLUS

DN 89:42894

TI Syntheses of

6-amino-1,2-dihydroxy-6,7,8,9-tetrahydro-5H-benzocyclohepten-5-ol derivatives

AU Itoh, Katsumi; Sugihara, Hirosada; Miyake, Akio; Tada, Norio; Oka, Yoshikazu

CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan

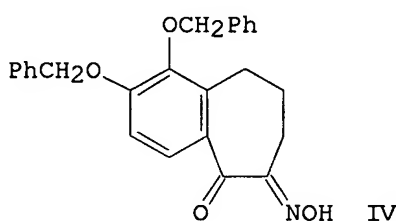
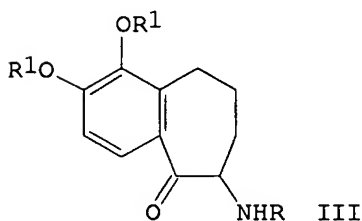
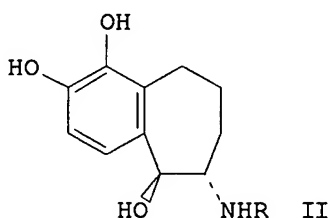
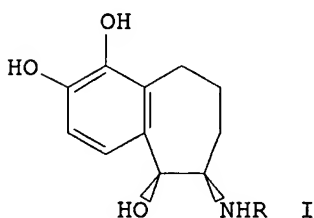
SO Chemical & Pharmaceutical Bulletin (1978), 26(2), 504-13

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

GI



AB 6-Amino-1,2-dihydroxy-6,7,8,9-tetrahydro-5H-benzocyclohepten-5-ols I and II (R = H, alkyl, cyclobutyl, phenylalkyl), useful as .beta.2-adrenoceptors (no data), were prepd. The redn. of III (R = R1 = H) and III (R = CHMe2, R1 = H) by H over PtO2 gave I-II mixts., but the redn. of IV by LiAlH4 and subsequent hydrogenolysis gave I (R = H). III (R = Ac, R1 = PhCH2) was reduced by NaBH4, and the product was deacylated and deprotected to give II (R = H).

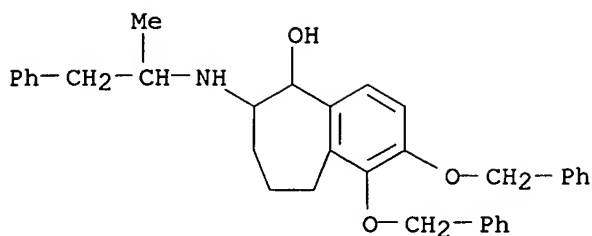
IT 60055-61-0P 60055-99-4P 67091-02-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)

RN 60055-61-0 CAPLUS

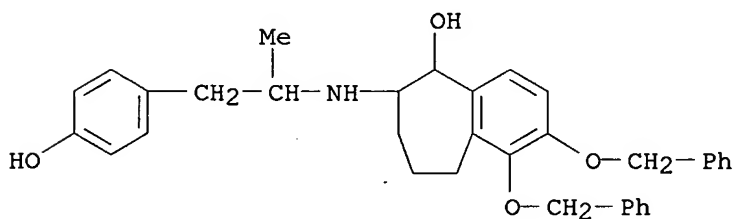
CN 5H-Benzocyclohepten-5-ol, 6,7,8,9-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-1,2-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/009,008



RN 60055-99-4 CAPLUS

CN 5H-Benzocyclohepten-5-ol, 6,7,8,9-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-1,2-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)



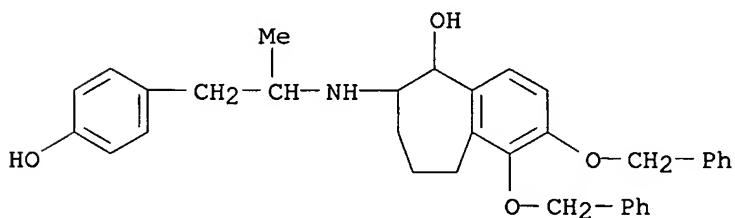
RN 67091-02-5 CAPLUS

CN 5H-Benzocyclohepten-5-ol, 6,7,8,9-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-1,2-bis(phenylmethoxy)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 60055-99-4

CMF C34 H37 N O4



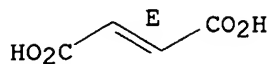
CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

10/009,008



IT 60055-54-1P 67090-99-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

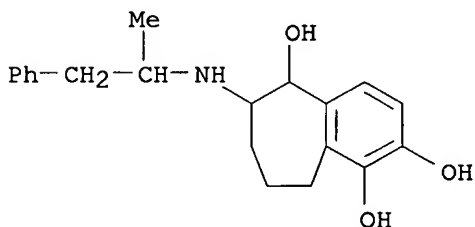
RN 60055-54-1 CAPLUS

CN 5H-Benzocycloheptene-1,2,5-triol, 6,7,8,9-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 60055-53-0

CMF C20 H25 N O3

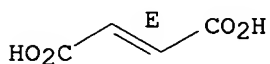


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 67090-99-7 CAPLUS

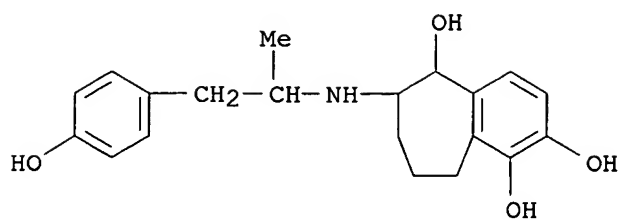
CN 5H-Benzocycloheptene-1,2,5-triol, 6,7,8,9-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 60055-90-5

CMF C20 H25 N O4

10/009,008

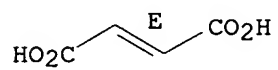


CM 2

CRN 110-17-8

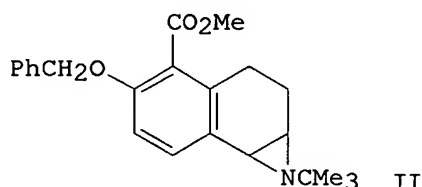
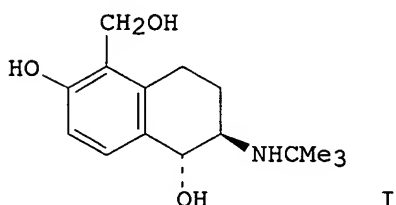
CMF C4 H4 O4

Double bond geometry as shown.



10/009,008

L4 ANSWER 235 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:442860 CAPLUS
DN 89:42860
TI Syntheses of 1,2-N-alkylimino-1,2,3,4-tetrahydronaphthalene derivatives and preparation of a ring-closed analog of salbutamol as a new .beta.-adrenoceptor agent
AU Sugihara, Hirosada; Ukawa, Kiyoshi; Miyake, Akio; Itoh, Katsumi; Sanno, Yasushi
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1978), 26(2), 394-404
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI



AB The synthesis of 5-substituted 2-tertiary-alkylamino-6-hydroxy-1,2,3,4-tetrahydro-1-naphthalenols involved the prepn. of 1-alkylamino-2-hydroxy-1,2,3,4-tetrahydronaphthalenes from 2-bromo-1-hydroxy derivs. via 1,2-epoxides followed by the transposition of 1-alkylamino and 2-hydroxy groups via the ring closure to 1,2-aziridines. The naphthalenediol I was prep'd. from aziridine deriv. II by hydrolytic cleavage, hydride redn.,

and

hydrogenolysis. I is useful as a .beta.2-stimulant (no data).

IT **67090-67-9P**

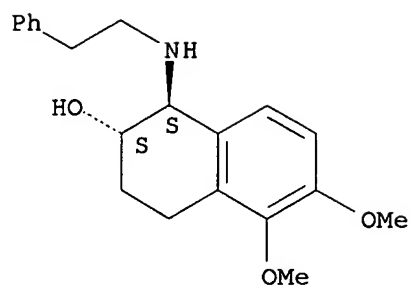
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 67090-67-9 CAPLUS

CN 2-Naphthalenol,
1,2,3,4-tetrahydro-5,6-dimethoxy-1-[(2-phenylethyl)amino]-
, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/009,008

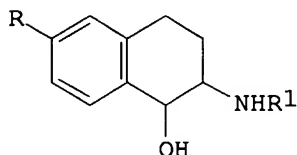


● HCl

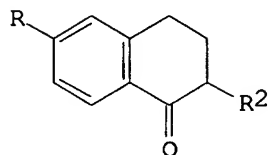
10/009,008

L4 ANSWER 236 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:169827 CAPLUS
DN 88:169827
TI Tetrahydronaphthalene derivatives
IN Miyake, Akio; Ito, Katsumi; Oka, Yoshikazu
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

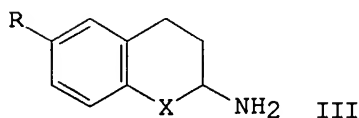
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 53007658	A2	19780124	JP 1976-81330	19760707
	JP 60035339	B4	19850814		
PRAI	JP 1976-81330		19760707		
GI					



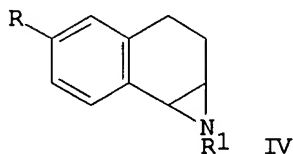
I



II



III



IV

AB Forty-five title derivs. I (R = H, hydrocarbon groups; R1 = C2-C6 alkoxy, substituted alkoxy, alkenyloxy, cyano, alkoxy carbonyl, substituted amino, halo) were prepd. by redn. of II (R2 = NHR1 or groups convertible to NHR1 by redn.), redn. of III (X = CO, CHOH) in the presence of R3R4CO (R3 = H, alkyl; R4 = hydrocarbon groups; R3R4C may form a ring), or hydrolysis of IV. Thus, 18g II.HCl (R = PhCH2O, R2 = NH2) in MeOH was reduced with NaBH4 give 15 g trans-I.HCl (R = PhCH2O, R1 = H). I had .beta.-sympathetic nerve blocking, antihypertensive, and vasodilating activities; the data were given by use of isolated guinea pig hearts and spontaneously hypertensive male rats.

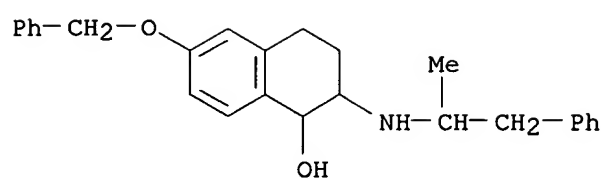
IT **66361-59-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

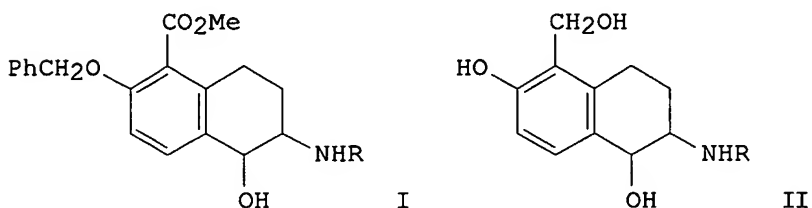
RN 66361-59-9 CAPLUS

CN 1-Naphthalenol, 1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/009,008

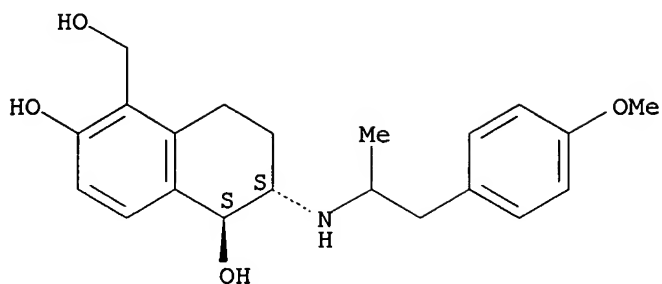


L4	ANSWER 237 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN	1978:136346 CAPLUS
DN	88:136346
TI	Syntheses and .beta.-adrenoceptor activities of 2-alkylamino-6-hydroxy-5-hydroxymethyl-1,2,3,4-tetrahydro-1-naphthalenols
AU	Sugihara, Hirosada; Ukawa, Kiyoshi; Kuriki, Hisashi; Nishikawa, Masao; Sanno, Yasushi
CS	Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
SO	Chemical & Pharmaceutical Bulletin (1977), 25(11), 2988-3002
.	CODEN: CPBTAL; ISSN: 0009-2363
DT	Journal
LA	English
GI	



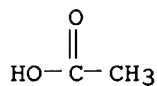
Relative stereochemistry.

10/009,008



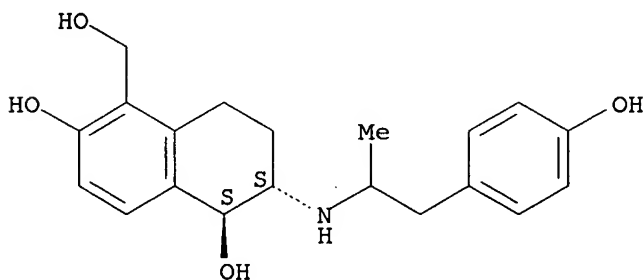
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 65912-57-4 CAPLUS
CN 1,6-Naphthalenediol, 1,2,3,4-tetrahydro-5-(hydroxymethyl)-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, trans- (9CI) (CA INDEX NAME)

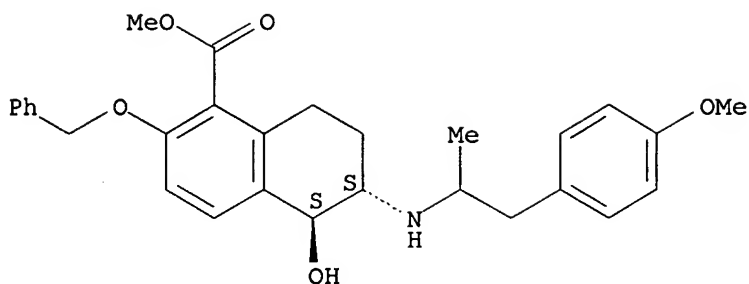
Relative stereochemistry.



IT 65860-27-7P 65860-28-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydride redn. of)
RN 65860-27-7 CAPLUS
CN 1-Naphthalenecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

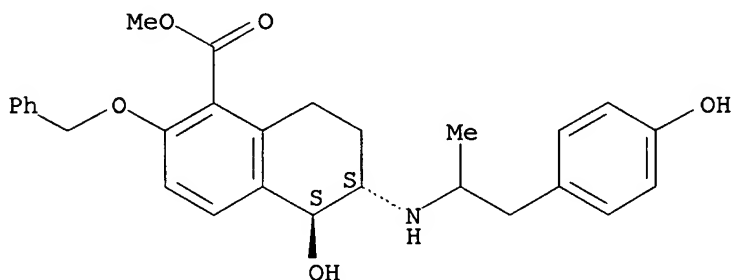
10/009,008



RN 65860-28-8 CAPLUS

CN 1-Naphthalenecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, methyl ester, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

IT 65860-34-6P 65860-35-7P

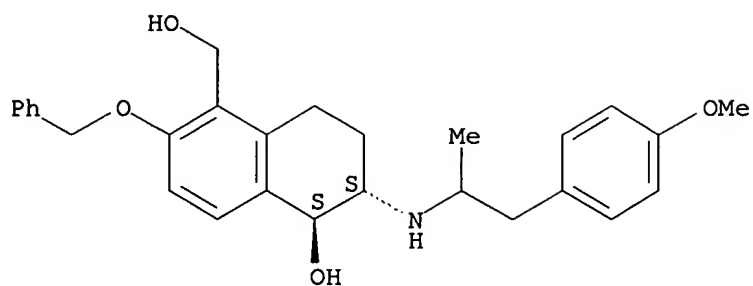
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)

RN 65860-34-6 CAPLUS

CN 1-Naphthalenemethanol, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

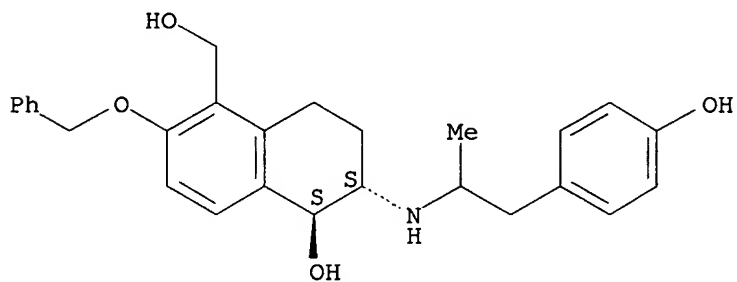
10/009,008



RN 65860-35-7 CAPLUS

CN 1-Naphthalenemethanol, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, trans- (9CI) (CA INDEX NAME)

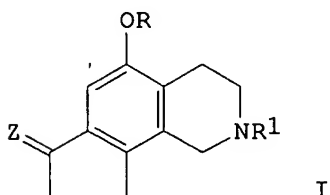
Relative stereochemistry.



10/009,008

L4 ANSWER 238 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:105173 CAPLUS
DN 88:105173
TI Cyclopentisoquinolines
PA Marion Laboratories, Inc., USA
SO Jpn. Tokkyo Koho, 11 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 52047473	B4	19771202	JP 1975-37368	19750327
	JP 50154437	A2	19751212		
	US 3953458	A	19760427	US 1974-455561	19740328
	GB 1509305	A	19780504	GB 1975-11312	19750318
	DK 7501211	A	19750929	DK 1975-1211	19750321
	BE 827282	A1	19750716	BE 1975-154856	19750327
	NL 7503705	A	19750930	NL 1975-3705	19750327
	FR 2265377	A1	19751024	FR 1975-9662	19750327
	FR 2265377	B1	19800125		
	CA 1063116	A1	19790925	CA 1975-223270	19750327
	SE 7503727	A	19750929	SE 1975-3727	19750401
PRAI	US 1974-455561		19740328		
GI					



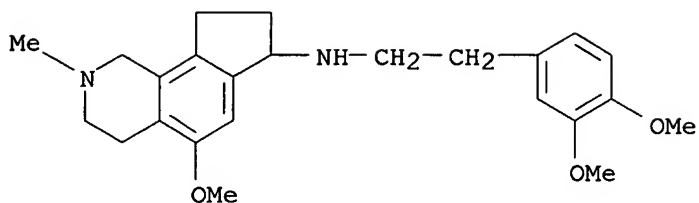
AB Cyclopentisoquinolines I (Z = O, R, R1 = lower alkyl) reacted with R2NH2 (R2 = aralkyl) to give I (Z = H, R2NH; R, R1 = lower alkyl), which were optionally reduced to give I (Z = H, R2NH; R = H; R1 = lower alkyl). Thus, 1.0 g I (Z = O, R = R1 = Me) in benzene contg. HOAc was refluxed with 3,4-(MeO)2C6H3CH2CH2NH2 for 96 h to give 1.2 g I [Z = 3,4-(MeO)2C6H3CH2CH2NH, H; R = R1 = Me].

IT **57611-22-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 57611-22-0 CAPLUS

CN 1H-Cyclopent[h]isoquinolin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,7,8,9-hexahydro-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

10/009,008



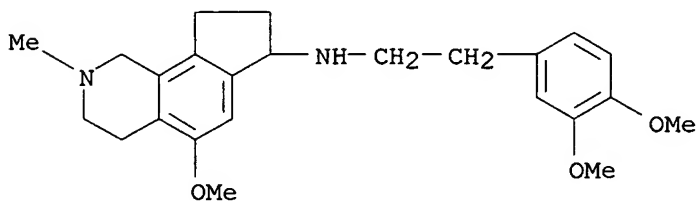
IT 57611-21-9P 57611-23-1P 57611-25-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 57611-21-9 CAPLUS

CN 1H-Cyclopent[h]isoquinolin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-
2,3,4,7,8,9-hexahydro-5-methoxy-2-methyl-, dihydrobromide (9CI) (CA

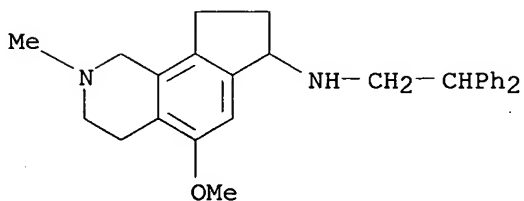
INDEX
NAME)



● 2 HBr

RN 57611-23-1 CAPLUS

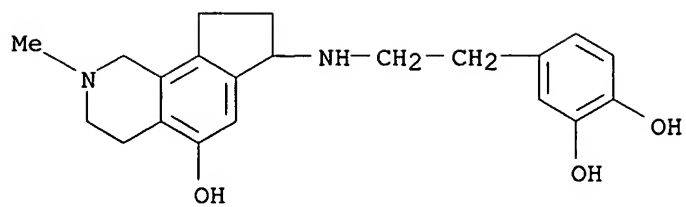
CN 1H-Cyclopent[h]isoquinolin-7-amine, N-(2,2-diphenylethyl)-, 2,3,4,7,8,9-
hexahydro-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



RN 57611-25-3 CAPLUS

CN 1,2-Benzenediol, 4-[2-[(2,3,4,7,8,9-hexahydro-5-hydroxy-2-methyl-1H-
cyclopent[h]isoquinolin-7-yl)amino]ethyl]-, dihydrobromide (9CI) (CA
INDEX NAME)

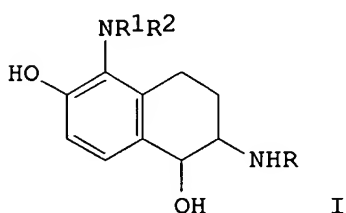
10/009,008



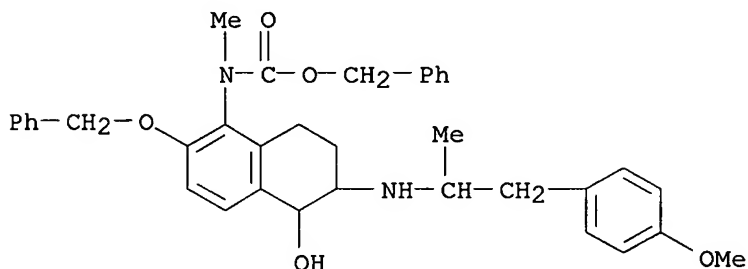
● 2 HBr

10/009,008

L4 ANSWER 239 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:104974 CAPLUS
DN 88:104974
TI The synthesis of N,N'-disubstituted 2,5-diamino-6-hydroxy-1,2,3,4-tetrahydro-1-naphthalenol derivatives
AU Miyake, Akio; Kuriki, Hisashi; Itoh, Katsumi; Nishikawa, Masao; Oka, Yoshikazu
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1977), 25(12), 3289-300
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI



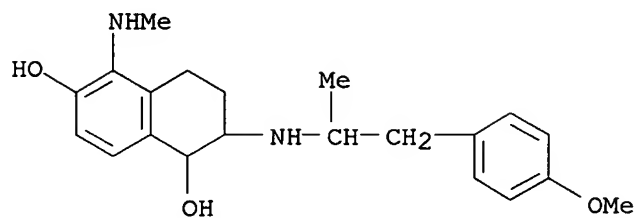
AB Seventeen diaminotetrahydronaphthalenediols (I, R = H, Me, Et, CHMe2, cycloalkyl; R1 = H, Me, Et; R2 = Me, Et, SO2Me, CHO) were prepd. from 6-(benzyloxy)-5-nitro-3,4-dihydro-1(2H)-naphthalenone. I (R = CHMe2, cyclobutyl, R1 = H, R2 = Me) are .beta.-adrenoceptor agonists.
IT 59605-96-8P 59606-44-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 59605-96-8 CAPLUS
CN Carbamic acid,
methyl[5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-1-naphthalenyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



RN 59606-44-9 CAPLUS
CN 1,6-Naphthalenediol, 1,2,3,4-tetrahydro-2-[[2-(4-methoxyphenyl)-1-

10/009,008

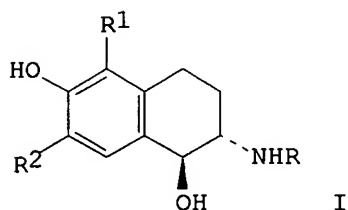
methylethyl]amino]-5-(methylamino)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

10/009,008

L4 ANSWER 240 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:104971 CAPLUS
DN 88:104971
TI The synthesis of 2,5-diamino-6-hydroxy-1,2,3,4-tetrahydro-1-naphthalenol derivatives
AU Miyake, Akio; Kuriki, Hisashi; Tada, Norio; Nishikawa, Masao; Oka, Yoshikazu
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1977), 25(11), 3066-74
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI

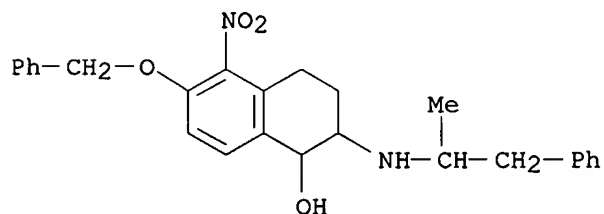


AB Thirteen diaminonaphthalenols (I, R = alkyl, cycloalkyl aralkyl, heterocyclylalkyl, heterocyclyl, R1 = NH2, R2 = H) were prepd. by treating I (R = R2 = H, R1 = NH2) with the appropriate aldehydes or ketones in the presence of LiBH3CN. Most of them are potent .beta.-adrenoceptor agonists with considerable .beta.2-selectivity. However, the position isomer I (R = CHMe2, R1 = H, R2 = NH2), prepd. similarly from I (R = R1 = H, R2 = NH2), had no .beta.-adrenoceptor activity.

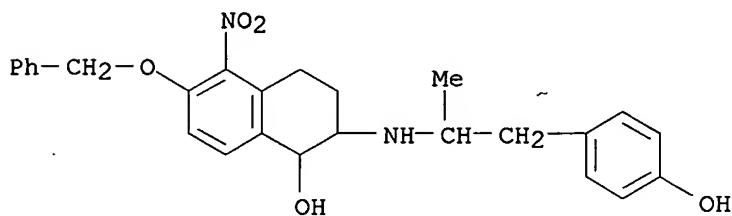
IT **59605-89-9P 59605-90-2P 59605-91-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenation of)

RN 59605-89-9 CAPLUS

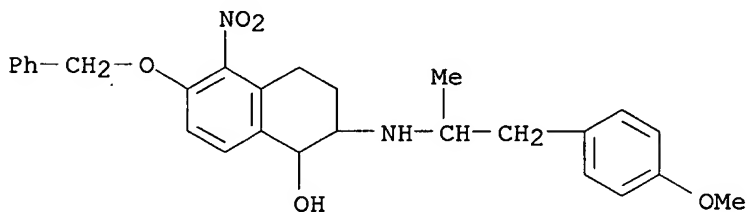
CN 1-Naphthalenol, 1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]-5-nitro-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



RN	59605-90-2	CAPLUS
CN	1-Naphthalenol, 1,2,3,4-tetrahydro-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-5-nitro-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)	



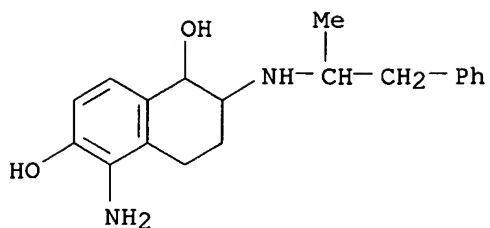
RN	59605-91-3	CAPLUS
CN	1-Naphthalenol, 1,2,3,4-tetrahydro-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-5-nitro-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)	



IT 59606-15-4P 59606-16-5P 59606-17-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

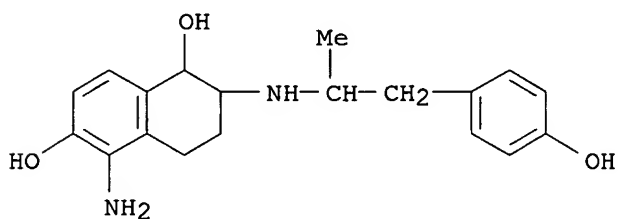
RN	59606-15-4	CAPLUS
CN	1,6-Naphthalenediol, 5-amino-1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)	

10/009,008



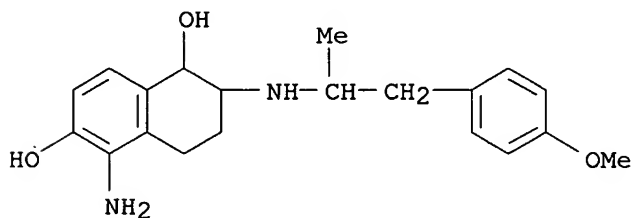
●2 HCl

RN 59606-16-5 CAPLUS
CN 1,6-Naphthalenediol,
5-amino-1,2,3,4-tetrahydro-2-[[2-(4-hydroxyphenyl)-1-
methylethyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

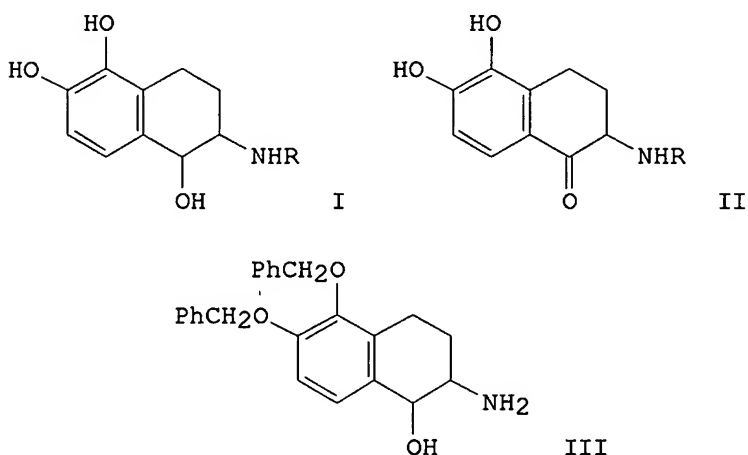
RN 59606-17-6 CAPLUS
CN 1,6-Naphthalenediol,
5-amino-1,2,3,4-tetrahydro-2-[[2-(4-methoxyphenyl)-1-
methylethyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



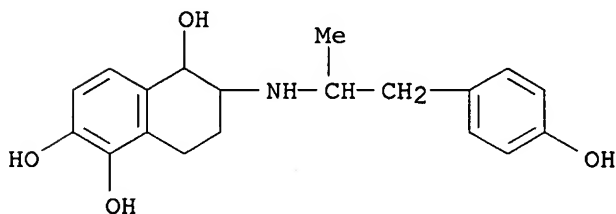
●2 HCl

10/009,008

L4 ANSWER 241 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:104970 CAPLUS
DN 88:104970
TI The syntheses and .beta.-adrenoceptor activities of N-substituted
2-amino-5,6-dihydroxy-1,2,3,4-tetrahydro-1-naphthalenols
AU Itoh, Katsumi; Motohashi, Michio; Kuriki, Hisashi; Sugihara, Hirosaka;
Inatomi, Nobuhiro; Nishikawa, Masao; Oka, Yoshikazu
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1977), 25(11), 2917-28
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI



AB Tetralintriols I (R = H, C2-4 alkyl, C4-6 cycloalkyl, phenylalkyl), which
exhibited .beta.-adrenoceptor activity, were prepd. from the resp.
aminotetralones II and the protected triol III by known methods.
IT **58658-63-2P 65736-57-4P 65736-58-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sympathomimetic activity of)
RN 58658-63-2 CAPLUS
CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-
methylethyl]amino]- (9CI) (CA INDEX NAME)

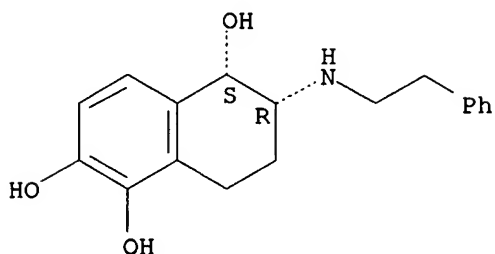


RN 65736-57-4 CAPLUS
CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-,
cis-

10/009,008

(9CI) (CA INDEX NAME)

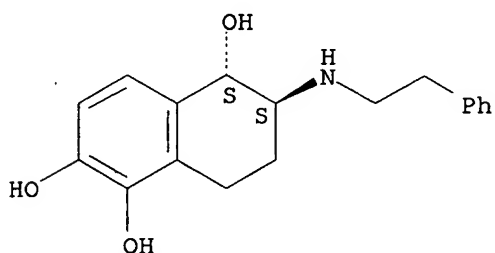
Relative stereochemistry.



RN 65736-58-5 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 58475-69-7P 58475-74-4P 58475-79-9P

58475-81-3P 58658-64-3P 65736-31-4P

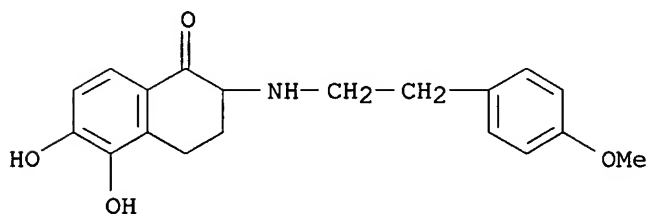
65736-32-5P 65736-35-8P 65736-36-9P

65736-64-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 58475-69-7 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-5,6-dihydroxy-2-[[2-(4-methoxyphenyl)ethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)

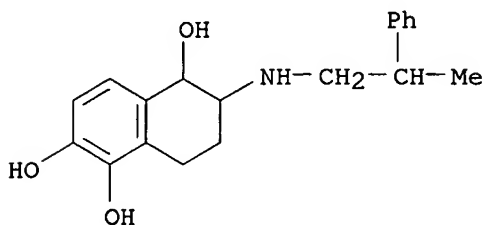


HBr

10/009,008

RN 58475-74-4 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylpropyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

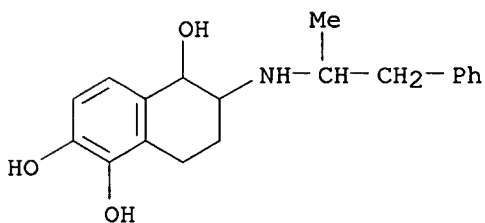
RN 58475-79-9 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 58475-78-8

CMF C19 H23 N O3

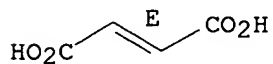


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 58475-81-3 CAPLUS

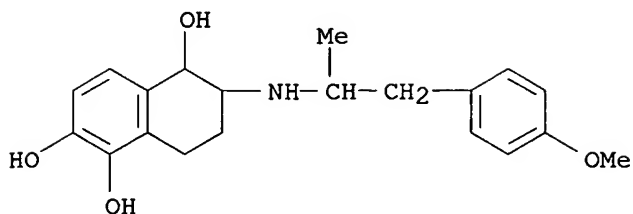
CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

10/009,008

CM 1

CRN 58475-80-2

CMF C20 H25 N O4

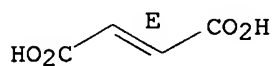


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



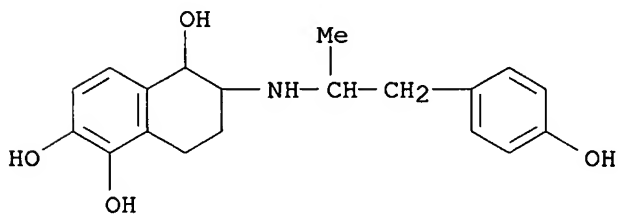
RN 58658-64-3 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 58658-63-2

CMF C19 H23 N O4



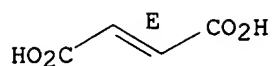
CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

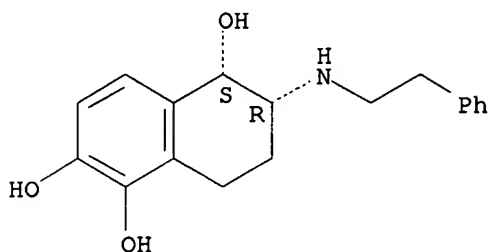
10/009,008



RN 65736-31-4 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-, hydrobromide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

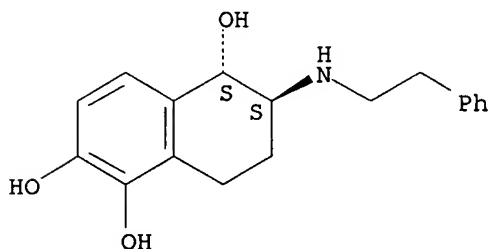


● HBr

RN 65736-32-5 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-, hydrobromide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



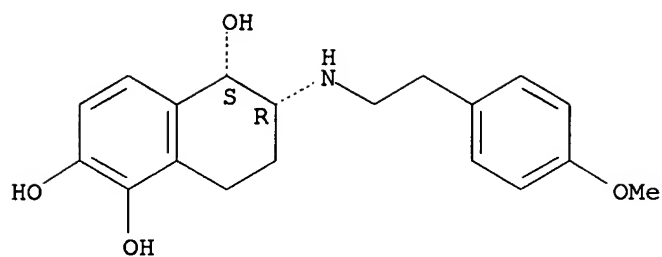
● HBr

RN 65736-35-8 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)ethyl]amino]-, hydrobromide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/009,008

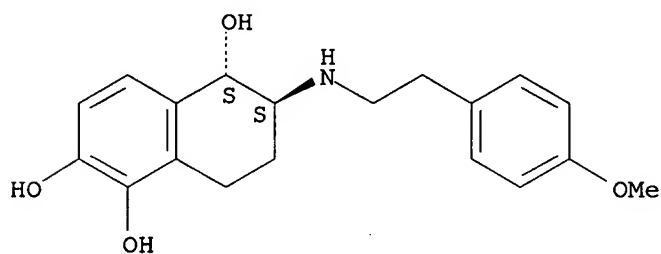


● HBr

RN 65736-36-9 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)ethyl]amino]-, hydrobromide, trans- (9CI) (CA INDEX NAME)

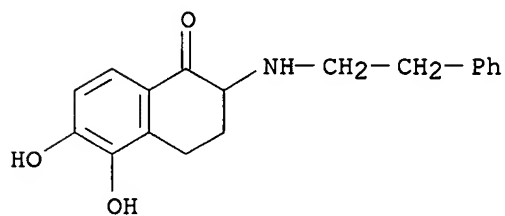
Relative stereochemistry.



● HBr

RN 65736-64-3 CAPLUS

CN 1(2H)-Naphthalenone, 3,4-dihydro-5,6-dihydroxy-2-[(2-phenylethyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)



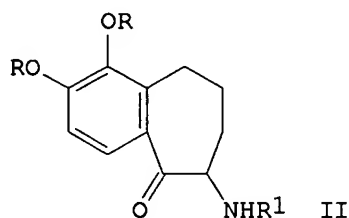
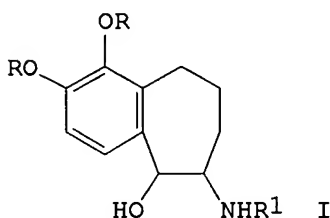
HBr

10/009,008

10/009,008

L4 ANSWER 242 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:50554 CAPLUS
DN 88:50554
TI Benzocycloheptene derivatives
IN Oka, Yoshikazu; Hashimoto, Naoto; Sugano, Morio; Nishikawa, Masao
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 52083829	A2	19770713	JP 1976-1289	19760101
PRAI	JP 1976-1289		19760101		
GI					

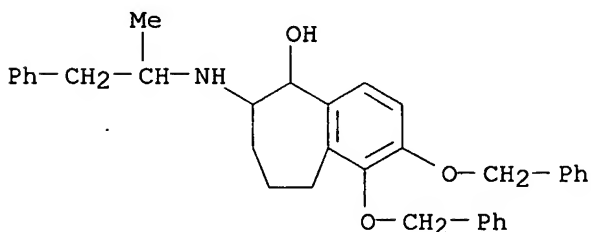


AB Benzocycloheptene derivs. I (R = H, OH-protecting group; R1 = H, hydrocarbon residue), effective in treating asthma and arrhythmia at 1-100 mg/day orally in adults, were prepd. by redn. of ketones II. Thus, 0.5 g II.HBr (R = H, R1 = Me2CH) in aq. MeOH was reduced over 0.2 g PtO2 to give 370 mg I.HBr (R = H, R1 = Me2CH). Similarly prepd. were 50 addnl. I and their salts.

IT **60055-61-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)

RN 60055-61-0 CAPLUS

CN 5H-Benzocyclohepten-5-ol, 6,7,8,9-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-1,2-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)



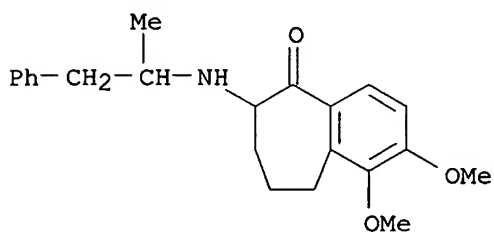
IT **60054-93-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

10/009,008

(Reactant or reagent)
(prepn. and hydrolysis of)

RN 60054-93-5 CAPLUS

CN 5H-Benzocyclohepten-5-one,
6,7,8,9-tetrahydro-1,2-dimethoxy-6-[(1-methyl-2-
phenylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



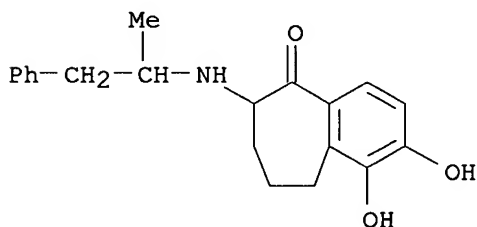
● HCl

IT 60054-97-9P 60055-99-4P 65158-06-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and redn. of)

RN 60054-97-9 CAPLUS

CN 5H-Benzocyclohepten-5-one,
6,7,8,9-tetrahydro-1,2-dihydroxy-6-[(1-methyl-2-
phenylethyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)

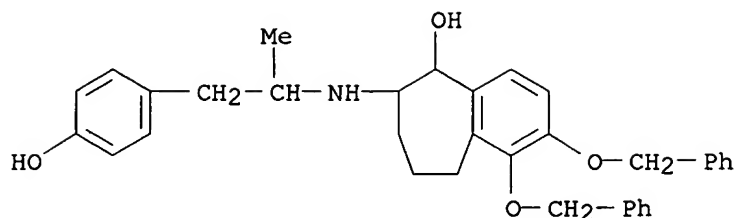


● HBr

RN 60055-99-4 CAPLUS

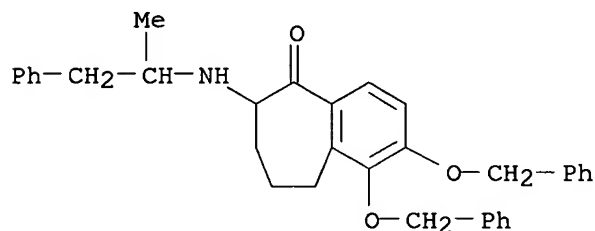
CN 5H-Benzocyclohepten-5-ol, 6,7,8,9-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-
methylethyl]amino]-1,2-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/009,008



RN 65158-06-7 CAPLUS

CN 5H-Benzocyclohepten-5-one, 6,7,8,9-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-1,2-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)



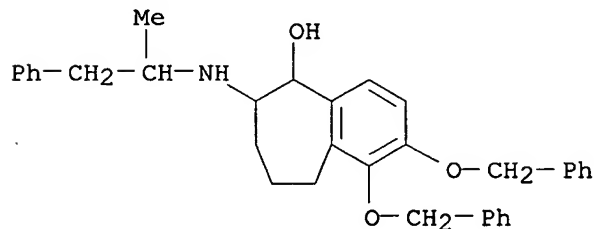
IT 60055-61-0P 60055-72-3P 60055-91-6P

60056-00-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60055-61-0 CAPLUS

CN 5H-Benzocyclohepten-5-ol, 6,7,8,9-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-1,2-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 60055-72-3 CAPLUS

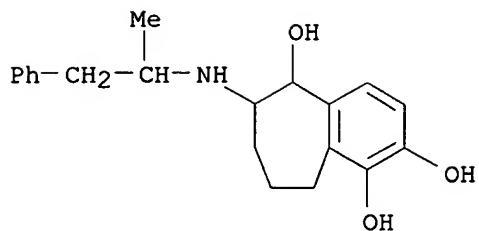
CN 5H-Benzocycloheptene-1,2,5-triol, 6,7,8,9-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 60055-53-0

CMF C20 H25 N O3

10/009,008

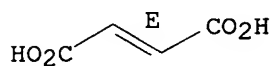


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



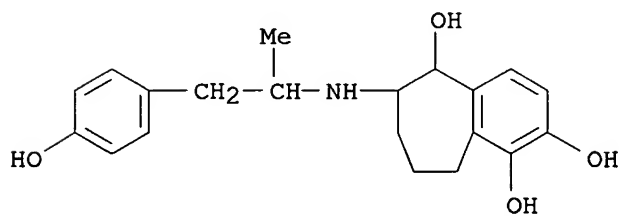
RN 60055-91-6 CAPLUS

CN 5H-Benzocycloheptene-1,2,5-triol, 6,7,8,9-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 60055-90-5

CMF C20 H25 N O4

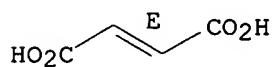


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



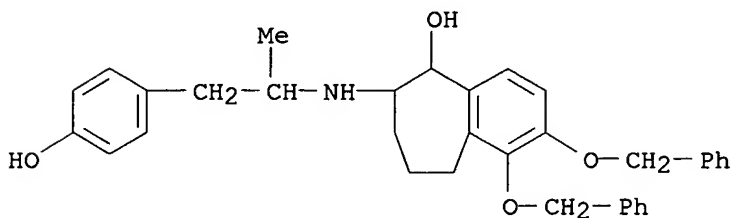
RN 60056-00-0 CAPLUS

10/009,008

CN 5H-Benzocyclohepten-5-ol, 6,7,8,9-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-1,2-bis(phenylmethoxy)-, (2E)-2-butenedioate (salt)
(9CI) (CA INDEX NAME)

CM 1

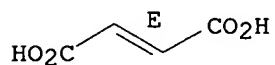
CRN 60055-99-4
CMF C34 H37 N O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

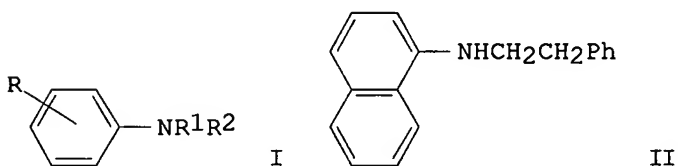
Double bond geometry as shown.



10/009,008

L4 ANSWER 243 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:22334 CAPLUS
DN 88:22334
TI Arylamines
IN Hoch, Helmut; Scheuermann, Horst
PA BASF A.-G., Fed. Rep. Ger.
SO Ger. Offen., 22 pp. Addn. to Ger. Offen. 2,549,957.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2606363	B2	19801023	DE 1976-2606363	19760218
	DE 2606363	A1	19770901		
	DE 2606363	C3	19811105		
	US 4067903	A	19780110	US 1976-725395	19760922
	FR 2326409	A2	19770429	FR 1976-29538	19761001
	FR 2326409	B2	19800418		
	CH 608782	A	19790131	CH 1976-12466	19761001
	GB 1553093	A	19790919	GB 1976-40785	19761001
	JP 59080638	A2	19840510	JP 1983-181836	19831001
	JP 62049264	B4	19871019		
PRAI	DE 1975-2544504		19751004		
	DE 1975-2549305		19751104		
	DE 1975-2549957		19751107		
	DE 1976-2606363		19760218		
GI					



AB Secondary or tertiary arylamines I [R = H, 3-Me, 4-MeO, R1 = CH2Ph, Et, Bu, cyclohexyl, CH2CH2 = R3 (R3 = Ph, NEt2, NMe2, OPh, OBu), R2 = H, CH2CH2Ph, Bu, Et] and II were prepd. from alcs. and primary or secondary arylamines. Thus, PhCH2CH2OH, 3-MeC6H4NH2, and P(OPh)3 were mixed and heated to 210.degree., where H2O split off, then heated 8 h to

236.degree.

and cessation of H2O formation to give 90% I (R = 3-Me, R1 = CH2CH2Ph, R2 = H).

IT 65021-64-9P

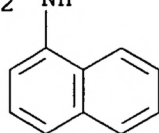
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 65021-64-9 CAPLUS

CN 1-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

10/009,008

Ph-CH₂-CH₂-NH

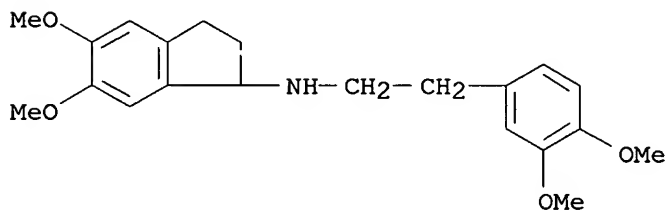


10/009,008

L4 ANSWER 244 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1978:6585 CAPLUS
DN 88:6585
TI An abnormal formation of indane from N-phenethylphenylpropionamide under
Bischler-Napieralski reaction conditions
AU Kametani, Tetsuji; Satoh, Yoshinari; Fukumoto, Keiichiro
CS Pharm. Inst., Tohoku Univ., Sendai, Japan
SO Chemical & Pharmaceutical Bulletin (1977), 25(5), 1129-34
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Treating phenethylpropionamide I with POCl₃ gave the methoxyindan II
instead of the dihydroisoquinoline III. The structure of II was detd. by
chem. methods and by an alternative synthesis of the indane IV derived
from II.
IT **64974-54-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and methylation of)
RN 64974-54-5 CAPLUS
CN 1H-Inden-1-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3-dihydro-5,6-
dimethoxy- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 245 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1977:534819 CAPLUS
DN 87:134819
TI Naphthylamines
IN Hoch, Helmut; Scheuermann, Horst
PA BASF A.-G., Fed. Rep. Ger.
SO Ger. Offen., 19 pp. Addn. to Ger. Offen. 2,544,504.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2549957	A1	19770518	DE 1975-2549957	19751107
	DE 2549957	B2	19870219		
	DE 2549957	C3	19871022		
	US 4067903	A	19780110	US 1976-725395	19760922
	FR 2326409	A2	19770429	FR 1976-29538	19761001
	FR 2326409	B2	19800418		
	CH 608782	A	19790131	CH 1976-12466	19761001
	GB 1553093	A	19790919	GB 1976-40785	19761001
	JP 52046031	A2	19770412	JP 1976-117957	19761002
	JP 59080638	A2	19840510	JP 1983-181836	19831001
	JP 62049264	B4	19871019		
PRAI	DE 1975-2544504		19751004		
	DE 1975-2549305		19751104		
	DE 1975-2549957		19751107		
	DE 1976-2606363		19760218		

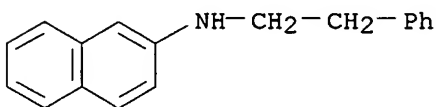
AB C₁₀H₇OH reacted with RNH₂ (R = Me, Et, cyclohexyl, PhCH₂CH₂, etc.) in the presence of H₃PO₃ or its esters to give C₁₀H₇NH₂. Thus, 2-C₁₀H₇OH, MeNH₂, and P(OPh)₃ were heated in an autoclave at 200.degree. for 10 h to give 97% 2-C₁₀H₇NHMe.

IT **63458-19-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 63458-19-5 CAPLUS

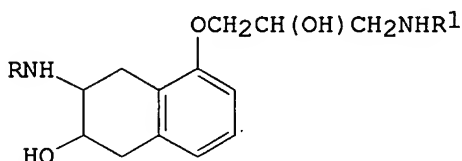
CN 2-Naphthalenamine, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



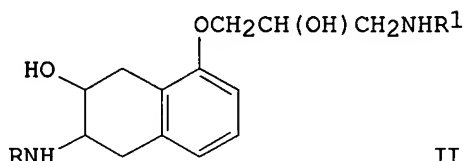
10/009,008

L4 ANSWER 246 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1977:452988 CAPLUS
DN 87:52988
TI Amino(aminohydroxypropoxy)tetrahydronaphthols and salts
IN Hauck, Frederic P.; Cimarusti, Christopher M.; Sundeen, Joseph E.
PA Squibb, E. R., and Sons, Inc., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4003930	A	19770118	US 1974-446859	19740228
	FR 2196799	A1	19740322	FR 1973-24447	19730703
	JP 49051254	A2	19740518	JP 1973-76472	19730703
	GB 1442421	A	19760714	GB 1973-31656	19730703
	CA 1063120	A1	19790925	CA 1973-175417	19730703
PRAI	US 1972-268301		19720703		
GI					



I



II

AB 5,8-Dihydro-1-naphthol and its acetate were converted into mixts. of
5-(3-aminopropoxy)tetralin isomers I and II, useful as antiarrhythmics
(no

data), via epoxidn., ring cleavage with amines, O-allylation
(5-position),

epoxidn., and cleavage with amines. I and II (R = CHMe2, CMe3, H; R1 =
CHMe2, CMe3) were prepd.

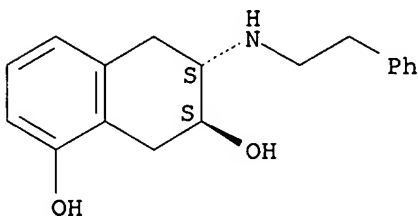
IT 61582-44-3P 61582-45-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and O-allylation of)

RN 61582-44-3 CAPLUS

CN 1,7-Naphthalenediol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-, trans-
(9CI) (CA INDEX NAME)

Relative stereochemistry.

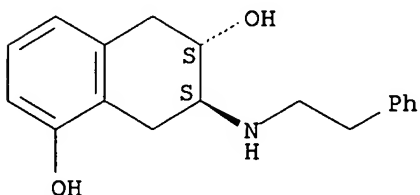


10/009,008

RN 61582-45-4 CAPLUS

CN 1,6-Naphthalenediol, 5,6,7,8-tetrahydro-7-[(2-phenylethyl)amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



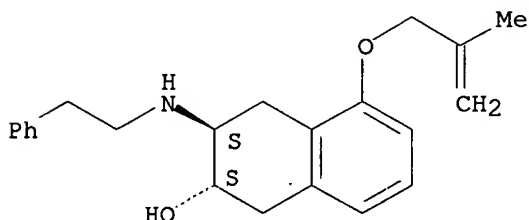
IT 63167-90-8P 63167-91-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and epoxidn. of)

RN 63167-90-8 CAPLUS

CN 2-Naphthalenol, 1,2,3,4-tetrahydro-5-[(2-methyl-2-propenyl)oxy]-3-[(2-phenylethyl)amino]-, trans- (9CI) (CA INDEX NAME)

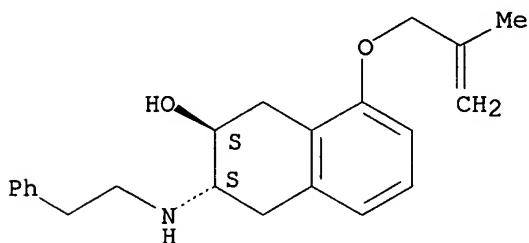
Relative stereochemistry.



RN 63167-91-9 CAPLUS

CN 2-Naphthalenol, 1,2,3,4-tetrahydro-8-[(2-methyl-2-propenyl)oxy]-3-[(2-phenylethyl)amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 63167-95-3P 63184-10-1P

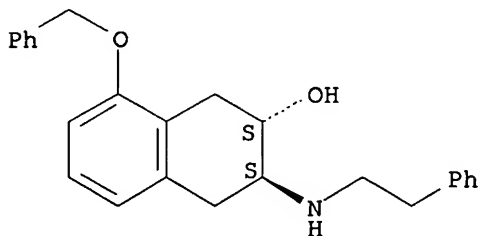
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and hydrogenolysis of)

10/009,008

RN 63167-95-3 CAPLUS

CN 2-Naphthalenol, 1,2,3,4-tetrahydro-3-[(2-phenylethyl)amino]-8-(phenylmethoxy)-, trans- (9CI) (CA INDEX NAME)

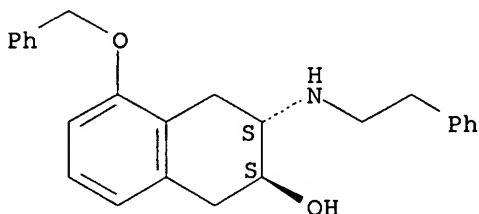
Relative stereochemistry.



RN 63184-10-1 CAPLUS

CN 2-Naphthalenol, 1,2,3,4-tetrahydro-3-[(2-phenylethyl)amino]-5-(phenylmethoxy)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

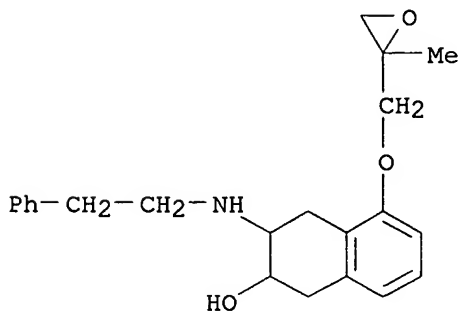


IT 63167-93-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and ring cleavage by alkylamine)

RN 63167-93-1 CAPLUS

CN 2-Naphthalenol, 1,2,3,4-tetrahydro-5-[(2-methyloxiranyl)methoxy]-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



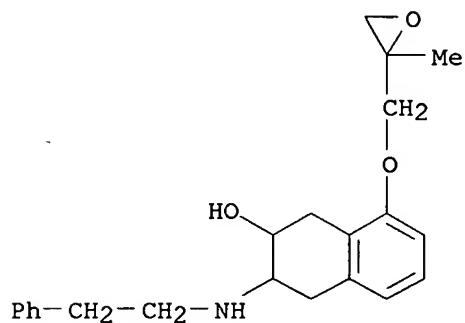
IT 63167-92-0P 63167-94-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 63167-92-0 CAPLUS

10/009,008

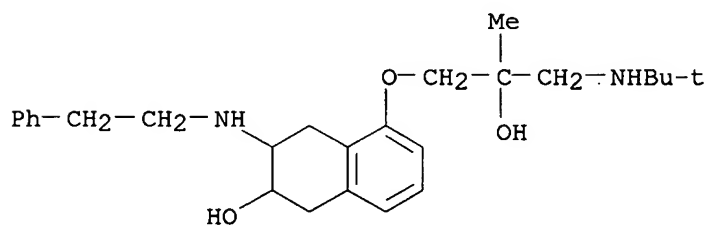
CN 2-Naphthalenol, 1,2,3,4-tetrahydro-8-[(2-methyloxiranyl)methoxy]-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 63167-94-2 CAPLUS

CN 2-Naphthalenol,

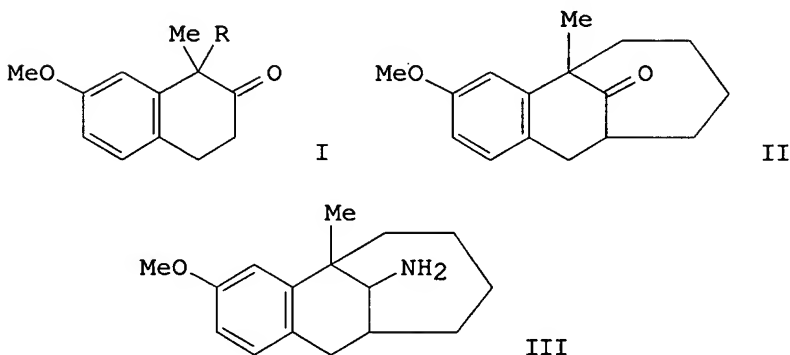
5-[3-[(1,1-dimethylethyl)amino]-2-hydroxy-2-methylpropoxy]-
1,2,3,4-tetrahydro-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 247 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1977:439190 CAPLUS
DN 87:39190
TI Benzobicycloalkane
IN Freed, Meier E.; Potoski, John R.
PA American Home Products Corp., USA
SO U.S., 24 pp. Division of U.S. 3,836,670.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4001331	A	19770104	US 1973-421375	19731203
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	US 3836670	A	19740917	US 1972-262849	19720614
	IN 138507	A	19760214	IN 1972-CA1824	19721106
	US 3957872	A	19760518	US 1975-553418	19750226
	US 3979434	A	19760907	US 1975-553406	19750226
	US 3976696	A	19760824	US 1975-614454	19750918
	US 4049701	A	19770920	US 1975-640521	19751215
PRAI	US 1970-94983	A2	19701203		
	US 1971-200517	A2	19711119		
	US 1972-262849	A3	19720614		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		
	US 1973-421375	A3	19731203		
	US 1975-553418	A3	19750226		
GI					



AB Approx. 125 benzobicycloalkyl amines, useful as analgesics and
inflammation inhibitors, were prepd. from tetralones. Thus, I (R = H)
was
converted to I [R = (CH₂)₄Cl], which on ring closure gave II, the oxime
of

10/009,008

which was reduced to III.

IT 50894-98-9P 50897-67-1P 51017-19-7P
61348-14-9P

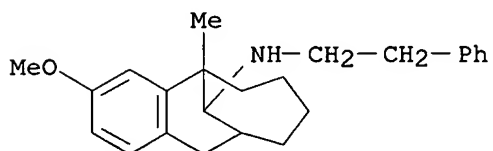
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for use as analgesic and inflammation inhibitor)

RN 50894-98-9 CAPLUS

RN 50897-67-1 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12R*)- (9CI)

(CA
INDEX NAME)



RN 51017-19-7 CAPLUS

RN 61348-14-9 CAPLUS

10/009,008

L4 ANSWER 248 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1977:139695 CAPLUS

DN 86:139695

TI Benzobicycloalkanamines

IN Freed, Meier E.; Potoski, John R.

PA American Home Products Corp., USA

SO U.S., 25 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	----	-----	-----
PI	US 3979434	A	19760907	US 1975-553406	19750226
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	US 3836670	A	19740917	US 1972-262849	19720614
	IN 138507	A	19760214	IN 1972-CA1824	19721106
	US 4001331	A	19770104	US 1973-421375	19731203
PRAI	US 1970-94983	A2	19701203		
	US 1971-200517	A2	19711119		
	US 1972-262849	A3	19720614		
	US 1973-421375	A3	19731203		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		

GI For diagram(s), see printed CA Issue.

AB Benzobicycloalkanamines I (R = H, alkyl, alkoxy, phenalkoxy, OH, acyloxy, halogen, CF₃; R₁ = alkyl, alkenyl, phenalkyl; R₂ = H, alkyl, phenalkyl;

R₃ = H, alkyl, phenalkyl, alkenyl, alkynyl; n = 2-6) and their pharmaceutically nontoxic salts, which are useful as analgesics and inflammation inhibitors (no data), were prepd. by haloalkylating a tetralone, cyclizing the haloalkyl groups, and converting the oxo group

to the desired NR₂R₃ group. Among I thus prepd. were (R, R₁, R₂, R₃, n given): MeO, Me, H, allyl, 3; MeO, Me, H, PhCH₂, 4; OH, Me, H, H, 5; cyclopropanoyloxy, Me, H, H, 5.

IT 42264-21-1P 42471-90-9P 58918-09-5P

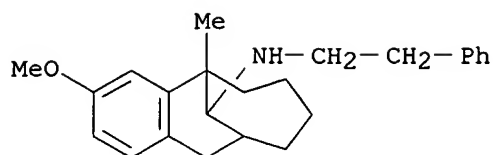
59532-26-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42264-21-1 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,10.alpha.,12S*)- (9CI) (CA INDEX NAME)

10/009,008

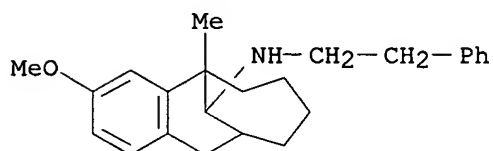


● HCl

RN 42471-90-9 CAPLUS

CN 5,10-Methano-5H-benzocyclonon-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12S*)- (9CI)

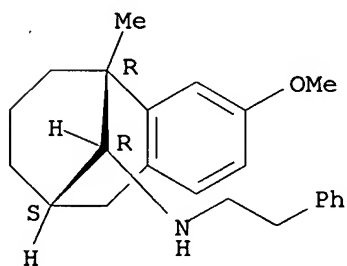
(CA
INDEX NAME)



RN 58918-09-5 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,9.alpha.,11R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

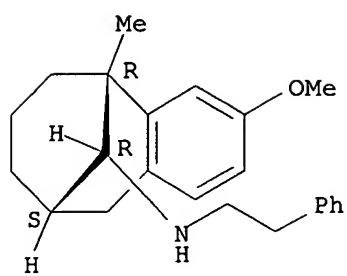


RN 59532-26-2 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,9.alpha.,11R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/009,008

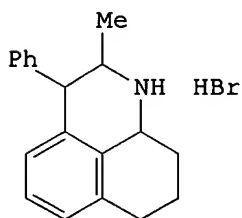


● HCl

10/009,008

L4 ANSWER 249 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1977:89635 CAPLUS
DN 86:89635
TI 2-Methyl-3-phenyl-2,3,7,8,9,9.alpha.-hexahydro-1H-benzo[de]quinoline
IN. Schwan, Thomas J.
PA Morton-Norwich Products, Inc., USA
SO U.S., 2 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3991059	A	19761109	US 1975-576461	19750512
PRAI	US 1975-576461		19750512		
GI					



AB Reaction of .alpha.-tetralone with MeCH(NH₂)CHPhOH gives 31% 1-phenyl-2-(1,2,3,4-tetrahydro-1-naphthylamino)-1-propanol which on refluxing 20 h in 48% aq. HBr gives 49% title compd. (I), effective as antidepressant.

IT **61801-75-0P**

RL: BAC (Biological activity or effector, except adverse); BSU

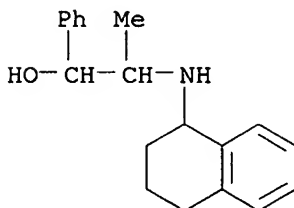
(Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antidepressant activity of)

RN 61801-75-0 CAPLUS

CN Benzenemethanol, .alpha.-[1-[(1,2,3,4-tetrahydro-1-naphthalenyl)amino]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

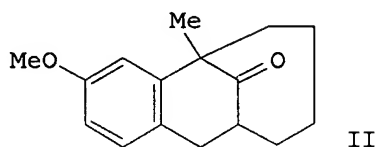
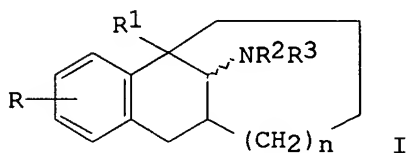


10/009,008

10/009,008

L4 ANSWER 250 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1977:43454 CAPLUS
DN 86:43454
TI Benzobicycloalkane amines
IN Freed, Meier E.; Potoski, John R.
PA American Home Products Corp., USA
SO U.S., 25 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3976696	A	19760824	US 1975-614454	19750918
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	US 3836670	A	19740917	US 1972-262849	19720614
	IN 138507	A	19760214	IN 1972-CA1824	19721106
	US 4001331	A	19770104	US 1973-421375	19731203
PRAI	US 1970-94983	A2	19701203		
	US 1971-200517	A2	19711119		
	US 1972-262849	A3	19720614		
	US 1973-421375	A3	19731203		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		
GI					



AB The title compds. I (R = H, 1-, 2-, 3-MeO; R1 = Me, Et; R2 = H, Me; R3 = H, Me, PhCH2CH2, allyl, etc.; n = 0, 1, 2), analgesics and antiinflammatory agents, were prepd. conventionally. Thus, 59 g 1-methyl-7-methoxy-2-tetralone and Cl(CH2)4Br in DMF were treated with NaH at 12-20.degree. to give 62.5 g the 1-(4-chlorobutyl) deriv., which in DMF was cyclized with NaH at 80-5.degree. for 2.5 h to give 32.5 g II. II was converted to the oxime and reduced to the amine with Raney Ni. Fractional crystn. of the amine hydrochloride gave the 12.alpha. and 12.beta. amine.

IT **58918-09-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and N-methylation of)

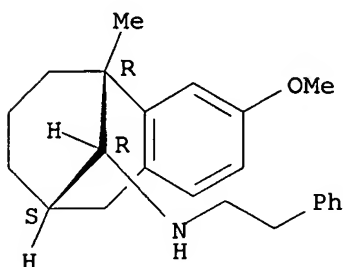
RN 58918-09-5 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,9.alpha.,11R*)- (9CI) (CA INDEX

10/009,008

NAME)

Relative stereochemistry.

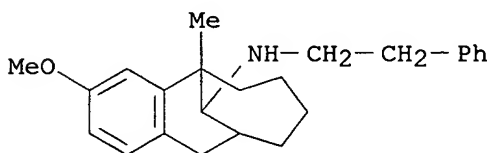


IT 42264-21-1P 42471-90-9P 59532-26-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42264-21-1 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,10.alpha.,12S*)- (9CI) (CA INDEX NAME)

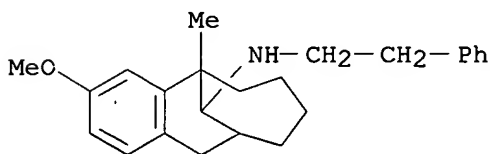


● HCl

RN 42471-90-9 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12S*)- (9CI)
(CA

INDEX NAME)

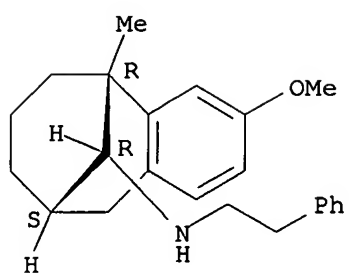


RN 59532-26-2 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,9.alpha.,11R*)- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

10/009,008

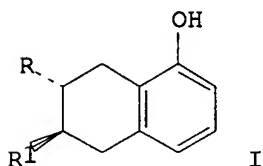


● HCl

10/009,008

L4 ANSWER 251 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1977:43447 CAPLUS
DN 86:43447
TI Substituted cyclic polymethylene phenols
IN Hauck, Frederic P.; Cimarusti, Christopher M.; Sundeen, Joseph E.
PA Squibb, E. R., and Sons, Inc., USA
SO Brit., 4 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1442851	A	19760714	GB 1973-32558	19730709
PRAI	GB 1973-32558		19730709		
GI					



AB The tetrahydronaphthalenediols I (R = Me₂CHNH, PhCH₂NMe, NH₂, R₁ = OH; R = OH, R₁ = Me₂CHNH, PhCH₂NMe, NH₂), useful as antifibrillatory agents, disinfectants, and water softeners, were prepd. (8-73%) from 6,7-epoxy-5,6,7,8-tetrahydro-1-naphthol acetate by heating with Me₂CHNH₂ or PhCH₂NHMe or by sequential azidation and hydrogenation.

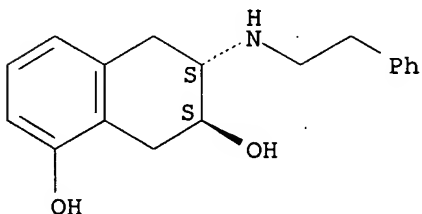
IT **61582-44-3P 61582-45-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antifibrillatory agent, disinfectant, and water softener)

RN 61582-44-3 CAPLUS

CN 1,7-Naphthalenediol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-, trans-(9CI) (CA INDEX NAME)

Relative stereochemistry.

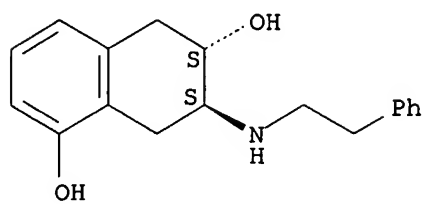


RN 61582-45-4 CAPLUS

CN 1,6-Naphthalenediol, 5,6,7,8-tetrahydro-7-[(2-phenylethyl)amino]-, trans-(9CI) (CA INDEX NAME)

10/009,008

Relative stereochemistry.

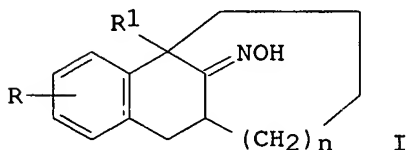


10/009,008

L4 ANSWER 252 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1977:29530 CAPLUS
DN 86:29530
TI Benzobicycloalkanone oximes
IN Freed, Meier E.; Potoski, John R.
PA American Home Products Corp., USA
SO U.S., 23 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3976693	A	19760824	US 1975-596923	19750717
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	US 3836670	A	19740917	US 1972-262849	19720614
	IN 138507	A	19760214	IN 1972-CA1824	19721106
PRAI	US 1970-94983	A2	19701203		
	US 1971-200517	A2	19711119		
	US 1972-262849	A3	19720614		
	US 1973-421306	A2	19731203		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		

GI



AB The title compds. I (R = H, 1-, 2-, 3-MeO; R1 = Me, Et; n = 0, 1, 2) were prepd. conventionally and reduced to amines, which are analgesics and antiinflammatory agents. Thus, 57 g 1-methyl-7-methoxy-2-tetralone and Cl(CH2)4Br in DMF were treated with NaH at 12-20.degree. to give 62.5 g the 1-(4-chlorobutyl) deriv., which in DMF was cyclized with NaH at 80-5.degree. for 2.5 hr to give 32.5 g the ketone of I (R = 3-MeO, R1 = Me, n = 1). The ketone was converted to the oxime and reduced to the amine with Raney Ni.

IT 58918-09-5P

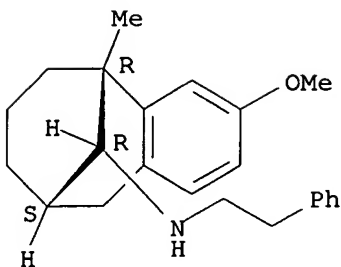
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and N-methylation of)

RN 58918-09-5 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,9.alpha.,11R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/009,008

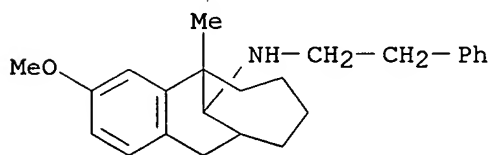


IT 42264-21-1P 42471-90-9P 59532-26-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42264-21-1 CAPLUS

CN 5,10-Methano-5H-benzocyclonon-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,10.alpha.,12S*)- (9CI) (CA INDEX NAME)

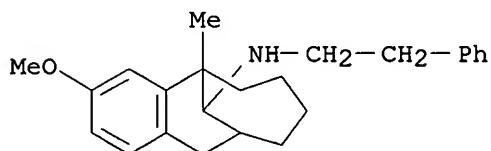


● HCl

RN 42471-90-9 CAPLUS

CN 5,10-Methano-5H-benzocyclonon-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12S*)- (9CI)

(CA
INDEX NAME)

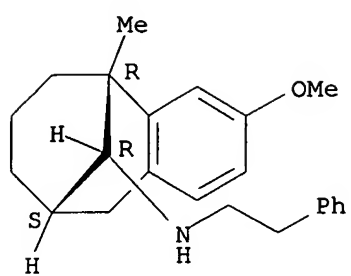


RN 59532-26-2 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,9.alpha.,11R*)- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

10/009,008

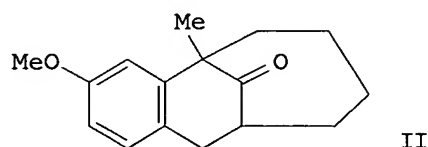
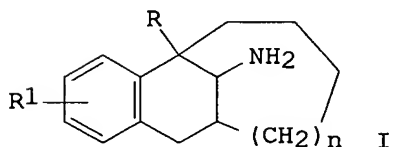


● HCl

10/009,008

L4 ANSWER 253 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1977:29529 CAPLUS
DN 86:29529
TI Benzobicycloalkane amine N-oxides and salts
IN Freed, Meier E.; Potoski, John R.
PA American Home Products Corp., USA
SO U.S., 25 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3957872	A	19760518	US 1975-553418	19750226
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	US 3836670	A	19740917	US 1972-262849	19720614
	IN 138507	A	19760214	IN 1972-CA1824	19721106
	US 4001331	A	19770104	US 1973-421375	19731203
	US 4049701	A	19770920	US 1975-640521	19751215
PRAI	US 1970-94983	A2	19701203		
	US 1971-200517	A2	19711119		
	US 1972-262849	A3	19720614		
	US 1973-421375	A3	19731203		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		
	US 1975-553418	A3	19750226		
GI					



AB Aminomethanobenzocycloalkanes I and N-substituted derivs. were prepd. by std. procedures. The .beta.-amine isomers of I (R = .alpha.-Me, Y R1 = 3-MeO, 3-HO; n = 1,2; R = .alpha.-Et, R1 = 3-MeO, 3-HO, n = 1) showed analgesic activity. Some of the compds. had antiinflammatory activity (no data). Thus, 57 g 1-methyl-7-methoxy-2-tetralone and 200 g Cl(CH2)4 Br in DMF were treated with NaH at 12-20.degree. to give 62.5 g 1-(4-chlorobutyl) deriv. which was further treated with NaH at 80-5.degree. to give 32.5 g II; II was converted to the oxime and then reduced with Raney Ni to the amine. Fractional crystn. of the HCl salt gave the 5.alpha.-methyl-12.beta.-amine and the 5.alpha.-methyl-12.alpha.-amine.

IT 50894-98-9P 50897-67-1P 51017-19-7P
61348-14-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

10/009,008

(prepn. of)

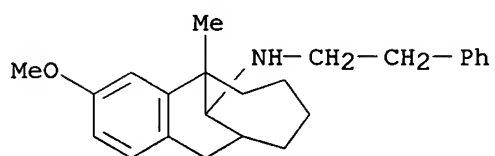
RN 50894-98-9 CAPLUS

RN 50897-67-1 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12R*)- (9CI)

(CA

INDEX NAME)



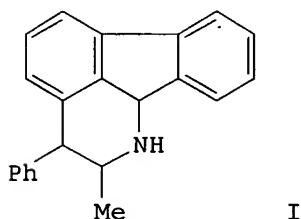
RN . 51017-19-7 CAPLUS

RN 61348-14-9 CAPLUS

10/009,008

L4 ANSWER 254 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:592589 CAPLUS
DN 85:192589
TI 2-Methyl-3-phenyl-1,2,3,10b-tetrahydronindeno[1,2,3-ij]isoquinoline
hydrobromide
IN Schwan, Thomas J.
PA Morton-Norwich Products, Inc., USA
SO U.S., 2 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3971788	A	19760727	US 1975-556478	19750307
PRAI	US 1975-556478		19750307		
GI					

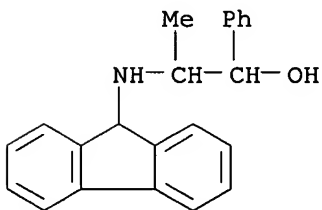


AB The title compd. (I.HBr) was prepd. by treating HOCHPhCHMeNH₂ with 9-fluorenone, reducing the resultant fluorenylideneamine with NaBH₄, and forming the salt of I. I.HCl was also prepd. I.HBr at 50 mg/kg orally in mice counteracted the effects of 35 mg/kg tetrabenazine i.p.

IT **60960-63-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60960-63-6 CAPLUS

CN Benzenemethanol, .alpha.-[1-(9H-fluoren-9-ylamino)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



HCl

10/009,008

10/009,008

L4 ANSWER 255 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:542921 CAPLUS
DN 85:142921
TI (Aminomethano)benzocycloalkenes
IN Freed, Meier E.; Potoski, John R.
PA American Home Products Corp., USA
SO U.S., 24 pp. Division of U.S. 3,836,670.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3937736	A	19760210	US 1973-421374	19731203
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	US 3836670	A	19740917	US 1972-262849	19720614
	IN 138507	A	19760214	IN 1972-CA1824	19721106
PRAI	US 1970-94983	A2	19701203		
	US 1971-200517	A2	19711119		
	US 1972-262849	A3	19720614		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		

GI For diagram(s), see printed CA Issue.

AB 1-(.omega.-Haloalkyl)-2-tetralones I (X = Cl, Br; n = 3, 4, 5; R = Me, Et,

allyl, PhCH₂; R₁, R₂ = H, OMe; R₃ = OMe, H, F) were cyclized to the resp. (oxomethano)benzocycloalkenones II; II were oximated and reduced to 13 resp. amines III, and III (one of R₁, R₂, and R₃ is OMe) were hydrolyzed to the resp. III (R₁, R₂, R₃ = OH, H) and also N-allylated, N-methylated, and N,N-dimethylated. Seven III (R = Me, Et; n = 4, 5; R₁ = R₂ = H; R₃ = OMe, OH) showed analgesic activity. III (including N-substituted

derivs.)

are useful as antiinflammatory agents (no data).

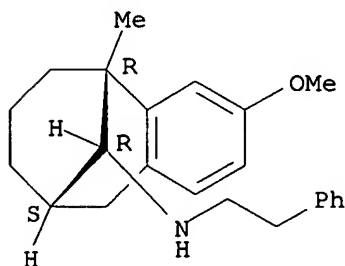
IT 58918-09-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and N-alkylation of)

RN 58918-09-5 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,9.alpha.,11R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



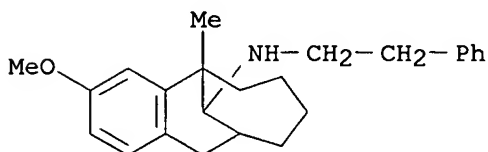
10/009,008

IT 42264-21-1P 42471-90-9P 59532-26-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42264-21-1 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,10.alpha.,12S*)- (9CI) (CA INDEX NAME)

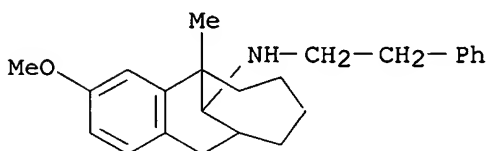


● HCl

RN 42471-90-9 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12S*)- (9CI)

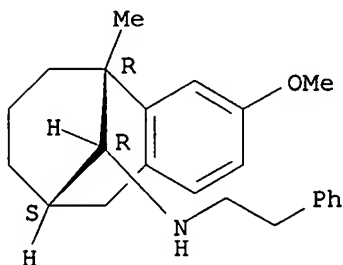
(CA INDEX NAME)



RN 59532-26-2 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,9.alpha.,11R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



HCl

10/009,008

10/009,008

L4 ANSWER 256 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:542907 CAPLUS
DN 85:142907
TI Benzobicycloalkane amines
IN Freed, Meier E.; Potoski, John R.
PA American Home Products Corp., USA
SO U. S. Publ. Pat. Appl. B, 24 pp. Division of U.S. 3,836,670.
CODEN: USXXDP
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 421373	A1	19760323	US 1973-421373	19731203
	US 4001326	A	19770104		
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	US 3836670	A	19740917	US 1972-262849	19720614
	IN 138507	A	19760214	IN 1972-CA1824	19721106
PRAI	US 1970-94983	A2	19701203		
	US 1971-200517	A2	19711119		
	US 1972-262849	A3	19720614		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		

GI For diagram(s), see printed CA Issue.

AB Bicyclic amines I (R = H, MeO, OH, etc.; R1 = Me, Et, etc.; m = 0, 1; n = 3-5; R2, R3 = H, allyl, Me, etc.) were prepd. Thus,

1-methyl-7-methoxy-2-

tetralone was stirred with Br(CH₂)₅Br and NaH in C₆H₆ at 25.degree. for

12

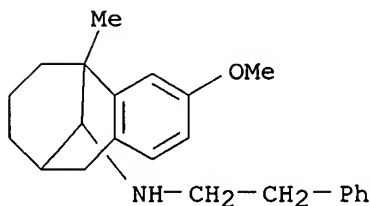
hr and at reflux for addnl. 15 hr, followed by addn. of NaH and C₆H₆ and refluxing to give II, which was converted into the oxime and hydrogenated over Raney Ni to give I (R = MeO, R1 = Me, R2 = R3 = H, m = 1, n = 5). I have analgesic and antiinflammatory activity, as shown by tests on rats.

IT **42264-36-8P 60537-36-2P 60537-37-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42264-36-8 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

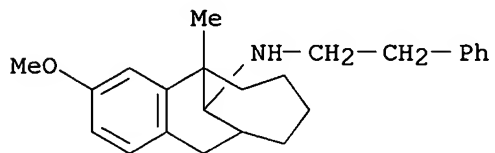


● HCl

10/009,008

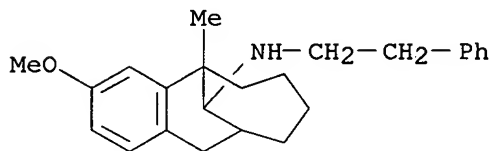
RN 60537-36-2 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 60537-37-3 CAPLUS

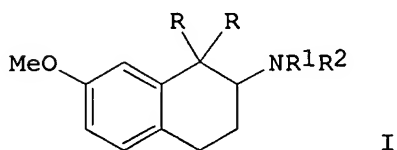
CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

10/009,008

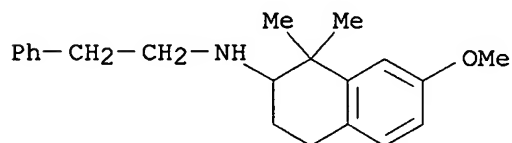
L4 ANSWER 257 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:542882 CAPLUS
DN 85:142882
TI Synthesis and analgesic activities of some 2-amino-1,1-dialkyl-7-methoxy-1,2,3,4-tetrahydronaphthalenes and related compounds
AU Hirose, Noriyasu; Kuriyama, Shizuo; Fujimoto, Masatoshi; Toyoshima, Shoji
CS Res. Lab., Eisai Co., Ltd., Tokyo, Japan
SO Yakugaku Zasshi (1976), 96(2), 185-94
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Japanese
GI



AB Title tetrahydronaphthylamines [I; R = Me, Et, Pr; RR = (CH₂)₅; R₁, R₂ = H, Me, Et, phenethyl, 3-phenylpropyl, cyclohexylmethyl] were prepd. in several steps from 7-methoxy-2-tetralone. Screening tests (acetic acid writhing method) showed that the analgesic activity of 2-amino-1,2,3,4-tetrahydronaphthalene is increased when geminal Et groups are introduced at the 1-position; the activity is decreased when substitution is made at the amino group. The mass spectral fragmentations were detd. for some of the compds.

IT **60516-34-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and analgesic activity of)

RN 60516-34-9 CAPLUS
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-7-methoxy-1,1-dimethyl-N-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



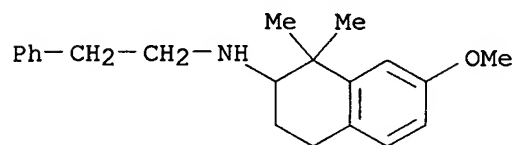
IT **60516-46-3P**

10/009,008

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60516-46-3 CAPLUS

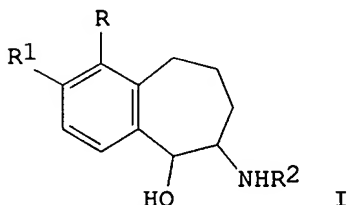
CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-7-methoxy-1,1-dimethyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 258 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:477952 CAPLUS
DN 85:77952
TI Benzocycloheptene derivatives
IN Oka, Yoshikazu; Hashimoto, Naoto; Kanno, Morio; Nishikawa, Masao
PA Takeda Chemical Industries, Ltd., Japan
SO Ger. Offen., 108 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2536509	A1	19760318	DE 1975-2536509	19750816
	JP 51026861	A2	19760305	JP 1974-98195	19740826
	AU 7584022	A1	19770217	AU 1975-84022	19750815
	FR 2282871	A1	19760326	FR 1975-26096	19750822
	BE 832726	A1	19760225	BE 1975-159448	19750825
	NL 7510100	A	19760301	NL 1975-10100	19750826
	JP 51128953	A2	19761110	JP 1976-44871	19760419
PRAI	JP 1974-98195		19740826		
	GB 1975-17224		19750425		
	JP 1975-17224		19750425		
GI					

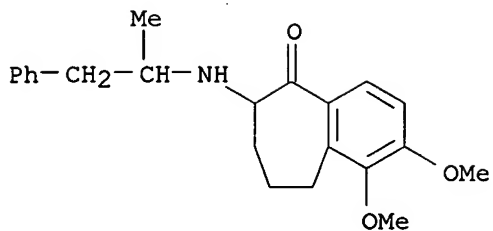


AB Bronchodilator (no data) benzocycloheptenols I (R = OH, OMe, OCH2Ph, CH2OH, NH2, NHMe; R1 = OH, OMe, OCH2Ph; R2 = CHMe2, CHMeCH2Ph, CH2Ph, cyclohexyl, Me, H, cyclohexylmethyl, CH2CH2OMe, CMe3, cyclobutyl, Et, CHMeCH2C6H4OMe-4, CHMeCH2C6H4OH-4) were prepd. e.g. by reducing the corresponding ketones.

IT **60054-93-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and demethylation of)

RN 60054-93-5 CAPLUS
CN 5H-Benzocyclohepten-5-one,
6,7,8,9-tetrahydro-1,2-dimethoxy-6-[(1-methyl-2-phenylethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)

10/009,008



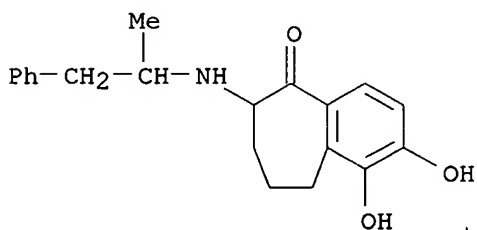
● HCl

IT 60054-97-9P 60055-54-1P 60055-61-0P
60055-72-3P 60055-91-6P 60056-00-0P
60056-33-9P 60056-35-1P 60056-47-5P
60056-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60054-97-9 CAPLUS

CN 5H-Benzocyclohepten-5-one,
6,7,8,9-tetrahydro-1,2-dihydroxy-6-[(1-methyl-2-
phenylethyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 60055-54-1 CAPLUS

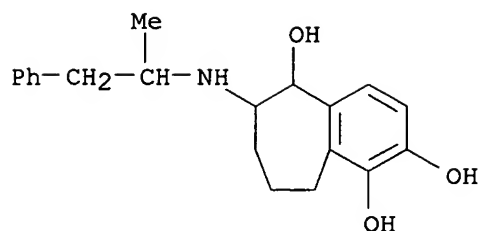
CN 5H-Benzocycloheptene-1,2,5-triol, 6,7,8,9-tetrahydro-6-[(1-methyl-2-
phenylethyl)amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX
NAME)

CM 1

CRN 60055-53-0

CMF C20 H25 N O3

10/009,008

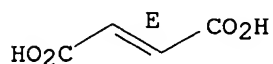


CM 2

CRN 110-17-8

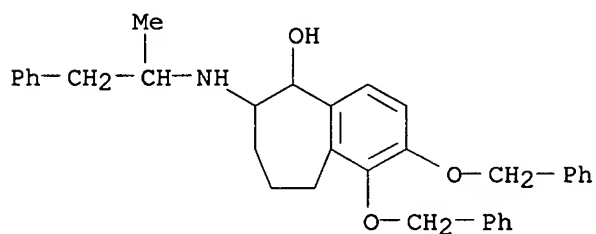
CMF C4 H4 O4

Double bond geometry as shown.



RN 60055-61-0 CAPLUS

CN 5H-Benzocycloheptene-5-ol, 6,7,8,9-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-1,2-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)



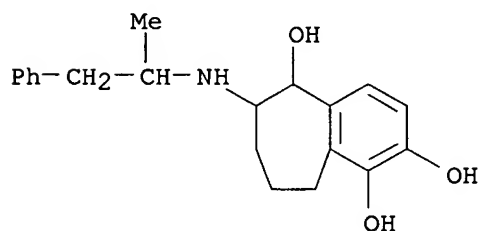
RN 60055-72-3 CAPLUS

CN 5H-Benzocycloheptene-1,2,5-triol, 6,7,8,9-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 60055-53-0

CMF C20 H25 N O3

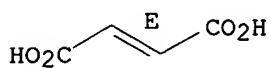


10/009,008

CM 2

CRN 110-17-8
CMF C4 H4 O4

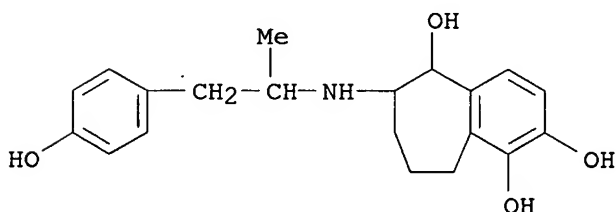
Double bond geometry as shown.



RN 60055-91-6 CAPLUS
CN 5H-Benzocycloheptene-1,2,5-triol, 6,7,8,9-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (salt) (9CI)
(CA INDEX NAME)

CM 1

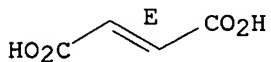
CRN 60055-90-5
CMF C20 H25 N O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

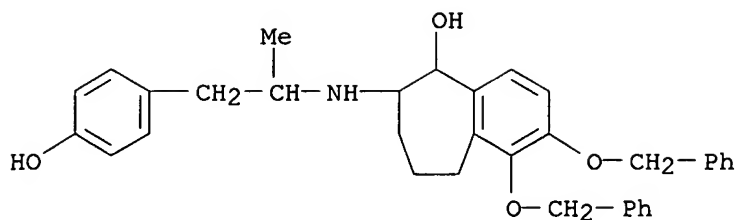


RN 60056-00-0 CAPLUS
CN 5H-Benzocyclohepten-5-ol, 6,7,8,9-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-1,2-bis(phenylmethoxy)-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 60055-99-4
CMF C34 H37 N O4

10/009,008

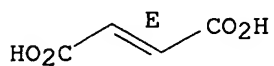


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 60056-33-9 CAPLUS

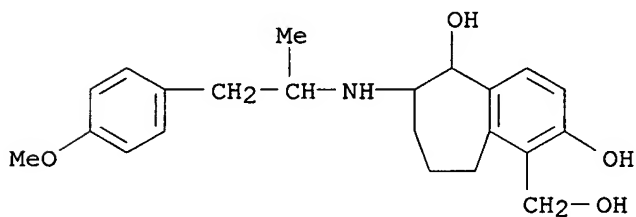
CN 5H-Benzocycloheptene-2,5-diol,

6,7,8,9-tetrahydro-1-(hydroxymethyl)-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 60056-32-8

CMF C22 H29 N O4

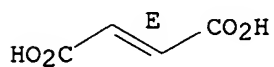


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



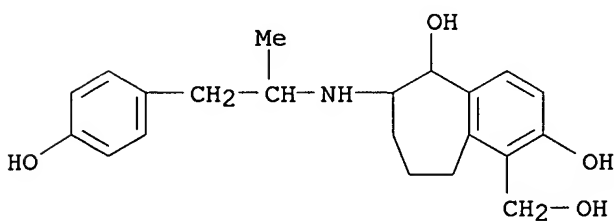
RN 60056-35-1 CAPLUS

10/009,008

CN 5H-Benzocycloheptene-2,5-diol,
6,7,8,9-tetrahydro-1-(hydroxymethyl)-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (salt) (9CI)
(CA INDEX NAME)

CM 1

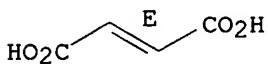
CRN 60056-34-0
CMF C21 H27 N O4



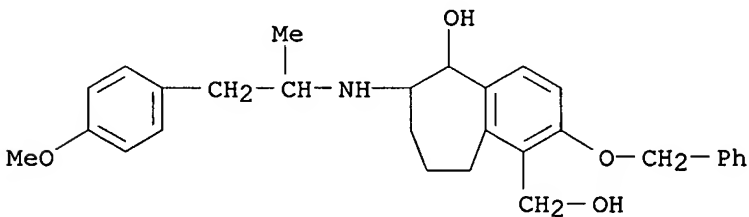
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

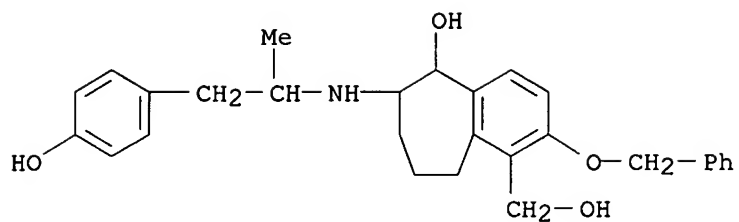


RN 60056-47-5 CAPLUS
CN 5H-Benzocycloheptene-1-methanol, 6,7,8,9-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 60056-48-6 CAPLUS
CN 5H-Benzocycloheptene-1-methanol, 6,7,8,9-tetrahydro-5-hydroxy-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/009,008

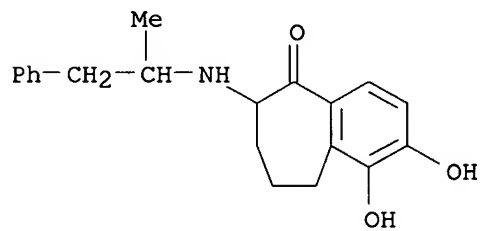


IT 60054-97-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(redn. of)

RN 60054-97-9 CAPLUS

CN 5H-Benzocyclohepten-5-one,
6,7,8,9-tetrahydro-1,2-dihydroxy-6-[(1-methyl-2-
phenylethyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)

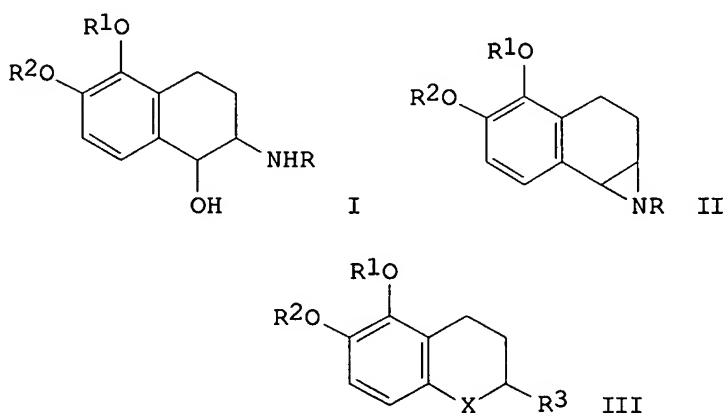


● HBr

10/009,008

L4 ANSWER 259 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:420935 CAPLUS
DN 85:20935
TI Aminotetralin compounds
IN Sugihara, Hirosada; Watanabe, Masazumi; Motohashi, Michio; Nishikawa, Masao; Sanno, Yasushi
PA Takeda Chemical Industries, Ltd., Japan
SO Ger. Offen., 81 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2525923	A1	19760102	DE 1975-2525923	19750611
	JP 50160250	A2	19751225	JP 1974-69457	19740617
	JP 50160251	A2	19751225	JP 1974-69458	19740617
	JP 50160252	A2	19751225	JP 1974-69459	19740617
	JP 58026333	B4	19830602		
	AU 7581942	A1	19761216	AU 1975-81942	19750609
	DK 7502675	A	19751218	DK 1975-2675	19750613
	ZA 7503805	A	19760526	ZA 1975-3805	19750613
	BE 830298	A1	19751216	BE 1975-157380	19750616
	NO 7502138	A	19751218	NO 1975-2138	19750616
	SE 7506882	A	19751218	SE 1975-6882	19750616
	FR 2309512	A1	19761126	FR 1975-18737	19750616
	ES 438591	A1	19770701	ES 1975-438591	19750616
	FI 7501794	A	19751218	FI 1975-1794	19750617
	NL 7507216	A	19751219	NL 1975-7216	19750617
PRAI	JP 1974-69457		19740617		
	JP 1974-69458		19740617		
	JP 1974-69459		19740617		
GI					



AB Title compds. I (R = H, or a hydrocarbyl, substituted hydrocarbyl, or acyl group; R1 and R2 = H or protective groups) and their salts, useful in a

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variety of pharmaceutical applications, esp. as a .beta.2-adrenergic receptor stimulator (no data), were prepd. by hydrolysis of II (all R groups have same meaning) or conversion of III (X = CO, CHOH, or CHOR₄, where R₄ = protective group; R₃ = a protected amino group or a group such as NO, NO₂, or NHOH, which can be converted to an amino group). Among approx. 90 I and/or their salts thus prepd. were (R, R₁, R₂ given): Ac, Me, Me; cyclopentyl, PhCH₂, PhCH₂; 4-MeOC₆H₄CH₂CHMe, H, H; H, Me, Me; and Me₂CHCH₂, H, H.

IT 58475-72-2P 58475-73-3P 58475-74-4P

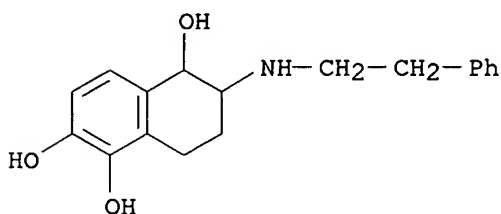
58475-79-9P 58475-81-3P 58658-64-3P

59516-50-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 58475-72-2 CAPLUS

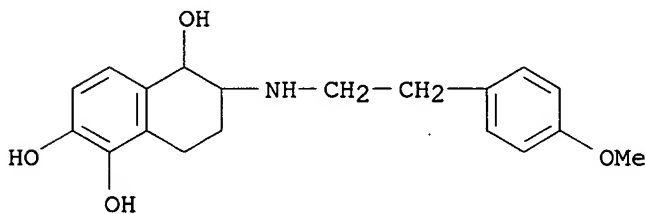
CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 58475-73-3 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)ethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)

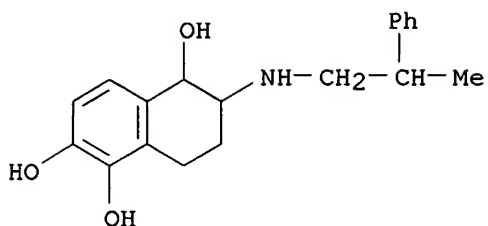


● HBr

RN 58475-74-4 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylpropyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)

10/009,008

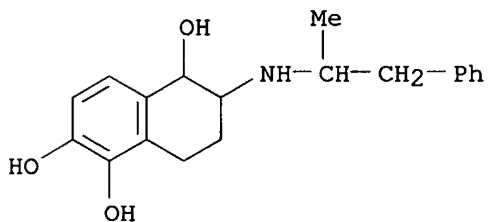


● HBr

RN 58475-79-9 CAPLUS
CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

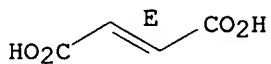
CRN 58475-78-8
CMF C19 H23 N O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

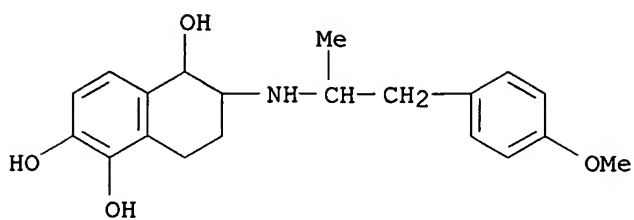


RN 58475-81-3 CAPLUS
CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 58475-80-2
CMF C20 H25 N O4

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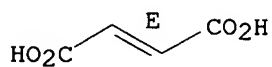


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



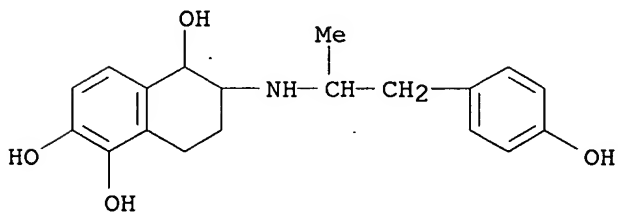
RN 58658-64-3 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 58658-63-2

CMF C19 H23 N O4

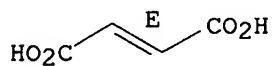


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



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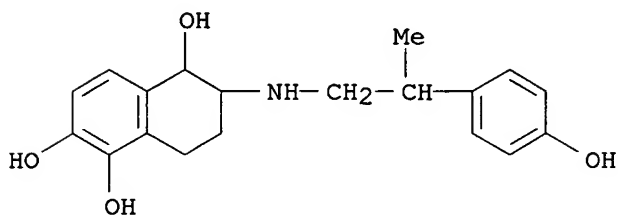
RN 59516-50-6 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)propyl]amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 59516-49-3

CMF C19 H23 N O4

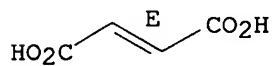


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

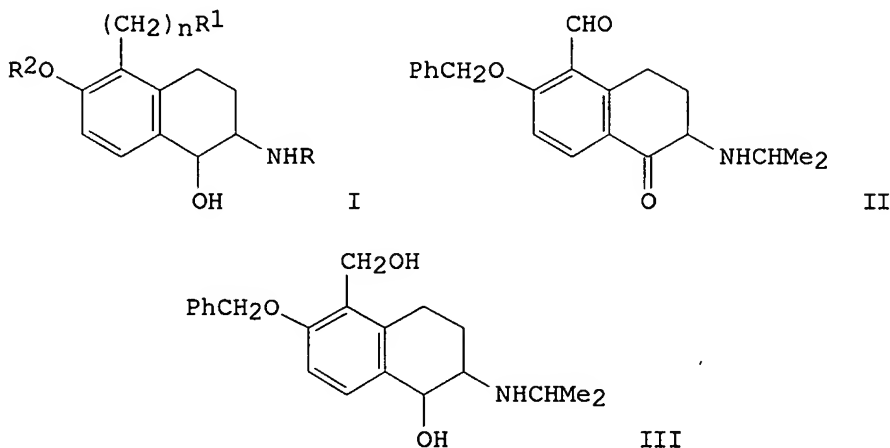


10/009,008

L4 ANSWER 260 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:420934 CAPLUS
DN 85:20934
TI Aminotetralol compounds
IN Sugihara, Hirosada; Watanabe, Masazumi; Motohashi, Michio; Nishikawa, Masao; Sanno, Yasushi
PA Takeda Chemical Industries, Ltd., Japan
SO Ger. Offen., 149 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2525512	A1	19751218	DE 1975-2525512	19750607
	DE 2525512	C2	19871126		
	JP 58033219	B4	19830718	JP 1974-67539	19740612
	JP 50160249	A2	19751225		
	JP 51125265	A2	19761101	JP 1974-137883	19741129
	JP 51086456	A2	19760729	JP 1975-8148	19750117
	ZA 7503647	A	19760526	ZA 1975-3647	19750605
	BE 830122	A1	19751211	BE 1975-157237	19750611
PRAI	JP 1974-67539		19740612		
	JP 1974-123539		19741025		
	JP 1974-137883		19741129		
	JP 1975-8148		19750117		

GI



AB Tetrahydronaphthols I (R = Me₂CH, Me₃C, cyclohexyl, 4-MeOC₆H₄CH₂CHMe, etc;

R₁ = H, Ac, HO, NO₂, CN, NH₂, etc; R₂ = H, PhCH₂, Me; n = 0,1,2), useful as bronchodilators, were prepd. Thus, redn. of II with NaBH₄ gave III. Several methods for the prepn. of starting materials and animal test procedures were described. Pharmaceutical formulations were given.

IT 59605-18-4P 59605-19-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

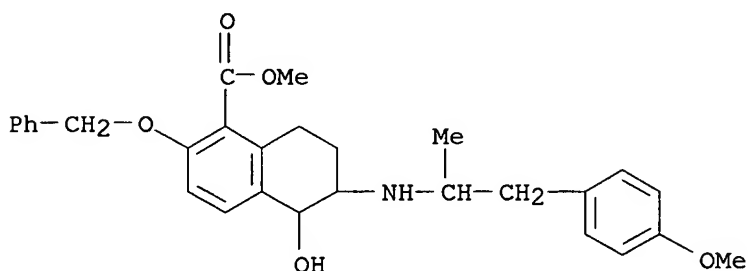
10/009,008

(prepn. and redn. of)

RN 59605-18-4 CAPLUS

CN 1-Naphthalenecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, methyl ester
(9CI)

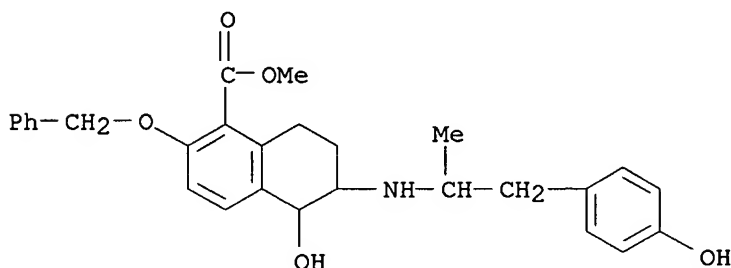
(CA INDEX NAME)



RN 59605-19-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-, methyl ester
(9CI)

(CA INDEX NAME)



IT 59605-08-2P 59605-09-3P 59605-89-9P

59605-90-2P 59605-91-3P 59605-96-8P

59606-15-4P 59606-16-5P 59606-17-6P

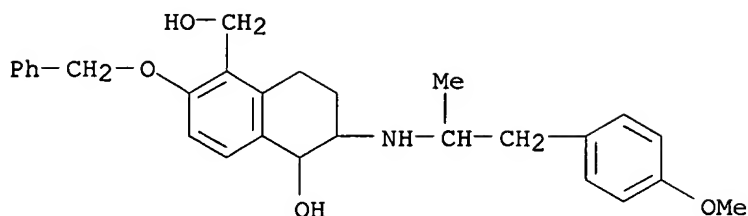
59606-33-6P 59606-34-7P 59606-44-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 59605-08-2 CAPLUS

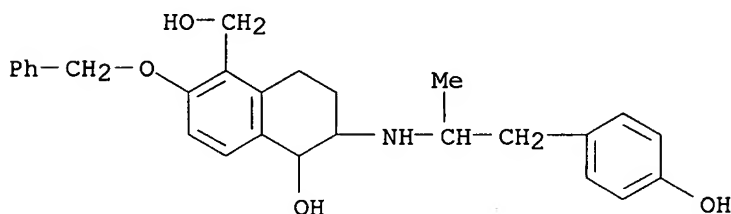
CN 1-Naphthalenemethanol, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

10/009,008



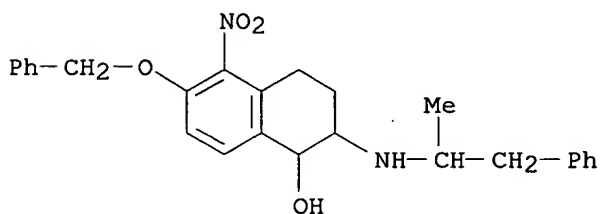
RN 59605-09-3 CAPLUS

CN 1-Naphthalenemethanol, 5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 59605-89-9 CAPLUS

CN 1-Naphthalenol, 1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]-5-nitro-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

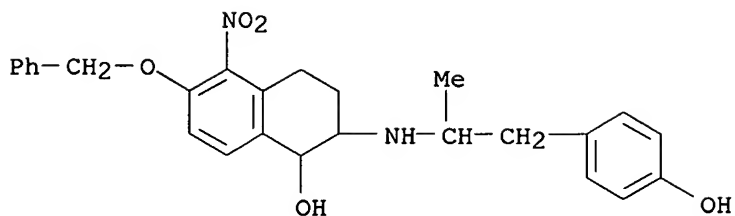


● HCl

RN 59605-90-2 CAPLUS

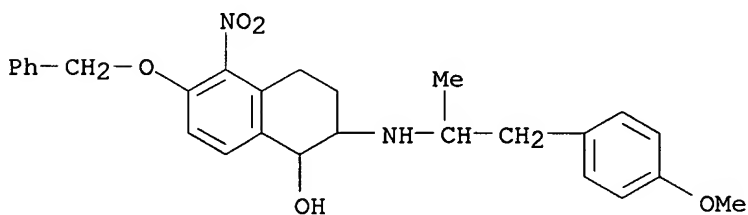
CN 1-Naphthalenol, 1,2,3,4-tetrahydro-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-5-nitro-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

10/009,008



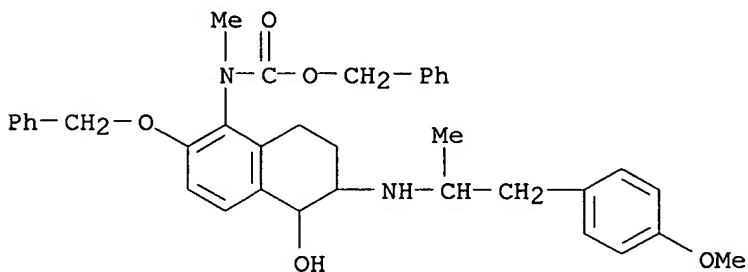
● HCl

RN 59605-91-3 CAPLUS
CN 1-Naphthalenol, 1,2,3,4-tetrahydro-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-5-nitro-6-(phenylmethoxy)-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 59605-96-8 CAPLUS
CN Carbamic acid,
methyl[5,6,7,8-tetrahydro-5-hydroxy-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-(phenylmethoxy)-1-naphthalenyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

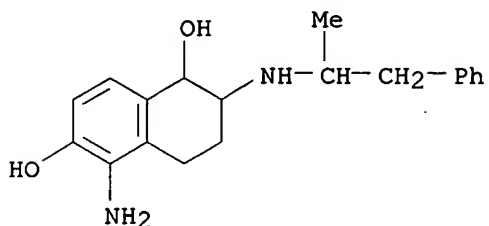


HCl

10/009,008

RN 59606-15-4 CAPLUS

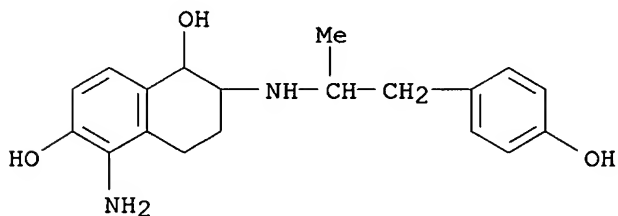
CN 1,6-Naphthalenediol, 5-amino-1,2,3,4-tetrahydro-2-[(1-methyl-2-phenylethyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 59606-16-5 CAPLUS

CN 1,6-Naphthalenediol,
5-amino-1,2,3,4-tetrahydro-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

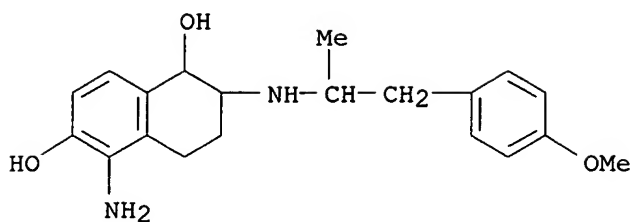


●2 HCl

RN 59606-17-6 CAPLUS

CN 1,6-Naphthalenediol,
5-amino-1,2,3,4-tetrahydro-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

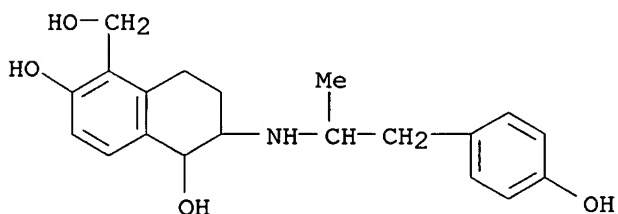
10/009,008



● 2 HCl

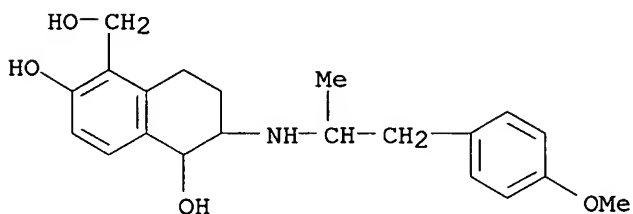
RN 59606-33-6 CAPLUS

CN 1,6-Naphthalenediol, 1,2,3,4-tetrahydro-5-(hydroxymethyl)-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)



RN 59606-34-7 CAPLUS

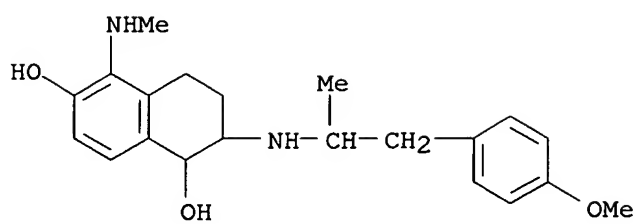
CN 1,6-Naphthalenediol, 1,2,3,4-tetrahydro-5-(hydroxymethyl)-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)



RN 59606-44-9 CAPLUS

CN 1,6-Naphthalenediol, 1,2,3,4-tetrahydro-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-5-(methylamino)-, dihydrochloride (9CI) (CA INDEX NAME)

10/009,008



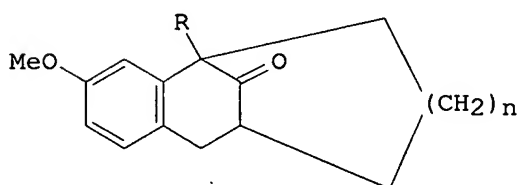
● 2 HCl

10/009,008

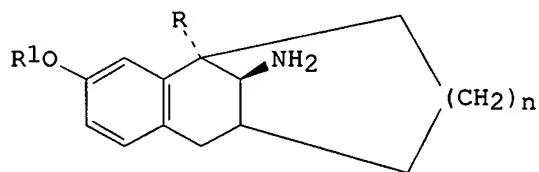
L4 ANSWER 261 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:150415 CAPLUS
DN 84:150415
TI (Aminomethano)benzocycloalkenes
IN Freed, Meier E.; Potoski, John R.
PA American Home Products Corp., USA
SO U.S., 26 pp. Division of U.S. 3,836,670.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3931328	A	19760106	US 1973-421476	19731203
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	US 3836670	A	19740917	US 1972-262849	19720614
	IN 138507	A	19760214	IN 1972-CA1824	19721106
PRAI	US 1970-94983	A2	19701203		
	US 1971-200517	A2	19711119		
	US 1972-262849	A3	19720614		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		

GI



I



II

AB 7-Methoxy-2-tetralones were alkylated with .alpha.,.omega.-dihaloalkanes, the 1-(.omega.-haloalkyl) derivs. obtained were cyclized to (oxomethano)benzocycloalkenes (I; n = 2, 3; R = Me, Et), the latter were oximated, and the oxime products were reduced to give seven resp. (aminomethano) analogs (II, R1 = Me, H) which were tested and exhibited analgesic activity. Similarly prepd. were various II (n = 1) derivs. N-alkyl and N,N-dialkyl derivs. of II and esters of II (R1 = H) were also prepd. All II prepd. are useful as antiinflammatory agents (no data).

IT 50736-28-2

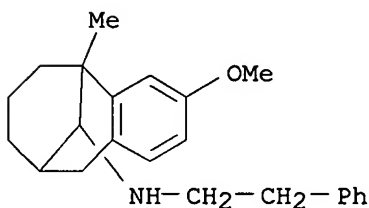
RL: RCT (Reactant); RACT (Reactant or reagent)

10/009,008

(N-methylation of)

RN 50736-28-2 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



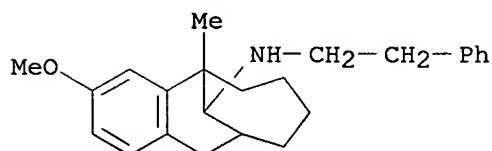
IT 42264-21-1P 42471-90-9P 58918-09-5P

59532-26-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42264-21-1 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,10.alpha.,12S*)- (9CI) (CA INDEX NAME)

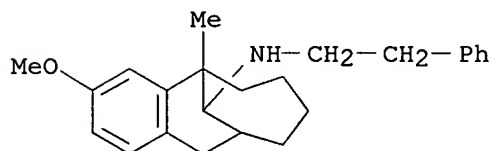


● HCl

RN 42471-90-9 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12S*)- (9CI)

(CA
INDEX NAME)

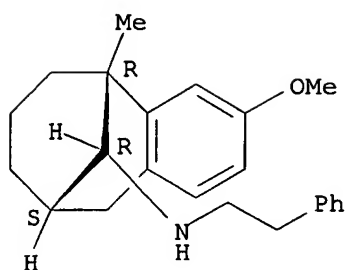


RN 58918-09-5 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,9.alpha.,11R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

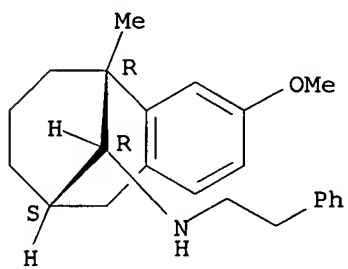
10/009,008



RN 59532-26-2 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,9.alpha.,11R*)- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

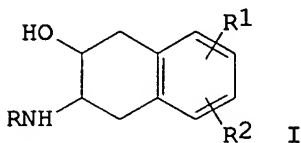


● HCl

10/009,008

L4 ANSWER 262 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:135367 CAPLUS
DN 84:135367
TI Tetrahydronaphthalenes used in the treatment of cardiac arrhythmia
IN Hauck, Frederic P.; Cimarusti, Christopher M.; Sundeen, Joseph E.
PA Squibb, E. R., and Sons, Inc., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3930022	A	19751230	US 1974-481089	19740620
	CA 1017359	A1	19770913	CA 1973-174840	19730625
	FR 2190464	A1	19740201	FR 1973-24449	19730703
	JP 49051255	A2	19740518	JP 1973-76474	19730703
PRAI	US 1972-268314		19720703		
GI					



AB About 15 aminonaphthalenols [trans-I; R = Me, Me₂CH, Me₃C, 3,4-(MeO)₂C₆H₃CH₂CH₂; R₁, R₂ = H, OH, OMe], useful as .beta.-adrenergic receptor blocking agents in the treatment of cardiac arrhythmia (tests in rats given), were prepd. essentially by epoxidn. of dihydronaphthalenes followed by reaction of the epoxides with RNH₂. Thus, 1,4-dihydronaphthalene was oxidized with m-chloroperbenzoic acid and the resultant 6,7-epoxy-5,6,7,8-tetrahydronaphthalene autoclaved with Me₂CHNH₂ in EtOH at 130.degree. for 2 days to give trans-I (R = Me₂CH, R₁ = R₂ = H).

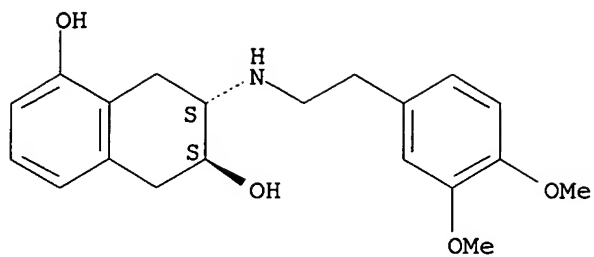
IT **58851-75-5P 58851-80-2P**
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 58851-75-5 CAPLUS

CN 1,6-Naphthalenediol, 7-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-5,6,7,8-tetrahydro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

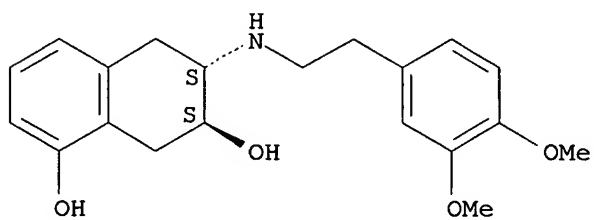
10/009,008



RN 58851-80-2 CAPLUS

CN 1,7-Naphthalenediol, 6-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-5,6,7,8-tetrahydro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



10/009,008

L4 ANSWER 263 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:114155 CAPLUS
DN 84:114155
TI Color diffusion transfer photographic films
IN Miyakawa, Masami
PA Fuji Photo Film Co., Ltd., Japan
SO Ger. Offen., 63 pp.
CODEN: GWXXBX
DT Patent
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2501597	A1	19750717	DE 1975-2501597	19750116
	JP 50104023	A2	19750816	JP 1974-8122	19740116
PRAI	JP 1974-8122		19740116		

AB Color diffusion-transfer materials using temporarily short-shifted leuco dye developers also contain a layer contg. a substituted p-benzoquinone, such as tetramethyl-p-benzoquinone and trimethyl-p-benzoquinone, which serves as an oxidn. agent for the leuco dye developer. These p-benzoquinones neither adversely affect the development of the Ag halide nor cause the formation of stains in the receptor element. Thus, a transparent cellulose acetate support coated with a yellow dye-developer layer contg. the temporarily short-shifted yellow dye developer 3-acetoxy-2-[m-(hydroquinonylmethyl)phenylazo]benzothiophene, a blue-sensitive gelatin-Ag(Br, I) (7 mole % I-) emulsion layer, a yellow filter layer, a magenta dye-developer layer contg. the temporarily short-shifted magenta dye developer 4-methoxyethoxy-2-[4-(hydroquinonylethyl)phenylazo]-1-naphthyl acetate, a green-sensitive gelatin-Ag(Br, I) (2 mole % I-) emulsion layer, an interlayer a cyan dye-developer layer contg. the temporarily short-shifted cyan dye developer 1,4-bis[.beta.-(2,5-dihydroxyphenyl)isopropylamino]-5,8,9,10-tetrahydroxyanthracene, a red-sensitive gelatin-Ag(Br, I) (1 mole % I-) emulsion layer, and an oxidn. layer contg. trimethyl-p-benzoquinone was white-light exposed (20 candle-m-sec) through a step wedge, developed

with

an alkali developer, and contacted with a receptor sheet composed of a polyethylene support coated with an acid polymer layer contg. poly(4-vinylpyridine) and poly(vinyl alc.) to give a red-, green-, and blue-filter transfer max. d. of 1.46, 1.74, and 1.61 and a red-, green-, and blue-filter transfer min. d. of 0.28, 0.29, and 0.28 vs. 0.98, 1.80, and 1.62, resp., and 0.24, 0.29, and 0.27, resp., for a control contg. a protective layer in place of the oxidn. layer.

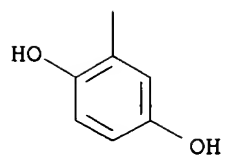
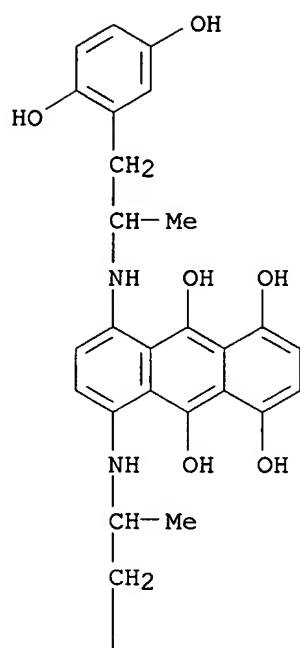
IT 58478-42-5

RL: USES (Uses)

(photog. color diffusion-transfer films contg. oxidizing agent-contg. layers and, with improved image quality)

RN 58478-42-5 CAPLUS

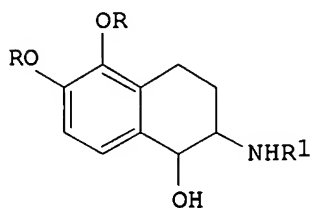
CN 1,4,9,10-Anthracenetetrol, 5,8-bis[[2-(2,5-dihydroxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)



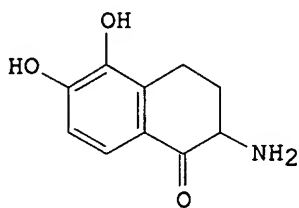
10/009,008

L4 ANSWER 264 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:105281 CAPLUS
DN 84:105281
TI Aminotetralol compounds
IN Sugihara, Hirosada; Watanabe, Masazumi; Motohashi, Michio; Nishikawa, Masao; Sanno, Yasushi
PA Takeda Chemical Industries, Ltd., Japan
SO Ger. Offen., 63 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2514455	A1	19751030	DE 1975-2514455	19750403
	JP 50148341	A2	19751127	JP 1974-44631	19740419
	JP 50140432	A2	19751111	JP 1974-46097	19740423
	JP 50142547	A2	19751117	JP 1974-47211	19740425
	JP 58026332	B4	19830602		
	DK 7500872	A	19751020	DK 1975-872	19750304
	US 4010202	A	19770301	US 1975-555128	19750304
	NO 7500835	A	19751021	NO 1975-835	19750312
	AU 7579045	A1	19760916	AU 1975-79045	19750313
	ES 435624	A1	19770316	ES 1975-435624	19750314
	CA 1050557	A1	19790313	CA 1975-222226	19750317
	FR 2267763	A1	19751114	FR 1975-9116	19750324
	FR 2267763	B1	19780630		
	BE 827375	A1	19750929	BE 1975-154941	19750328
	AT 7502490	A	19770115	AT 1975-2490	19750402
	AT 338773	B	19770912		
	CH 617180	A	19800514	CH 1975-4321	19750404
	NL 7504551	A	19751021	NL 1975-4551	19750416
	SE 7504450	A	19751020	SE 1975-4450	19750417
	FI 7501146	A	19751020	FI 1975-1146	19750417
	GB 1502155	A	19780222	GB 1975-16345	19750421
PRAI	JP 1974-44631		19740419		
	JP 1974-46097		19740423		
	JP 1974-47211		19740425		
GI					



I



III

AB Aminotetrahydronaphthols I (R = H, Me, PhCH₂; R₁ = cyclohexyl, PhCH₂CH₂, cyclobutyl, MeOCH₂CH₂, PhCHMeCH₂, etc.) were prepd. by the reaction of a dihydroxyaminodihydronaphthalenone with an aldehyde or ketone and hydrogenation of the intermediate. Thus, I (R = R₁ = H) (II) reacted with Ph(CH₂)₂CHO in EtOH, followed by hydrogenation to give I (R = H, R₁ =

10/009,008

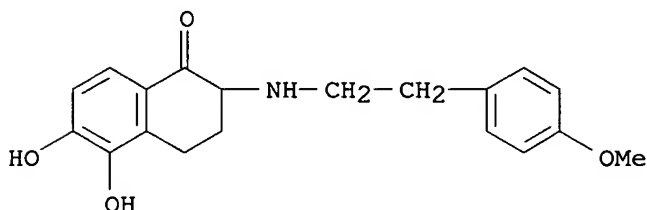
PhCH₂CH₂CH₂). II was prepd. by the hydrogenation of III. I were useful as bronchodilators. Test data and pharmaceutical formulations were given.

IT 58475-69-7P 58475-72-2P 58475-73-3P
58475-74-4P 58475-79-9P 58475-81-3P
58658-64-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 58475-69-7 CAPLUS

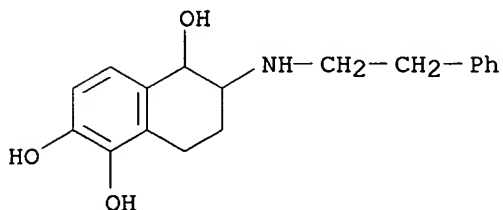
CN 1(2H)-Naphthalenone, 3,4-dihydro-5,6-dihydroxy-2-[[2-(4-methoxyphenyl)ethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 58475-72-2 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylethyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)

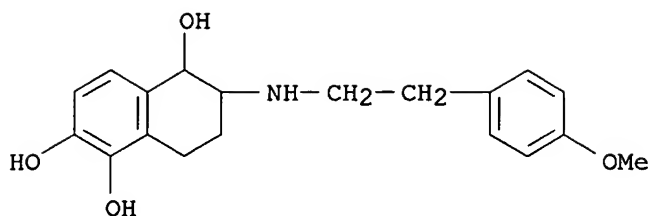


● HBr

RN 58475-73-3 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)ethyl]amino]-, hydrobromide (9CI) (CA INDEX NAME)

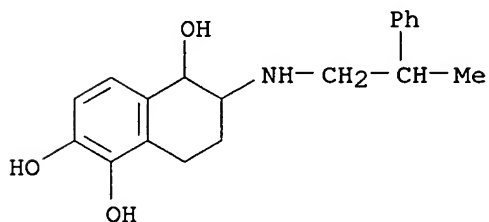
10/009,008



● HBr

RN 58475-74-4 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(2-phenylpropyl)amino]-, hydrobromide (9CI) (CA INDEX NAME)



● HBr

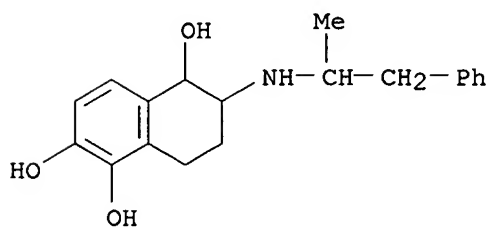
RN 58475-79-9 CAPLUS

CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[(1-methyl-2-phenylethyl)amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 58475-78-8

CMF C19 H23 N O3

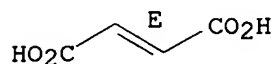


CM 2

10/009,008

CRN 110-17-8
CMF C4 H4 O4

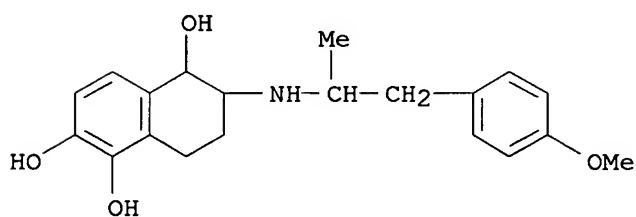
Double bond geometry as shown.



RN 58475-81-3 CAPLUS
CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-methoxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

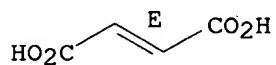
CRN 58475-80-2
CMF C20 H25 N O4



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

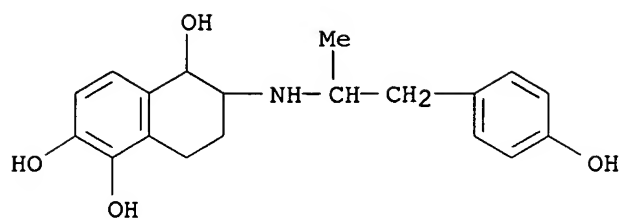


RN 58658-64-3 CAPLUS
CN 1,2,5-Naphthalenetriol, 5,6,7,8-tetrahydro-6-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 58658-63-2
CMF C19 H23 N O4

10/009,008

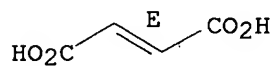


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



10/009,008

L4 ANSWER 265 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:97794 CAPLUS
DN 84:97794
TI Light-sensitive color diffusion-transfer material
IN Yoshida, Yoshinobu; Imai, Shinichi; Miyakawa, Masami
PA Fuji Photo Film Co., Ltd., Japan
SO Ger. Offen., 50 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2458212	A1	19750612	DE 1974-2458212	19741209
	JP 50091324	A2	19750722	JP 1973-139418	19731211
	JP 55049734	B4	19801213		
	GB 1477744	A	19770622	GB 1974-53652	19741211
PRAI	JP 1973-139418		19731211		

AB Temporarily short-shifted cyan dye developers and color, diffusion-transfer photog. materials using these developers are described.

The materials using these developers have improved sensitivity over a broad spectral range and a decreased tendency to form color stains. Esp. useful as the temporarily short-shifted cyan dye developer is 1,4-bis(.gamma.-hydroquinonylpropylamino)-5,8,9,10-tetrahydroxyanthracene(I). Thus, a diffusion-transfer material prepd. by coating a cellulose acetate support with a color developer layer contg.

I,

a red-sensitive Ag(Br,I) (5.6 mole % I-) emulsion layer, and a protective layer was sensitometrically exposed to a 500-W W lamp through a red

filter

at 100 cm for 1/20 sec, developed, and contacted with a receptor sheet to indicate a relative sensitivity of 3.25 vs. 1.25 for a control contg. 1,4-bis(.alpha.-methyl-.beta.-hydroquinonylethylamino)-5,8-dihydroxyanthraquinone.

IT 58478-42-5

RL: USES (Uses)

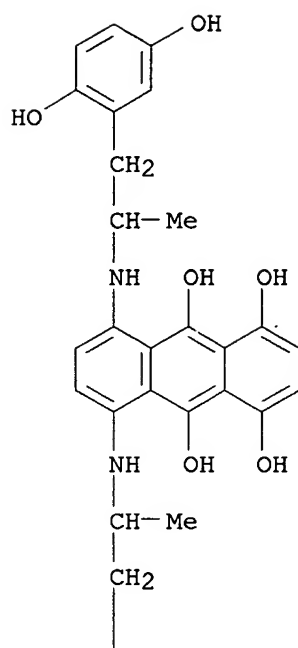
(temporarily short-shifted cyan dye photog. developer)

RN 58478-42-5 CAPLUS

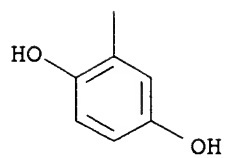
CN 1,4,9,10-Anthracenetetrol, 5,8-bis[[2-(2,5-dihydroxyphenyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

10/009,008

PAGE 1-A



PAGE 2-A



10/009,008

L4 ANSWER 266 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1976:17167 CAPLUS
DN 84:17167
TI Tetrahydroisoquinolines
IN Mathison, Ian W.; Solomons, William E.; Jones, Raymond H.
PA Marion Laboratories, Inc., USA
SO Ger. Offen., 20 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2508227	A1	19751009	DE 1975-2508227	19750226
	US 3953458	A	19760427	US 1974-455561	19740328
	GB 1509305	A	19780504	GB 1975-11312	19750318
	DK 7501211	A	19750929	DK 1975-1211	19750321
	BE 827282	A1	19750716	BE 1975-154856	19750327
	NL 7503705	A	19750930	NL 1975-3705	19750327
	FR 2265377	A1	19751024	FR 1975-9662	19750327
	FR 2265377	B1	19800125		
	CA 1063116	A1	19790925	CA 1975-223270	19750327
	SE 7503727	A	19750929	SE 1975-3727	19750401
PRAI	US 1974-455561		19740328		

GI For diagram(s), see printed CA Issue.

AB Cyclopentanoisoquinolines I (R = Me; R1 = H, R2 = MeO; R1 = Ph, R2 = H) were prepd. by condensation of cyclopentanone II with 3,4-R22C6H4CHR1CH2NH2 and hydrogenation of the intermediate imine.

Hydrolysis

of I (R = Me, R1 = H, R2 = MeO) gave I (R = R1 = H, R2 = OH). II was prepd. by Friedel-Crafts reaction of isoquinoline III (R = H) with Cl2CHOMe to give III (R = CHO), condensation with (HO2C)2CH2 and decarboxylation to give III (R = CH:CHCO2H), hydrogenation to propionic acid III (R = CH2CH2CO2H), and cyclization. I (R = Me, R1 = H, R2 = MeO) at 50 mg/kg i.p. changed the systolic blood pressure of hypertensive rats after 1, 2, 4, and 24 hr: -12.0 +/- 3.8, -8.7 +/- 3.7, -7.4 +/- 2.5, -7.9 +/- 1.4. I (R = R1 = H, R2 = OH) at 25 mg/kg i.p. similarly gave -5.7 +/- 2.3, -11.7 +/- 4.8, -12.0 +/- 3.8, -10.8 +/- 3.0.

IT 57611-25-3P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

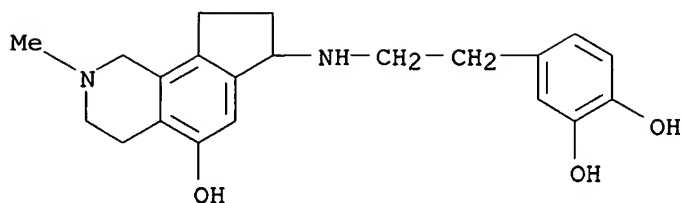
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antihypertensive activity of)

RN 57611-25-3 CAPLUS

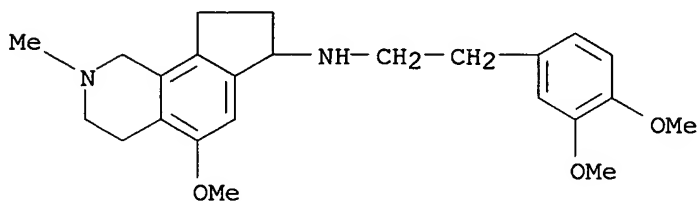
CN 1,2-Benzenediol, 4-[2-[(2,3,4,7,8,9-hexahydro-5-hydroxy-2-methyl-1H-cyclopent[h]isoquinolin-7-yl)amino]ethyl]-, dihydrobromide (9CI) (CA INDEX NAME)

10/009,008

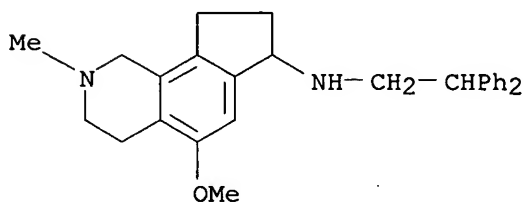


●2 HBr

IT **57611-22-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenation of)
RN 57611-22-0 CAPLUS
CN 1H-Cyclopent[h]isoquinolin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,7,8,9-hexahydro-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



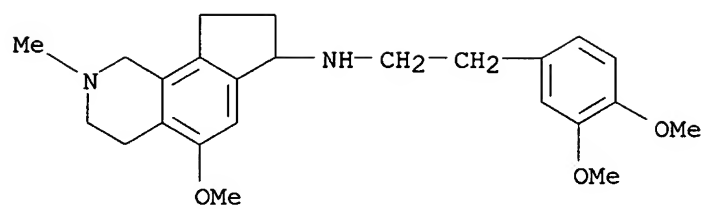
IT **57611-23-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 57611-23-1 CAPLUS
CN 1H-Cyclopent[h]isoquinolin-7-amine, N-(2,2-diphenylethyl)-2,3,4,7,8,9-hexahydro-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



IT **57611-21-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., hydrolysis, and antihypertensive activity of)
RN 57611-21-9 CAPLUS
CN 1H-Cyclopent[h]isoquinolin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,7,8,9-hexahydro-5-methoxy-2-methyl-, dihydrobromide (9CI) (CA INDEX

10/009,008

NAME)



● 2 HBr

10/009,008

L4 ANSWER 267 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1975:595085 CAPLUS
DN 83:195085
TI Disperse azo dyes for polyester fibers
IN Groebke, Wolfgang; Koerte, Klaus
PA Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 15 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2460652	A1	19750717	DE 1974-2460652	19741220
	CH 589695	A	19770715	CH 1974-352	19740111
	GB 1497416	A	19780112	GB 1975-573	19750107
	FR 2257652	A1	19750808	FR 1975-404	19750108
	JP 50101424	A2	19750812	JP 1975-5278	19750109
	US 4049642	A	19770920	US 1976-704718	19760712
	FR 2358446	A2	19780210	FR 1976-36742	19761207
PRAI	CH 1974-352		19740111		
	US 1975-538376		19750103		
	US 1976-704718		19760712		

GI For diagram(s), see printed CA Issue.

AB Aminomonoazo dyes prepd. by coupling diazotized aniline derivs. with n-(phenylethyl)-.alpha.-naphthylamine derivs. provided fast dyeings on polyester textiles that were resistant to the effects of permanent press and soil release finishing processes. For example, 2-bromo-4,6-dinitroaniline [1817-73-8] was diazotized and coupled with n-(2-hydroxy-2-phenylethyl)-.alpha.-naphthylamine [56987-44-1] to give the monoazo dye (I) [57069-75-7]. A mixt. of I 7, Na dinaphthylmethanedisulfonate 4, sodium cetyl sulfate 4, and Na2SO4 5

parts

was ground to a fine powder. One part of this dye prepn. was suspended

in

a small amt. of water and added through a sieve to 4000 parts water

contg.

2 parts Na laurylsulfate. A polyester fabric was added to the bath at 40-50.degree., 20 parts chlorinated benzene emulsion was added, and the fabric was dyed at 1-2 hr at 95-100.degree.. The level reddish-blue dyeing obtained had good fastness properties and was resistant to the effects of creaseproofing and permanent press finishing.

IT 56987-44-1

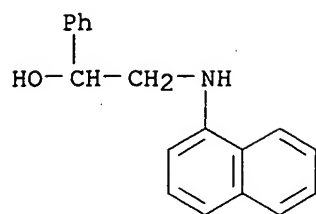
RL: RCT (Reactant); RACT (Reactant or reagent)

(coupling of, with diazotized bromodinitroaniline)

RN 56987-44-1 CAPLUS

CN Benzenemethanol, .alpha.-[(1-naphthalenylamino)methyl]- (9CI) (CA INDEX NAME)

10/009,008

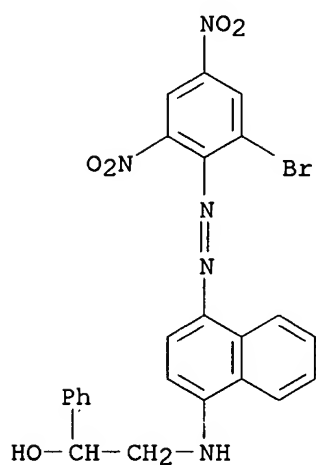


IT 57069-75-7P

RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

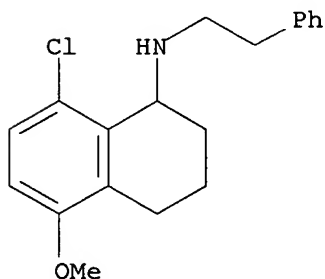
RN 57069-75-7 CAPLUS

CN Benzenemethanol, .alpha.-[[[4-[(2-bromo-4,6-dinitrophenyl)azo]-1-naphthalenyl]amino]methyl]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 268 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1975:149177 CAPLUS
DN 82:149177
TI Stereospecific blockade of the .alpha.-adrenergic receptor by substituted
1-aminotetralins
AU Sarges, Reinhard; Constantine, Jay W.; McShane, Wilfred K.
CS Dep. Med. Chem., Pfizer, Inc., Groton, CT, USA
SO Journal of Pharmacology and Experimental Therapeutics (1975), 192(2),
351-64
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Certain members of a series of 1-aminotetralin derivs. (I) reversed the
epinephrine pressor response in dogs; this effect occurred with the R-
but
not the S-isomers. Studies with rabbit aortic strips indicated
competitive blockade of the .alpha.-adrenergic receptor by the active
agents. It is concluded that the interaction of certain 1-aminotetralins
with a stereospecific binding site at the .alpha.-receptor mimics
occupancy blockade. However, this binding site is probably not entirely
identical with the epinephrine binding site at the .alpha.-receptor.
Furthermore, the cyclic tetrahydroisoquinoline derivs. do not present the
active conformation of epinephrine at the receptor.
IT 54982-47-7
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); BIOL (Biological study)
(.alpha.-sympatholytic activity of)
RN 54982-47-7 CAPLUS
CN 1-Naphthalenamine,
8-chloro-1,2,3,4-tetrahydro-5-methoxy-N-(2-phenylethyl)-
(9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 269 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1974:491259 CAPLUS

DN 81:91259

TI Pharmacodynamic 7,8-substituted 5,8:7,10-dimethano-5,6,7,8,9,10-hexahydrobenzocyclooctenes

IN Thiele, Uwe; Koenig, Horst; Eicken, Karl; Giertz, Hubert; Haupt, Ingrid

PA BASF A.-G.

SO Ger. Offen., 17 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2261637	A1	19740620	DE 1972-2261637	19721216
PRAI	DE 1972-2261637		19721216		

GI For diagram(s), see printed CA Issue.

AB Fourteen benzocyclooctenes I [R = e.g. OH, NHMe, NHCHMe₂, NH-(CH₂)₃OMe, or

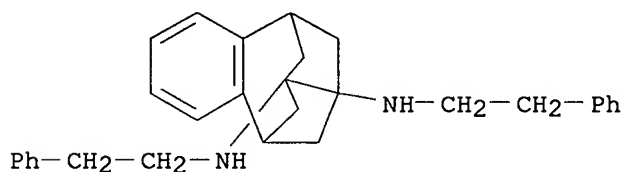
NHCH₂CH₂Ph], used as parkinsonism inhibitors and central depressants in mice, were prepd. by catalytic hydro-genation of II optionally in the presence of amines.

IT **53046-39-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 53046-39-2 CAPLUS

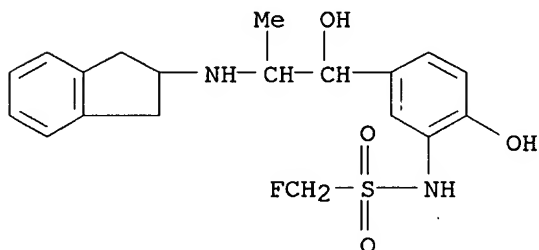
CN 5,8:7,10-Dimethanobenzocyclooctene-7,8-diamine, 5,6,9,10-tetrahydro-N,N'-bis(2-phenylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

10/009,008

L4 ANSWER 270 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1974:458138 CAPLUS
DN 81:58138
TI Monofluoromethanesulfonanilides. New series of bronchodilators
AU Banitt, E. H.; Coyne, W. E.; McGurran, K. T.; Robertson, J. E.
CS Riker Lab., 3M Co., St. Paul, MN, USA
SO Journal of Medicinal Chemistry (1974), 17(1), 116-20
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Of 21 fluoromethanesulfonanilides prepd. and tested, 2'-hydroxy-5'-[1-hydroxy-2-[(p-methoxyphenethyl)amino]propyl]-N-methyl-1-fluoromethanesulfonanilide-HCl (I) [52260-71-6] was orally active and compared favorably with salbutamol [18559-94-9] in protecting against aerosolized histamine challenge in guinea pigs. These compds. also inhibited histamine-induced contraction of isolated guinea pig trachea. The fluoromethanesulfonanilides were prepd. by reaction of the appropriate phenacyl bromide sulfonamide deriv. with the desired amine, followed by hydrogenation.
IT **51713-68-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spasmolytic activity of)
RN 51713-68-9 CAPLUS
CN Methanesulfonamide, N-[5-[2-[(2,3-dihydro-1H-inden-2-yl)amino]-1-hydroxypropyl]-2-hydroxyphenyl]-1-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

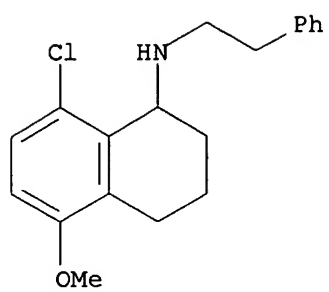


● HCl

10/009,008

L4 ANSWER 271 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1974:55665 CAPLUS
DN 80:55665
TI 5,8-Disubstituted 1-aminotetralins. Class of compounds with a novel profile of central nervous system activity
AU Sarges, Reinhard; Tretter, James R.; Tenen, Stanley S.; Weissman, Albert
CS Med. Res. Lab., Pfizer Inc., Groton, CT, USA
SO Journal of Medicinal Chemistry (1973), 16(9), 1003-11
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB 5,8-Disubstituted 1-aminotetralins, synthesized as analogs of tricyclic neuroleptic drugs, did not show neuroleptic activity, but had an interesting profile of antianxiety and antidepressant/anticataleptic activity. These activities included suppression of a conditioned emotional response (antianxiety effect) .sim. that shown by benzodiazepine tranquilizers; reversal of trifluoroperazine catalepsy; reversal of tetrabenazine catalepsy and depression .sim. shown by tricyclic antidepressants; and peripheral .alpha.-adrenergic blocking activity. Resolution of the racemic compds., e.g. of N,N-dimethyl-8-chloro-5-methoxy-1,2,3,4-tetrahydro-1-naphthylamine (I) [34552-78-8] resulted in sepn. of biol. activities: tetrabenazine reversal and anticataleptic effects were characteristic for isomers with the S configuration at C-1, whereas antianxiety and .alpha.-adrenergic blocking properties were retained by R isomers. One or two alkyl substituents on the N, a 5-methoxy group, and an electroneg. substituent in the 8 position were necessary for antianxiety activity; anticataleptic activity was assocd. with analogs having small N substituents. The 1-aminotetralin derivs. were prepared from the corresponding ketones by std. methods.
IT **49799-68-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and central nervous system activity of)
RN 49799-68-0 CAPLUS
CN 1-Naphthalenamine, 8-chloro-1,2,3,4-tetrahydro-5-methoxy-N-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

10/009,008



● HCl

10/009,008

L4 ANSWER 272 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1974:14659 CAPLUS
DN 80:14659
TI Benzobicycloalkane amines
IN Freed, Meier E.; Potoski, John R.
PA American Home Products, Corp.
SO Fr. Demande, 79 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2116509	A5	19720713	FR 1971-43351	19711202
	FR 2116509	B1	19750801		
	ZA 7107697	A	19730627	ZA 1971-7697	19711116
	AU 7136248	A1	19730607	AU 1971-36248	19711129
	AT 7400108	A	19750115	AT 1971-10874	19711129
	AT 325597	B	19751027		
	AT 321265	B	19750325	AT 1971-10247	19711129
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	FI 53967	C	19780911	FI 1971-3437	19711201
	NL 7116567	A	19720606	NL 1971-16567	19711202
	NL 175292	B	19840516		
	NL 175292	C	19841016		
	ES 397603	A1	19750316	ES 1971-397603	19711202
	SE 385471	B	19760705	SE 1971-15498	19711202
	DK 142984	B	19810309	DK 1971-5920	19711202
	DK 142984	C	19810928		
	JP 57047176	B4	19821007	JP 1971-97546	19711202
	CH 569689	A	19751128	CH 1971-17636	19711203
	CA 1005822	A1	19770222	CA 1971-129373	19711203
	IN 138507	A	19760214	IN 1972-CA1824	19721106
PRAI	US 1970-94983	A	19701203		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		

GI For diagram(s), see printed CA Issue.

AB Seventy-seven bicycloalkylamines (I; n = 3,4,5; R = H, Me; R1 = H, Me, phenethyl, alkenyl; R2 = Me, Et; R3, R4, R5 = H, OH, OMe), useful as analgesics and antiinflammatory agents, are prepd. from tetralones (II). II (Q = Br, Cl) are cyclized, and the products are oximated, hydrogenated, and alkylated to give the corresponding I.

IT 42264-36-8P 50736-28-2P 50897-67-1P
51017-19-7P

RL: BAC (Biological activity or effector, except adverse); BSU

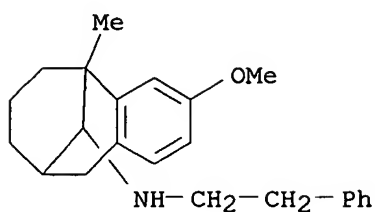
(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and pharmacol. activity of)

RN 42264-36-8 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

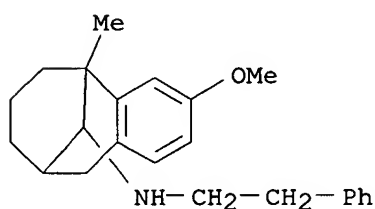
10/009,008



● HCl

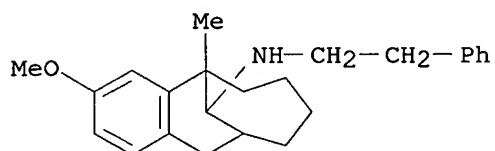
RN 50736-28-2 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 50897-67-1 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12R*)- (9CI)
(CA INDEX NAME)



RN 51017-19-7 CAPLUS

10/009,008

L4 ANSWER 273 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1973:546428 CAPLUS

DN 79:146428

TI 5,9:7,11-Dimethano-5,6,7,9,10,11-hexahydro-8-oxabenzocyclononenes

IN Thiele, Uwe; Koenig, Horst; Foehlich, Baldur; Amann, August; Giertz, Hubert; Schuster, Joerg

PA Badische Anilin- und Soda-Fabrik A.-G.

SO Ger. Offen., 11 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2210799	A1	19730920	DE 1972-2210799	19720307
PRAI	DE 1972-2210799		19720307		

GI For diagram(s), see printed CA Issue.

AB Eleven oxabenzocyclononenes I (R = OH, R1 = OEt, OCH2CH2Ph, NHMe, NHCH2Ph,

or NHNHPh or R = R1 = 1-pyrrolidinyl, morpholino, NHCH2CHMe2, cyclohexylamino, or NHNH2), useful as spasmolytics, sedatives,

analgesics,

inflammation inhibitors, or hypertensives, were prepd. by heating the diketone II with R1H optionally in the presence of a basic catalyst, e.g. Me2NH. I (R = R1 = 1-pyrrolidinyl) was also prepd. by reaction of I (R = OH, R1 = NHMe or OH) with pyrrolidine at reflux temp.

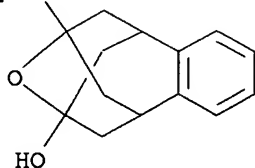
IT 50697-61-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 50697-61-5 CAPLUS

CN 1,5:3,7-Dimethano-4-benzoxonin-3(2H)-ol, 1,5,6,7-tetrahydro-5-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

Ph-CH2-CH2-NH

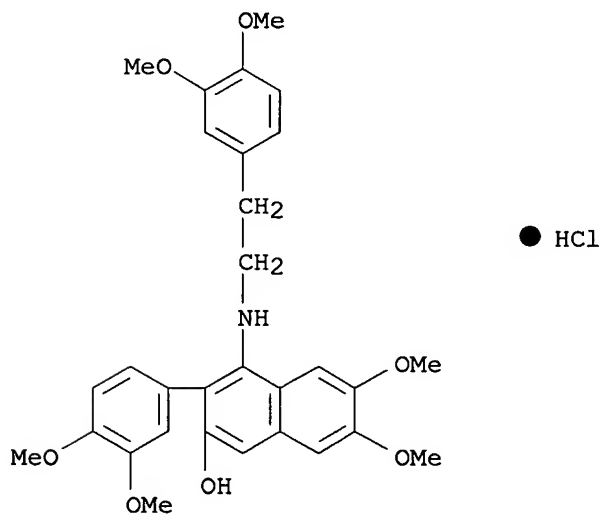


10/009,008

L4 ANSWER 274 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1973:542772 CAPLUS
DN 79:142772
TI Bridged aminotetralins as novel potent analgesic substances
AU Freed, Meier E.; Potoski, John R.; Freed, Elisabeth H.; Conklin, George L.; Malis, Jerry L.
CS Dep. Pharmacol., Wyeth Lab., Inc., Radnor, PA, USA
SO Journal of Medicinal Chemistry (1973), 16(6), 595-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Several tricyclic aminotetralins with an exocyclic amino function had analgesic activity on the order of that of morphine. The most potent compd., 3-hydroxy-5.alpha.-methyl-5,6,7,8,9,10,11,12-octahydro-5,11-methanobenzocyclodecen-13.beta.-amine-HBr (I-HBr) [42013-66-1], with an i.p. ED50 of 1.11 mg/kg in rats and LD50 >200 mg/kg, was 2.7 times as potent as morphine. The compds. were prepd. from the appropriate 1-alkyl-2-tetralones by condensation with an .alpha.,.omega.-dibromide, cyclization with NaH in DMF, conversion to the oxime, redn. with H2-Raney Ni to the bridged amino tetraline, conversion to the salt and sepn. of .alpha. and .beta. epimers by fractional crystn. Secondary and tertiary amines were prepd. from the primary amines. The .beta. epimers were generally the more active, and primary amines were more active than secondary or tertiary ones.
IT **50894-98-9P 51017-19-7P**
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and analgesic activity of)
RN 50894-98-9 CAPLUS
RN 51017-19-7 CAPLUS

10/009,008

L4 ANSWER 275 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1973:466141 CAPLUS
DN 79:66141
TI 3(2h)-Isoquinolones. 2. Structure and formation of aminonaphthols obtained in the preparation of 3(2H)-isoquinolones
AU Kreighbaum, W. E.; Kavanaugh, W. F.; Comer, W. T.
CS Dep. Chem. Res., Mead Johnson Res. Cent., Evansville, IN, USA
SO Journal of Heterocyclic Chemistry (1973), 10(3), 317-22
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The reaction of a 2-acylphenylacetic acid deriv. (I) with primary amines (RNH₂) in HOAc produces colorless aminonaphthols (II) which are isomeric with the brilliant yellow 3(2H)-isoquinolones (III) obtained in the same reaction. A combination of chem. and spectral techniques allowed identification of the isomers as derivs. of 4-amino-2-naphthol. A mechanism of formation of II in contrast to III is discussed and supported by chem. synthesis.
IT **42326-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 42326-44-3 CAPLUS
CN 2-Naphthalenol, 3-(3,4-dimethoxyphenyl)-4-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-6,7-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 276 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1973:453094 CAPLUS
DN 79:53094
TI Analgesic benzobicycloalkanamines and their intermediates
IN Freed, Meier E.; Potoski, John R.
PA American Home Products Corp.
SO Ger. Offen., 116 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	DE 2159324	A	19720615	DE 1971-2159324	19711130
	DE 2159324	C2	19840614		
	ZA 7107697	A	19730627	ZA 1971-7697	19711116
	AU 7136248	A1	19730607	AU 1971-36248	19711129
	AT 7400108	A	19750115	AT 1971-10874	19711129
	AT 325597	B	19751027		
	AT 321265	B	19750325	AT 1971-10247	19711129
	GB 1363658	A	19740814	GB 1971-55717	19711201
	GB 1363659	A	19740814	GB 1973-35389	19711201
	GB 1363660	A	19740814	GB 1973-35390	19711201
	FI 53967	C	19780911	FI 1971-3437	19711201
	NL 7116567	A	19720606	NL 1971-16567	19711202
	NL 175292	B	19840516		
	NL 175292	C	19841016		
	ES 397603	A1	19750316	ES 1971-397603	19711202
	SE 385471	B	19760705	SE 1971-15498	19711202
	DK 142984	B	19810309	DK 1971-5920	19711202
	DK 142984	C	19810928		
	JP 57047176	B4	19821007	JP 1971-97546	19711202
	CH 569689	A	19751128	CH 1971-17636	19711203
	CA 1005822	A1	19770222	CA 1971-129373	19711203
	IN 138507	A	19760214	IN 1972-CA1824	19721106
PRAI	US 1970-94983	A	19701203		
	CL 1971-131450	A	19710521		
	AU 1971-36248	A	19711129		
	GB 1971-55717	A	19711201		

GI For diagram(s), see printed CA Issue.

AB Approx. 50 analgesic compds. (I) were prepd. from tetralones or indanone by condensation with dihaloalkanes in the presence of NaH, cyclization, and treatment with H2NOH followed by redn. I (m = 0, 1; n = 2-6; R = H, OH, OMe, OAc, (cyclopropylcarbonyl)oxy; R1 = Me, Et, CH2OH; R2 = H, Me;

R3 = H, Me, allyl, CH2CH2Ph, CH2CH:CMc2; X = absent, O) and their intermediates were prepd.

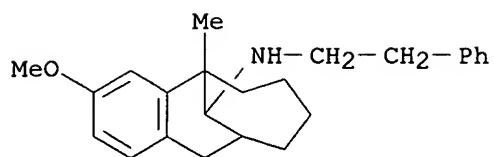
IT **42264-21-1P 42264-36-8P 42471-90-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42264-21-1 CAPLUS

CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride, (5.alpha.,10.alpha.,12S*)- (9CI) (CA INDEX NAME)

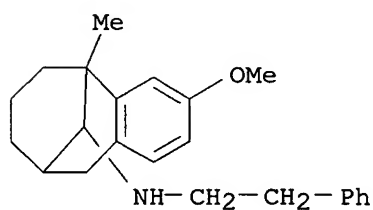
10/009,008



● HCl

RN 42264-36-8 CAPLUS

CN 5,9-Methanobenzocycloocten-11-amine, 5,6,7,8,9,10-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

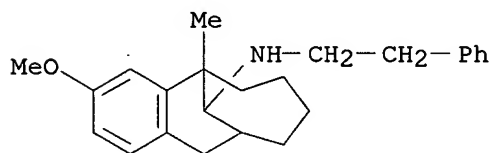


● HCl

RN 42471-90-9 CAPLUS

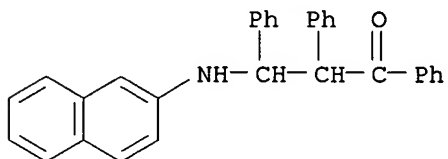
CN 5,10-Methano-5H-benzocyclononen-12-amine, 6,7,8,9,10,11-hexahydro-3-methoxy-5-methyl-N-(2-phenylethyl)-, (5.alpha.,10.alpha.,12S*)- (9CI)

(CA INDEX NAME)



10/009,008

L4 ANSWER 277 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1973:84134 CAPLUS
DN 78:84134
TI Reaction of .beta.-lactams with organomagnesium compounds
AU Panaiotova, B.; Pencheva, B. A.; Spasov, A. W.
CS Med. Inst., Sofia, Bulg.
SO Doklady Bolgarskoi Akademii Nauk (1972), 25(6), 787-90
CODEN: DBANAD; ISSN: 0366-8681
DT Journal
LA German
GI For diagram(s), see printed CA Issue.
AB Reaction of azetidinones I (R = Ph, .alpha.-naphthyl, p-tolyl) with
Grignard reagents, MeMgI, BuMgCl, PhMgBr, gave aminoethyl ketones
RNHCHPhCHPhCOR1 (R, R1 given): Ph, Me; Ph, Bu; .alpha.-naphthyl, Ph;
p-tolyl, Ph.
IT **40173-27-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 40173-27-1 CAPLUS
CN 1-Propanone, 3-(2-naphthalenylamino)-1,2,3-triphenyl- (9CI) (CA INDEX
NAME)



10/009,008

L4 ANSWER 278 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1973:4404 CAPLUS

DN 78:4404

TI Heterocyclic nitrogen compounds. VI. Heterocyclic steroids and analogs, 11,4-diazagooona-1,3,5(10),6,8-pentaene and its derivatives

AU Nacci, V.; Filacchioni, G.; De Martino, G.; Giuliano, R.; Artico, M.

CS Ist. chim. Farm. Tossicol., Univ. Roma, Rome, Italy

SO Farmaco, Edizione Scientifica (1972), 27(7), 548-58

CODEN: FRPSAX; ISSN: 0430-0920

DT Journal

LA Italian

GI For diagram(s), see printed CA Issue.

AB The 1-(1-nitro-2-naphthyl)pyrrolidonecarboxylic acids (I) were converted to

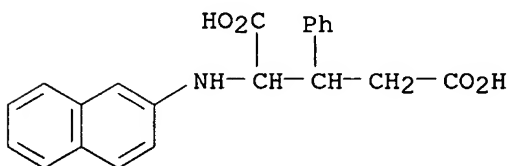
diazagonapentaenes (II; R = H, Me; R1 = H, Me, Ph). I were catalytically hydrogenated to give the diones III, which were reduced by LiAlH4 to II. I were prepd. from diethyl (2-naphthylamino)malonate and esters of acrylic, methacrylic, crotonic, and cinnamic acids followed by nitration.

IT 39009-56-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

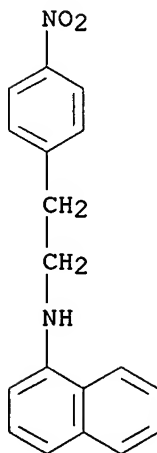
RN 39009-56-8 CAPLUS

CN Glutamic acid, N-2-naphthalenyl-3-phenyl- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 279 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1972:520386 CAPLUS
DN 77:120386
TI Study of across-space intramolecular charge-transfer interaction by
absorption and fluorescence spectroscopy
AU Mutai, Kiyoshi
CS Coll. Gen. Educ., Univ. Tokyo, Tokyo, Japan
SO Bulletin of the Chemical Society of Japan (1972), 45(8), 2635-42
CODEN: BCSJA8; ISSN: 0009-2673
DT Journal
LA English
AB Across-space (through-space) intramol. charge-transfer (CT) interaction
was obsd. in homologous series of p-O₂NC₆H₄(CH₂)_nNHAr (I) and
p-O₂NC₆H₄(CH₂)_nNRar (II). Introduction of an alkyl group to the amino N
of I, thus producing II, generally increases the intensity of the CT
absorption band. It also induces the red-shift of the band position in
most cases owing to the increase of the basicity of the donor, while the
blue-shift is observed in ortho substituted derivs. The latter effect is
explained by the steric inhibition of the delocalization of N lone-pair
electrons. The CT fluorescence was obsd. at room temp. in the lower
homologs (n = 1, 2, and rarely 3) of I and II. A higher intensity of the
fluorescence is generally obsd. in n = 1. The increase of intensity is
obsd. when a small amt. of C₆H₆ is added to a cyclohexane soln. The
excitation spectrum shows 2 peaks; 1 at the 1Lb transition wavelength of
the amine moiety and the other at the CT absorption. The former suggests
the possibility that an excited donor collides intramolecularly with a
ground state acceptor part (p-O₂NC₆H₄ group) to form an excited CT state,
and the latter presents a strong evidence for the origin of the
fluorescence being the excited CT state.
IT **899-85-4**
RL: PRP (Properties)
(fluorescence and electronic spectrum of, intramol. across-space
charge-transfer in relation to)
RN 899-85-4 CAPLUS
CN 1-Naphthalenamine, N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 280 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1972:461671 CAPLUS
DN 77:61671
TI 1,2,3,4,-Tetrahydro-1,1,4,4-tetramethyl-2-naphthylamines
IN Bright, Royal E.; Rees, Richard W.; Smith, Herchel
PA American Cyanamid Co.
SO U.S., 5 pp.

CODEN: USXXAM

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3661992	A	19720509	US 1970-51000	19700629
PRAI	US 1970-51000		19700629		

GI For diagram(s), see printed CA Issue.

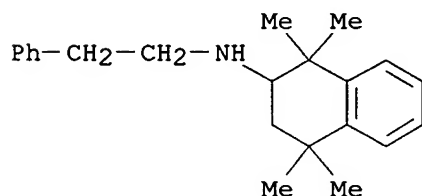
AB Eight 1,2,3,4-tetrahydro-1,1,4,4-tetramethyl-2-naphthylamines hydrochlorides [I, R = H, Me; R1 = alkyl, Ph(CH2)2, N-amidinoamidino, 2-guanidinoethyl], with mydriatic activities, were prepd. from the primary amine (I, R = R1 = H) (II). I [R = H; R1 = alkyl, Ph(CH2)2] were prepd. by refluxing II with the alkyl or phenethyl halide in C6H6 in the presence of diisopro-pylethylamine (III). I (R = H; R1 = N-amidinoamidino) was prepd. by heating II with dicyandiamide at 160-70.degree.. I (R = H; R1 = 2-guanidinoethyl) was prepd. by refluxing II with CH2ClCN and III in C6H6, reducing the product with LiAlH4 in ether-THF, and heating the resulting compd. with S-methylisothiurea sulfate in H2O. I (R = Me; R1 = allyl) was prepd. by treating I (R = H; R1 = allyl) with ClCO2Et in CH2Cl2-aq. NaHCO3 soln., and refluxing the product with LiAlH4 in ether. I (R = R1 = Me) was prepd. by Eschweiler-Clarke method from II and HCO2H and HCHO.

II was prepd. by the redn. of 1,1,4,4-tetramethyl-2-tetralone oxime with Na-EtOH.

IT **36828-46-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 36828-46-3 CAPLUS

CN 2-Naphthalenamine, 1,2,3,4-tetrahydro-1,1,4,4-tetramethyl-N-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

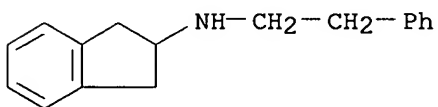


HCl

10/009,008

10/009,008

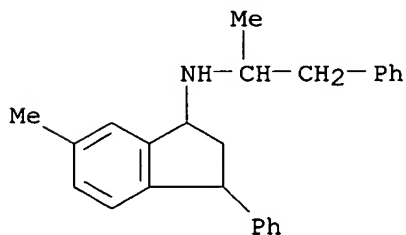
L4 ANSWER 281 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1972:448084 CAPLUS
DN 77:48084
TI Syntheses of analgesics. XXIX. Synthesis and pharmacological activity
of
N-(2-aminoethyl)-2-indanamine derivatives
AU Kametani, Tetsuji; Kigasawa, Kazuo; Hiiragi, Mineharu; Ishimaru,
Haruhide;
Saito, Setsu
CS Pharm. Inst. , Tohoku Univ., Sendai, Japan
SO Yakugaku Zasshi (1972), 92(4), 431-6
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Japanese
AB N-(2-Aminoethyl)-, N-[2-(2,3-xylylidino)ethyl]-, N-[2-
(phenylacetamido)ethyl]-, N-(2-piperidinoethyl)-, and N-(2-
morpholinoethyl)-2-indanamines were prepd. Several com-pds. showed an
anti-inflammatory effect comparable to that of mefenamic acid.
IT **36851-14-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 36851-14-6 CAPLUS
CN 1H-Inden-2-amine, 2,3-dihydro-N-(2-phenylethyl)-, hydrobromide (9CI) (CA
INDEX NAME)



● HBr

10/009,008

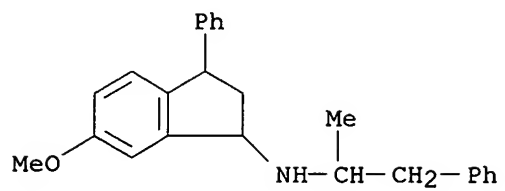
L4 ANSWER 282 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1972:54217 CAPLUS
DN 76:54217
TI Arylalkylamine derivatives. II. Structure and physiological action of some substituted arylalkylamines and their derivatives
AU Mndzhoyan, A. L.; Markaryan, E. A.; Aleksanyan, R. A.; Khorenyan, G. A.; Balayan, R. S.; Arustamyan, Zh. S.
CS Inst. Tonkoi Org. Khim. im. Mndzhoyana, Erevan, USSR
SO Armyanskii Khimicheskii Zhurnal (1971), 24(8), 703-13
CODEN: AYKZAN; ISSN: 0515-9628
DT Journal
LA Russian
AB The newly synthesized substituted arylalkylamines (e.g. N-(1-phenyl-2-propyl)-2-(3,4-dimethoxyphenyl)-2-phenylethylamine-HCl (I) [33986-41-3] and tetrahydroisoquinoline [91-21-4] derivs. (e.g. 1-(2,2-diphenylethyl)-1,2,3,4-tetrahydro-3-methylisoquinoline (II) [33986-42-4] showed high dilating effects on coronary vessels, whereas the effects of indan aminoderivs. were much weaker. The substituted arylalkylamines were synthesized by condensation of chloroanhydrides of substituted phenylacetic, diphenylpropionic and diphenylacetic acids with phenyl- and phenoxyisopropylamines followed by a redn. of the obtained amides. Cyclization of the amides followed by redn. yielded tetrahydroquinoline derivs.
IT 35352-23-9 35352-24-0 35400-58-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (blood vessel dilating activity of)
RN 35352-23-9 CAPLUS
CN 1H-Inden-1-amine, 2,3-dihydro-6-methyl-N-(1-methyl-2-phenylethyl)-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

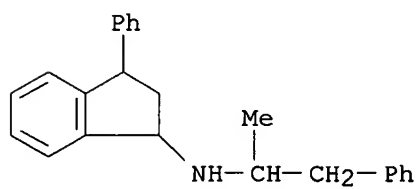
RN 35352-24-0 CAPLUS
CN 1H-Inden-1-amine, 2,3-dihydro-6-methoxy-N-(1-methyl-2-phenylethyl)-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

10/009,008



● HCl

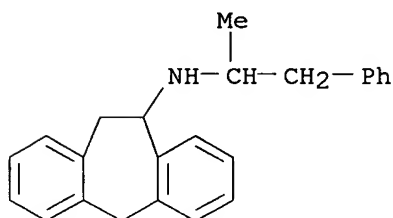
RN 35400-58-9 CAPLUS
CN 1H-Inden-1-amine, 2,3-dihydro-N-(1-methyl-2-phenylethyl)-3-phenyl-,
hydrochloride (9CI) (CA INDEX NAME)



● HCl

10/009,008

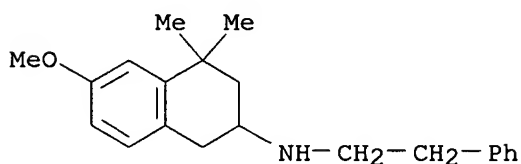
L4 ANSWER 283 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1971:99709 CAPLUS
DN 74:99709
TI Synthesis and pharmacological activity of N-substituted
10-amino-10,11-dihydro-5H-dibenzo[a,d]cycloheptenes and
-cyclohepten-11-ols
AU Lal, Bansi; Khanna, J. M.; McClure, D. A.; Anand, Nitya
CS Cent. Drug Res. Inst., Lucknow, India
SO Indian Journal of Chemistry (1970), 8(12), 1079-85
CODEN: IJOCAP; ISSN: 0019-5103
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Cis-10-Amino-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-11-ols (cis-I) were
prepd. by catalytic redn. of oximino ketones and by catalytic or metal
hydride redn. of amino ketones. Epimerization of cis isomers with 3N HCl
gave the trans isomers. N-Substituted 10-amino-10,11-dihydro-5H-
dibenzo[a,d]cycloheptene was prepd. by reductive alkylation of
10-amino-10,11-dihydro-5H-dibenzo-[a,d]cycloheptene. Cis-I (R = H) shows
analgesic and anticonvulsant activity, and 10-
(isopropylamino)dibenzo[a,d]cycloheptene shows anorexic activity.
N-Substituted .omicron.-benzoylbenzamides were also prepd.
IT **31802-17-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 31802-17-2 CAPLUS
CN 5H-Dibenzo[a,d]cyclohepten-10-amine, 10,11-dihydro-N-(.alpha.-
methylphenethyl)-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

10/009,008

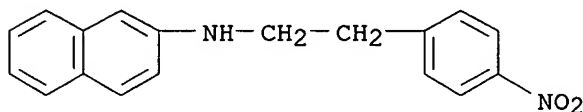
L4 ANSWER 284 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1971:74867 CAPLUS
DN 74:74867
TI New series of antiarrhythmic agents. The 2-aminotetralins
AU Johnson, William Everett; Graeff, D. M.; St. Dennis, C. D.; Martin,
Arnold
R.
CS Coll. Pharm., Washington State Univ., Pullman, WA, USA
SO Journal of Medicinal Chemistry (1971), 14(1), 60-2
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Of 16 2-aminotetralins (I) tested for the cardiac antiarrhythmic activity
in mice
N-methyl-N-phenylethyl-1,2,3,4-tetrahydro-6-methoxy-4,4-dimethyl-2-
naphthylamine, 1,2,3,4-tetrahydro-6-methoxy-4-methyl-4-phenyl-2-
naphthylamine, and 1,2,3,4-tetrahydro-6-methoxy-2,4,4-trimethyl-2-
naphthylamine were the potent antiarrhythmic agents without any toxic
effects, when compared to the effects of quinidine sulfate.
N-Butyl-1,2,3,4-tetrahydro-6-methoxy-4,4-dimethyl-2-naphthylamine and
N-phenylethyl-1,2,3,4-tetrahydro-6-methoxy-4,4-dimethyl-2-naphthylamine
antagonized the CHCl₃-induced arrhythmias, but caused ataxia,
convulsions,
and death. N-Methyl-1,2,3,4-tetrahydro-6-methoxy-4,4-dimethyl-2-
naphthylamine and 1,2,3,4-tetrahydro-7-methoxy-4,4-dimethyl-2-
naphthylamine did not exhibit any effects.
IT **23204-18-4**
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES
(Uses)
(antiarrhythmic activity of)
RN 23204-18-4 CAPLUS
CN 2-Naphthylamine, 1,2,3,4-tetrahydro-6-methoxy-4,4-dimethyl-N-phenethyl-,
hydrochloride (8CI) (CA INDEX NAME)



● HCl

10/009,008

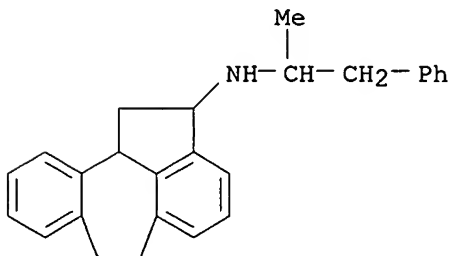
L4 ANSWER 285 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1971:8140 CAPLUS
DN 74:8140
TI Study of across-space intramolecular charge-transfer interaction by
fluorescence spectroscopy
AU Mutai, Kiyoshi
CS Coll. Gen. Educ., Univ. Tokyo, Tokyo, Japan
SO Journal of the Chemical Society [Section] D: Chemical Communications
(1970), (18), 1209-10
CODEN: CCJDAO; ISSN: 0577-6171
DT Journal
LA English
AB Fluorescence spectra due to an across-space intramol. charge-transfer
interaction between the p-nitrophenyl and anilino groups in the lower
homologs of p-O₂NC₆H₄(CH₂)_nNHAr, where Ar = 1-naphthyl, 2-naphthyl,
mesityl, p-anisyl, or Ph, and n = 1,2,3, were studied.
IT **28616-62-8**
RL: PRP (Properties)
(fluorescence of, intramol. charge-transfer bands in)
RN 28616-62-8 CAPLUS
CN 2-Naphthylamine, N-(p-nitrophenethyl)- (8CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 286 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1970:509585 CAPLUS
DN 73:109585
TI Antihypertensive and tranquilizing benzobenzazulenes
IN Frey, Albert J.; Galantay, Eugene E.
PA Sandoz-Wander, Inc.
SO U.S., 9 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3526665	A	19700901	US 1968-724654	19680207
	ES 334924	A1	19680301	ES 1966-334924	19661226
	US 3795709	A	19740305	US 1970-28975	19700415
PRAI	US 1964-378931		19640629		
	US 1965-516781		19651227		
	US 1966-606007		19661230		
	US 1968-724654		19680207		
GI	For diagram(s), see printed CA Issue.				
AB	The title compds. are 2-amino-1,2,6,7-tetrahydro-11bH-benzo[j]benz[c,d]azulenes useful as antihypertensives and as sedative-tranquilizers. The compds. are prepd. from suitable 10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ones or suitable 1,2-diphenylethanes, e.g., by conversion to the corresponding 1,2,6,7-tetrahydro-11bH-benzo[j]benz[c,d]azulen-2-one which is then converted to the corresponding title compd. The prepd. compds. include I with R = NMe ₂ , 4-methylpiperazino, PhCH ₂ CH ₂ NH, HOCH ₂ CH ₂ EtNH, or NH ₂ and R ₁ -R ₄ = H, with R = piperidino, R ₁ = Me, and R ₂ -R ₄ = H, with R = NMe ₂ , R ₁ = R ₂ = H, and R ₃ = R ₄ = OMe, with R = NH ₂ , R ₁ = R ₂ = H, R ₃ = OMe, and R ₄ =				
	OH, and with R = Et ₂ NCH ₂ CH ₂ NH, R ₁ = Me, R ₂ = Cl, and R ₃ = R ₄ = H.				
IT	5040-44-8P 25246-15-5P 25246-16-6P 25361-13-1P 29006-66-4P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	5040-44-8 CAPLUS				
CN	1H-Dibenz[cd,h]azulen-2-amine, 2,6,7,11b-tetrahydro-N-(.alpha.-methylphenethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)				

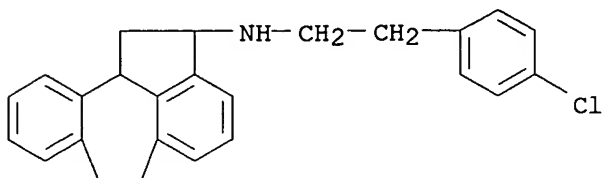


HCl

10/009,008

RN 25246-15-5 CAPLUS

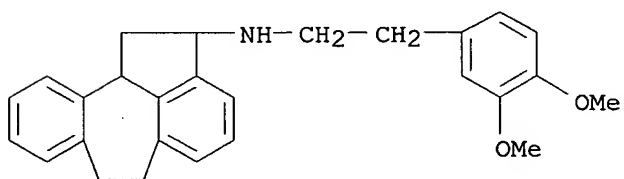
CN 1H-Dibenz[cd,h]azulen-2-amine,
N-(p-chlorophenethyl)-2,6,7,11b-tetrahydro-
, hydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 25246-16-6 CAPLUS

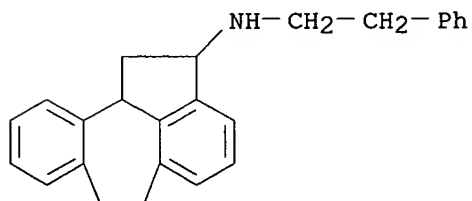
CN 1H-Dibenz[cd,h]azulen-2-amine, N-(3,4-dimethoxyphenethyl)-2,6,7,11b-
tetrahydro-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 25361-13-1 CAPLUS

CN 1H-Dibenz[cd,h]azulen-2-amine, 2,6,7,11b-tetrahydro-N-phenethyl-,
hydrochloride (8CI) (CA INDEX NAME)



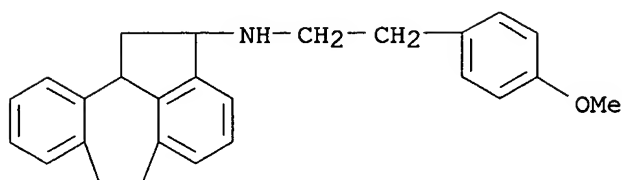
● HCl

RN 29006-66-4 CAPLUS

CN 1H-Dibenz[cd,h]azulen-2-amine,
2,6,7,11b-tetrahydro-N-(p-methoxyphenethyl)-

10/009,008

, hydrochloride (8CI) (CA INDEX NAME)



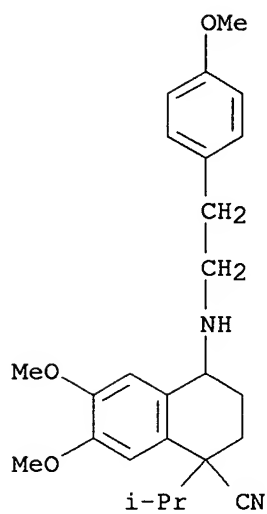
● HCl

10/009,008

L4 ANSWER 287 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1970:509582 CAPLUS
DN 73:109582
TI Aminobenzocycloalkylnitriles, having spasmolytic, blood
pressure-lowering,
and cardiac activity
IN Treiber, Hans J.; Zimmermann, Frank
PA Knoll A.-G. Chemische Fabriken
SO S. African, 28 pp.
CODEN: SFXAB
DT Patent
LA English
FAN.CNT 1

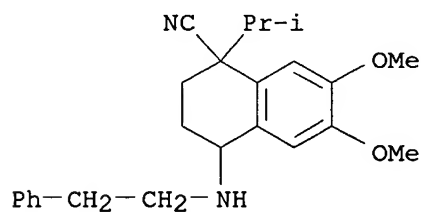
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	ZA 6906610		19700326		
PRAI	DE		19680925		
GI	For diagram(s), see printed CA Issue.				
AB	I were prepd. Thus, 29.3 g 1-cyano-1-isopropyl-4-chloro-6,7-dimethoxytetralin and 39.0 g N-methylhomoveratrylamine was heated to give N-methylhomoveratrylamine-HCl (m. 167.degree.) and 60% 1-cyano-1-isopropyl-4-[N-methyl-N-[.beta.-(3,4-dimethoxyphenyl)ethyl]amino]-6,7-dimethoxytetralin, (b. 0.001 240.degree.). Similarly the following I were prepd. (R1, R2, b.p./mm % yield, and m.p. hydrochloride given): H, (CH2)2C6H4OMe-p, 255.degree./0.001, 65, 137.degree.; Me, CHMeCH2Ph, 220.degree./0.001, 64, 128.degree.; Me, 3,4-(MeO)2C6H3CH2, 240.degree./0.001, 54, 140.degree.; Me, 3,4,5-(MeO)3C6H2(CH2)2, 260.degree./0.005, 49, 118.degree.; Me, (CH2)2C6H4 CF3-m, 210.degree./0.01, 62, 179-80.degree.. About 23 other examples are given, including 11 II.				
IT	29026-34-4P 29030-29-3P 29030-30-6P 29030-31-7P 29030-33-9P 29030-36-2P 29030-37-3P 29030-39-5P 29136-83-2P 29136-89-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	29026-34-4 CAPLUS				
CN	1-Naphthonitrile, 1,2,3,4-tetrahydro-1-isopropyl-6,7-dimethoxy-4-[(p-methoxyphenethyl)amino]- (8CI) (CA INDEX NAME)				

10/009,008



RN 29030-29-3 CAPLUS

CN 1-Naphthonitrile, 1,2,3,4-tetrahydro-1-isopropyl-6,7-dimethoxy-4-(phenethylamino)-, hydrochloride (8CI) (CA INDEX NAME)

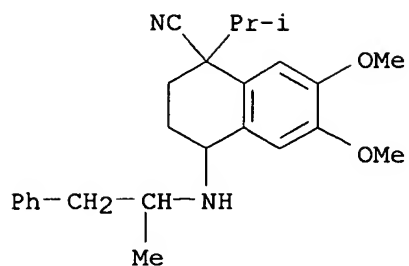


●x HCl

RN 29030-30-6 CAPLUS

CN 1-Naphthonitrile, 1,2,3,4-tetrahydro-1-isopropyl-6,7-dimethoxy-4-[(.alpha.-methylphenethyl)amino]-, hydrochloride (8CI) (CA INDEX NAME)

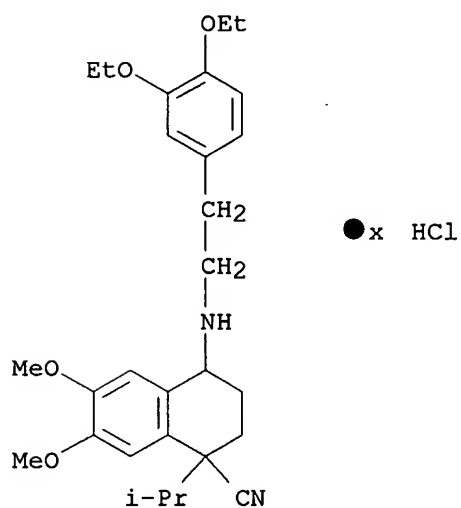
10/009,008



● x HCl

RN 29030-31-7 CAPLUS

CN 1-Naphthonitrile, 4-[(3,4-diethoxyphenethyl)amino]-1,2,3,4-tetrahydro-1-isopropyl-6,7-dimethoxy-, hydrochloride (8CI) (CA INDEX NAME)

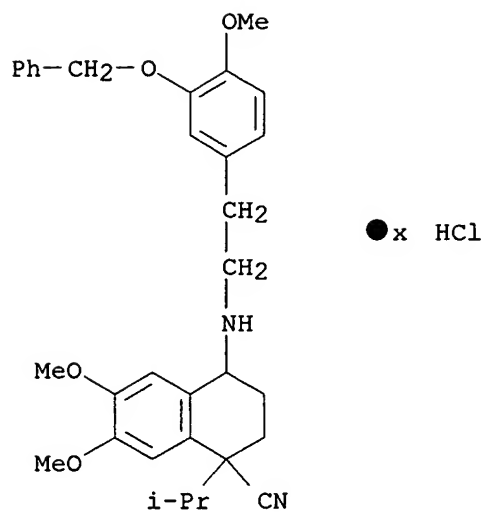


● x HCl

RN 29030-33-9 CAPLUS

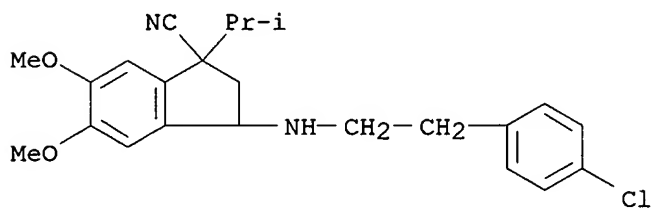
CN 1-Naphthonitrile, 4-[[3-(benzyloxy)-4-methoxyphenethyl]amino]-1,2,3,4-tetrahydro-1-isopropyl-6,7-dimethoxy-, hydrochloride (8CI) (CA INDEX NAME)

10/009,008



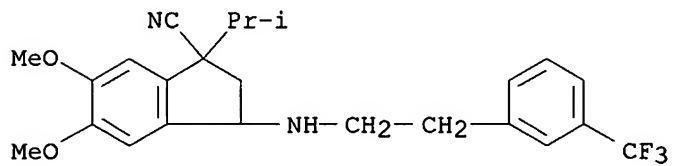
RN 29030-36-2 CAPLUS

CN 1-Indancarbonitrile, 3-[(p-chlorophenethyl)amino]-1-isopropyl-5,6-dimethoxy-, hydrochloride (8CI) (CA INDEX NAME)



RN 29030-37-3 CAPLUS

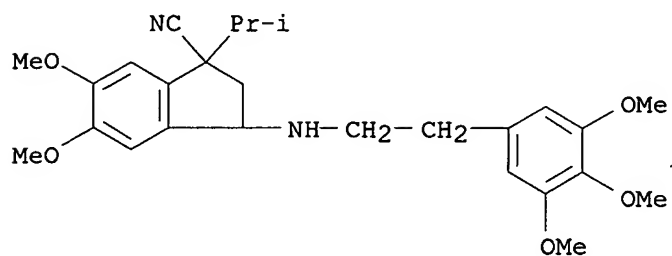
CN 1-Indancarbonitrile, 1-isopropyl-5,6-dimethoxy-3-[[m-(trifluoromethyl)phenethyl]amino]-, hydrochloride (8CI) (CA INDEX NAME)



RN 29030-39-5 CAPLUS

10/009,008

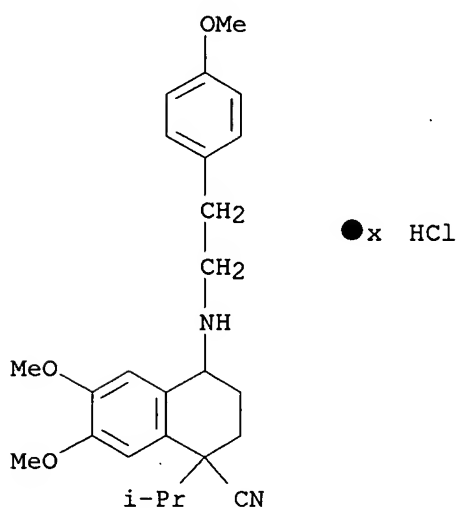
CN 1-Indancarbonitrile, 1-isopropyl-5,6-dimethoxy-3-[(3,4,5-trimethoxyphenethyl)amino]-, hydrochloride (8CI) (CA INDEX NAME)



●x HCl

RN 29136-83-2 CAPLUS

CN 1-Naphthonitrile, 1,2,3,4-tetrahydro-1-isopropyl-6,7-dimethoxy-4-[(p-methoxyphenethyl)amino]-, hydrochloride (8CI) (CA INDEX NAME)

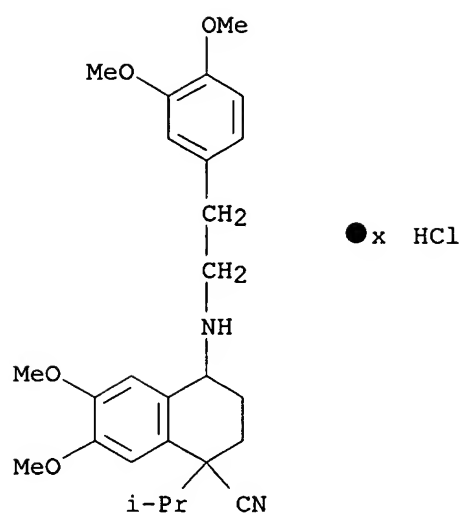


●x HCl

RN 29136-89-8 CAPLUS

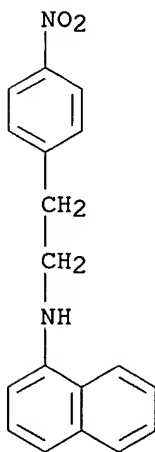
CN 1-Naphthonitrile, 4-[(3,4-dimethoxyphenethyl)amino]-1,2,3,4-tetrahydro-1-isopropyl-6,7-dimethoxy-, hydrochloride (8CI) (CA INDEX NAME)

10/009,008



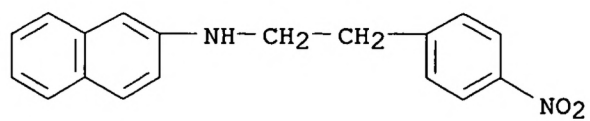
10/009,008

L4 ANSWER 288 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1970:403326 CAPLUS
DN 73:3326
TI Intramolecular charge-transfer interaction in N-[.omega.-(p-nitrophenyl)alkyl]arylamines
AU Oki, Michinori; Mutai, Kiyoshi
CS Fac. Sci., Univ. Tokyo, Tokyo, Japan
SO Tetrahedron (1970), 26(5), 1181-98
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
AB The occurrence of an intramol. charge-transfer interaction was confirmed by solvent effects and the change of .pi.-base strength in a series of compds., p-O₂NC₆H₄(CH₂)_nNHAr, where Ar groups are phenyl, 2,4-dimethylphenyl, mesityl, p-anisyl, .alpha.-naphthyl, and .beta.-naphthyl. When the Ar group is phenyl, the interaction includes the homologs n = 1-4. The procedures to obtain the charge transfer band by subtracting a reference spectrum were discussed, esp. with regard to the choice of reference compds. The change of .lambda.max. and .epsilon.max. with .pi.-base strength and n was discussed from the viewpoint of contact charge-transfer interaction. Throughout the series, mesityl and .beta.-naphthyl groups show abnormal behavior, which is explained by steric effects for the mesityl and by conformational effects for the .beta.-naphthyl groups.
IT 899-85-4 28616-62-8
RL: PRP (Properties)
(spectrum of, uv, charge-transfer band in)
RN 899-85-4 CAPLUS
CN 1-Naphthalenamine, N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



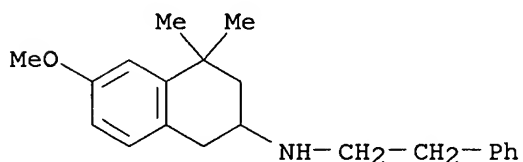
RN 28616-62-8 CAPLUS
CN 2-Naphthylamine, N-(p-nitrophenethyl)- (8CI) (CA INDEX NAME)

10/009,008



10/009,008

L4 ANSWER 289 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1969:430267 CAPLUS
DN 71:30267
TI Substituted tetralins. I. Synthesis and analgesic activities of some
2-aminotetralins
AU Martin, Arnold R.; Parulkar, Anilkumar P.; Gusseck, David J.; Anderson,
LeRay J.; Grunewald, Gary L.; White, Allen Ingolf
CS Coll. of Pharm., Washington State Univ., Pullman, WA, USA
SO Journal of Pharmaceutical Sciences (1969), 58(3), 340-7
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The synthesis of 9 4,4-dimethyl-2-aminotetralins is described and their
analgesic potencies are reported. One of the series,
N,N-dimethyl-4,4-dimethyl-2-naphthylamine (I), has an analgesic potency
.apprx.2.5 times that of codeine or meperidine.
IT **23204-18-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23204-18-4 CAPLUS
CN 2-Naphthylamine, 1,2,3,4-tetrahydro-6-methoxy-4,4-dimethyl-N-phenethyl-,
hydrochloride (8CI) (CA INDEX NAME)



● HCl

10/009,008

L4 ANSWER 290 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1969:3606 CAPLUS

DN 70:3606

TI .beta.-Adrenergic blocking agents. I. Pronethalol and related N-alkyl and N-aralkyl derivatives of 2-amino-1-(2-naphthyl)ethanol

AU Howe, Ralph; Crowther, A. F.; Stephenson, J. S.; Rao, B. S.; Smith, L. H.

CS Pharm. Div., Imp. Chem. Ind. Ltd., Macclesfield, UK

SO Journal of Medicinal Chemistry (1968), 11(5), 1000-8

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB A series of 76 N-substituted derivs. of 2-amino-1-(2-naphthyl)-ethanol has

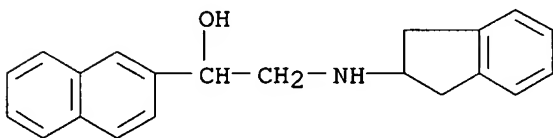
been prepd. by a variety of methods. One member of the series, pronethalol, was of some interest clinically as a .beta.-adrenergic blocking agent but causes thymic tumors after prolonged administration to mice. Structure-activity relationships in this series of .beta.-adrenergic blocking agents resemble those previously reported for the isoproterenol series of .beta.-mimetic agents.

IT 20862-10-6P 20869-86-7P 20869-87-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 20862-10-6 CAPLUS

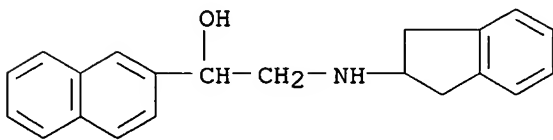
CN 2-Naphthalenemethanol, .alpha.-[(2-indanylamino)methyl]-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 20869-86-7 CAPLUS

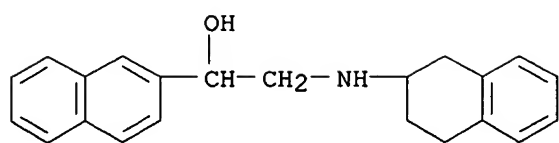
CN 2-Naphthalenemethanol, .alpha.-[(2-indanylamino)methyl]- (8CI) (CA INDEX NAME)



RN 20869-87-8 CAPLUS

CN 2-Naphthalenemethanol, .alpha.-[[1,2,3,4-tetrahydro-2-naphthyl]amino]methyl]-, hydrochloride (8CI) (CA INDEX NAME)

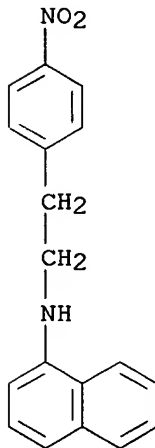
10/009,008



● HCl

10/009,008

L4 ANSWER 291 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1968:402385 CAPLUS
DN 69:2385
TI The intramolecular interaction between the N-H group in .pi.-electrons.
V. The intramolecular charge-transfer interaction in N-[.omega.-(p-
nitrophenyl)alkyl]anilines
AU Oki, Michinori; Mutai, Kiyoshi
CS Univ. Tokyo, Tokyo, Japan
SO Tetrahedron Letters (1968), (16), 2019-23
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Charge-transfer (CT) complex formation among I and II is studied.
Subtraction bands [λ_{EtOHmax} in m. μ . (ϵ_{max})] are given
for the following I (n = 1, 2, and 3) and the following II (n and Ar
given): 1, 2,4-Me₂C₆H₃; 2, 2,4-Me₂C₆H₃; 1, p-MeOC₆H₄; 2, p-MeOC₆H₄; 1,
1-Cl₁₀H₇; 2, 1-Cl₁₀H₇. The wavelength of the CT band of II (n = 1) is
always longer than that of the II (n = 2) for all Ar groups. The
presence
and absence of CT bands in HOAc, which replaces the EtOH, is discussed.
IT 899-85-4
RL: PRP (Properties)
(spectrum (uv) of)
RN 899-85-4 CAPLUS
CN 1-Naphthalenamine, N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 292 OF 323 CAPLUS COPYRIGHT 2003 ACS
 AN 1968:114258 CAPLUS
 DN 68:114258
 TI Phenylsulfonylureas
 IN Aumuellner, Walter; Weber, Helmut; Weyer, Rudi; Muth, Karl
 PA Farbwerke Hoechst A.-G.
 SO Ger., 9 pp.
 CODEN: GWXXAW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1252201		19671019	DE	19660528

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) lower blood-sugar level with long duration and good tolerance. To a suspension of 5.7 g. N - [4 - [.beta. - (2 - methoxy - 5 - chlorobenzamido)ethyl]phenylsulfonyl]methylurethane, m. 189-91.degree., in 100 ml. dioxane, 1.7 g. 3,4-dimethylcyclohexylamine (b6 47.degree.; acetate m. 114-15.degree.) was added, the mixt. heated to 110.degree. for 1.5 hrs., and the formed MeOH distd. After addn. of a small amt. H2O Ia (R = R3 = H, R1 = 3,4-Me2, R2 = MeO, R4 = Cl, n = 2), (II), m. 170-1.degree. (MeOH), pptd. Similarly, the Ia (R = R4 = H, n = 2) listed in the 1st table were prepd. Also prepd. was Ib (R = R3 = H, R1 = 4,4-Me2, R2 = EtO, n = 2), m. 181-3.degree., and I (X = 3,4-tetramethylene-2-thienyl, R = H, R1 = 4,4-Me2, n = 2), m. 186-7.degree.. [TABLE OMITTED] Ia (R = R3 = R4 = R5 = H, R1 = 4,4-Et2, R2 = MeO, n = 2), m. 186-7.degree., was also prepd. by dropwise addn. of 3.3 g. 4,4-diethylcyclohexyl isocyanate, b11 108-10.degree., [prepd. from 4,4-diethylcyclohexylamine, b11 95-7.degree. (HCl salt m. 243.degree.), and COCl2], to 6 g.

4-[.beta.-(2-methoxybenzamido)ethyl]benzenesulfonamide, m. 178-80.degree., in 9 ml. 2N NaOH and 40 ml. acetone at 0-5.degree. with stirring. After 2-3 hrs. stirring at room temp. the mixt. was dild. with MeOH-H2O and the filtrate acidified (dil. HCl). Similarly, the Ia

(R = H, n = 2) listed in the 2nd table were obtained. Also prepd. was Ia (R = R3 = Me, R1 = 4,4-Et2, R2 = R4 = R5 = H, n = 2), m. 141-2.degree.; Ia

(R = R3 = R4 = R5 = H, R1 = 4,4-Me2, R2 = MeO, n = 1), m. 210-11.degree., and

the following Ib (R = R3 = H, n = 2) (R2, R1, m.p., and m.p. starting sulfonamide given): MeO, 2,4-Me2, 175-6.degree. (MeOH), 201-3.degree.; EtO, 2,4-Me2, 168-9.degree. (MeOH), 177-9.degree.; BzO, 4,4-Me2, 181-2.degree. (MeOH-HCONMe2), 196-8.degree.. [TABLE OMITTED] Refluxing

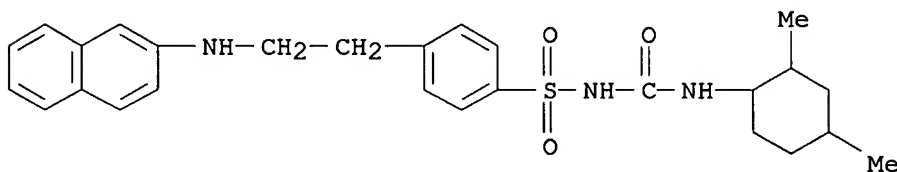
a suspension of 8.2 g. N-[4-[.beta.-(2-methoxy-5-chlorobenzamido)ethyl]phenylsulfonyl]urea, m. 171-3.degree., in 150 ml. dioxane and 3.75 g. 2,4-dimethylcyclohexylamine acetate for 1 hr. gave Ia (R2 = MeO, R5 = Cl, R = R3 = R4 = H, R1 = 2,4-Me2, n = 2) (VII), m. 200-1.degree. (MeOH-HCONMe2). III was also prepd. A) by refluxing 5.6 g. 4,4-dimethylcyclohexylparabanic acid, m. 182-3.degree. (obtained from 4,4-dimethylcyclohexylurea and oxalyl chloride), with 9.7 g. 2,5-(MeO)ClC6H3NHCH2CH2C6H4SO2Cl-4 and 2.5 g. Et3N in 100 ml. C6H6 for

1.5 hrs. and working up to give 1-[4-[.beta.-(2-methoxy-5-chlorobenzamido)ethyl]phenylsulfonyl] - 3 - (4,4 -

dimethylcyclohexyl)parabanic acid, m. 196-7.degree., which was sapond.;

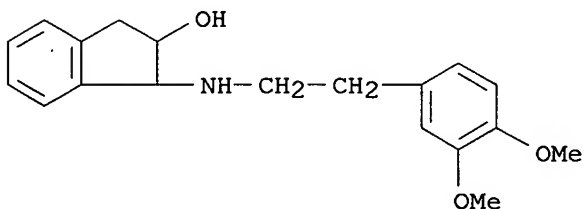
B) by conversion of the corresponding thiourea (VIII), 175-7.degree. (decompn.) [prepd. from 5,2-Cl(MeO)C6H3NHCH2CH2C6H4SO2NH2-4 and cyclohexyl isothiocyanate in dioxane-acetone in the presence of K2CO3], with NaNO2 + AcOH; C) by oxidn. of VIII with HgO in MeOH and dioxane at 60.degree. to the corresponding isourea Me ether, m. 149-51.degree. (dil. MeOH), which was hydrolyzed. The sintered cake of Na 4-(.beta.-benzamidoethyl)benzenesulfonamide and N-[4-(.beta.-benzamidoethyl)phenylsulfonyl]methylurethane was treated with 1% aq. NH3 and the filtrate acidified (HCl) to give N,N'-bis[4-(.beta.-benzamidoethyl)phenylsulfonyl] urea, m. 204.degree., which gave with 4,4-diethylcyclohexylamine I (X = Ph, R = H, R1 = 4,4-Et2, n = 2), m. 199-201.degree. (MeOH). A mixt. of 9 g. Na 4-[.gamma.-(2-methoxy-5-bromobenzamido)propyl]benzenesulfonamide and 16 g. N,N-diphenyl-N'-(4,4-dimethylcyclohexyl)urea in 30 ml. HCONMe2 was heated 7 hrs. at 100.degree. and worked up to give Ia (R2 = MeO, R5 = Br, R = R3 = R4 = H, R1 = 4,4-Me2, n = 3), m. 192.degree. (H2O-MeOH). Similarly prepd. were the following I (R = H) [X, n, R1, and m.p. (MeOH-H2O) given]: 2,5-(MeO)BrC6H3, 3, 2,4-Me2, 184.degree.; 2,5-(MeO)ClC6H3, 3, 4,4-Me2, 153.degree.; 2,5-(MeO)ClC6H3, 3, 2,4-Me2, 181.degree.; .beta.-naphthyl, 2, 2,4-Me2, 216.degree.; 5,6,7,8-tetrahydro-2-naphthyl, 2, 2,4-Me2, 167.degree.. IV (10 mg./kg. rabbit) showed a blood sugar drop (detd. with the Hagedorn-Jensen method) of 45% after 3 hrs., 44% after 24 hrs. and 0 after 48 hrs., VII, 31% after 3 hrs. which increased to 39% after 24 hrs. while 25 mg./kg. N-(4-methylphenylsulfonyl)-N'-butylurea (IX) had no effect anymore. Doses of 0.02 mg./kg. VI, 0.01 mg./kg. II, and 0.008 mg./kg. V were still effective. The toxicities of I are comparable with LD50 p.o. of IX: 2.5-4.8 g./kg.

IT **18188-44-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 18188-44-8 CAPLUS
 CN Urea,
 1-(2,4-dimethylcyclohexyl)-3-[[p-[2-(2-naphthylamino)ethyl]phenyl]sulfonyl]- (8CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 293 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1968:104922 CAPLUS
DN 68:104922
TI Phenylalkylamines
AU Sam, Joseph
CS Bristol Lab., Syracuse, NY, USA
SO Journal of Pharmaceutical Sciences (1967), 56(10), 1344-7
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
AB The syntheses of 1- and 2-aralkylpyridines, e.g. I, and piperidines, e.g. II, and phenylalkylamines are described. Preliminary pharmacol. evaluation did not indicate any pronounced biol. activity in these compds.
16 references.
IT **18097-16-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 18097-16-0 CAPLUS
CN 2-Indanol, 1-[3,4-dimethoxyphenethyl)amino]- (8CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 294 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1967:23940 CAPLUS

DN 66:23940

TI Effect of exomolecular interaction on color of mono- and dinitrophenylethylaminoaryls solutions

AU Tsekhanskii, R. S.

CS I. Ya. Yakovlev Chuvash Ped. Inst., Cheboksary, USSR

SO Zhurnal Fizicheskoi Khimii (1966), 40(10), 2619-22

CODEN: ZFKHA9; ISSN: 0044-4537

DT Journal

LA Russian

GI For diagram(s), see printed CA Issue.

AB Visible spectra of several nitroamines were measured in C₆H₆, (CH₂Cl)₂, or EtOH solns. in the concn. range 10⁻¹-10⁻⁵ mole/l. The I used were (R and R' given): NO₂, 1-naphthyl; H, 1-naphthyl; NO₂, Ph; H, Ph. N-(4-Nitrobenzyl)-1-naphthylamine and the following mixts. were also

used:

PhNO₂-1-naphthylamine and m-dinitrobenzene-1-naphthylamine. On the basis of spectral data existence of intramol. (interaction through external field) donor-acceptor complexes in I is suggested.

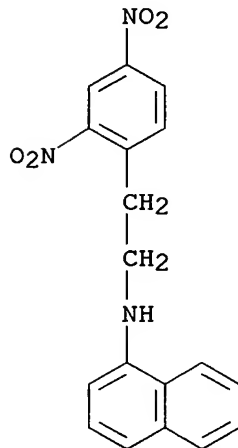
IT 855-90-3

RL: PRP (Properties)

(spectrum (visible) of, in benzene, dichloroethane and ethyl alc., exomol. interactions in relation to)

RN 855-90-3 CAPLUS

CN 1-Naphthylamine, N-(2,4-dinitrophenethyl)- (7CI, 8CI) (CA INDEX NAME)



IT 899-85-4

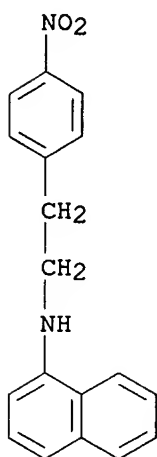
RL: PRP (Properties)

(spectrum (visible) of, in benzene, exomol. interactions in relation to)

RN 899-85-4 CAPLUS

CN 1-Naphthalenamine, N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

10/009,008



L4 ANSWER 295 OF 323 CAPLUS COPYRIGHT 2003 ACS
 AN 1967:18625 CAPLUS
 DN 66:18625
 TI Substituted 2-aminoindans
 PA Chemische Werke Albert
 SO Neth. Appl., 13 pp.
 CODEN: NAXXAN
 DT Patent
 LA Dutch
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 6601883		19660817		
PRAI	DE		19650216		

GI For diagram(s), see printed CA Issue.

AB The title compds. with general structure I have been prepd. in good yields

by different methods, as illustrated in the examples. 2-Aminoindan (II) (3.8 g.) was mixed with 5.06 g. Ph₂CHCHO to yield a Schiff base, which crystd. upon addn. of 10 cc. MeOH, was recrystd. from and dissolved in iso-PrOH and treated with H over Raney Ni 6.5 hrs. at 52 atm. and at room temp. After filtration of the catalyst, addn. of aq. HCl pptd. I (R1 = R2 = R3 = R4 = H, Ar1 = Ar2 = Ph, n = 0).HCl, m. 205-8.degree. (decompn.) (method A.) Similarly, the Schiff base from II and benzhydrylacetone was hydrogenated at 80 atm./80.degree. over Pd-C and the mixt. worked up to give I (R1 = R2 = R3 = H, R4 = Me, Ar1 = Ar2 = Ph, n = 1).HCl, m. 192-4.degree.. Condensation of p-chlorobenzhydryl chloride with ClHgCH₂CHO in presence of SnCl₄ gave .beta.(4-chlorophenyl)hydrocinnamaldehyde (III), b0.2 158-68.degree.. Reaction of II and III, followed by redn. with NaBH₄ and treatment with HCl-Et₂O yielded I (R1 = R2 = R3 = R4 = H, Ar1 = Ph, Ar2 = p-ClC₆H₄, n = 1).HCl (IV), m. 199-200.degree.. II (2 g.), 3.68 g. .gamma.-phenyl-.gamma.-(p-tolyl)propyl chloride, and 12 cc. EtOH was heated 6 hrs. in a Carius tube at 120.degree. to yield I (R1 = R2 = R3 = R4 = H, Ar1 = Ph, Ar2 = p-MeC₆H₄, n = 1).HCl (V), m. 217-19.degree. (method B). Similarly prepd. were I (R2 = Me, R1 = R3 = R4 = H, Ar1 = Ph, Ar2 = p-MeC₆H₄, n = 1).HCl, m. 224-7.degree., and I (R1 = 5,6-dimethoxy, R2 = R3 = R4 = H, Ar1 = Ph, Ar2 = p-MeC₆H₄, n = 1).HCl, m. 226-8.degree.. 2-Indanone (13.2 g.) and 21.1 g. Ph₂CHCH₂CH₂NH₂ were dissolved in 250 cc. MeOH and the soln. hydrogenated at 60.degree./80 atm. over Pd-C (10% by wt.) 5 hrs. and worked up to give I (R1 = R2 = R3 = R4 = H, Ar1 = Ar2 = Ph, n = 1).HCl (VI), m. 243-6.degree. (acid tartrate m. 200-3.degree.; acid malate m. 170-3.degree.) (method C). Similarly prepd. were I (R1 = R2 = R3 = R4 = H, Ar1 = Ar2 = p-MeOC₆H₄, n = 1).HCl, m. 187-9.degree., and I (R1 = R2 = R3 = R4 = H, Ar1 = Ar2 = Ph, n = 2).HCl, m. 182-3.degree.. N-Indan-2-yl-.beta.-mesitylhydrocinnamamide (3.3 g.) in 70 cc. dry tetrahydrofuran was reduced with 1 g. LiAlH₄ in 50 cc. tetrahydrofuran and

the mixt. refluxed 8 hrs. and worked up to give I (R1 = R2 = R3 = R4 = H, Ar1 = Ph, Ar2 = 2,4,6-Me₃C₆H₂, n = 1).HCl, m. 258-60.degree. (method D). By the same method was prepd. I (R1 = R2 = R3 = R4 = H, Ar1 = Ph, Ar2 = p-MeOC₆H₄, n = 1).HCl (VII), m. 191-3.degree.. Compds. with formula I were sometimes brominated in the aryl groups. Thus, to 2 g. VII, dissolved in 50 cc. AcOH was added with stirring 0.9 g. Br in 5 cc. AcOH, the stirring continued 2 hrs., AcOH distd. in vacuo under N, and the turbid residue poured into 10 cc. 30% NaOH and worked up to give I (R1 =

10/009,008

R2 = R3 = R4 = H, Ar1 = Ph, Ar2 = 3-Br-4-MeOC6H3, n = 1).HCl (VIII), m. 165-9.degree.. N-Methylated products were obtained from I by treatment with CH2O. In an example, 5.3 g. V in 12 cc. HCO2H and 6 cc. 30% CH2O

was

refluxed 7.5 hrs. on a boiling waterbath, the reaction mixt. cooled and treated with 8 cc. 20% HCl, and excess CH2O and HCO2H distd. in vacuo to give I (R1 = R2 = R4 = H, R3 = Me, Ar1 = Ph, Ar2 = p-MeC6H4, n = 1).HCl (IX), m. 171-4.degree.. IX was also obtained directly by methods B and

C.

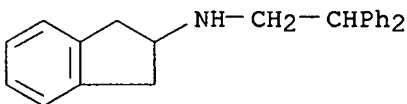
All m.ps. were detd. with products recrystd. from iso-PrOH. The prepd. title compds. show a pharmacol. activity on the coronary, comparable of that of N-(1-phenylisopropyl) - 3,3- diphenylpropylamine (X). Several of the compds. described possess a reduced toxicity, but an increased activity with respect to X. Pharmacol. data are given for some of them (identity, LD50 intravenous in mouse, in mg./kg., and activity in Langendorff heart tester %, with X as 100%, given): IV, 25-50, 159; V, 31.9, 110; VI, 24.9, 100; VIII, 25-50, 100; IX, 31.7, 132. LD50 for X is 14.5 mg./kg.

IT 13197-30-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 13197-30-3 CAPLUS

CN 2-Indanamine, N-(2,2-diphenylethyl)-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

10/009,008

L4 ANSWER 296 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1966:447511 CAPLUS

DN 65:47511

OREF 65:8837h,8838a-d

TI Synthesis of new naphthalene analogs of serotonin

AU Andrieux, J.; Anker, D.; Mentzer, C.

CS Lab. Chim. Museum Nat., Paris

SO Chim. Therap. (1966), (2), 57-61

DT Journal

LA French

GI For diagram(s), see printed CA Issue.

AB .alpha.-Substituted 7-methoxynaphthalene derivs. were prepd. to test their

effect on the central nervous system. p-MeOC₆H₄(CH₂)₃CO₂H (I) (Martin, CA

30, 67267) with SOCl₂ gives the I acid chloride which gives II on heating with AlCl₃ or on distillation. Alternatively, I with P₂O₅ also gives 85% 7-methoxy-.alpha.-tetralone (II). A Reformatskii reaction on II followed by dehydration with P₂O₅ for 1 hr. in boiling C₆H₆ gives Et 7-methoxy-1-tetralideneacetate (III). III with S gives Et 7-methoxy-.alpha.-naphthylacetate (IV). IV is sapond. to the corresponding acid (V). V and SOCl₂ gives the corresponding acid chloride

(VI). Thus, 13 cc. SOCl₂ in 100 cc. C₆H₆ is slowly added to 7 g. V in

150

cc. C₆H₆ at reflux temp.; the mixt. refluxed 2 hrs., and SOCl₂ and C₆H₆ distd. in vacuo. On cooling 7-methoxy-.alpha.-naphthylacetyl chloride (VI) solidifies. VI and amines (VII) give the corresponding amides (VIII). Thus, 0.11 mole VII in 50 cc. Et₂O at -5.degree. is slowly added to 0.05 mole VI in 200 cc. Et₂O at -5.degree. and kept 12 hrs. at 5.degree.. VII.HCl is filtered and the soln. washed with H₂O, dried, evapd. and VIII recrystd. from EtOH-H₂O in 70-90% yield. VIII is reduced with LiAlH₄. Thus, 2 g. LiAlH₄ is added to 1 g. VIII in 300 cc. Et₂O, refluxed 3 hrs., and excess LiAlH₄ destroyed. The soln. is filtered, dried and gaseous HCl added to ppt. 7-methoxy-.alpha.-naphthylalkylamine-HCl (IX). The following were reacted (VII, VIII m.p., and IX m.p.

given):

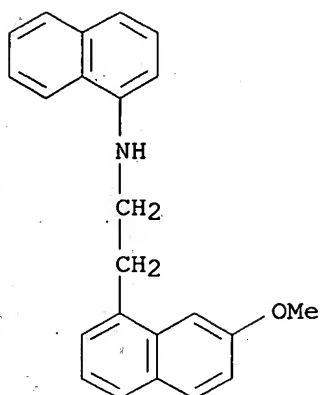
Me₂NH, 90.degree., 144-5.degree.; Et₂NH, 65-6.degree., 144.degree.; piperidine, 74-5.degree., 194-5.degree.; morpholine, 114.degree., 225-6.degree.; .alpha.-aminopyridine, 116.degree., 168.5-9.5.degree.; .alpha.-naphthylamine, 219-20.degree., 165.degree.; pyrrolidine, 86.5-87.degree., 186.degree.. VI gives esters with .beta.-tertiary aminoethanols. Thus, 0.11 mole of a soln. of R₂N(CH₂)₂OH (X) is slowly added to an Et₂O soln. of 0.05 mole VI at -5.degree.. After keeping 12 hrs. at room temp. the X.HCl is filtered and unreacted X is washed with H₂O; the Et₂O phase dried and dry HCl added. The hydrochloride of the ester (XI) is filtered. The following XI were prepd. (R and m.p given): Me, 132.degree.; Et, 137-8.degree..

IT **7012-10-4**, 1-Naphthaleneethylamine, 7-methoxy-N-1-naphthyl-, hydrochloride (prepn. of)

RN 7012-10-4 CAPLUS

CN 1-Naphthaleneethanamine, 7-methoxy-N-1-naphthalenyl-, hydrochloride (9CI) (CA INDEX NAME)

10/009,008

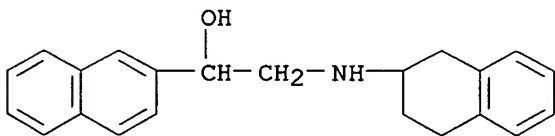


● HCl

10/009,008

L4 ANSWER 297 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1966:103968 CAPLUS
DN 64:103968
OREF 64:19518h,19519a-b
TI .beta.-Adrenergic blocking medicaments
PA Imperial Chemical Industries Ltd.
SO 19 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	FR M3564		19651102	FR	
PRAI	GB		19620117		
GI	For diagram(s), see printed CA Issue.				
AB	Compns. contg. compds. of the general formula I have .beta.-adrenergic blocking activity and are useful in the treatment of coronary arterial disorders. The compns. may be in the form of tablets and capsules contg. 5-500 mg. I. The prepn. of compns. is described contg. I (R and NR'R'' given): H, EtNH; H, PrNH; H, cyclohexylamino; Me, NH ₂ ; H, PhCH ₂ CH ₂ NH; H, BuNH; H, iso-PrNH; H, iso-Pr ₂ N (II); H, piperidino; H, Me ₂ N. To a stirred soln. of 10 parts 2-bromoacetylnaphthalene in 10 parts MeOH was rapidly added 3 parts NaBH ₄ at <25.degree. and, after 30 min. at 20.degree., pouring into ice and extg. with Et ₂ O gave crude 1-(2-naphthyl)-2-bromoethanol (III). Heating 6.3 parts III and 8 parts iso-Pr ₂ NH in 16 parts EtOH under reflux 16 hrs. gave after evapn., conversion to the hydrochloride, and chromatography of the base on Al ₂ O ₃ , II.HCl, m. 160-1.degree. (MeOH-AcOEt).				
IT	6047-53-6 , 2-Naphthalenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-2-naphthyl)amino]methyl]- (prepn. of)				
RN	6047-53-6 CAPLUS				
CN	2-Naphthalenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-2-naphthyl)amino]methyl]- (7CI, 8CI) (CA INDEX NAME)				



L4 ANSWER 298 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1966:59707 CAPLUS
DN 64:59707
OREF 64:11141h,11142a-h,11143a-c
TI Experiments in the 5H-dibenzo[a,d]cycloheptene series. II. Synthesis of
some esters and piperazine derivatives of 5H-dibenzo[a,d]cycloheptene
AU van der Stelt, C.; Haasjes, A.; Tersteeg, H. M.; Nauta, W. Th.
CS N. V. Koninklijke Pharm. Fabrieken
SO Rec. Trav. Chim. (1965), 84(11), 1466-77
DT Journal
LA Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 56, 7241d. The synthesis of several acids of the
5H-dibenzo[a,d]cycloheptene (I) series is described. 5H-
Dibenzo[a,d]cycloheptene-5-acetic acid chloride (II) treated with SnCl₄
yielded III which was converted by the reductive amination with MeNH₂,
Me₂NH, and PhCH₂CHMeNH₂ to the corresponding IV. Several esters of
aliphatic and heterocyclic amino-alcs. were prepd. from the I acids. The
acids were also converted to 4-substituted piperazines which were reduced
to the corresponding piperazine derivs. 5-Chloro-10,11-dihydro-5H-
dibenzo[a,d]cycloheptene (V) (55 g.) and 23 g. CuCN rapidly heated with
stirring to about 90.degree. (spontaneous temp. rise to about
150.degree.), cooled with stirring to about 80.degree., and dild. with
125 cc. C₆H₆ yielded 40 g. 5-CN analog (VI) of V, m. 86-7.degree. (ligroine).
VI (67.5 g.), 135 cc. H₂O, 135 cc. H₂SO₄ (d. 1.84) and 200 cc. AcOH
refluxed 24 hrs. yielded 85% 5-CO₂H analog (VII) of V, m. 220-2.degree.
(EtOH). 5-OH analog (VIII) (21 g.) of V in 105 cc. MeOH and 6 drops
concd. HCl refluxed 3 hrs. gave 21.5 g. 5-OMe analog (IX) of V, b0.001
138-40.degree.. IX (21.5 g.) in 500 cc. Et₂O and the alloy from 9.6 g. K
and 2.4 g. Na refluxed 20 hrs. with stirring under N, treated with solid
CO₂, and dild. with 60 cc. EtOH and 200 cc. H₂O yielded 9 g. VII, m.
220-2.degree. and 6.5 g. 10,11-dihydro-5H-dibenzo[a,d]cycloheptene (X),
m.
73-5.degree. (EtOH). 5-CH₂CHO deriv. (88 g.) of X in 900 cc. EtOH and
110.5 g. AgNO₃ in 110 cc. H₂O treated dropwise with stirring below
30.degree. with 90 g. KOH in 220 cc. H₂O and 870 cc. EtOH yielded 56 g.
10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylideneacetic acid (XI), m.
167-70.degree. (EtOH). XI (50 g.), 8 g. NaOH, and 250 cc. EtOH
hydrogenated at 3 atm. over Raney Ni yielded 80% 5-CH₂CO₂H deriv. (XII)
of
X, m. 159-61.degree. (AcOEt). VIII (73.5 g.), 42.5 g. NCCH₂CO₂H, and 17
g. ZnCl₂ in 90 cc. AcOH refluxed 8 hrs. with stirring, poured into H₂O,
and extd. with Et₂O, and the product refluxed 18 hrs. with 35 g. KOH, 17
cc. H₂O, and 70 cc. EtOH yielded 34 g. XII, m. 154-7.degree. (AcOEt). Mg
(6 g.), 40 g. CH₂(CO₂Et)₂, and 50 cc. abs. EtOH refluxed (the reaction
was
initiated by a few drops of CCl₄) until the Mg had dissolved and evapd.,
the residue evapd. with 25 cc. dioxane, treated with 100 cc. dry
tetrahydrofuran and 57.1 g. V in 200 cc. tetrahydrofuran, refluxed 4
hrs.,
and worked up, and the crude diethyl 10,11-dihydro-5H-
dibenzo[a,d]cycloheptene-5-malonate refluxed 10 hrs. with 50 g. KOH in 25
cc. H₂O and 100 cc. EtOH yielded 11 g. 5-EtO deriv.; the acidified aq.
layer gave 59 g. 5-ethoxy-10,11-dihydro-5H-dibenzo
[a,d]cycloheptenemalonic
acid (XIII), m. 186.degree. (decompn.) (AcOEt). XIII (55 g.) heated at

170.degree. until the CO₂ evolution ceased gave 35 g. XII, m. 157-61.degree.. V (6.9 g.) and 9.7 g. Cu deriv. of AcCH₂CO₂Et refluxed 6 hrs. with stirring in 80 cc. C₆H₆ gave 93% Et .alpha.-(10,11-dihydro-5H-dibenzo[a,d]cycloheptene-5-yl)acetoacetate (XIV), m. 79-80.degree. (petr. ether). XIV (9.7 g.) in 150 cc. EtOH and 150 g. 50% aq. NaOH refluxed 3 hrs. yielded 4.2 g. oily 5-acetonylidene deriv. of X, b₃ 155-60.degree., which with NH₂OH.HCl in C₅H₅N gave the oxime, m. 99-102.degree. (aq. MeOH); the aq. layer acidified yielded 54% XII. XIV (6.4 g.) in 100 cc. C₆H₆ refluxed 4 hrs. with 2.2 g. PhNHNH₂ gave 6.4 g. phenylhydrazone of XIV, m. 116-20.degree. (EtOH). XII (15.2 g.), 10.7 g. SOCl₂, and 150 cc. C₆H₆ refluxed 2 hrs. and evapd., the residue in 225 cc. refluxing C₆H₆ treated dropwise with 16.9 g. tropine in 40 cc. C₆H₆ and refluxed 3 hrs., and the oily product treated with (CO₂H)₂ in Et₂O gave 40% XV (R = 3.alpha.-tropanyl, X = C₂H₄, n = 1), (XVI), m. 221-2.degree.. Similarly were prepd. the XV listed in the table. 1-Methyl-piperazine (7 g.) in 50 cc. MePh contg. 10 g. K₂CO₃ refluxed 3 hrs. with 16.0 g. V in 75 cc. MePh yielded 17.5 g. 1-(10,11-dihydro-5H-dibenzo [a,d] cyclohepten-5-yl)-4-methylpiperazine (XIX), b₂ 198.degree., m. 107-9.degree. (ligroine); hydrogen maleate, m. 145-7.degree. (EtOH). R, X, n, Salt with, M.p. of salt, % yield; Me₂NCH₂CH₂, CH₂CH₂, 0, HCl, 212-14.degree., 76; Me₂NCHMeCH₂, CH₂CH₂, 0, (CO₂H)₂, 211-13.degree., 84; Et₂N(CH₂)₃, CH₂CH₂, 0, HCl (XVII), 145-7.degree., 55; 1-methyl-3-pyrrolidyl, CH₂CH₂, 0, maleic acid, 143-5.degree., 63; 1-methyl-4-piperidyl, CH₂CH₂, 0, maleic acid, 162-3.degree., 72; 3 .alpha.-tropanyl, CH₂CH₂, 0, HCl (XVIII), 272-5.degree., 75; , , , MeBr, 288-93.degree., 90; 3.beta.-tropanyl, CH₂CH₂, 0, maleic acid, 175-7.degree., 79; 3-quinuclidinyl, CH₂CH₂, 0, free base, 102-4.degree., 50; iso-Am, CH₂CH₂, 0, free base, (b₀.2 160.degree.), 70; Me₂NCH₂CH₂, CH:CH, 0, HCl, 206-8.degree., 75; 3.alpha.-tropanyl, CH:CH, 0, HCl, 289-92.degree., 60; 3-quinuclid-inyl, CH:CH, 0, free base, 150-2.degree., 60; 3-quinuclidinyl, CH₂CH₂, 1, HCl, 222-5.degree., 65; Me₂NCH₂CH₂, CH:CH, 1, HCl, 170-1.5.degree., 88; Et₂N(CH₂)₃, CH:CH, 1, (CO₂H)₂, 147-8.degree., 80; 1-methyl-4-piperidyl, CH:CH, 1, HCl, 192.5-4.5.degree., 70; 3.alpha.-tropanyl, CH:CH, 1, HCl, 237-9.degree., 20; Phenylpiperazine (6.5 g.) and 4.5 g. V heated 0.5 hr. at about 140.degree. yielded 55% 4-Ph analog of XIX, m. 178-82.degree. (C₆H₆-MeOH). Similarly was prepd. the 4-PhCH₂ analog of XIX, 55%, m. 120-1.degree.. VII (23.8 g.), 17.8 g. SOCl₂, and 120 cc. C₆H₆ refluxed 3 hrs. and evapd., the residue dissolved in 75 cc. C₆H₆ and added dropwise to 10 g. 1-methylpiperazine, 75 cc. C₆H₆ and 28 cc. C₅H₅N, the mixt.

dild.

after 1 hr. with H₂O, and the crude product treated in dry Et₂O with alc. HCl yielded 55% XX.HCl (X = CH₂CH₂, Y = CO) (XXI.HCl), m. 278-80.degree. (EtOH). Similarly were prepd. XX (X = CH₂CH₂, Y = CH₂CO), 50%, isolated as the maleate, m. 173-4.degree., and XX (X = CH:CH, Y = CO), 55%, isolated as the maleate, m. 194-6.degree.. The mother liquor from XXII yielded XXIII, m. 152-3.degree., b. 100-40.degree.. XXI (10.7 g.) in 250 cc. Et₂O added dropwise to 1.1 g. LiAlH₄ in 100 cc. Et₂O and refluxed 3 hrs., and the product treated with HCl-Et₂O gave 55% XX.2HCl (X = CH₂CH₂, Y = CH₂), m. about 265.degree.. Similarly were prepd. the XX listed in

the

2nd table. II from 13.2g. XII in 100cc. CS₂ added at -5.degree. to 9g. AlCl₃ in 200 cc. CS₂ and stirred a-5.degree. and then 2 hrs. at room temp. yielded 6.9 g. III, m. 213-15.degree. (CHCl₃-petr. ether) II in PhNO₂ treated at room temp. with SnCl₄ yielded 67% III. III (4.7 g.) and 6.2 g. X, Y, Salt, M.p. of salt, % yield; CH₂CH₂, CH₂CH₂, dihydrochloride, 280.degree., 55; CH:CH, CH₂, dimaleate, 189-91.degree., 60; CH:CH, CH₂CO,

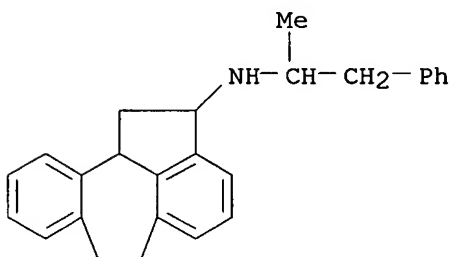
10/009,008

free base, 123-4.degree., 33; CH:CH, CH₂CH₂, free base, 59-60.degree., 85; , , dihydrochloride, 257-62.degree., , ; MeNH₂ in 250 cc. BuOH hydrogenated 5 hrs. at 100.degree./50 atm. over 2 g. Raney Ni, and the crude product treated with HCl-Et₂O gave IV (R = H, R' = Me). Similarly was prepd. IV (R= R' = Me), 26%, isolated as the maleate, m. 180-2.degree.. III (11.4 g.) and 6.6 g. PhCH₂CHMeNH₂ in 125 cc. dry xylene refluxed with the azeotropic removal of H₂O, the crude product treated in 250 cc. EtOH below 30.degree. with 2.5 g. NaBH₄, kept 0.5 hr. at room temp., refluxed 0.5 hr., and evapd., and the residue shaken in Et₂O with dil. HCl gave 40% IV (R = H, R' = PhCH₂CHMe), m. 281.degree. (decompn.).

IT 5040-44-8, 1H-Dibenz[cd,h]azulen-2-amine, 2,6,7,11b-tetrahydro-N-(.alpha.-methylphenethyl)-, hydrochloride (prepn. of)

RN 5040-44-8 CAPLUS

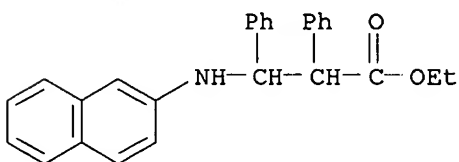
CN 1H-Dibenz[cd,h]azulen-2-amine, 2,6,7,11b-tetrahydro-N-(.alpha.-methylphenethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

10/009,008

L4 ANSWER 299 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1965:462840 CAPLUS
DN 63:62840
OREF 63:11469d-f
TI Reduction of 1,3,4-triarylated 2-azetidinones
AU Spasov, Al.; Panaiotova, B.
SO Zh. Organ. Khim. (1965), 1(6), 1099-1102
DT Journal
LA Russian
GI For diagram(s), see printed CA Issue.
AB 1,3,4-Triphenyl-2-azetidinone (I) hydrogenated in EtOH over Raney Ni at normal temp. and pressure to 90% PhCH₂CHPhCONHPh, m. 169.degree.; 1-.beta.-naphthyl-3,4-diphenyl analog (II) failed to react, but 1-o-anisyl-3,4-diphenyl analog gave N-2,3-diphenylpropionyl-o-anisidine, m. 89-91.degree.. No hydrogenation resulted over Pd-C in EtOH. I heated with NaBH₄ in EtOH 3 hrs. gave a mixt. m. 101-16.degree.; kept overnight this gave a low yield of erythro form of EtO₂CCHPhCHPhNHPh, m. 138-40.degree.; kept in the cold 24 hrs. in EtOH, this gave the threo form, m. 127.degree.. II and NaBH₄ gave a mixt. m. about 165.degree., which with dry HCl gave unstable 2-ClO₂H₇NHCHPhCHPhCO₂Et.HCl which in EtOH gave the free base, m. 172-5.degree.. Clemmensen redn. of I gave no evidence of reaction even in 12 hrs.
IT **2887-83-4**, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-, ethyl ester (prepn. of)
RN 2887-83-4 CAPLUS
CN Benzenepropanoic acid, .beta.-(2-naphthalenylamino)-.alpha.-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 300 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1965:462666 CAPLUS

DN 63:62666

OREF 63:11415f-h

TI Reaction of 1,3,4-triarylated .beta.-lactams with alcohols in the presence

of sodium alcoholates

AU Spasov, Al.; Panaiotova, B.

CS Med. Inst., Sofia, Bulg.

SO Zh. Organ. Khim. (1965), 1(6), 1071-4

DT Journal

LA Russian

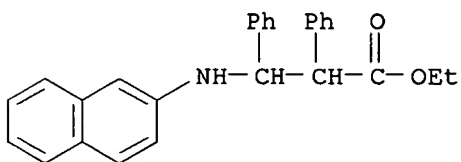
AB Treatment of .beta.-lactams with alcs. (or reverse order of mixing) in the

presence of Na, to form the appropriate RONA in situ, 1-2 days at room temp. gave after as aq. treatment the following RNHCHPhCHPhCO₂R' (R, R', and m.p. shown): Ph, Me, 171-2.degree.; Ph, Et (I), 139-41.degree.; Ph, Pr, 139-40.degree.; Ph, iso-Pr, 147-9.degree.; Ph, Bu, 148.degree.; Ph, Me₃C, 177-9.degree.; Ph, PhCH₂, 154.degree.; 2-ClO₂H₇, Et, 178.degree.; o-MeOC₆H₄, Et, 169-71.degree.. With 5:1 ratio of Na-lactam only 1 diastereomeric form was isolated; with 1:2 ratio, the reaction gave 2 diastereomeric forms; with 1:4 ratio, no reaction took place. I was identical with the ester formed from PhCH:NPh and Et phenylacetate in the presence of AlCl₃, which is the erythro form. The same is probably true of the other esters above.

IT 2887-83-4, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-, ethyl ester (prepn. of)

RN 2887-83-4 CAPLUS

CN Benzenepropanoic acid, .beta.-(2-naphthalenylamino)-.alpha.-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 301 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1965:50932 CAPLUS

DN 62:50932

OREF 62:8972e-g

TI The effect of replacement of the benzene ring by naphthalene or anthracene. I. Absorption spectra of mono- and dinitrophenylethyl-.alpha.-naphthylamine

AU Izmail'skii, V. A.; Fedorov, Yu. A.

SO Zh. Obshch. Khim. (1964), 34(12), 3872-7

DT Journal

LA Russian

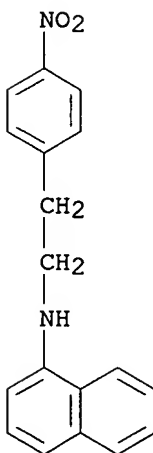
AB Absorption spectra of 1-C10H7NHCH2CH2C6H4NO2-p (I), its 2,4-dinitro analog

(II) and of their Ph analogs were reported. I, m. 110.5-11.degree., and II, m. 187-8.degree., were prepd. from 1-C10H7NH2 and the appropriate chlorides in EtOH. The spectra were found to belong to the category of colored substances with isolated chromophores. The naphthyl members displayed a greater shift of the longer wavelength band than was the case for their Ph analogs. The spectra of I and II showed a considerable bathochromic shift in comparison with the spectra of the individual component chromophores, this effect being greater than a simple additive one. No explanation for this is provided. I showed chromisomerism: when first crystd. from EtOH it formed red needles, m. 106-9.degree., but recrystd. from MeOH it gave orange needles, m. 110.5-11.degree., the same m.p. being observed from product recrystd. from EtOH in the form of red needles.

IT **899-85-4**, 1-Naphthylamine, N-(p-nitrophenethyl)-
(spectrum of)

RN 899-85-4 CAPLUS

CN 1-Naphthalenamine, N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 302 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1965:14972 CAPLUS

DN 62:14972

OREF 62:2691d-e

TI Effect of replacement of the benzene ring by anthracene in compounds with isolated chromophore systems

AU Izamil'skii, V. A.; Fedorov, Yu. A.

CS V. I. Lenin Pedagog. Inst., Moscow

SO Zh. Vses. Khim. Obshchestva im. D. I. Mendeleeva (1964), 9(5), 595-7

DT Journal

LA Russian

AB Spectra were reported for 1-aminoanthracene contg. p-nitro (I) or .omicron.,p-dinitrophenylethyl (II) group at the N atom. These were compared to the spectra of similar benzene compds. and artificial mixts. of the nitro and amino components. It was shown that despite the unfavorable structure for transmission of electronic effects between the polar groups, such amines do display evidence of group interaction as evidenced by displacement of the spectral max.; I, m. 154-5.degree.; II, m. 205-6.degree. (thin red fibers from C6H6, or black crystals from

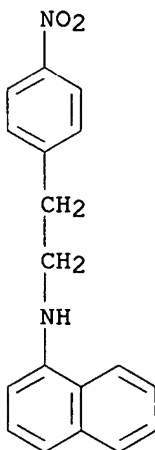
BuOH).

I and II were prepd. from aminoanthracene and appropriate halides in EtOH.

IT **899-85-4**, 1-Naphthylamine, N-(p-nitrophenethyl)- **907-67-5**
, 1-Anthramine, N-(p-nitrophenethyl)- **911-64-8**, 1-Anthramine,
N-(2,4-dinitrophenethyl)-
(spectrum of)

RN 899-85-4 CAPLUS

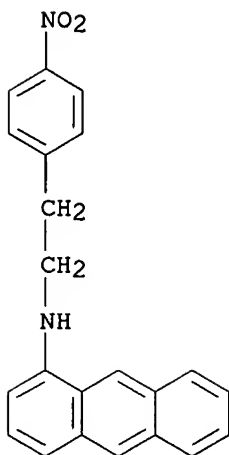
CN 1-Naphthalenamine, N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 907-67-5 CAPLUS

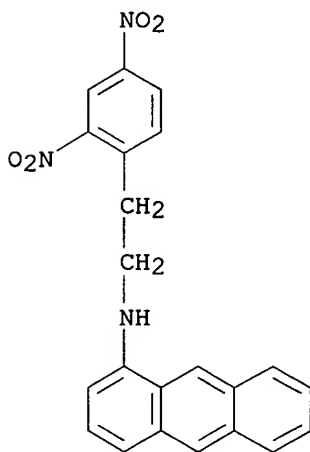
CN 1-Anthramine, N-(p-nitrophenethyl)- (7CI, 8CI) (CA INDEX NAME)

10/009,008



RN 911-64-8 CAPLUS

CN 1-Anthramine, N-(2,4-dinitrophenethyl)- (7CI, 8CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 303 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1964:439964 CAPLUS

DN 61:39964

OREF 61:6895a-b

TI Effect of substitution of the benzene ring by the naphthalene ring in compounds with isolated chromophores

AU Izmail'skii, V. A.; Fedorov, Yu. A.

CS V. I. Lenin State Ped. Inst., Moscow

SO Zh. Vses. Khim. Obshchestva im. D. I. Mendeleeva (1964), 9(3), 359-60

DT Journal

LA Unavailable

AB Absorption spectra were taken for $\text{PhNHCH}_2\text{CH}_2\text{C}_6\text{H}_4\text{NO}_2$ -p, mixed p-MeC₆H₄NO₂ and PhNHMe, 2,4-(O₂N)₂C₆H₃CH₂CH₂NHPh, mixed 2,4-(O₂N)₂C₆H₃Me and PhNHMe, 1-C₁₀H₇NHCH₂CH₂C₆H₄NO₂-p (I), mixed p-MeC₆H₄NO₂ and 1-C₁₀H₇NH₂, and 1-C₁₀H₇NHCH₂CH₂C₆H₃(NO₂)₂-2,4 (II), with (CH₂Cl)₂ or EtOH as solvents. The longwave bands of the mononitro compds. shown above were found to be displaced bathochromically in comparison with calcd. values by 40-60 m.mu.. The effect in the naphthyl deriv. was somewhat greater than for

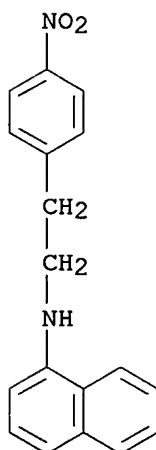
Ph
derivs. I showed chromoisomerism: from MeOH it gave orange needles, while

from EtOH it formed red needles; both forms m. 110.5-11.degree.. II, orange-red, m. 187-8.degree.. The substances were prepd. from amines and appropriate 2-haloethanes. The spectra are shown.

IT 899-85-4, 1-Naphthylamine, N-(p-nitrophenethyl)-
(spectrum of)

RN 899-85-4 CAPLUS

CN 1-Naphthalenamine, N-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 304 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1964:60720 CAPLUS

DN 60:60720

OREF 60:10621f-g

TI Naphthols

IN Gac, Robert; Zeppieri, Louis

PA Progil

SO 21 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1344298		19631129	FR	19620830
	GB 1038147			GB	

AB Tetralones and tetralols were heated at .apprx. their b.p. at 1-5 atm. in the presence of a dehydrogenation catalyst such as Ni, Cu, Fe, Co, Cr, or Pt on a CaO, MgO, CuO, SrO, or ZnO support to give the title compds.

(app.

pictured). Thus, 1 part CuO was mixed with 2 parts ZnO, cylindrical pellets (3 .times. 3 mm.) were prepd. from the mixt., and the pellets reduced in H at 100-275.degree. to give a catalyst contg. metallic Cu. The prepd. catalyst (1000 g.) was placed in a reactor at 200.degree.,

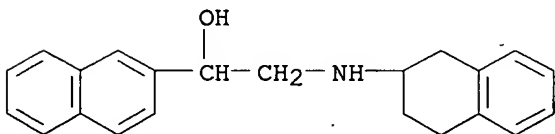
1700

g. tetralone preheated at 200.degree., and the tetralone passed over the catalyst bed at 10 m./hr. 10 hrs. to give a product contg. 22.1% .alpha.-naphthol and no tetrahydronaphthol.

IT 6047-53-6, 2-Naphthalenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-2-naphthyl)amino]methyl]-
(pharmaceutical contg.)

RN 6047-53-6 CAPLUS

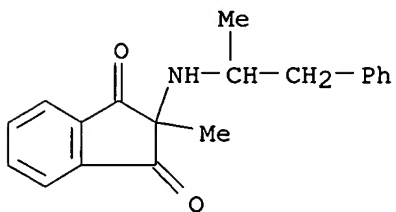
CN 2-Naphthalenemethanol, .alpha.-[[(1,2,3,4-tetrahydro-2-naphthyl)amino]methyl]- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 305 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1963:435408 CAPLUS
DN 59:35408
OREF 59:6321b-f
TI 2-Alkylamino-2-methyl-1,3-indandiones
AU Ozols, J.; Vanags, G.
SO Latvijas PSR Zinatnu Akad. Vestis, Kim. Ser. (1962), (No. 4), 529-33
DT Journal
LA Unavailable
GI For diagram(s), see printed CA Issue.
AB 2-Bromo-2-methyl-1,3-indandione (I) reacted with primary aliphatic amines in Et₂O or C₆H₆ at room temp. to give 2-alkylamino-2-methyl-1,3-indandiones (Ia). 2-Methylamino-2-methyl-1,3-indandione-HCl (II), decompd. 221-3.degree., was obtained in 2.8-g. yield by treating 5 g. I in 100 ml. dry C₆H₆ satd. with dry MeNH₂. MeNH₂.HBr was filtered off and the filtrate evapd. in vacuo. The residue was extd. with dry Et₂O and satd. with dry HCl to give II. A satd. H₂O soln. of II reacted with NH₃ to give a yellow amine (IIa), m. 96.degree.. The N-nitroso deriv., m. 110.degree. (alc.), was prepd. by reaction of II or IIa with HOAc and NaNO₂. The reaction mixt. was decompd. by H₂O to give a ppt. The picrate of II m. 194-5.degree. (alc.). 2-Ethylamino-2-methyl-1,3-indandione-HCl (III), decompd. 227-9.degree., was obtained in 52.3% yield in a manner similar to the prepn. of II. The free amine of III was prepd. as in IIa, m. 99.degree., yellow. The N-nitroso deriv. was produced as in II, m. 114.degree. (alc.). 2-Propylamino-2-methyl-1,3-indandione-HCl (IV), decompd. 230-1.degree., was obtained in 1.8-g. yield from 4 g. I in 60 ml. dry Et₂O and dropwise addn. of 2.7 ml. PrNH₂ in 40 ml. dry Et₂O. The isolation was similar to II. The free amine, yellow, m. 68.degree., and N-nitroso deriv. from ethanol, m. 100.degree., were prepd. analogously to II. 2-Butylamino-2-methyl-1,3-indandione-HCl (V), decompd. 216-18.degree., was obtained in 31.1% yield similar to the prepn. of IV. Small amts. of bis-(methyl-1,3-indandione), m. 203-5.degree., was isolated from Et₂O soln. The free amine of V, yellow, m. 55.degree. and N-nitroso deriv., m. 81.degree., were prepd. as before. 2-Amylamino-2-methyl-1,3-indandione-HCl (VI), decompd. 191-3.degree., 25% yield (yellow free amine m. 49.degree.; N-nitroso deriv. m. 67.degree.); and 2-benzylamino-2-methyl-1,3-indandione-HCl (VII), decompd. 206-8.degree., 50.2% yield (free amine m. 43.degree., N-acetyl deriv. m. 133.degree.), were prepd. as usual. 2-Cyclohexylamino-2-methyl-1,3-indandione-HCl (VIII), decompd. 212-14.degree., was prepd. in 40.7% yield from 4 g. I and 4 ml. cyclohexylamine in 120 ml. dry C₆H₆. Yellow free amine, m. 89.degree., and N-nitroso deriv., m. 171.degree., obtained in the usual manner. 2-.beta.-Phenylisopropylamino-2-methyl-1,3-indandione-HCl, decompd. 232-4.degree., was isolated in 32% yield; yellow free amine, m. 97-8.degree., and N-acetyl deriv., m. 129.degree., were prepd. in the usual manner.
IT 97355-58-3, 1,3-Indandione, 2-methyl-2-[(.alpha.-methylphenethyl)amino]-, hydrochloride 97355-59-4, 1,3-Indandione, 2-methyl-2-[(.alpha.-methylphenethyl)amino]-

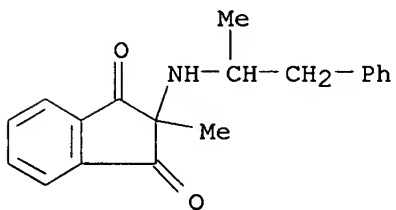
10/009,008

(prepn. of)
RN 97355-58-3 CAPLUS
CN 1,3-Indandione, 2-methyl-2-[(.alpha.-methylphenethyl)amino]-,
hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 97355-59-4 CAPLUS
CN 1,3-Indandione, 2-methyl-2-[(.alpha.-methylphenethyl)amino]- (7CI) (CA
INDEX NAME)



10/009,008

L4 ANSWER 306 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1962:442620 CAPLUS

DN 57:42620

OREF 57:8469c-h

TI Syntheses of 2-amino-1-(p-nitrophenyl)ethanols with potential pharmacological activity

AU Cignarella, Giorgio; Pifferi, Giorgio; Testa, Emilio

CS Lepetit S.p.A., Milan

SO Ann. Chim. (Rome) (1962), 52, 373-80

DT Journal

LA Unavailable

AB A series of derivs. of p-2NC6H4CH(OH)CH2NH2 was prepd. by 3 different routes and the phys. and chem. properties of the new compds, were studied.

p-Nitrophenyl morpholinomethyl ketone (5 g.), 4.1 g. (iso-PrO)3Al, and 50 cc. iso-PrOH slowly distd. during 0.5 hr., stirred 10 min., and evapd., and the residue dissolved in H2O, basified with cold, dil. aq. NaOH, and extd. with Et2O gave about 1 g. (crude) p-O2NC6H4CH(OH)CH2NRR' (I) (NRR'

=

morpholino) (II), m. 91-3.degree. (EtOH). p-O2NC6H4CH(OH)CH2Br (6.1 g.), 40 cc. abs. EtOH, and 2.6 g. powd. Na2CO3 treated with stirring with 3.55 g. 1- C10H7NH2, refluxed 6 hrs., cooled to room temp., filtered, and evapd., and the residue dissolved in dry C6H6, filtered, and concd. to about 15 cc. gave 1.5 g. I (NRR' = 2- C10H7NH), m. 128-30.degree. (C6H6). III (2.46 g.) and 2.14 g. MeNHPPh heated 3 hrs. at 105-10.degree. cooled

to

room temp., dild. with Et2O, filtered, and evapd., and the oily residue dissolved in H2O, treated with 10% HCl, filtered, and concd. gave 1.75 g. pale yellow I.HCl (NRR' = MePhN), m. 195-9.degree. which treated with aq. NaOH and extd. with Et2O gave the free base, m. 70-2.degree. (EtOH).

Similarly was prepd. I (NRR' = PhNH), m. 91-2.degree. (EtOH), 38%.

pNitrostyrene oxide (16.5 g.), 11.4 cc. morpholine, and 60 cc. dry C6H6 refluxed 4 hrs., concd. in vacuo, dild. with cold H2O, and filtered, and the residue suspended in 50 cc. H2O, acidified with dil. HCl to Congo

red,

and repptd. with 10% aq. NaOH yielded 10 g. II, m. 90-3.degree. (ligroine). II (3 g.) in 12 cc. 10% H2SO4 treated dropwise with stirring with the calcd, amt. Na2Cr2O7 in 10 cc. dil. H2SO4 and cooled gave 0.75

g.

p-O2NC6H4CO2H, m. 238-40.degree.; the mother liquor basified with dil. NH4OH and extd. with EtOAc gave 1 g. unchanged II. II (1.5 g.) in 30 cc. dil. HCl treated at room temp. with dry Cl pptd. 200 mg. p-O2NC6H4CHO, m. 1068.degree. the mother liquor gave unchanged II. II (5 g.) added slowly to 22 cc. fuming HNO3 (d. 1.5) at -25.degree. with stirring, kept 1 hr.

at

0.degree. poured onto ice, neutralized with NH4OH, and filtered gave the yellow nitrate ester of II, m. 84-7.degree. (decompn.), which with K2CO3, KOH, or piperidine yielded only resins. F.W. Hoffmann

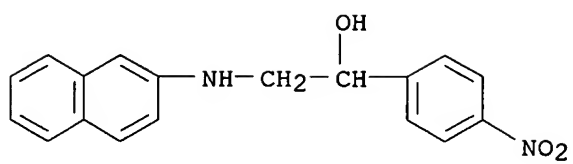
IT 94209-53-7, Benzyl alcohol, .alpha.-[(2-naphthylamino)methyl]-p-nitro-

(prepn. of)

RN 94209-53-7 CAPLUS

CN Benzyl alcohol, .alpha.-[(2-naphthylamino)methyl]-p-nitro- (7CI) (CA INDEX NAME)

10/009,008



10/009,008

L4 ANSWER 307 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1961:32940 CAPLUS

DN 55:32940

OREF 55:6437b-c

TI Configuration of .alpha.,.beta.-diphenyl-.beta.-arylamino- propionic acids by the convertibility of the diastereomers to .beta.-lactams

AU Kurtev, B. I.; Mollov, N. M.; Simova, Ek. M.; Stefanovski, Yu.

SO Compt. rend. acad. bulgare sci. (1960), 13, 167-70

DT Journal

LA German

AB cf. CA 53, 21805fh; Spasov, et al; CA 51, 12031c. K salts of the isomeric

p-MeC6H4NHCHPhCHPhCO2H (I and Ia) esterified with EtBr in boiling benzene gave the esters (II) m. 153-4.degree. (from I, m. 159-60.degree.), and (IIa), m. 120-1.5.degree. (from Ia, m. 174-5.degree.). Ia (0.99 g.) and PhSO2Cl gave 0.88 g. 1-(p-tolyl)-3,4-diphenylazetidinone, m. 177-8.degree., while 89% I was recovered unchanged in a similar expt. 2-Cl10H7 NHCHPhCHPhCO2H, m. 180-1.degree., gave the ester, m. 167-8.degree., and failed to form a lactam. Similarly, PhNHCHPhCHPhCO2H, m. 157-8.degree., and 2 moles SOCl2 in dioxane heated 2 hrs. at

50.degree.

gave 80% triphenylazetidinone, while 66% of the isomer, m. 173-4.degree., was recovered and no lactam was formed.

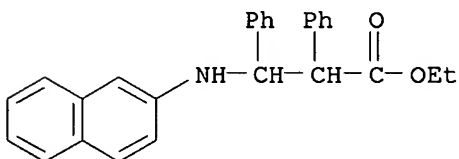
IT 2887-83-4, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-, ethyl ester

102882-89-3, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-
(prepn. of)

RN 2887-83-4 CAPLUS

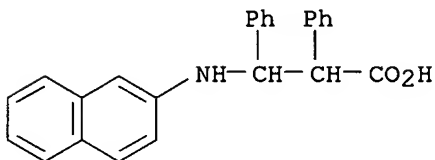
CN Benzenepropanoic acid, .beta.-(2-naphthalenylamino)-.alpha.-phenyl-, ethyl

ester (9CI) (CA INDEX NAME)



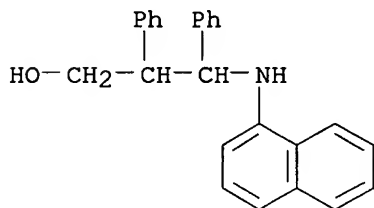
RN 102882-89-3 CAPLUS

CN .beta.-Alanine, N-2-naphthyl-2,3-diphenyl- (6CI) (CA INDEX NAME)



10/009,008

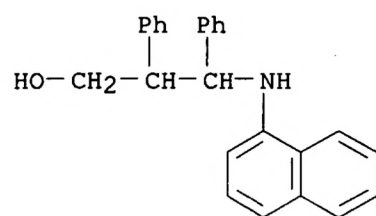
L4 ANSWER 308 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1961:17765 CAPLUS
DN 55:17765
OREF 55:3515i,3516a-b
TI Hydrogenation of .beta.-lactams with LiAlH₄
AU Spasov, Al.; Panaiotova, B.
SO Godishnik Sofiiskiia Univ. Fiz.-Mat. Fak. (1959), Volume Date 1957-1958, 52(No. 3), 81-7
DT Journal
LA German
AB cf. CA 53, 17992e. PhN.CO.CHPH.CHPH (3.0 g.) in Et₂O, treated with 0.45 g. LiAlH₄ (I) in 75 ml. Et₂O, stirred 3 hrs., kept 1 day at room temp., then decompd. with NH₄Cl soln., gave 93.8% PhNHCHPhCHPhCH₂OH (II), m. 98-101.degree. (aq. EtOH). PhNHCHPhCHPhCO₂H (3.0 g.) in Et₂O, treated with 0.55 g. I in 25 ml. Et₂O, gave 52.3% II, m. 98-100.5.degree.. .alpha.-C₁₀H₇N.CO.CHPH.CHPH (2 g.) in 80 ml. benzene, treated with 0.27 g.
II in 25 ml. Et₂O, afforded 2.17 g. .alpha.-C₁₀H₇NHCHPhCHPhCH₂OH, viscous liquid; HCl salt m. 190-1.degree. (EtOH), with sintering at 187.degree.. Similarly, 2.5 g. PhN.CO.CHPH.CHC₆H₃O₂CH₂-3,4, and 0.35 g. I gave 82.1% PhNHCH(C₆H₃O₂CH₂-3,4)CHPhCH₂OH.HCl, m. 172.degree. (EtOH); the free base was not obtained in cryst. form.
IT **115097-40-0**, 1-Propanol, 3-(1-naphthylamino)-2,3-diphenyl-, hydrochloride **115097-41-1**, 1-Propanol, 3-(1-naphthylamino)-2,3-diphenyl- (prepn. of)
RN 115097-40-0 CAPLUS
CN 1-Propanol, 3-(1-naphthylamino)-2,3-diphenyl-, hydrochloride (6CI) (CA INDEX NAME)



● HCl

RN 115097-41-1 CAPLUS
CN 1-Propanol, 3-(1-naphthylamino)-2,3-diphenyl- (6CI) (CA INDEX NAME)

10/009,008



L4 ANSWER 309 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1961:7917 CAPLUS

DN 55:7917

OREF 55:1521f-i,1522a-i,1523a-b

TI Syntheses with organolithium compounds obtained by substitution of a labile hydrogen atom

AU Ivanov, D.; Vasilev, G.; Panaiotov, I. M.; Borisov, G.; Marekov, N.

SO Godishnik Sofiiskiia Univ. Fiz.-Mat. Fak. (1959), Volume Date 1957-1958, 52(No. 3), 1-53

DT Journal

LA German

AB PhCHLiCO₂Na (I), prepd. from an aromatic Li compd., and PhCH₂CO₂Na (II), reacted with Ph₂CO (III) or CO₂ to give Ph₂C(OH)CHPhCO₂H (IV) or PhCH(CO₂H)₂ (V), resp. I reacted also with PhCH₂Bz (VI) (formed by the interaction of II and PhLi) to give PhCH₂CPh(OH)CHPhCO₂H (VII). Li (1.2 g.), 13.7 g. o-MeC₆H₄Br, 12.7 g. II, and 14.6 g. III in 100 ml. Et₂O gave 64-7% IV, m. 187-8.degree.. The analogous use of .alpha.-C₁₀H₇Br (VIII), 1,3,5-Me₂BrC₆H₃, and 1,3,4,6-Me₂Br₂C₆H₂ afforded IV in 65-70, 72, and 33% yield, resp. Li (1.4 g.), 20.7 g. VIII, and 15.8 g. II in 120 ml. Et₂O gave 42% impure V, m. 143-5.degree. (decompn.). Li (1.47 g.), 16.5 g. PhBr, and 16.6 g. II in 80 ml. Et₂O gave 39-41% VII, m. 178.degree., and 21-3% VI, m. 56-7.5.degree. (EtOH). Alk. hydrolysis of VII afforded VI and PhCH₂CO₂H in quant. yield. PhCH₂CR(OH)CHPhCO₂H, and PhCH₂COR were prepd. analogously from the appropriate aryl bromides (R, m.p., and % yield of acid, and m.p. and % yield of ketone listed): p-MeC₆H₄, 169-70.degree. (EtOH), 44-5, 107-9.degree., 25-33; m-MeC₆H₄, 149-51.degree., 40-3, 49-50.degree. (EtOH), 29-32, (semicarbazone m. 178-9.degree.); .alpha.-C₁₀H₇Br, 187.5-8.5.degree. (EtOH), 28 (crude)

(use

of Mg instead of Li gave 53% crude yield), -, -; p-MeOC₆H₄, 176-7.degree. (EtOH), 38 (crude), -, -; p-Me₂NC₆H₄, -, -, 161-3.degree. (EtOH), 55 (oxime m. 140-2.degree.). o-MeC₆H₄Br and VIII did not yield any acid. PhLi (from 1.57 g. PhBr and 0.17 g. Li in 40 ml. Et₂O) and 2.08 g. .alpha.-C₁₀H₇CH₂CO₂Na (IX) treated after 5 hrs. with solid CO₂ gave 38% crude .alpha.-C₁₀H₇CH(CO₂H)₂ (X), m. 154.degree. (C₆H₆), and 12% .alpha.-C₁₀H₇CH₂Bz, m. 105-6.degree. (EtOH); oxime m. 138-9.degree.. The analogous reactions of IX with Li derivs. of o-, m-, and p-MeC₆H₄Br,

VIII,

and p-Me₂NC₆H₄Br yielded 42.2, 35, 19.5, 37.4, and 29.1% X, resp. The same amts. of PhBr, Li, and IX gave with 1.83 g. III after 3 hrs. 57% Ph₂C(OH)CH(C₁₀H₇.alpha.)CO₂H (XI), m. 159-60.degree. (EtOH). Similar reactions with PhAc, camphor, or VI failed to yield .beta.-hydroxy acids. PhLi (from 1.57 g. PhBr) and 2.08 g. .beta.-C₁₀H₇CH₂CO₂Na (XII) in Et₂O treated with CO₂ afforded 17.7-20% .beta.-C₁₀H₇CH(CO₂H)₂ (XIII), m. 155-6.degree. (decompn.) and 27.4% .beta.-C₁₀H₇CH₂Bz, m. 122-3.degree. (EtOH). Similarly, XI and Li derivs. of o-, m-, and p-MeC₆H₄Br, VIII,

and

p-Me₂NC₆H₄Br gave XIII in 48, 19.6, 21.7, 26.1, and 18.5% yield, resp. XII, III, and Li derivs. of PhBr, o-, and m-MeC₆H₄Br yielded 20.5, 18.3, and 17.2% Ph₂C(OH)CH(C₁₀H₇.beta.)CO₂H, m. 189-90.degree. (EtOH). PhBz

and

camphor, used instead of III, failed to give the analogous reaction. Aliphatic derivs. of Li behaved as the aromatic ones. Li (0.8 g.), 7.9

g.

II, 9.1 g. III, and 0.05 mole alkyl halide in Et₂O or a mixed solvent (ether-pentane, dioxane-pentane) gave IV; the alkyl halide used and % yield were the following: MeI, 3.3; EtBr, 18-21; PrCl, 42-8; iso-Pr,

23-5;

BuCl, 46-52; EtCHClMe, 18; Me₃CCl, 12-14; Me₂CHCH₂CH₂Br, 35; cyclohexyl bromide, 20. Li (0.8 g.), 7.9 g. II, and 0.05 mole BuCl or PrCl in Et₂O yielded 30 and 25% V, resp. Li (0.8 g.), 4.7 g. BuCl, and 7.9 g. II in 80 ml. Et₂O refluxed then decompd. with ice-HCl gave 34% PhCH₂CBu(OH)CHPhCO₂H, m. 145-6.degree. (PhMe); alk. cleavage of this hydroxy acid gave PhCH₂CO₂H and PhCH₂COBu; semicarbazone, needles, m. 114-15.degree. (aq. EtOH). A 50% excess of the Li deriv. at -10.degree. raised the yield to 55%. The following PhCH₂CR(OH)CHPhCO₂H were prepd. similarly (R halide used, m.p., yield of the hydroxy acid, and m.p. of

the

semicarbazone of PhCH₂COR listed): PrCl, 160-1.degree. (aq. EtOH), 48, 121-2.degree. (MeOH); iso-Pr, 135-7.degree. (aq. EtOH), 28-31, 138-9.degree. (EtOH); EtCHClMe, 139-40.degree. (aq. EtOH), 28-39, 110-12.degree.. BuLi reacted with IX or XII in dioxane but failed to react in pentane or Et₂O without the addn. of this solvent. Li (0.182 g.), 1.36 g. BuCl, and 20.8 g. IX in 15 ml. pentane and 10 ml. dioxane dild. with 50 ml. Et₂O then treated with solid CO₂ gave 6.5% X. The same amts. of Li, BuCl, IX, and solvents (without Et₂O) treated with III gave 40.7% XI. Similarly, PrCl gave 45.3% XI iso-PrCl 15.6%, Me₂CHCH₂CH₂Br 10%; MeI failed to react. Likewise, XIV was prepd. from XII (alkyl

halide

used and % yield as follows): PrCl, 37; iso-PrCl, 8.3; BuCl, 31.5; Me₂CHCH₂CH₂Br, 27.6. MeLi (from 0.8 g. Li and 7.1 g. MeI) and 5.85 g. PhCH₂CN (XV) in 90 ml. Et₂O treated with solid CO₂ gave 38-40% PhCH(CN)CO₂H, m. 92.degree. (benzene). Similar yields were obtained with Li compds. prepd. from PrCl, BuCl, PhBr, o-MeC₆H₄Br, and VIII. Li (0.7 g.), 5.64 g. BuCl, 4.63 g. XV, and 9.1 g. III in 110 ml. Et₂O gave 30% Ph₂C:CPHCN, m. 165-6.degree. (EtOH). The analogous reactions of org. Li or Mg derivs. with .alpha.-ClO₇CH₂CN (XVI) gave .alpha.-ClO₇CH(CN)CO₂H, m. 130.5-1.0.degree. (benzene) (org. halide used, % yield of Li derivs. and Mg derivs. given): PrCl, 37.9, 17.5; iso-PrCl, -, 33.2; BuCl, 54.5, 25.7; PhBr, 50.0, 30.6; o-MeC₆H₄Br, 23.7, 29.4; VIII, 41.2, 35.6. Li (0.14 g.), 1.57 g. PhBr, 1.67 g. XVI, and 1.82 g. III in 40 ml. Et₂O gave 21.2% Ph₂C(OH)CH(ClO₇.alpha.)CN, m. 179-80.degree.. Li (0.4 g.), 2.4 g. BuCl, and 3.95 g. II in 120 ml. Et₂O refluxed 4 hrs., 5.2 g. PhCH:CHBz added, and the mixt. refluxed 6 hrs. gave 38% crude BzCH₂CHPhCHPhCO₂H, m. 257-9.degree. (EtOH), and 22% low melting isomer, m. 186-7.degree. (benzene). When this reaction was carried out with iso-PrCl and Mg, the yields were 42 and 26% for the former and latter isomers, resp. BuLi, II (as above), and 5.8 g. p-MeOC₆H₄CH:CHBz gave 29% BzCH₂(p-MeOC₆H₄)CHCHPhCO₂H, m. 225-6.5.degree. (EtOH), and 16% isomer, m. 205.5-6.5.degree. (benzene). Li (0.35 g.), 5.13 g. o-MeC₆H₄Br, and 3.95 g. II gave (a) with 6.1 g. p-ClC₆H₄CH:CHBz 51% BzCH₂(p-ClC₆H₄)CHCHPhCO₂H, m. 242-3.degree. (AcOH), and 28% isomer, m. 210-11.degree. (benzene), and (b) with 5.85 g. (PhCH:CH)₂CO 45% PhCH:CHCOCH₂CHPhCHPhCO₂H, m. 254-5.degree. (EtOH), and 22% isomer, m. 214-15.degree. (benzene-EtOH). o-MeC₆H₄Li, II, and RCH₂Cl (20% excess) gave PhCH₂CHRCO₂H (R, m.p., and % yield listed): Ph, 88-9.degree. (CHCl₃), 75-7 (when iso-PrMgCl was used yield was 30%); o-ClC₆H₄, 121-2.degree. (Et₂O-petr. ether), 71-4; p-ClC₆H₄, 140-150.5.degree. (sic) (aq. EtOH), 70-2; p-NCC₆H₄, 128-9.degree. (water), 80-5. Li, VIII, II, and RN:CHPh refluxed 6 hrs.

in

Et₂O gave RNHCHPhCHPhCO₂H (R, m.p., and % yield given): Ph (XVII), 157-8.degree. (aq. EtOH), 74; p-MeC₆H₄, 178-80.degree. (aq. EtOH), 60; .beta.-ClO₇, 156-7.degree. (EtOH) (HCl salt m. 188-90.degree.), 70; p-MeOC₆H₄, 141-3.degree. (aq. EtOH), 78. XVII (1 g.) refluxed 6 hrs. with 30 ml. Ac₂O gave 0.6 g. PhCH:CPHCO₂H, m. 171-2.degree. (aq. EtOH). Li

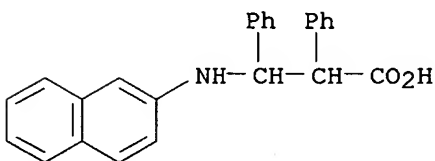
10/009,008

(0.14 g.), 2.07 g. VIII, and 1.58 g. II in 35 ml. Et₂O treated with 0.7 g. iodine then decompd. after 1 hr. gave 52.6% (CHPhCO₂H)₂ (XVIII). The use of Mg instead of Li gave 17% XVIII. Similarly, 0.01 mole each Li, PhBr, IX, and iodine gave 30.3% (10.3% with Mg) (.alpha.-C₁₀H₇CHCO₂H)₂ (XIX). Under the same conditions, XII yielded 27.5% (11.9% with Mg) .beta.-naphthyl isomer, m. 238.degree. (pyridine). Li and Mg derivs., prepd. from 0.01 mole II or IX (prepd. through VIII, or PhBr), were treated with N-bromosuccinimide (XX) in refluxing Et₂O. At the molar ratio of XX-II of 1.5:1, both meso- and dl-XVIII were obtained in 14.8, and 7.3% yield, resp. IX gave 11.5% meso- and 5.1% dl-XIX. Mg gave poorer results.

IT 102882-89-3, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-
102882-90-6, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-,
hydrochloride
(prepn. of)

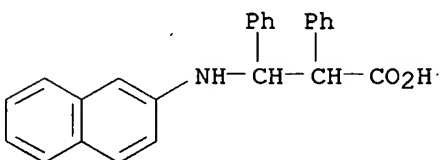
RN 102882-89-3 CAPLUS

CN .beta.-Alanine, N-2-naphthyl-2,3-diphenyl- (6CI) (CA INDEX NAME)



RN 102882-90-6 CAPLUS

CN .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-, hydrochloride (6CI) (CA
INDEX
NAME)



● HCl

L4 ANSWER 310 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1961:7905 CAPLUS

DN 55:7905

OREF 55:1517a-i,1518a-d

TI Molecular rearrangement of tertiary amines. I

AU Johnstone, R. A. W.; Stevens, T. S.

CS Univ. Glasgow, UK

SO J. Chem. Soc. (1960) 3346-50

DT Journal

LA Unavailable

AB The rearrangement reported in Part I was extended to other tertiary amines

and was shown to be intramol. The process was used in a new synthesis of phenanthrene (I). PhNH₂ (1 ml.), 0.3 ml. H₂O, and 250 mg. NaHCO₃ stirred during 1 hr. at 90.degree. with addn. of 200 mg. benzyl-.alpha.-C₁₄ chloride and the mixt. heated 3 hrs., cooled, extd. with Et₂O, dried, and evapd. gave a residue (heated at 100.degree./10 mm. to remove PhNH₂); distn. yielded 150 mg. N-benzylaniline-.alpha.-C₁₄ (II), b₁₀ 200.degree.. II (300 mg.), 325 mg. phenacyl bromide, and NaHCO₃ stirred 3 hrs. at 60.degree. in 2 ml. alc. and the mixt. treated with 25 ml. H₂O, extd.

with

Et₂O, and evapd. gave N-benzyl-.alpha.-C₁₄-N-phenacylaniline (IIa), m. 109.degree. (alc.). p-Xylene (1.25 moles) and 1 mole N-bromosuccinimide refluxed 20 min. in CCl₄ contg. a little dibenzoyl peroxide gave a quant. yield of 4-methylbenzyl bromide (III), b₁₅ 120.degree.. The bases tabulated below were prepd. from 9-bromofluorene (IIIa), III, or diphenylmethyl bromide with the appropriate secondary amine by reaction

of

(a) 2 moles amine and 1 mole halide in C₆H₆, or (b) 1 mole amine and 1 mole halide in MeOH with solid NaHCO₃. Ph₂CHNMeCH₂Ph (IV) was pptd. by

5N

HCl as the HCl salt, which was crystd. from alc. and 2N HCl. N-(.alpha.-Methylbenzyl)-9-fluorenylamine formed prisms, m. 64-6.degree. (alc.). IIIa and PhCH₂CH₂NH₂ (IVa) gave N-phenethyl-9-fluorenylamine (V).HCl, needles, m. 222-4.degree.. Free V gave a benzoyl deriv., rectangular plates, m. 149-50.degree. (alc.). When 1 mole IIIa and 1

mole

IVa were refluxed 2 hrs. in MeCN, an initial ppt. soon redissolved and N-phenethyldi-9-fluorenylamine (VI) formed, m. 180-1.degree.. The following compds. were thus obtained (base, method, temp., time in hrs., solvent, and m.p. given): N-(9-fluorenyl)-N-phenylbenzylamine (VII), a, 15.degree., 18, C₆H₆-MeOH, 144.degree.;

N-(9-fluorenyl)-N-phenylallylamine

(VIII), b, 70.degree., 30, alc., 98-102.degree.; N-(9-fluorenyl)-N-methylbenzylamine (IX), a, 15.degree., MeOH, 87-9.degree.;

N-(9-fluorenyl)dibenzylamine (X), a, 85.degree., 10, C₆H₆-alc., 125-6.degree.; IV, a, 85.degree., 2, -, 218-21.degree.; PhCH₂NPhCH₂C₆H₄Me (XI), b, 80.degree., 6, alc., 79-80.degree.; PhCH₂NMeCH₂C₆H₄Me (XII), a, 15.degree., 48, -, b₁₀ 107.degree.. The rearrangement mechanism was studied. Thus, 100 mg. IIa and 100 mg. Me₃COCH₂NPhCH₂Ph were fused with

1

g. KOH (45 min. at 150.degree. under N), the mixt. was dissolved in ligroine and H₂O, the org. layer washed, stirred with 8N HCl, and the

ppt.

collected. The acidic layer in the filtrate washed with Et₂O and

basified

pptd. a base. On washing with Et₂O and decomp. with dil. NaOH, the

first

ppt. gave $\text{PhCOCH}(\text{NHPH})\text{Cl}_4\text{H}_2\text{Ph}$ (XIII), m. 105.degree.. The 2nd ppt. similarly purified was identified as $\text{Me}_3\text{COCH}(\text{NHPH})\text{CH}_2\text{Ph}$ (XIV), m. 123.degree.. Samples of IIa, XIII, and XIV were matted on small metal planchettes and their radioactivity measured at infinite thickness. The following results were obtained (compd., counts min.-1 cm.-2 given):

none,

10-11; IIa, 448; XIII, 420; XIV, 11-12. Rearrangement of amines occurred as follows. In each case, the amine was fused with the alk. reagent in the absence of air, and volatile products examd. Although the work up differed in detail in several cases, strongly and weakly basic, neutral, and acidic materials were in general separated. One expt. with $(\text{PhCH}_2)_2\text{NPh}$ (XV) was described (as follows) as typical. XV (5 g.) was fused with 6 g. NaNH_2 (1.5 hrs. at 250-70.degree. under N); the melt was red. A distillate collected in a receiver; this was free from PhNH_2 and BzH and gave (on nitration) 2,4-dinitrotoluene. The melt was dissolved

in

Et_2O and aq. alc. Part of the Et_2O layer was distd. to give an oil

contg.

N-benzylideneaniline (hydrolysis with HCl gave PhNH_2 and BzH). A 2nd

part

was shaken with 7N HCl ; no ppt. indicated the absence of 1,2,N-triphenylethylamine. Removal of Et_2O and steam-distn. of the residue gave stilbene, prisms, m. 123.degree. (alc.); with Br in AcOH it gave stilbene dibromide, m. 236.degree.. In a separate expt., 1,2,N-triphenylethylamine was fused (45 min. at 250.degree.) with NaNH_2 ; none was recovered, and stilbene and aniline were recognized. The synthesis of 9-benzyl-N-phenylfluorenylamine was attempted unsuccessfully in 3 ways: (a) 9-benzyl-9-fluorenol was treated with HCl in Et_2O and the crude product treated with PhNH_2 in Et_2O ; (b) N-phenyl-9-fluorenylamine was converted into the benzoyl deriv., m. 147.degree., which was treated with PhCH_2Cl and NaNH_2 in liquid NH_3 ; (c) fluorenone anil added to PhCH_2K in PhMe and refluxed 3 hrs. gave only N-phenyl-9-fluorenylamine. 6,7-Dihydro-6-phenyl-5H-dibenz-[c,e]azepine (1 g.) was heated 2 hrs. at 250.degree. with excess NaNH_2 ; the sublimate of I was produced, m. 99.degree., and PhNH_2 distd. The residue afforded more I (300 mg. in

all)

and PhNH_2 (benzoyl deriv. m. 160.degree.). The behavior of a variety of tertiary amines was studied and the following results obtained (starting amine, reagent, temp. of the reaction, time in hrs., products given):

VII,

NaOH , 300.degree., 2, 5% PhMe , little PhNHCH_2Ph , and fluorenone anil;

VII,

Me_3COK , 170.degree., 3, much VII recovered, little PhNHCH_2Ph , PhNH_2

(after

hydrolysis); VII, NaNH_2 , 200.degree., -, trace of PhMe , bifluorenyl; VII, MeLi , 240.degree., 0.5, tar; VII, PhLi , 110-30.degree., -, tar, biphenyl; VII, K in refluxing Decalin, -, 4, tar; VIII, KOH , 120-35.degree., 1,

some

VIII recovered, 5% biphenyl-2-carboxylic acid, 11% fluorenone anil, 7% N-phenyl-9-fluorenylaniline, and 12% allylaniline; IX, KOH , 140.degree., 3, 80% IX recovered, fluorenone, biphenyl-2-carboxylic acid; IX, NaOH , 295.degree., 2, bifluorenyl, no PhMe , tar; IX, Me_3COK , 170.degree., 3, 9-fluorenylamine (16%), fluorenone; IX, NaNH_2 , 250.degree., 1.5, same and 15% PhMe ; IX, MeLi , 200.degree., -, tar; IX, PhLi , 200.degree., amorphous products; N,N-bis(9-fluorenyl)methylamine, KOH , 200.degree., fluorene

10%,

and 8% bifluorenyl; X, Me_3COK , 170.degree., 2, 40% 9-fluorenylamine, BzH ,

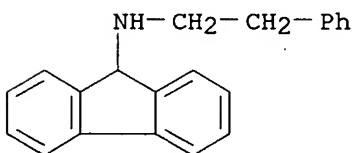
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no stilbene; IV, NaNH₂, 260.degree., 2.5, 3% Ph₂CH₂, 10% PhMe, 8% PhCH:NMe; (PhCH₂)₂NPh (XVI), KOH, 280.degree., 0.5, 80% XVI recovered, PhMe, PhCH:NMe; XVI, NaNH₂, 250-70.degree., 1.5, much PhCH:NMe, 20% PhMe, 8% stilbene, 10% BzOH; XI, NaNH₂, 260.degree., 1.5, 50-60% PhMe, 50-60% p-xylene, 6% 4-methylstilbene, PhNH₂ (after hydrolysis); (PhCH₂)₂NMe, NaNH₂, 260-70.degree., 2, 15% PhMe, 15% PhCH:NMe, 1% stilbene; XII, NaNH₂, 230-40.degree., 1.25, much PhMe and p-xylene, 1% 4-methylstilbene.

IT 102478-66-0, Fluoren-9-amine, N-phenethyl-, hydrochloride
102478-67-1, Fluoren-9-amine, N-phenethyl-
(prepn. of)

RN 102478-66-0 CAPLUS

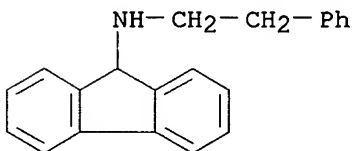
CN Fluoren-9-amine, N-phenethyl-, hydrochloride (6CI) (CA INDEX NAME)



● HCl

RN 102478-67-1 CAPLUS

CN Fluoren-9-amine, N-phenethyl- (6CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 311 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1960:110230 CAPLUS

DN 54:110230

OREF 54:20944e-i,20945a

TI Polymerizations and polymerization catalysts. XI. The autoxidation of an .alpha.-sulfonyl amine and its action as a polymerization catalyst

AU Brederbeck, Hellmut; Wagner, Adolf; Posselt, Klaus

CS Tech. Hochschule, Stuttgart, Germany

SO Chem. Ber. (1960), 93, 1284-9

DT Journal

LA Unavailable

AB cf. CA 54, 5150i. The course of the autoxidation of (p-MeC6H4SO2CH2)2NEt (I) was investigated. Autoxidn. occurred only in the presence of halide ions or cupric salts. The initiation of the polymerization depended on the autoxidn. of the I. I (300 mg.) in 10 cc. PhNO2 shaken with about 1 mg. Cu acetylacetonate and (or) with about 5 mg. Bu2NH.HCl at 80.degree. resulted in autoxidn. of I; the results were presented graphically. The polymerization of 2 cc. CH2:CMcCO2Me, 0.1 cc. MeOH, and 0.1 cc. dioxane

at 25 and 35.degree. in the presence of 40 mg. I and 4.5 mg. Bu2NH.HCl and (or) 0.012 mg. Cu acetylacetonate was investigated; the results, which were presented graphically, showed a dependence of the polymerization on the autoxidn. of the I. I (4.5 g.) in 30 cc. PhNO2 oxidized at 79.5.degree. in the presence of about 5 mg. Cu acetylacetonate and 20 mg. Bu2NH.HCl under O and the app. swept with N which was bubbled through aq. Ba(OH)2, concd. H2SO4, and 0.005N PdCl2 showed the presence of CO2 and

CO; the reaction mixt. dild. with 30 cc. C6H6 and 30 cc. petr. ether and shaken with four 20-cc. portions H2O, and then with two 10-cc. portions 0.1N NaOH, the combined aq. exts. dild. with 150 cc. 0.1N NaOH, boiled, cooled, and back-titrated with 0.1N HCl showed the presence of 2.84 g. p-MeC6H4SO3H; the org. phase dried and evapd., the residual brown sirup extd. with 1:5 C6H6-petr. ether on the water bath, and the ext. concd. to 5 cc. and cooled yielded 50 mg. p-MeC6H4SO2CH2N(CHO)Et (II), m. 87-8.degree. (C6H6-petr. ether). H2O2 (30%) extd. with Et2O, the ext. dried and mixed with EtOAc, and the Et2O distd. in vacuo gave a soln. of H2O2 in EtOAc. H2O2 (750 mg.) in EtOAc added dropwise to 3.8 g. I in 100 cc. refluxing EtOAc, refluxed 3 hrs., and kept overnight, the EtOAc distd.

to beginning crystn. and cooled, and the ppt. filtered off gave p-MeC6H4SO3H.EtNH2; the filtrate dild. with H2O, basified with NaOH, and extd. with CHCl3, the ext. evapd., and the residual sirup refrigerated

and dried on a clay plate gave 200 mg. II, m. 87-8.degree.. p-MeC6H4SO2CH2OH (3.7 g.) in 50 cc. C6H6 and 1.5 g. HCONHEt refluxed 3 hrs., cooled, dild. with 300 cc. petr. ether, heated to boiling, dild. with C6H6 to soln.,

and refrigerated gave 2.7 g. II, m. 87-8.degree..

IT 113113-57-8, Taurine, N-2-naphthyl-1,2-diphenyl-

113113-84-1, Taurine, N-1-naphthyl-1,2-diphenyl-

116282-39-4, Taurine, N-2-naphthyl-1,2-diphenyl-, compd. with

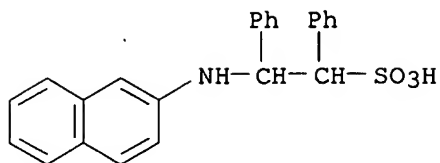
2-benzyl-2-thiopseudourea 116282-52-1, Taurine,

N-1-naphthyl-1,2-diphenyl-, compd. with 2-benzyl-2-thiopseudourea (prepn. of)

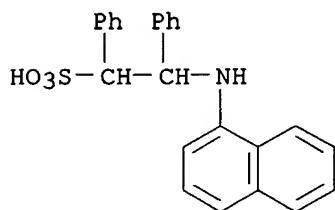
RN 113113-57-8 CAPLUS

CN Taurine, N-2-naphthyl-1,2-diphenyl- (6CI) (CA INDEX NAME)

10/009,008



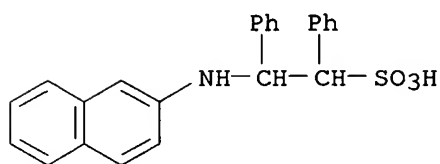
RN 113113-84-1 CAPLUS
CN Taurine, N-1-naphthyl-1,2-diphenyl- (6CI) (CA INDEX NAME)



RN 116282-39-4 CAPLUS
CN Taurine, N-2-naphthyl-1,2-diphenyl-, compd. with
2-benzyl-2-thiopseudourea
(6CI) (CA INDEX NAME)

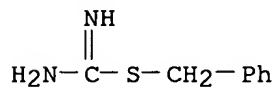
CM 1

CRN 113113-57-8
CMF C24 H21 N O3 S



CM 2

CRN 621-85-2
CMF C8 H10 N2 S



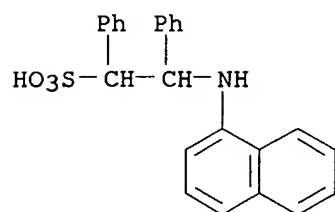
RN 116282-52-1 CAPLUS
CN Taurine, N-1-naphthyl-1,2-diphenyl-, compd. with
2-benzyl-2-thiopseudourea
(6CI) (CA INDEX NAME)

10/009,008

CM 1

CRN 113113-84-1

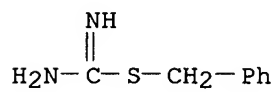
CMF C24 H21 N O3 S



CM 2

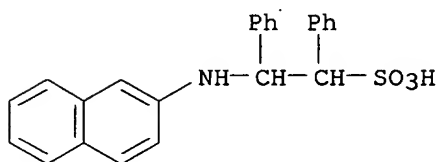
CRN 621-85-2

CMF C8 H10 N2 S

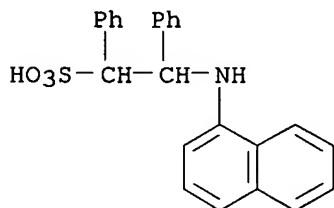


10/009,008

L4 ANSWER 312 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1960:110229 CAPLUS
DN 54:110229
OREF 54:20944c-e
TI Preparation of aryl substituted .beta.-arylaminoethanesulfonic acids from Schiff bases and Na lithiumbenzylsulfonate
AU Marekov, N.; Petsev, N.
SO Izvest. Khim. Inst. Bulgar. Akad. Nauk. (1958), 6, 345-54; Russian summary 355; German summary 356
DT Journal
LA Unavailable
AB To 0.35 g. Li and 4 g. PhBr was added 4.9 g. PhCH₂SO₃Na, boiled 6 hrs., treated with 4.5 g. PhCH:NPh in Et₂O, boiled another 2 hrs., and hydrolyzed with 3 g. ice and 25 ml. satd. NH₄Cl soln. to give 7 g. Na 1,2-diphenyl-2-(phenylamino)ethanesulfonate (I); treating a hot alc. soln. of I with dil. HCl gave 5 g. acid, m. 300.degree. (decompn.). The following ethanesulfonic acids were similarly prepd. from the corresponding Schiff bases (% yield and m.p. given): 1-phenyl-2-(p-methoxyphenyl)-2-(phenylamino)-, 53, 314.degree. (decompn.); 1,2-diphenyl-2-(p-tolylamino)-, 53, 256.degree.; 1,2-diphenyl-2-(.alpha.-naphthylamino)-, 52, 252.degree. (decompn.); 1,2-diphenyl-2-(.beta.-naphthylamino)-, 40, 252.degree. (decompn.).
IT 113113-57-8, Taurine, N-2-naphthyl-1,2-diphenyl-
113113-84-1, Taurine, N-1-naphthyl-1,2-diphenyl-
116282-39-4, Taurine, N-2-naphthyl-1,2-diphenyl-, compd. with 2-benzyl-2-thiopseudourea 116282-52-1, Taurine, N-1-naphthyl-1,2-diphenyl-, compd. with 2-benzyl-2-thiopseudourea (prepn. of)
RN 113113-57-8 CAPLUS
CN Taurine, N-2-naphthyl-1,2-diphenyl- (6CI) (CA INDEX NAME)



RN 113113-84-1 CAPLUS
CN Taurine, N-1-naphthyl-1,2-diphenyl- (6CI) (CA INDEX NAME)



RN 116282-39-4 CAPLUS
CN Taurine, N-2-naphthyl-1,2-diphenyl-, compd. with 2-benzyl-2-thiopseudourea

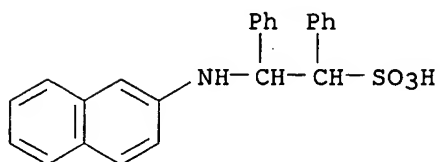
10/009,008

(6CI) (CA INDEX NAME)

CM 1

CRN 113113-57-8

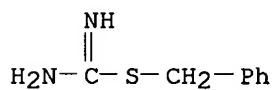
CMF C24 H21 N O3 S



CM 2

CRN 621-85-2

CMF C8 H10 N2 S



RN 116282-52-1 CAPLUS

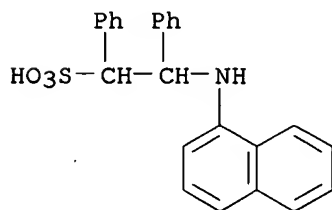
CN Taurine, N-1-naphthyl-1,2-diphenyl-, compd. with
2-benzyl-2-thiopseudourea

(6CI) (CA INDEX NAME)

CM 1

CRN 113113-84-1

CMF C24 H21 N O3 S

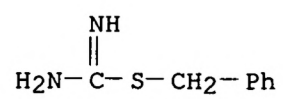


CM 2

CRN 621-85-2

CMF C8 H10 N2 S

10/009,008



10/009,008

L4 ANSWER 313 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1960:16980 CAPLUS

DN 54:16980

OREF 54:3408b-f

TI Reaction of 2,4-dimethyl-6-vinylpyridine with amines

AU Profft, Elmar

SO Chem. Ber. (1958), 91, 957-60

DT Journal

LA Unavailable

GI For diagram(s), see printed CA Issue.

AB 2,4,6. Collidine (3.11 kg.), b. 146-200.degree., obtained from
techpyridine bases, 0.37 kg. (CH₂O)_x, 0.99 kg. H₂O, and 0.01 kg. HCO₂H
was

heated 110 min. at 240.degree./132 atm. in a rotating steel autoclave.
When the pressure was reached, heating was discontinued and the reactor
cooled 240 min. to 70.degree. by an air stream. After allowing the mixt.
to stand overnight, distn. gave 914 g. 2,4-dimethyl-6-(.beta.-
hydroxyethyl)pyridine (I), b12 21-72.degree., m. 69-70.degree. (petr.
ether), and 68 g. oily diol, b2 140-92.degree.. I (60.4 g.), 12 g. KOH,
and 5 g. hydroquinone was heated in vacuo 30 min. at 100.degree.. The
distillate (b12 82-4.degree.) and the ethereal ext. of the alk. aq.

liquor

were dried over KOH and redistd. to give 2,4-dimethyl-6-vinylpyridine
(II), n₂₀D 1.5380. Treating II with primary and secondary amines in the
presence of a little AcOH gave the following compds. [R =
6-(2,4-Me₂C₅H₂N)] (n₂₀D given). Refluxing 5 hrs. gave: R(CH₂)₂NHPr, b12
144.degree., 1.5049, and [R(CH₂)₂]₂NPr, b0.4 172.degree., 1.5307;
R(CH₂)₂NHBU, b12 158-60.degree., 1.5014, and [R(CH₂)₂]₂NBU, b1.2
191.5-93.degree., 1.5261; R(CH₂)₂NHR' (R' = isohexyl), b12 172-4.degree.,
1.4943. Refluxing 4 hrs. at 140.degree. gave: R(CH₂)₂NHPh, b0.4
141-46.5.degree., 1.5866; R(CH₂)₂NHC₆H₄Me-o, b0.3 155-6.degree., 1.5790;
R(CH₂)₂NHCH₂Ph, b0.4 149-53.degree., 1.5570; [R(CH₂)₂]₂NCH₂Ph, b0.5
212-14.degree., 1.5624; R(CH₂)₂NHC₁₀H₇-, b0.4 190-4.degree., 1.6389;
R(CH₂)₂NPr₂, b12 156-8.degree., 1.4922; R(CH₂)₂NBU₂, b14 172-5.degree.,
1.4890; R(CH₂)₂NPhCH₂Ph, b0.8 198.degree., 1.6034; R(CH₂)₂-N. (CH₂)₃,

b15

165-7.degree., 1.5208; and R(CH₂)₂N.CH₂.CH₂O.CH₂.CH₂, b10

166-7.5.degree.,

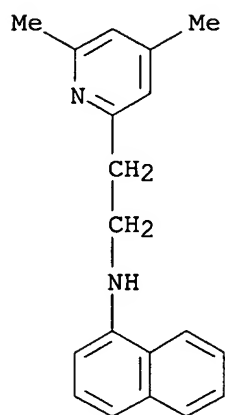
1.5205.

IT 102080-87-5, 2,4-Lutidine, 6-[2-(1-naphthylamino)ethyl]-
(prepn. of)

RN 102080-87-5 CAPLUS

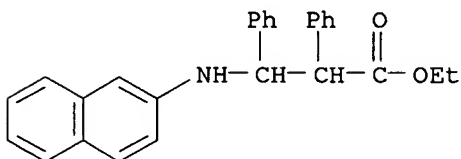
CN 2,4-Lutidine, 6-[2-(1-naphthylamino)ethyl]- (6CI) (CA INDEX NAME)

10/009,008



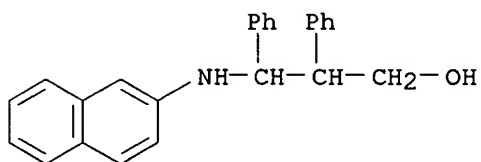
10/009,008

L4 ANSWER 314 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1959:121827 CAPLUS
DN 53:121827
OREF 53:21805h-i
TI Esters of .alpha.,.beta.-diaryl-.beta.-aminopropionic acids from Schiff
bases and arylacetic esters in the presence of aluminum chloride
AU Kurtev, B. I.; Mollov, N.
SO Acta. Chim. Acad. Sci. Hung. (1959), 18, 429-35
DT Journal
LA German
AB A discussion of the prepn. of R'NHCHARCHAR'CO2R from the reaction of
R'N:CHAR and Ar'CH2CO2R in the presence of AlCl3. The Schiff bases can
be replaced by ArCH:NCHARN:CHAR.
IT **2887-83-4**, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-, ethyl ester
(prepn. of)
RN 2887-83-4 CAPLUS
CN Benzenepropanoic acid, .beta.-(2-naphthalenylamino)-.alpha.-phenyl-,
ethyl ester (9CI) (CA INDEX NAME)



10/009,008

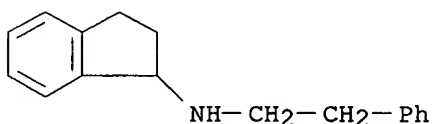
L4 ANSWER 315 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1959:99686 CAPLUS
DN 53:99686
OREF 53:17970i,17971a
TI Properties and reactions of the ethyl ester of .alpha.,.beta.-diphenyl-.beta.-aminopropionic acid. I. Lithium aluminum hydride reduction of 2,3-diphenyl-3-aminopropanol
AU Mollov, N. M.; Orakhovats, A. S.
SO Compt. rend. acad. bulgare sci. (1958), 11, 283-6
DT Journal
LA German
AB Et .alpha.,.beta.-diphenyl-.beta.-aminopropionate (I) (0.01 mole) in 50 ml. Et2O reduced with 0.03 mole LiAlH4 in Et2O gave 66-68% 2,3-diphenyl-3-amino-propanol (II), b0.4 175.5.degree.. The N-Me deriv., m. 89-90.degree., was similarly formed in Et2O and the N-Ph, m. 104-5.degree., and N-.beta.-C10H7 deriv., m. 125-6.degree., in C6H6. II.HCl m. 192.degree. (softening at 169.degree.); the HCl salts of the N-Me and N-Ph derivs. m. 211-13.degree. and 109.degree. (decompn.), resp.
IT 115097-39-7, 1-Propanol, 3-(2-naphthylamino)-2,3-diphenyl- (prepn. of)
RN 115097-39-7 CAPLUS
CN 1-Propanol, 3-(2-naphthylamino)-2,3-diphenyl- (6CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 316 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1959:11753 CAPLUS
DN 53:11753
OREF 53:2191d-f
TI N-Monosubstituted 1-aminoindans
IN Stange, Karl; Friederich, Herbert; Amann, August
PA Badische Anilin- & Soda-Fabrik Akt.-Ges.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	DE 955497		19570103	DE	
AB	Into a mixt. of .beta.-phenylethylamine 120, MeOH 30, and Raney Ni 20 parts by wt. was introduced H (100 atm.) and indanone 66 in MeOH 50 parts by wt. at 40.degree., the mixt. heated to 140.degree., and the hydrogenation carried out at 150 atm., until the pressure remained constant. The mixt. was cooled, the catalyst sepd., the soln. acidified with aq. HCl, the pptd. 1-N-.beta.-phenylethylaminoindan sepd., dissolved in H2O, and the soln. made alk. by addn. of 30% NaOH. The alk. soln. was extd. with Et2O, the ext. dried over K2CO3 and distd. to give a 61% yield of 1-N-.beta.-phenylethylaminoindan, b1, 182-6.degree.. Similarly were prepd. the following 1-N-.beta.-substituted-aminoindans (substituent and b.p. mm. given): dimethylaminopropyl, 132-5.degree./0.8; hydroxyethyl, 143-6.degree./1.5. The compds. thus prepd. are useful as intermediates in the manuf. of pharmaceutical agents.				
IT	108975-85-5 , 1-Indanamine, N-phenethyl- (prepn. of)				
RN	108975-85-5 CAPLUS				
CN	1-Indanamine, N-phenethyl- (6CI) (CA INDEX NAME)				



10/009,008

L4 ANSWER 317 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1958:87964 CAPLUS

DN 52:87964

OREF 52:15476i,15477a-b

TI Synthesis of ethyl esters of .alpha.-.beta.-diphenyl-.beta.-
arylaminopropionic acid from benzylidenearylamines and ethyl
phenylacetate

in the presence of anhydrous aluminum chloride

AU Mollov, N. M.; Miteva, M. A.

SO Compt. rend. acad. bulgare sci. (1956), 9(No. 1), 31-4

DT Journal

LA Russian

AB To an equimolar mixt. of PhCH₂CO₂Et and PhCH:NAr (Ar = .omicron. and
p-tolyl and .beta.-naphthyl) in anhyd. benzene is added with stirring 0.5
mole anhyd. AlCl₃, the mixt. stirred 10-15 min. and cooled with H₂O
(solidification occurred), 20 ml. 10% NaOH soln. added and the mixt.
stirred. After two hrs. the ppt. filtered off, washed with H₂O and
recrystd. from ethanol contg. a little benzene gives ArNHCHPhCH-PhCO₂Et
(I) (Ar, % yield, and m.p. given): p-tolyl, 45, 153-4.degree.;
.omicron.-tolyl, 28, 105-6.degree.; .beta.-naphthyl, 53, 167-8.degree..

I
(1.5 g.) heated on H₂O bath 1.5 hrs. with 20 ml. 15% KOH and worked up
gives the corresponding acid (Ar and m.p. given): p-tolyl, 173-4.degree.;
.omicron.-tolyl, 163-4.degree.; .beta.-naphthyl, 180-1.degree..

IT 2887-83-4, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-, ethyl ester

102882-89-3, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-

102882-90-6, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-,

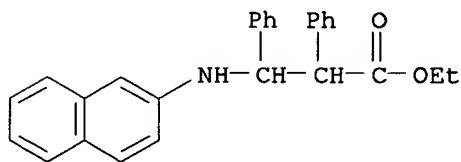
hydrochloride

(prepn. of)

RN 2887-83-4 CAPLUS

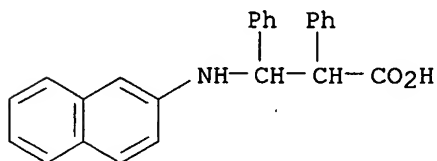
CN Benzenepropanoic acid, .beta.-(2-naphthalenylamino)-.alpha.-phenyl-,
ethyl

ester (9CI) (CA INDEX NAME)



RN 102882-89-3 CAPLUS

CN .beta.-Alanine, N-2-naphthyl-2,3-diphenyl- (6CI) (CA INDEX NAME)

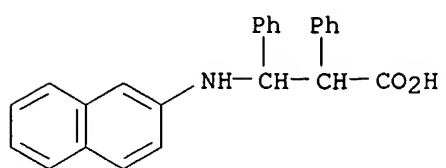


RN 102882-90-6 CAPLUS

CN .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-, hydrochloride (6CI) (CA
INDEX

10/009,008

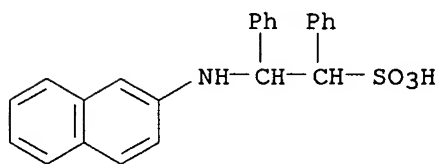
NAME)



● HCl

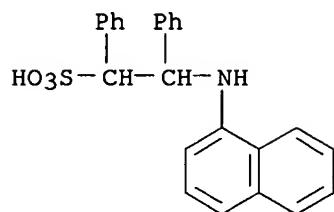
10/009,008

L4 ANSWER 318 OF 323 CAPLUS COPYRIGHT 2003 ACS
AN 1958:72244 CAPLUS
DN 52:72244
OREF 52:12812e-g
TI Preparation of aryl-substituted .beta.-arylaminoethanesulfonic acids from Schiff bases and sodium .alpha.-lithiotoluene-.alpha.-sulfonate
AU Marekov, Nicolai; Petsev, Nikolai
CS Univ. Sofia, W. Bulgaria
SO Compt. rend. acad. bulgare sci. (1957), 10, 473-6
DT Journal
LA English
AB To 4 g. PhBr in 20 cc. Et2O was added dropwise 0.35 g. Li in 30 cc. Et2O followed by 4.9 g. dry PhCH2SO3Na, after 6 hrs. boiling 4.5 g. PhCH:NPh in
30 ml. Et2O added, the mixt. boiled an addnl. 4 hrs., cooled, and hydrolyzed with 25 cc. 1:3 HCl giving 66% recrystd. 1,2-diphenyl-2-anilinoethanesulfonic acid, m. 300.degree. (decompn.); S-benzylisothiuronium salt, m. 201-2.degree.. If hydrolysis was by satd. NH4Cl soln. instead of HCl the yield of recrystd. salt was 69%. Prepd. similarly were the following compds. (% yield on HCl hydrolysis, m.p. of free acid, m.p. of isothiuronium salt given):
1-phenyl-2-(p-methoxyphenyl)-
2-anilinoethanesulfonic acid, 62.5, 314.degree. (decompn.),
177-8.degree.;
1,2-diphenyl-2-(p-tolylamino)ethanesulfonic acid, 71, 256.degree. (decompn.), 189-90.degree.; 1,2-diphenyl-2-(.alpha.-naphthylamino)ethanesulfonic acid, 64, 252.degree. (decompn.), 201-2.degree.; and 1,2-diphenyl-2-(.beta.-naphthylamino)ethanesulfonic acid, 80, 252.degree. (decompn.), 70-80.degree..
IT 113113-57-8, Taurine, N-2-naphthyl-1,2-diphenyl-
113113-84-1, Taurine, N-1-naphthyl-1,2-diphenyl-
116282-39-4, Taurine, N-2-naphthyl-1,2-diphenyl-, compd. with 2-benzyl-2-thiopseudourea 116282-52-1, Taurine, N-1-naphthyl-1,2-diphenyl-, compd. with 2-benzyl-2-thiopseudourea (prepn. of)
RN 113113-57-8 CAPLUS
CN Taurine, N-2-naphthyl-1,2-diphenyl- (6CI) (CA INDEX NAME)



RN 113113-84-1 CAPLUS
CN Taurine, N-1-naphthyl-1,2-diphenyl- (6CI) (CA INDEX NAME)

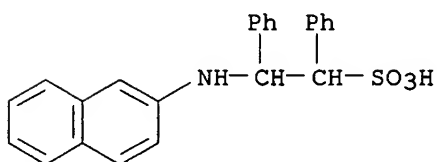
10/009,008



RN 116282-39-4 CAPLUS
CN Taurine, N-2-naphthyl-1,2-diphenyl-, compd. with
2-benzyl-2-thiopseudourea
(6CI) (CA INDEX NAME)

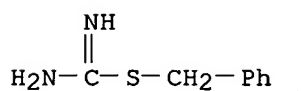
CM 1

CRN 113113-57-8
CMF C24 H21 N O3 S



CM 2

CRN 621-85-2
CMF C8 H10 N2 S

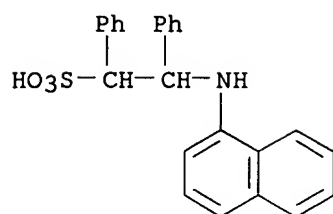


RN 116282-52-1 CAPLUS
CN Taurine, N-1-naphthyl-1,2-diphenyl-, compd. with
2-benzyl-2-thiopseudourea
(6CI) (CA INDEX NAME)

CM 1

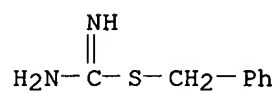
CRN 113113-84-1
CMF C24 H21 N O3 S

10/009,008



CM 2

CRN 621-85-2
CMF C8 H10 N2 S



L4 ANSWER 319 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1958:40469 CAPLUS

DN 52:40469

OREF 52:7243d-i,7244a-b

TI Xanthohumol, a new natural chalcone

AU Verzele, M.; Stockx, J.; Fontijn, F.; Anteunis, M.

CS Univ. Ghent, Belg.

SO Bull. soc. chim. Belges (1957), 66, 452-75

DT Journal

LA English

AB By a procedure avoiding use of strong alkali xanthohumol (I), orange-yellow crystals, m. 172.degree. (picrate, m. 107.degree.), was isolated from hop exts. I, C₂₁H₂₂O₅, contains 1 MeO, 3 active H

(phenolic

OH: mono-2,4-dinitrophenyl ether m. 200-1.degree.; di-Me ether; m. 126-7.degree.), and 1 CO (dinitrophenylhydrazone, m. 185-90.degree.), .lambda. 370 m.mu. (HCl), .lambda. 262 and 438 m.mu. (NaOH) (infrared spectrum also given). In alkali I is in equil. with isoxanthohumol (II), C₂₁H₂₂O₅, light yellow crystals, m. 198.degree. (hydrate, m. 150-5.degree.; hydrochloride, m. 121-3.degree.). II contains 2 active H [mono-Me ether, m. 172-3.degree. (sol. in alkali); di-Me ether, m. 174-5.degree. (insol. in alkali); bis(p-nitrobenzoate), m. 200.degree. (also obtained from I under Schotten-Baumann conditions)], and 1 CO (oxime, m. 193.degree.; bis(3-5-dinitrobenzoate) oxime, m. 151.degree.; p-nitrophenylhydrazone, m. 142.degree.), .lambda. 288-90 m.mu. (HCl), .lambda. 248 and 331 m.mu. (NaOH) (infrared spectrum given). II (712

mg.)

in 1 l. cold 30% NaOH poured into excess acid after some time yields a mixt. of I and II sepd. into an Et₂O-insol. fraction (397 mg. II) and an Et₂O-sol. fraction (233 mg. I). II is also converted into I by acid. II is thought to be identical with humulol (Power, et al., C.A. 7, 3388). I (1.644 g.) hydrogenated with Pt in MeOH gives tetrahydroxanthohumol (III), m. 156-7.degree., stable to alkali, ultraviolet spectrum identical to

that

of II; bis(p-nitrobenzoate), m. 183-3.5.degree.;

mono-3,5-dinitrobenzoate,

m. 219-20.degree.. Similarly, II hydrogenated with Pt gives dihydroisoxanthohumol (IV), m. 178.degree. (hydrate, m. 125.degree.), ultraviolet spectrum identical to that of II. IV on treatment with 20% NaOH is isomerized into dihydroxanthohumol A (V), m. 200-1.degree., unstable to alkali (ultraviolet spectrum identical to that of I in alkali). Reduction of I with Zn and AcOH gives dihydroxanthohumol B

(VI),

m. 145.degree., stable to alkali (ultraviolet spectrum identical to that of II). Both V and VI give III on catalytic hydrogenation. Refluxing 3 g. I 1 hr. in 125 ml. 20% NaOH under N, acidifying, extg. 3 times with

100

ml. Et₂O, drying, and evapg. the exts. give a mixt. sepd. by countercurrent distribution into 680 mg. p-hydroxybenzaldehyde, m. 116-18.degree. (phenylhydrazone, m. 174-6.degree.;

dinitrophenylhydrazone,

m. 272.degree.), acetic acid (p-bromophenacyl ester, m.

83.5-4.5.degree.),

and 975 mg. degradation compd., C₁₂H₁₆O₃ (VII), m. 54-5.degree.

[bis(3-5-dinitrobenzoate), m. 157.degree.], .lambda. 270 m.mu. (HCl),

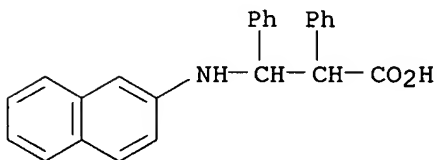
.lambda. 338 m.mu. (NaOH), infrared spectrum given. VII can be

hydrogenated with Pt to the dihydro compd. (VIII), m. 100.degree.

10/009,008

[bis(3-5-dinitro-benzoate), m. 174.degree.], whose ultraviolet spectrum is identical to that of VII, infrared spectrum given. Both VII and VIII contain 2 active H and 1 MeO. VII (342 mg.) ozonized in AcOH (30 ml.) and subsequently treated with Zn gives 250 mg. Me2CO dinitrophenylhydrazone, m. 116-21.degree.. Both I and II under the same condition furnish Me2CO dinitrophenylhydrazone. VII (2.45 g.) and 15 g. NaOH is fused under N at 350.degree. 5 min., the mixt. is dissolved, acidified, steam-distd. several times, and the volatile acids transformed into their p-bromophenacyl esters. Chromatographic sepn. gives the acetate, m. 82-4.degree., and the isovalerate, m. 63-5.degree., in 2:1 ratio. Similarly, from 750 mg. VIII and 7 g. NaOH is obtained a mixt. of p-bromophenacyl acetate, m. 81-3.degree., and p-bromophenacyl isoheptanoate, m. 76.degree., in 1:1 ratio. On the basis of these expts. the formula 3,2,4,6 - Me2C:CHCH2(HO)2(MeO)C6HCOCH:CHC6H4OH-p is proposed for I, II being the corresponding flavanone and VII a (3-methylbuten-2-yl)resorcinol mono Me ether.

IT **102882-89-3**, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl-
(prepn. of)
RN 102882-89-3 CAPLUS
CN .beta.-Alanine, N-2-naphthyl-2,3-diphenyl- (6CI) (CA INDEX NAME)



10/009,008

L4 ANSWER 320 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1958:40468 CAPLUS

DN 52:40468

OREF 52:7243c-d

TI Addition of sodium lithium .alpha.-phenylacetate to Schiff bases. A method

of preparation of .beta.-arylamino propionic acids

AU Marecov, N.; Vasilev, G.; Aleksieva, V.

SO Compt. rend. acad. bulgare sci. (1957), 10(No. 3), 217-20.

DT Journal

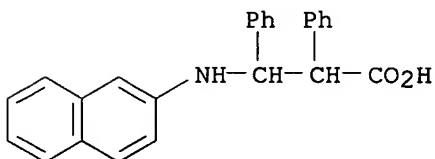
LA Unavailable

AB To 0.35 g. Li in 80 ml. Et2O is added in 40-50 min. at the b.p. 5.18 g. 1-C10H7Br, the mixt. boiled 40-50 min., treated with 3.95 g. PhCH2CO2Na, boiled 5 hrs., 4.53 g. PhCH:NPh added, the mixt. heated 6 hrs., the acid salt pptd. with NH4Cl, filtered off, washed, dried, acidified with 20% HOAc, and the product washed and recrystd. to give 74% PhCH(NHPh)CHPhCO2H (I), m. 157-8.degree.. Similarly, were obtained the following RCH(NHR')CHR''CO2H(R,R',R'', m.p., and % yield given): Ph, p-MeC6H4, Ph, 178-80.degree., 60%; Ph, 2-C10H7, Ph, 156-7.degree., 70%; p-MeOC6H4, Ph, Ph, 141-3.degree., 78%. When I was prepd. from BuLi, the yield was 45%.

IT 102882-89-3, .beta.-Alanine, N-2-naphthyl-2,3-diphenyl- (prepn. of)

RN 102882-89-3 CAPLUS

CN .beta.-Alanine, N-2-naphthyl-2,3-diphenyl- (6CI) (CA INDEX NAME)



L4 ANSWER 321 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1958:11128 CAPLUS

DN 52:11128

OREF 52:2011h-i,2012a-b

TI 5-Ethyl-2-vinylpyridine

AU Profft, Elmar

SO Chemiker-Ztg. (1957), 81, 427-30

DT Journal

LA Unavailable

AB The tech. importance, prepn., and reactions of vinylpyridines, particularly 5-ethyl-2-vinylpyridine (I), are reviewed and new work presented. 2-Methyl-5-ethylpyridine (242 g.), 60 g. 36.4% HCHO and 1.0 cc. HCO₂H heated under 100 atm. H 1.1 hrs. at 240.degree., the pressure rising to 189 atm., and the product repeatedly distd. gave 51 g. pure 5-ethylpyridine-2-ethanol (II). II with KOH at room temp. in vacuo followed by distn. yielded 92% I, b15 88.5-9.5, n₂₀D 1.5371. A variety

of

primary and secondary amines add across the vinyl group of I to yield the corresponding diamine; the reaction takes place in about 4 hrs. at 140-50.degree. using AcOH as catalyst. In all instances, except with benzylamine, mono-addn. prevails; with benzylamine, there is a small amt. of the di-addn. product. The following 5,2-EtC₅H₃NCH₂CH₂NHR were prepd. (R, b.p./mm., n₂₀D, and % yield given): Pr, -, -, -; Bu, 163.degree./14, 1.5032, 11.7; isohexyl, 182.degree./12, 1.4940, 48.4; Ph, 167-9.degree./0.6 (m. 47-8.degree.), 1.5870, 63.7; .omicron.-MeOC₆H₄, 187-90.degree./0.6, 1.5780, 68.3; PhCH₂, 205-8.degree./12, 1.5576, 48 [5,2-EtC₅H₃NCH₂CH₂N(CH₂Ph)₂, 231.degree./0.6, 1.5631, 13.9]; 4-propoxy-.alpha.-methylbenzyl, 184-6.degree./0.4, 1.5402, 57; .alpha.-naphthyl, 204-7.degree./0.4, 1.6400, 63.2, 1- and 2-aminotetrahydronaphthyl (1:1), 190-200.degree./0.4, 1.5938, 55.3. 5,2-EtC₅H₃NCH₂CH₂NRR' (NRR' and other data as above): Pr₂N, 166-6.5.degree./14, 1.4905, 61.9; Bu₂N, 177-80.degree./12, 1.4908, 47.7; diisohexylamino, 147.5.degree./0.3, 1.4870, 16.6; PhCH₂NPh, 218-22.degree./1.0, 1.6047, 29.5; phthalimido,- (m. 93-5.degree.), -, 12; morpholino, 190.degree./22, 1.5195, 72.2; pyrrolidino, 158-9.degree./12, 1.5199, 75.0; piperidino, 174.degree./14, 1.5180, 84.1. A table (including pertinent data from the literature) compares relative yields

of

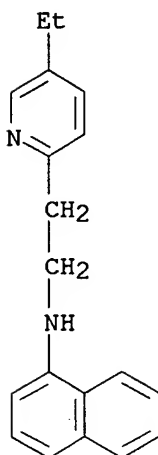
the amine addn. compds. to 2-vinylpyridine, 4-vinylpyridine, 2-methyl-6-vinylpyridine, and 5-ethyl-2-vinylpyridine.

IT **109094-21-5**, Pyridine, 5-ethyl-2-[2-(1-naphthylamino)ethyl]-
122315-09-7, Pyridine, 5-ethyl-2-{2-[[1,2,3,4-tetrahydro-1-naphthyl]amino]ethyl}- **122315-10-0**, Pyridine,
5-ethyl-2-{2-[[1,2,3,4-tetrahydro-2-naphthyl]amino]ethyl}-
(prepn. of)

RN 109094-21-5 CAPLUS

CN Pyridine, 5-ethyl-2-[2-(1-naphthylamino)ethyl]- (6CI) (CA INDEX NAME)

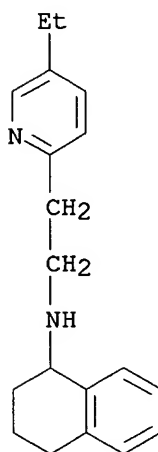
10/009,008



RN 122315-09-7 CAPLUS

CN Pyridine, 5-ethyl-2-[2-[(1,2,3,4-tetrahydro-1-naphthyl)amino]ethyl]-
(6CI)

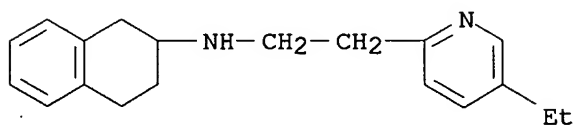
(CA INDEX NAME)



RN 122315-10-0 CAPLUS

CN Pyridine, 5-ethyl-2-[2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]ethyl]-
(6CI)

(CA INDEX NAME)



L4 ANSWER 322 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1957:34848 CAPLUS

DN 51:34848

OREF 51:6629e-i,6630a

TI Chemistry of Vinylpyridines

AU Profft, Elmar

SO Chem. Tech. (Berlin) (1955), 7, 511-18

DT Journal

LA Unavailable

GI For diagram(s), see printed CA Issue.

AB 2-Vinylpyridine (I) remains unchanged for 3-4 weeks if kept in the dark at

4.degree.. Very pure I was prepd. in 83% yield by treating a mixt. of 500 g. 2-pyridineethanol (II) and 100 g. pulverized KOH 40 hrs. in vacuo and then distg. at 12 mm. II, b15 115-30.degree., nD20 1.5384-1.5417, was prepd. in 53.6% yield by mixing 7560 g. .alpha.-picoline (III), 2000 g. 27% formalin, and 20 cc. HCO2H in a rotating autoclave at 60 atm. The pressure rose to 142 atm. when the temp. was raised to 240.degree. in 160 min. Room temp. was attained in 200 min. by immediate external air cooling. The highest yields of II were obtained when 2-3 moles excess

III was used. Addnl. amts. of II were obtained by adding paraformaldehyde and

HCO2H to the foreruns contg. unreacted III and H2O. I was added to a number of amines in the presence of AcOH (IV), first with cooling followed

by refluxing. Treating 0.25 mole Me2CHNH2, 0.75 mole I, and 0.065 mole IV

6 hrs. at 78.degree. gave R2NCHMe2 (R = .beta.-2-pyridylethyl), b12 210-2.degree., nD20 1.5365. The following were similarly prepd. (b.p. and

nD20 given): RNHBu, b12 100-1.degree., 1.5018; 54% RNH(CH2)3CHMe2, b12 140-2.degree., 1.4950; 28%, R2N(CH2)3CHMe2, b0.1 162.degree., 1.5290; RNHPh, b12 191-4.degree. (m. 41-2.2.degree.), 1.6034; o-MeC6H4 NHR, b0.15 155.degree., 1.5922; m-MeC6H4NHR, b0.01 144.degree., 1.5946; p-MeC6H4NHR, b1.6 172-4.degree. (m., 35-6.degree.), 1.5935; o-MeOC6H4NHR, b1.9 168-72.degree., 1.5920; 66% p-MeOC6H4NHR, b0.8 185-91.degree., 1.5819;

10% p-MeOC6H4NR2, b0.5 226.degree., 1.5957; o-PrOC6H4NHR, b0.6 173-5.degree., 1.5730; p-PrOC6H4NHR, b0.8 202-10.degree., 1.5716; PhCH2NR2, b0.5 201-4.degree., 1.5749; p-MeCH2CHMeOC6H4CH2NHR, b0.8 164-6.degree., 1.5457;

o-MeO2CC6H4NHR, b1 192-3.degree., 1.5993; .alpha.-C10H7NHR, b0.2 212.degree. (m. 88-9.degree.), -; Et2NR, b12 102-6.degree., 1.4963;

Pr2NR, b12 124-6.degree., 1.4903; Bu2NR, b12 149-52.degree., 1.4888; o-C6H4(CO)2NR, - (m. 91.5.degree.), -; (CH2)4NR, b12 127.degree., 1.5237; (CH2)5NR, b12 131.5.degree., 1.5260; MeCH.(CH2)4. NR (V), b12 140.degree. 1.5221; 1-(2-pyridylethyl)-2-(2-piperidylethyl)-6-methylpiperidine, b0.6 179-82.degree., 1.5271; 1-(2-pyridylethyl)tetrahydroquinoline, b12 212.degree., 1.6059. V was reduced with Na and EtOH to 1-(2-piperidylethyl)-2-methylpiperidine (VI), b10 141-4.degree., nD20 1.4919; di-HCl salt, m. 294-5.degree.. VI did not react with 4-propoxyacetophenone and CH2O but added to CH2: CHCN (VII) in glacial AcOH to give 1-[2-(1-cyanoethyl-2-piperidyl)ethyl]-2-methylpiperidine (VIII), b0.4 154-5.degree., nD20 1.4991. Hydrogenation of VIII with

Raney

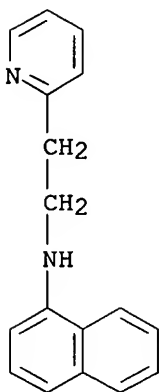
10/009,008

Ni gave 1-[2-(1-propyl-amino-2-piperidyl)ethyl]-2-methylpiperidine (IX), b0.7 149-53.degree., nD20 1.5009. IX reacted further with a 100% excess of VII in the presence of AcOH to give 1-(2-{1-[3-(2-cyanoethylamino)propyl]-2-piperidyl} ethyl)-2-methylpiperidine, b0.4 180.degree., nD20 1.4999. I and IX gave 1-[2-(1-{3-[2-(2-pyridylethyl)amino]propyl}-2-piperidyl) ethyl]-2-methylpiperidine, b0.7 200-17.degree., nD20 1.5244.

IT 92733-90-9, Pyridine, 2-[2-(1-naphthylamino)ethyl]-
103393-19-7, Pyridine, 2-{2-[[1,2,3,4-tetrahydro-2-naphthyl]amino]ethyl}- 103395-35-3, Pyridine,
2-{2-[[1,2,3,4-tetrahydro-1-naphthyl]amino]ethyl}-
(prepn. of)

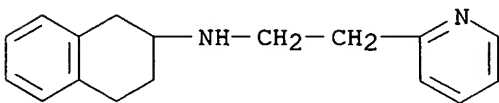
RN 92733-90-9 CAPLUS

CN 2-Pyridineethanamine, N-1-naphthalenyl- (9CI) (CA INDEX NAME)



RN 103393-19-7 CAPLUS

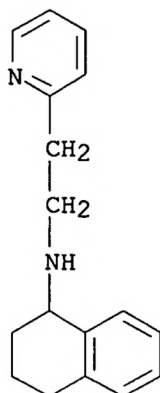
CN Pyridine, 2-[2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]ethyl]- (6CI) (CA INDEX NAME)



RN 103395-35-3 CAPLUS

CN Pyridine, 2-[2-[(1,2,3,4-tetrahydro-1-naphthyl)amino]ethyl]- (6CI) (CA INDEX NAME)

10/009,008



L4 ANSWER 323 OF 323 CAPLUS COPYRIGHT 2003 ACS

AN 1957:25540 CAPLUS

DN 51:25540

OREF 51:5074a-i,5075a-b

TI Condensation of 4-vinylpyridine and 2-methyl-6-vinylpyridine with primary and secondary amines

AU Profit, Elmar

CS Tech. Hochschule, Halle, Germany

SO J. prakt. Chem. [4] (1956), 4, 19-34

DT Journal

LA Unavailable

AB cf. Chem. Tech. 7, 511 (1955); 4-Vinylpyridine (I) and 2-methyl-6-vinylpyridine (II) reacted readily with 1-4 moles primary and secondary alkyl and aryl amines upon refluxing at 120-40.degree. for 2-6.75 hrs. in the presence of 0.01-0.02 mole AcOH as catalyst. In some cases polymerization rather than condensation occurred. I did not react with Me₂CHNH₂. The following derivs. of I were prepd.: with 2 moles BuNH₂, yellow liquid, 28.7% yield, b_{6.2} 162-7.degree., n_{D20} 1.5390; with

1

mole isohexylamine (III), 47.8% yellow oil, b_{0.8} 111-17.degree.; with 2 moles III, 6.5% viscous yellow oil, b₁ 194-200.degree.; with 1 mole PhNH₂, 61% white crystals, m. 61.5.degree., b_{0.5} 162-6.degree., n_{D20} 1.6043; with 1 mole p-toluidine, 60.9% yellow odorless oil, b_{0.5} 180-5.degree., n_{D20} 1.5969, and 12% viscous yellow oil of aromatic odor, b_{0.25} 236-41.degree., n_{D20} 10.6001; with 1 mole m-toluidine, 76.3% light greenish turbid oil, b₂ 184-7.degree., n_{D20} 1.5928; with 1 mole o-anisidine, 72.4% yellow odorless oil, b_{0.3} 176-7.degree., n_{D20} 1.5951; with 1 mole p-anisidine, 78.1% yellow oil with an aminelike odor, b_{0.4} 180-5.degree., n_{D20} 1.5871; with 1 mole 2-aminophenyl-1-propyl ether, 71.3% greenish yellow oil, b_{0.6} 179-85.degree., n_{D20} 1.5753; with 1 mole PhCH₂NH₂, 50.2% colorless and odorless oil, b_{0.3} 143.degree., n_{D20}

1.5679;

with 2 moles PhCH₂NH₂, 15.8% yellow milky oil of strong odor, b_{0.4} 235.degree., n_{D20} 1.5795; with 1 mole "1-propoxy-4-(1'-methyl)-benzylamine", 63.4% yellow-green oil, b₁ 184-90.degree., n_{D20} 1.5420;

with

1 mole methyl anthranilate, 20% yellow-brown oil of sweetish odor, b_{0.6} 166.degree., n_{D20} 1.5947; with 1 mole .alpha.-naphthylamine, 58.7% green viscous oil, b_{0.8} 226-8.degree.; with 1 mole 1:1 mixt. of 1- and 2-aminotetrahydronaphthalenes, 80% green, viscous oil, b_{0.2} 195-206.degree., n_{D20} 1.6113; with .epsilon.-aminocapronitrile, 47.0% yellow, odorless oil, b_{0.3} 158-66.degree., n_{D20} 1.5090, and a yellow oil of aminelike odor, b_{0.6} 246-56.degree., n_{D20} 1.5359; with 2 moles hexamethylenediamine, 14.4% odorless and colorless oil, b_{0.25} 159-62.degree., n_{D20} 1.5138, and 21.1% yellow oil of aminelike odor, b_{2.4} 216-19.degree., n₂₀₂₀ 1.5331. 1-Propoxy-2-amino-4-nitrobenzene (IV) gave with I an oil, b_{1.5} 174-244.degree. (decompn.) 2-Vinylpyridine and IV

gave

a yellow powder, m. 105.degree.. I with Et₂NH yielded 8% brown liquid, b₁₂ 124-8.degree.; with Pr₂NH, a colorless solid, m. above 215.degree.; with Bu₂NH a yellow oil of aminelike odor, b₁₉ 171-5.degree., n_{D20}

1.4893;

with diisohexylamine, 66.6% white solid, m. 276-98.degree.; with n-benzylaniline, 55.8% orange colored oil of aminelike odor, b_{6.3} 203-5.degree., n_{D20} 1.6180; with phthalimide, grayish crystals, m. 138.degree. (from C₆H₆); with tech. pyrrolidine, 28.4% greenish oil of aminelike odor, b₁₂ 145-8.degree., n_{D20} 1.5272; with piperidine, 83.7%

light green oil, b16 156-60.degree., nD20 1.5261; with 2-pipecoline, brown, jellylike mass; with tetrahydroquinoline, greenish, odorless oil, b0.2 171-3.degree., nD20 1.6004. The following derivs. of II were

prepd.:

with 1 mole PrNH₂, 51.7% yellow liquid, b14 125-6.degree., nD20 1.5080; with 2 moles PrNH₂, 31.0% yellow oil, b0.6 161.degree., nD20 1.5359; with BuNH₂, colorless liquid of aminelike odor, b0.6 88-92.degree. with resin formation, nD20 1.5024; with 1 mole III, 37.0% colorless oil, b12 148-52.degree., nD20 1.4945; with 2 moles III, 56.9% green viscous oil, b0.4 178-83.degree., nD20 1.5240; with 1 mole PhNH₂, 81.6% white

crystals,

m. 59.degree., b0.9 154.degree., nD20 1.5914; with 1 mole o-toluidine, 81.5% yellow oil, b0.7 160-3.degree., nD20 1.5827; with 1 mole m-toluidine, 65.5% yellow liquid, b0.8 152-4.degree., nD20 1.5830; with 1 mole p-toluidine, 68.4% yellow oil, b0.5 176.degree., nD20 1.5860; with 1 mole o-anisidine, 68.6% yellow oil, b0.2 164.degree., nD20 1.5852; with 1 mole p-anisidine, 67.2% yellow oil, b0.15 168-70.degree., nD20 1.5791,

and

4.2% yellow oil, b0.25 225-30.degree.; with 1 mole

2-aminophenyl-1-propyl

ether, 76.3% yellow oil, b0.4 174-6.degree., nD20 1.5679; with 1 mole IV, yellow crystals, m. 113.degree. (from EtOH-Et₂O), b1.7 207.degree., nD20 1.6011; with 1 mole PhCH₂NH₂, 37% colorless oil of aminelike odor, b0.6 136-46.degree., nD20 1.5583; with 2 moles PhCH₂NH₂, 18.6% oil, b0.6 204-8.degree., nD20 1.5680; with 1 mole "1-propoxy-4-(1'-methyl)benzylamine," 78.2% yellow oil, b0.6 176-81.degree., nD20 1.5449; with 1 mole Me anthranilate, 51.2% brown, fluorescent oil, b0.2 179-82.degree., nD20 1.5949; with 1 mole .alpha.-naphthylamine, 77.6% orange colored viscous oil, b0.8 211-18.degree., nD20 1.6497; with a 1:1 mixt. of 1- and 2-aminotetrahydronaphthalene, 57% orange colored viscous oil, b0.8 169-70.degree., nD20 1.5241; with hexamethylenediamine, 23.8% yellow oil, b1.9 170-82.degree., nD20 1.5220, and 23.4% brown oil, b1.9 228-34.degree., nD20 1.5341; with Et₂NH, 39% yellow liquid, b12 124-5.degree., nD20 1.4983; with Pr₂NH, 44.7% pink liquid, b12 145-8.degree., nD20 1.4912; with Bu₂NH, 47% colorless oil, b1.2 122-6.degree., nD20 1.4852; with diisohexylamine, 43.6% greenish liquid, b1.1 148-54.degree., nD20 1.4850; with N-benzylaniline, 54.8% yellow viscous oil, b0.8 202-4.degree., nD20 1.6115; with phthalimide, 36.7% grayish powder, m. above 205.degree.; with pyrrolidine, 21.1% yellow

oil,

b12 140-1.degree., nD20 1.5250; with piperidine, 87.7% greenish liquid, b12 151-2.degree., nD20 1.5212; with pipe coline, 57% greenish liquid,

b12

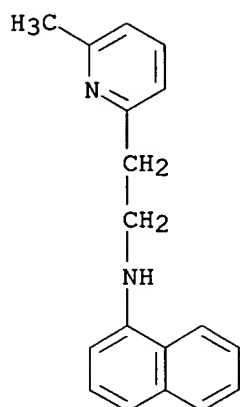
160-1.degree., nD20 1.5191; and with tetrahydroquinoline, 62.8% yellow oil, b0.15 152.degree., nD20 1.5939.

IT 109470-36-2, 2-Picoline, 6-[2-(1-naphthylamino)ethyl]-
114001-35-3, 2-Picoline, 6-[2-([1,2,3,4-tetrahydro-1-naphthyl]amino)ethyl]- 114001-36-4, 2-Picoline,
6-[2-([1,2,3,4-tetrahydro-2-naphthyl]amino)ethyl]-
(prepn. of)

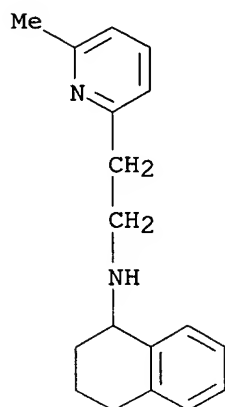
RN 109470-36-2 CAPLUS

CN 2-Picoline, 6-[2-(1-naphthylamino)ethyl]- (6CI) (CA INDEX NAME)

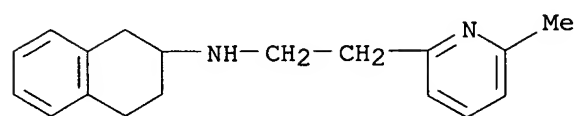
10/009,008



RN 114001-35-3 CAPLUS
CN 2-Picoline, 6-[2-[(1,2,3,4-tetrahydro-1-naphthyl)amino]ethyl]- (6CI) (CA
INDEX NAME)

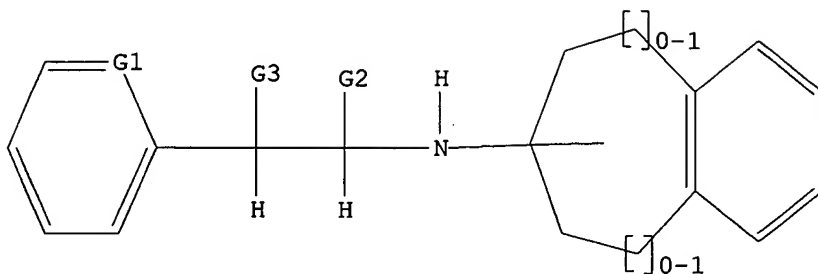


RN 114001-36-4 CAPLUS
CN 2-Picoline, 6-[2-[(1,2,3,4-tetrahydro-2-naphthyl)amino]ethyl]- (6CI) (CA
INDEX NAME)



10/009,008

=> d l1; d his; log y
L1 HAS NO ANSWERS
L1 STR



G1 C,N
G2 H,Ak
G3 H,OH,O

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 16:56:16 ON 23 APR 2003)

FILE 'REGISTRY' ENTERED AT 16:56:23 ON 23 APR 2003

L1 STRUCTURE UPLOADED
L2 4 S L1
L3 1026 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:57:11 ON 23 APR 2003

L4 323 S L3

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY	SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
	-210.27	-210.27

STN INTERNATIONAL LOGOFF AT 17:02:32 ON 23 APR 2003